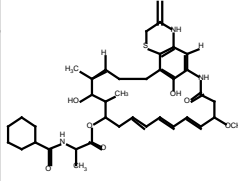


**スクリーニング委員会による化合物評価データ**  
( 2001年1月から2002年12月までに登録された化合物 )

# 凡例

構造式 (天然物粗抽出物の場合は、origin および抽出法などを記載)

| JCI.No            |  | 供給者コード (注) |  | 化合物名                  |  | 構造式   |  | 評価結果          |                 |          |       |      |      |      |        |      |     |
|-------------------|--|------------|--|-----------------------|--|---|--|---------------|-----------------|----------|-------|------|------|------|--------|------|-----|
| 10055             |  | 87         |  | Thiazinotrienomycin E |  |  |  | 1             | 2               | 3        | 4     | 5    | 6    | 7    | 8      | 9    |     |
| 実施したスクリーニング       |  |            |  |                       |  |   |  | HCC panel     | P388            | PK       | Topo. | Tub. | p-Gp | Inv. | Angio. | Apo. |     |
| 1 2 3 4 5 6 7 8 9 |  |            |  |                       |  |   |  | YES           |                 | NO       | NO    | NO   | NO   | NO   | NO     | NO   | YES |
|                   |  |            |  |                       |  |   |  | GI50<br>-7.04 | T/C%<br>(mg/kg) | spec.    | I     | mode |      |      |        |      |     |
|                   |  |            |  |                       |  |   |  | Delta<br>0.69 | ( )             | Y1<br>M1 | -     |      |      |      |        |      |     |
|                   |  |            |  |                       |  |   |  | Range<br>2.29 |                 |          | ±     |      |      |      |        |      |     |

- 実施したスクリーニング
- 1 HCC panel : ヒト培養癌細胞パネル
  - 2 P388 : マウスP388白血病
  - 3 PK : プロテインキナーゼ阻害
  - 4 Topo. : トポイソメラーゼ阻害
  - 5 Tub. : チューブリン阻害
  - 6 p-Gp : 制がん剤耐性克服
  - 7 Inv. : 基底膜浸潤阻害
  - 8 Angio. : 血管新生阻害
  - 9 Apo. : アポトーシス誘導

阻害されたキナーゼの種類

- C : Cキナーゼ
  - Y : srcファミリーのチロシンキナーゼ
  - M : カルモジュリン依存性プロテインキナーゼ III
  - A : Aキナーゼ
  - E : EGFレセプターチロシンキナーゼ
- 阻害の強さは 1 ~ 4 で表示し、2 以上のときに YES。

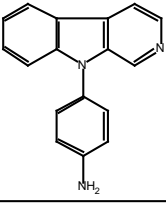
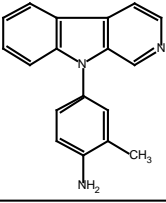
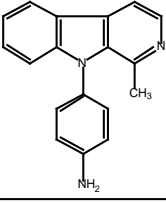
Mode of action  
poly. : チューブリンの重合阻害  
depoly. : チューブリンの脱重合阻害

GI50 : 50%増殖阻害するモル濃度のLog値。但し ( % ) が付記されている場合は、% 濃度のLog値。  
Delta、Range は判定基準参照

(注) サンプル提供者にご連絡をとりたい場合、スクリーニング委員会へご照会ください。

連絡先: <http://www.jfcr.or.jp/cads/contact.html>

# Alkaloid / Carboline

| JCI.No<br>compound<br>screening   | sup.<br>code | screening No.<br>structure/comments  | 1             | 2     | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10              |  |
|---|--------------|--|---------------|-------|-------|------|-------|------|------|--------|------|-----------------|--|
|   |              |  | HCC<br>panel  | PK    | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388            |  |
| 11780   | 74           | <br>9-(4'-aminophenyl)-9H-pyrido[3,4-b]indole (APNH)            | NO            | NO    | NO    | NO   |       | NO   | NO   | NO     | NO   | NO              |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |              |  | GI50<br>-4.66 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|   |              |  | Delta<br>0.25 |       | -     |      | ( )   |      | ( )  |        |      |                 |  |
|   |              |  | Range<br>0.65 |       | II    |      |       |      | ( )  |        |      |                 |  |
| 11781   | 74           | <br>9-(4'-amino-3'-methylphenyl)-9H-pyrido[3,4-b]indole (AMPNH) | NO            | NO    | NO    | NO   |       | NO   | NO   | NO     | YES  | NO              |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |              |  | GI50<br>-4.71 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|   |              |  | Delta<br>0.46 |       | -     |      | ( )   |      | ( )  |        |      |                 |  |
|   |              |  | Range<br>0.75 |       | II    |      |       |      | ( )  |        |      |                 |  |
| 11782   | 74           | <br>9-(4'-amino-1-methylphenyl)-9H-pyrido[3,4-b]indole (APH)   | ±             | NO    | NO    | NO   |       | NO   | NO   | NO     | NO   | NO              |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |              |  | GI50<br>-4.65 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|   |              |  | Delta<br>0.97 |       | -     |      | ( )   |      | ( )  |        |      |                 |  |
|   |              |  | Range<br>1.22 |       | II    |      |       |      | ( )  |        |      |                 |  |



















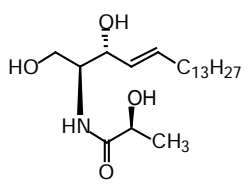








# Ceramide

| JCI.No<br>compound<br>screening  | sup.<br>code | screening No.   | 1             | 2     | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10   |                 |
|--|--------------|---|---------------|-------|-------|------|-------|------|------|--------|------|------|-----------------|
|  |              | structure/comments  | HCC<br>panel  | PK    | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388 |                 |
| 12242  | 41           |  | YES           | NO    |       | NO   |       |      |      |        |      |      |                 |
| (2S,3R,4E,2R)-2-(<br>2-hydroxypropanoyl<br>)-amino-octadec-4-<br>en-1,3-diol<br><br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> |              |   | GI50<br>-5.43 | spec. | I     | mode | IC50  |      |      |        |      |      | T/C%<br>(mg/kg) |
|  |              |   | Delta<br>0.47 | C1    |       |      | ( )   |      |      |        |      | ( )  |                 |
|  |              |   | Range<br>1.27 |       | II    |      |       |      |      |        |      |      |                 |

















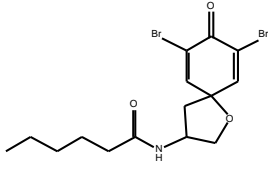
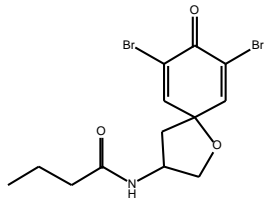








Gymnastatin

| JCI.No<br>compound<br>screening             | sup.<br>code | screening No.<br>structure/comments   | 1            | 2   | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10   |                 |
|---|--------------|---|--------------|-----|-------|------|-------|------|------|--------|------|------|-----------------|
|   |              |   | HCC<br>panel | PK  | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388 |                 |
| 11977                                       | 52           |  | YES          | YES | YES   | NO   |       |      |      |        |      |      |                 |
| Gym-Analog-33                               | GI50         |   | spec.        | I   | mode  | IC50 |       |      |      |        |      |      | T/C%<br>(mg/kg) |
|   | Delta        |   | M2           | +   |       | ( )  |       |      |      |        |      |      |                 |
|   | Range        |   | A1           | II  |       |      |       |      |      |        |      |      | ( )             |
| 1 2 3 4 5 6 7 8 9 10<br>☒ ☒ ☒ ☒ ☐ ☐ ☐ ☐ ☐ ☐ |              |   |              |     | ±     |      |       |      |      |        |      |      |                 |
| 11978                                       | 52           |  | YES*         | YES | NO    | NO   |       |      |      |        |      |      |                 |
| Gym-Analog-34                               | GI50         |   | spec.        | I   | mode  | IC50 |       |      |      |        |      |      | T/C%<br>(mg/kg) |
|   | Delta        |   | M2           | ±   |       | ( )  |       |      |      |        |      |      |                 |
|   | Range        |   | A1           | II  |       |      |       |      |      |        |      |      | ( )             |
| 1 2 3 4 5 6 7 8 9 10<br>☒ ☒ ☒ ☒ ☐ ☐ ☐ ☐ ☐ ☐ |              |   |              |     | -     |      |       |      |      |        |      |      |                 |





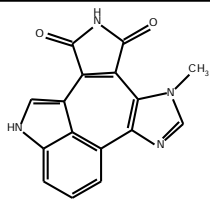
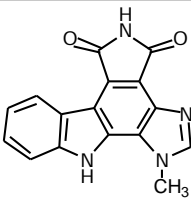
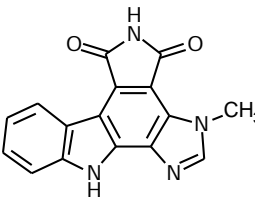








Indolomaleimide

| JCI.No<br>compound<br>screening | sup.<br>code | screening No.   | 1             | 2              | 3       | 4    | 5     | 6    | 7    | 8      | 9    | 10              |  |
|---------------------------------|--------------|---|---------------|----------------|---------|------|-------|------|------|--------|------|-----------------|--|
|                                 |              | structure/comments  | HCC<br>panel  | PK             | Topo.   | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388            |  |
| 12151                           | 68           | <br>6-methyl-imidazo[4,5-b]pyrrolo[3,4-c]indole-3,5-dione<br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> | YES           | YES            | NO      |      |       |      |      |        |      |                 |  |
|                                 |              |   | GI50<br>-5.34 | spec.          | I       | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>0.83 | Y2<br>C2       | -       |      | ( )   |      |      |        |      | ( )             |  |
|                                 |              |   | Range<br>1.47 | M1<br>E1       | II<br>- |      |       |      |      |        |      |                 |  |
| 12173                           | 68           | <br>15-Methylgranulatimide<br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> Staurosporine類似構造              | YES           | YES            |         |      |       |      |      |        |      |                 |  |
|                                 |              |   | GI50<br>-4.67 | spec.          | I       | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>0.73 | A2<br>M2<br>C1 |         |      | ( )   |      |      |        |      | ( )             |  |
|                                 |              |   | Range<br>1.17 | Y1             | II      |      |       |      |      |        |      |                 |  |
| 12174                           | 68           | <br>17-Methylgranulatimide<br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> Staurosporine類似構造             | NO            | NO             |         |      |       |      |      |        |      |                 |  |
|                                 |              |   | GI50<br>-4.07 | spec.          | I       | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>0.73 |                |         |      | ( )   |      |      |        |      | ( )             |  |
|                                 |              |   | Range<br>0.8  |                | II      |      |       |      |      |        |      |                 |  |



































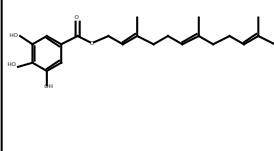
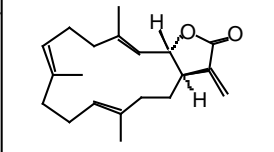
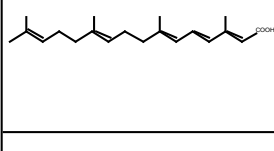
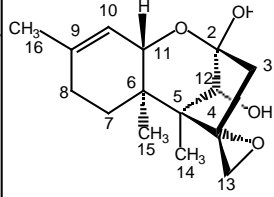
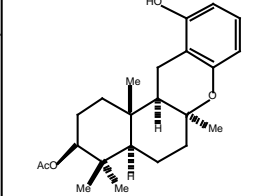
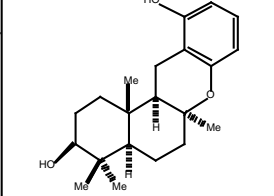




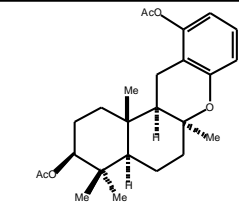
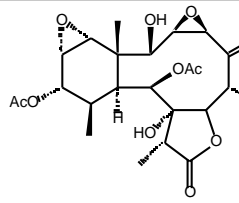
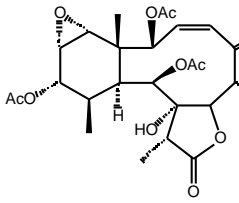
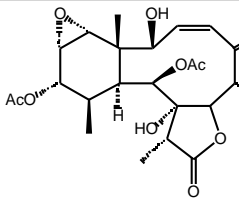
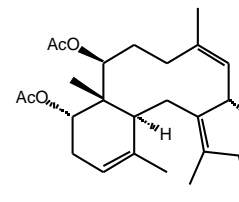
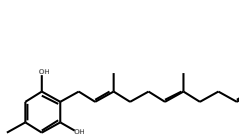




# Isoprenoid / Miscellaneous

| JCI.No<br>compound<br>screening | sup.<br>code | screening No.<br>structure/comments   | 1                            | 2                    | 3     | 4    | 5              | 6    | 7     | 8      | 9    | 10                |  |
|---------------------------------|--------------|---|------------------------------|----------------------|-------|------|----------------|------|-------|--------|------|-------------------|--|
|                                 |              |   | HCC<br>panel                 | PK                   | Topo. | Tub. | HDAC.          | p-Gp | Inv.  | Angio. | Apo. | P388              |  |
| 12136                           | 3            | <br>Farnesyl gallate<br>( Gallic acid<br>farnesyl ester )<br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> | YES                          | NO                   | YES   | NO   | NO             | YES  | NO    | NO     | YES  |                   |  |
|                                 |              |   | GI50<br>-5.09                | spec.                | I     | mode | IC50           |      |       |        |      | T / C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>0.67                | A1<br>C1<br>M1<br>Y1 | ++    |      | >1.3<br>( mM ) |      |       |        |      | ( )               |  |
|                                 |              |   | Range<br>1.01                |                      | II    |      |                |      |       |        |      |                   |  |
| 11582                           | 6            | <br>KKM-01<br>1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  | YES                          |                      |       |      |                |      |       |        |      |                   |  |
|                                 |              |   | GI50<br>-5.21                | spec.                | I     | mode | IC50           |      |       |        |      | T / C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>0.45                |                      | II    |      | ( )            |      |       |        |      | ( )               |  |
|                                 |              |   | Range<br>1.01                |                      |       |      |                |      |       |        |      |                   |  |
|                                 |              |   | Michaelアクセプター / SH酵素阻害剤の可能性。 |                      |       |      |                |      |       |        |      |                   |  |
| 11946                           | 7            | <br>NIK-333<br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/>  | NO                           | NO                   | YES   | NO   | + / -          | NO   | + / - | NO     |      |                   |  |
|                                 |              |   | GI50<br>-4.76                | spec.                | I     | mode | IC50           |      |       |        |      | T / C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>0.63                | C1                   | +     |      | 216<br>( μM )  |      |       |        |      | ( )               |  |
|                                 |              |   | Range<br>0.87                |                      | II    |      |                |      |       |        |      |                   |  |
| 11678                           | 16           | <br>Curvularol<br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>   | YES                          |                      |       |      |                |      |       |        |      |                   |  |
|                                 |              |   | GI50<br>-6.57                | spec.                | I     | mode | IC50           |      |       |        |      | T / C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>0.35                |                      | II    |      | ( )            |      |       |        |      | ( )               |  |
|                                 |              |   | Range<br>1.48                |                      |       |      |                |      |       |        |      |                   |  |
| 12118                           | 19           | <br>KI-01<br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/>   | ±                            | NO                   | NO    | NO   | NO             | NO   | + / - | NO     | YES  |                   |  |
|                                 |              |   | GI50<br>-4.86                | spec.                | I     | mode | IC50           |      |       |        |      | T / C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>1.31                |                      | -     |      | >1.3<br>( mM ) |      |       |        |      | ( )               |  |
|                                 |              |   | Range<br>1.61                |                      | II    |      |                |      |       |        |      |                   |  |
| 12119                           | 19           | <br>KI-02<br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/>   | ±                            | NO                   | NO    | NO   | NO             | NO   | NO    | NO     | NO   | YES               |  |
|                                 |              |   | GI50<br>-4.69                | spec.                | I     | mode | IC50           |      |       |        |      | T / C%<br>(mg/kg) |  |
|                                 |              |   | Delta<br>0.79                |                      | -     |      | >1.5<br>( mM ) |      |       |        |      | ( )               |  |
|                                 |              |   | Range<br>1.13                |                      | II    |      |                |      |       |        |      |                   |  |

# Isoprenoid / Miscellaneous

| JCI.No<br>compound<br>screening | sup.<br>code  | screening No.<br>structure/comments   | 1             | 2     | 3     | 4    | 5     | 6                  | 7    | 8      | 9    | 10   |                 |  |
|---------------------------------|---|---|---------------|-------|-------|------|-------|--------------------|------|--------|------|------|-----------------|--|
|                                 |   |   | HCC<br>panel  | PK    | Topo. | Tub. | HDAC. | p-Gp               | Inv. | Angio. | Apo. | P388 |                 |  |
| 12120                           | 19  |    | NO            | NO    | NO    | NO   | NO    | NO                 | NO   | NO     | YES  |      |                 |  |
| KI-03                           | 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |   | GI50<br>-4.76 | spec. | I     | mode | IC50  | >1.2<br>( $\mu$ M) |      |        |      |      | T/C%<br>(mg/kg) |  |
|                                 |   |   | Delta<br>0.41 |       |       |      |       |                    |      |        |      |      |                 |  |
|                                 |   |   | Range<br>0.7  |       | II    |      |       |                    |      |        |      |      |                 |  |
| 11155                           | 28  |    |               |       |       |      |       | NO                 |      |        |      |      |                 |  |
| SC-CF                           | 1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  |   | GI50          | spec. | I     | mode | IC50  | ( )                |      |        |      |      | T/C%<br>(mg/kg) |  |
|                                 |   |   | Delta         |       |       |      |       |                    |      |        |      |      |                 |  |
|                                 |   | Range   |               | II    |       |      |       | ( )                |      |        |      |      |                 |  |
| 11156                           | 28  |   |               |       |       |      |       | NO                 |      |        |      |      |                 |  |
| BRIANT-Z                        | 1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  |   | GI50          | spec. | I     | mode | IC50  | ( )                |      |        |      |      | T/C%<br>(mg/kg) |  |
|                                 |   |   | Delta         |       |       |      |       |                    |      |        |      |      |                 |  |
|                                 |   | Range   |               | II    |       |      |       | ( )                |      |        |      |      |                 |  |
| 11158                           | 28  |  |               |       |       |      |       | NO                 |      |        |      |      |                 |  |
| BRIANT-X                        | 1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  |   | GI50          | spec. | I     | mode | IC50  | ( )                |      |        |      |      | T/C%<br>(mg/kg) |  |
|                                 |   |   | Delta         |       |       |      |       |                    |      |        |      |      |                 |  |
|                                 |   | Range   |               | II    |       |      |       | ( )                |      |        |      |      |                 |  |
| 11159                           | 28  |  |               |       |       |      |       | NO                 |      |        |      |      |                 |  |
| BRIANT-W                        | 1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  |   | GI50          | spec. | I     | mode | IC50  | ( )                |      |        |      |      | T/C%<br>(mg/kg) |  |
|                                 |   |   | Delta         |       |       |      |       |                    |      |        |      |      |                 |  |
|                                 |   | Range   |               | II    |       |      |       | ( )                |      |        |      |      |                 |  |
| 12070                           | 29  |  | NO            | NO    |       |      | NO    |                    |      | NO     |      |      |                 |  |
| Grifolin                        | 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>   |   | GI50<br>-4.73 | spec. | I     | mode | IC50  | >1.5<br>(mM)       |      |        |      |      | T/C%<br>(mg/kg) |  |
|                                 |   |   | Delta<br>0.08 |       |       |      |       |                    |      |        |      |      |                 |  |
|                                 |   |   | Range<br>0.34 |       | II    |      |       |                    |      |        |      |      |                 |  |









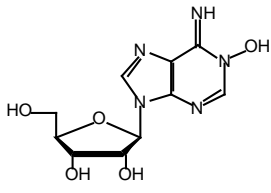
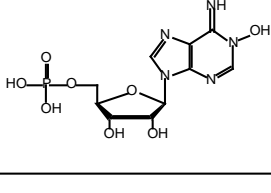
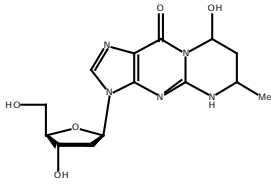
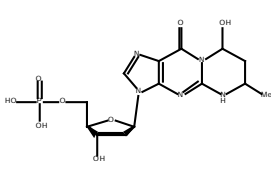
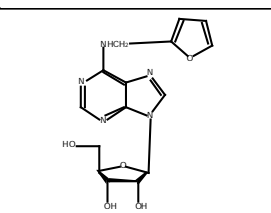
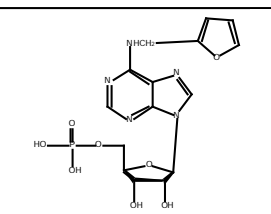








# Nucleoside

| JCI.No<br>compound<br>screening  | sup.<br>code | screening No.<br>structure/comments  | 1            | 2     | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10              |  |
|--|--------------|--|--------------|-------|-------|------|-------|------|------|--------|------|-----------------|--|
|  |              |  | HCC<br>panel | PK    | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388            |  |
| 12004  | 33           | Adenosine 1-Oxide<br>   | YES          |       |       | NO   |       |      |      |        |      |                 |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                       |              |  | GI50         | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|  |              |  | Delta        |       |       |      | ( )   |      |      |        |      | ( )             |  |
|  |              |  | Range        |       | II    |      |       |      |      |        |      |                 |  |
| 12007  | 33           | Adenosine<br>5'-Monophosphate<br>1-Oxide<br>                          | YES          | NO    |       | N.D. |       |      |      |        |      |                 |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> |              |  | GI50         | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|  |              |  | Delta        |       |       |      | ( )   |      |      |        |      | ( )             |  |
|  |              |  | Range        |       | II    |      |       |      |      |        |      |                 |  |
| 12030  | 33           | 1,N <sup>2</sup> -cyclic<br>deoxyguanosine<br>                       | NO           |       |       |      |       |      |      |        |      |                 |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                       |              |  | GI50         | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|  |              |  | Delta        |       |       |      | ( )   |      |      |        |      | ( )             |  |
|  |              |  | Range        |       | II    |      |       |      |      |        |      |                 |  |
| 12031  | 33           | 1,N <sup>2</sup> -cyclic<br>dGMP<br>                                | NO           |       |       |      |       |      |      |        |      |                 |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                       |              |  | GI50         | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|  |              |  | Delta        |       |       |      | ( )   |      |      |        |      | ( )             |  |
|  |              |  | Range        |       | II    |      |       |      |      |        |      |                 |  |
| 12153  | 58           | Kinetin riboside<br><br>ATPまたはdATPの代謝拮抗剤と考えられる                      | YES          | NO    | NO    | NO   |       |      |      |        |      |                 |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> |              |  | GI50         | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|  |              |  | Delta        |       | -     |      | ( )   |      |      |        |      | ( )             |  |
|  |              |  | Range        |       | II    |      |       |      |      |        |      |                 |  |
| 12154  | 58           | Kinetin<br>riboside-monophosp<br>hate<br><br>ATPまたはdATPの代謝拮抗剤と考えられる | YES          | NO    |       |      |       |      |      |        |      |                 |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>            |              |  | GI50         | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |  |
|  |              |  | Delta        |       |       |      | ( )   |      |      |        |      | ( )             |  |
|  |              |  | Range        |       | II    |      |       |      |      |        |      |                 |  |







# Peptide / Cyclic peptide

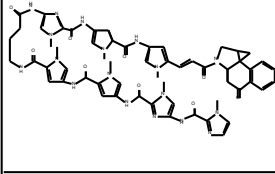
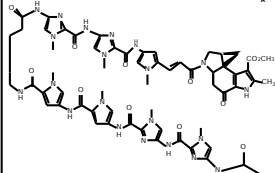
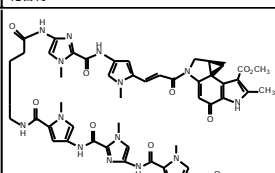
| JCI.No<br>compound<br>screening                              | sup.<br>code | screening No.<br>structure/comments | 1            | 2  | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10                |
|--|--------------|-------------------------------------|--------------|----|-------|------|-------|------|------|--------|------|-------------------|
|  |              |                                     | HCC<br>panel | PK | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388              |
| 11439  | 54           | Cyclo(Leu-Ala-Ile-Pro-Phe-Gly-Ser)  |              |    |       |      |       |      | NO   |        |      |                   |
| Mb 2127-1<br><br>1 2 3 4 5 6 7 8 9 10<br>□ □ □ □ □ □ □ □ □ □ | GI50         |                                     | spec.        | I  | mode  | IC50 |       |      |      |        |      | T / C%<br>(mg/kg) |
|  | Delta        |                                     |              |    |       | ( )  |       |      |      |        |      | ( )               |
|  | Range        |                                     |              | II |       |      |       |      |      |        |      | ( )               |
| 11440  | 54           | Cyclo(Leu-Ala-Ile-Pro-Phe-Asn-Gly)  |              | NO | NO    |      |       | NO   | NO   |        | NO   |                   |
| Mb 2152-1<br><br>1 2 3 4 5 6 7 8 9 10<br>□ □ □ □ □ □ □ □ □ □ | GI50         |                                     | spec.        | I  | mode  | IC50 |       |      |      |        |      | T / C%<br>(mg/kg) |
|  | Delta        |                                     |              | -  |       | ( )  |       |      |      |        |      | ( )               |
|  | Range        |                                     |              | II |       |      |       |      |      |        |      | ( )               |
| 11441  | 54           | Cyclo(Leu-Ala-Gly-Pro-Phe-Asn-Ser)  | ±            | NO | NO    |      |       | NO   | NO   |        | NO   |                   |
| Mb 2152-2<br><br>1 2 3 4 5 6 7 8 9 10<br>□ □ □ □ □ □ □ □ □ □ | GI50         |                                     | spec.        | I  | mode  | IC50 |       |      |      |        |      | T / C%<br>(mg/kg) |
|  | Delta        |                                     |              | -  |       | ( )  |       |      |      |        |      | ( )               |
|  | Range        |                                     |              | II |       |      |       |      |      |        |      | ( )               |
|  |              |                                     | 3.11         |    | -     |      |       |      |      |        |      |                   |







Peptide / Duocarmycin

| JCI.No<br>compound<br>screening   | sup.<br>code | screening No.<br>structure/comments  | 1             | 2     | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10              |
|---|--------------|--|---------------|-------|-------|------|-------|------|------|--------|------|-----------------|
|   |              |  | HCC<br>panel  | PK    | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388            |
| 12028   | 36           | *<br><br>DistamycinのDNA結合部位とDuocarmycinのアルキル化部位のハイブリッド化合物 | ±             |       |       |      |       |      |      |        |      |                 |
| ImImPyPy-<br>-lmpyPyLLCB1<br><br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>   |              |  | GI50<br>-7.58 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|   |              |  | Delta<br>1.42 |       |       |      | ( )   |      |      |        |      |                 |
|   |              |  | Range<br>3    |       | II    |      |       |      | ( )  |        |      |                 |
| 12112   | 36           | *<br><br>Duocarmycinとdistamycinのハイブリッド化合物                 | ±             |       |       |      |       |      |      |        |      |                 |
| AcimimPyPy-<br>-lmpyPyLDu86<br><br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> |              |  | GI50<br>-5.4  | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|   |              |  | Delta<br>1.65 |       |       |      | ( )   |      |      |        |      |                 |
|   |              |  | Range<br>2.05 |       | II    |      |       |      | ( )  |        |      |                 |
| 12113   | 36           | *<br><br>Duocarmycinとdistamycinのハイブリッド化合物                | ±             |       |       |      |       |      |      |        |      |                 |
| AcImPyPy-<br>-lmpyLDu86<br><br>1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>     |              |  | GI50<br>-6.22 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|   |              |  | Delta<br>1.52 |       |       |      | ( )   |      |      |        |      |                 |
|   |              |  | Range<br>2.61 |       | II    |      |       |      | ( )  |        |      |                 |



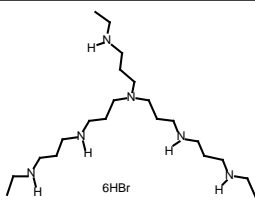
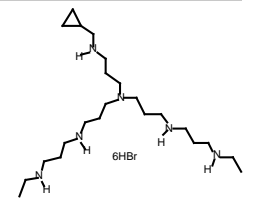
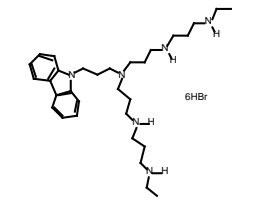
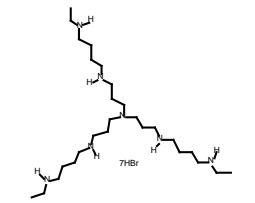
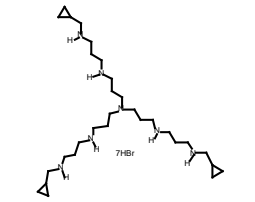








# Polyamine

| JCI.No<br>compound<br>screening | sup.<br>code  | screening No.   | 1             | 2        | 3        | 4    | 5     | 6    | 7    | 8      | 9    | 10   |                 |
|---------------------------------|---|---|---------------|----------|----------|------|-------|------|------|--------|------|------|-----------------|
|                                 |   | structure/comments  | HCC<br>panel  | PK       | Topo.    | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388 |                 |
| 12193                           | 10  | <br>6HBr   | NO            |          |          |      |       |      |      |        |      |      |                 |
| RKH1447                         | 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                                  |   | GI50<br>-4    | spec.    | I        | mode | IC50  |      |      |        |      |      | T/C%<br>(mg/kg) |
|                                 |   |   | Delta<br>0.14 |          |          |      | ( )   |      |      |        |      |      | ( )             |
|                                 |   |   | Range<br>0.14 |          | II       |      |       |      |      |        |      |      |                 |
| 12194                           | 10  | <br>6HBr   | NO            |          |          |      |       |      |      |        |      |      |                 |
| RKH1448                         | 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                                  |   | GI50<br>-4.01 | spec.    | I        | mode | IC50  |      |      |        |      |      | T/C%<br>(mg/kg) |
|                                 |   |   | Delta<br>0.24 |          |          |      | ( )   |      |      |        |      |      | ( )             |
|                                 |   |   | Range<br>0.25 |          | II       |      |       |      |      |        |      |      | ( )             |
| 12195                           | 10  | <br>6HBr  | YES           | NO       | YES      | NO   |       |      |      |        |      |      |                 |
| RKH1449                         | 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> |   | GI50<br>-5.01 | spec.    | I        | mode | IC50  |      |      |        |      |      | T/C%<br>(mg/kg) |
|                                 |   |   | Delta<br>0.64 | M2<br>C1 | ++<br>II |      | ( )   |      |      |        |      | ( )  |                 |
|                                 |   |   | Range<br>1.04 | E2       | ++       |      |       |      |      |        |      |      |                 |
| 12196                           | 10  | <br>7HBr | NO            |          |          |      |       |      |      |        |      |      |                 |
| RKH1450                         | 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                                  |   | GI50<br>-4.02 | spec.    | I        | mode | IC50  |      |      |        |      |      | T/C%<br>(mg/kg) |
|                                 |   |   | Delta<br>0.23 |          |          |      | ( )   |      |      |        |      | ( )  |                 |
|                                 |   |   | Range<br>0.25 |          | II       |      |       |      |      |        |      |      |                 |
| 12197                           | 10  | <br>7HBr | NO            |          |          |      |       |      |      |        |      |      |                 |
| RKH1451                         | 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                                  |   | GI50<br>-4.01 | spec.    | I        | mode | IC50  |      |      |        |      |      | T/C%<br>(mg/kg) |
|                                 |   |   | Delta<br>0.25 |          |          |      | ( )   |      |      |        |      | ( )  |                 |
|                                 |   |   | Range<br>0.26 |          | II       |      |       |      |      |        |      |      |                 |











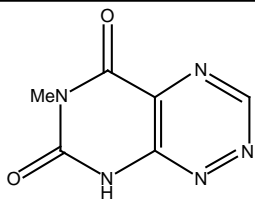
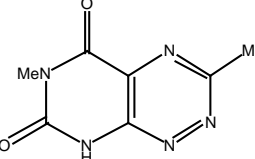
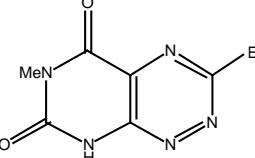
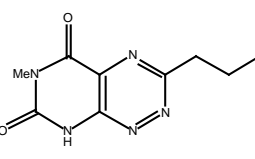
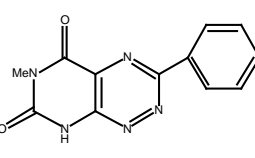
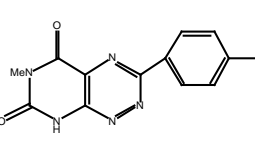








# Pyrimidotriazine

| JCI.No<br>compound<br>screening  | sup.<br>code | screening No.<br>structure/comments   | 1            | 2        | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10   |                   |
|--|--------------|---|--------------|----------|-------|------|-------|------|------|--------|------|------|-------------------|
|  |              |   | HCC<br>panel | PK       | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388 |                   |
| 11924  | 50           |    |              | YES      |       |      |       |      |      |        |      |      |                   |
| 6-Methylpyrimido[5,4-e]-1,2,4-triazine-5,7(6H,8H)-dione<br><br>1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                    |              |   | GI50         | spec.    | I     | mode | IC50  |      |      |        |      |      | T / C%<br>(mg/kg) |
|  |              |   | Delta        | M2       |       |      | ( )   |      |      |        |      |      | ( )               |
| 11925  | 50           |    |              | YES      |       |      |       |      |      |        |      |      |                   |
| 3,6-Dimethylpyrimido[5,4-e]-1,2,4-triazine-5,7(6H,8H)-dione<br><br>1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                |              |   | GI50         | spec.    | I     | mode | IC50  |      |      |        |      |      | T / C%<br>(mg/kg) |
|  |              |   | Delta        | M2<br>C1 |       |      | ( )   |      |      |        |      |      | ( )               |
| 11926  | 50           |   |              | NO       |       |      |       |      |      |        |      |      |                   |
| 3-Ethyl-6-methylpyrimido[5,4-e]-1,2,4-triazine-5,7(6H,8H)-dione<br><br>1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>            |              |   | GI50         | spec.    | I     | mode | IC50  |      |      |        |      |      | T / C%<br>(mg/kg) |
|  |              |   | Delta        | M1       |       |      | ( )   |      |      |        |      |      | ( )               |
| 11927  | 50           |  |              | NO       |       |      |       |      |      |        |      |      |                   |
| 6-Methyl-3-(n-propyl)pyrimido[5,4-e]-1,2,4-triazine-5,7(6H,8H)-dione<br><br>1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>       |              |   | GI50         | spec.    | I     | mode | IC50  |      |      |        |      |      | T / C%<br>(mg/kg) |
|  |              |   | Delta        | M1       |       |      | ( )   |      |      |        |      |      | ( )               |
| 11928  | 50           |  |              | NO       |       |      |       |      |      |        |      |      |                   |
| 6-Methyl-3-phenylpyrimido[5,4-e]-1,2,4-triazine-5,7(6H,8H)-dione<br><br>1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>           |              |   | GI50         | spec.    | I     | mode | IC50  |      |      |        |      |      | T / C%<br>(mg/kg) |
|  |              |   | Delta        | M1       |       |      | ( )   |      |      |        |      |      | ( )               |
| 11929  | 50           |  |              | NO       |       |      |       |      |      |        |      |      |                   |
| 3-(4-Fluorophenyl)-6-methylpyrimido[5,4-e]-1,2,4-triazine-5,7(6H,8H)-dione<br><br>1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> |              |   | GI50         | spec.    | I     | mode | IC50  |      |      |        |      |      | T / C%<br>(mg/kg) |
|  |              |   | Delta        | M1       |       |      | ( )   |      |      |        |      |      | ( )               |

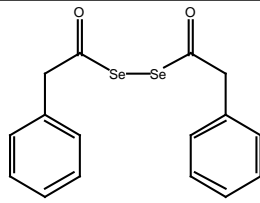
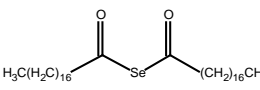
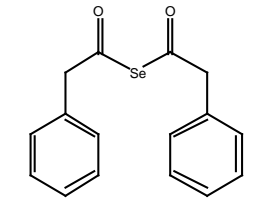
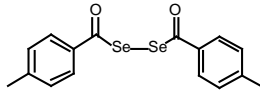
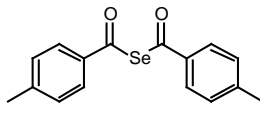








# Selenium compound

| JCI.No<br>compound<br>screening   | sup.<br>code | screening No.<br>structure/comments   | 1            | 2     | 3        | 4    | 5     | 6                  | 7    | 8      | 9    | 10   |                   |
|---|--------------|---|--------------|-------|----------|------|-------|--------------------|------|--------|------|------|-------------------|
|   |              |   | HCC<br>panel | PK    | Topo.    | Tub. | HDAC. | p-Gp               | Inv. | Angio. | Apo. | P388 |                   |
| 11809   | 26           |    |              |       |          |      | + / - |                    |      |        |      |      |                   |
| Diphenylacetyl<br>diselenide  |              |   | GI50         | spec. | I        | mode | IC50  | 49.7<br>( $\mu$ M) |      |        |      |      | T / C%<br>(mg/kg) |
|   |              |   | Delta        |       |          |      |       |                    | ( )  |        |      |      |                   |
|   |              |   | Range        |       | II       |      |       |                    |      |        |      |      |                   |
| 1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                                  |              |   |              |       |          |      |       |                    |      |        |      |      |                   |
| 11810   | 26           |    |              |       |          |      | NO    |                    |      |        |      |      |                   |
| Distearoyl selenide   |              |   | GI50         | spec. | I        | mode | IC50  | >163<br>( $\mu$ M) |      |        |      |      | T / C%<br>(mg/kg) |
|   |              |   | Delta        |       |          |      |       |                    | ( )  |        |      |      |                   |
|   |              |   | Range        |       | II       |      |       |                    |      |        |      |      |                   |
| 1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                                  |              |   |              |       |          |      |       |                    |      |        |      |      |                   |
| 11811   | 26           |   |              |       |          |      | + / - |                    |      |        |      |      |                   |
| Diphenylacetyl<br>selenide  |              |   | GI50         | spec. | I        | mode | IC50  | 95.9<br>( $\mu$ M) |      |        |      |      | T / C%<br>(mg/kg) |
|   |              |   | Delta        |       |          |      |       |                    | ( )  |        |      |      |                   |
|   |              |   | Range        |       | II       |      |       |                    |      |        |      |      |                   |
| 1 2 3 4 5 6 7 8 9 10<br><input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>                                  |              |   |              |       |          |      |       |                    |      |        |      |      |                   |
| 11660   | 26           |  | YES          | NO    | NO       | N.D. | + / - |                    |      |        |      |      |                   |
| Di- -tolunoyl<br>diselenide   |              |   | GI50         | spec. | I        | mode | IC50  | 22.9<br>( $\mu$ M) |      |        |      |      | T / C%<br>(mg/kg) |
|   |              |   | Delta        |       |          |      |       |                    | ( )  |        |      |      |                   |
|   |              |   | Range        |       | M1       | II   |       |                    |      |        |      |      |                   |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> |              |   |              |       |          |      |       |                    |      |        |      |      |                   |
| 11661   | 26           |  |              | NO    |          |      | + / - |                    |      |        |      |      |                   |
| Di- -tolunoyl<br>selenide   |              |   | GI50         | spec. | I        | mode | IC50  | 29.6<br>( $\mu$ M) |      |        |      |      | T / C%<br>(mg/kg) |
|   |              |   | Delta        |       |          |      |       |                    | ( )  |        |      |      |                   |
|   |              |   | Range        |       | C1<br>M1 | II   |       |                    |      |        |      |      |                   |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>            |              |   |              |       |          |      |       |                    |      |        |      |      |                   |



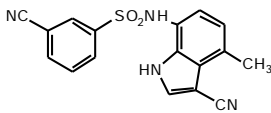
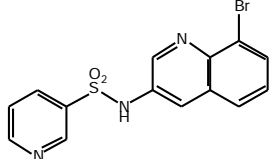
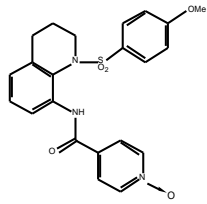
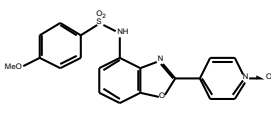
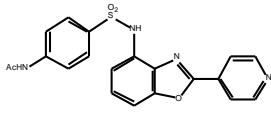








# Sulfonamide

| JCI.No<br>compound<br>screening | sup.<br>code         | screening No.<br>structure/comments   | 1                                   | 2                                   | 3                                   | 4                                   | 5                                   | 6                                   | 7                                   | 8                                   | 9                                   | 10                       |
|---------------------------------|----------------------|---|-------------------------------------|-------------------------------------|-------------------------------------|-------------------------------------|-------------------------------------|-------------------------------------|-------------------------------------|-------------------------------------|-------------------------------------|--------------------------|
|                                 |                      |   | HCC<br>panel                        | PK                                  | Topo.                               | Tub.                                | HDAC.                               | p-Gp                                | Inv.                                | Angio.                              | Apo.                                | P388                     |
| 12104                           | 1                    |    | ±                                   |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                          |
| LA-820                          | GI50                 |   | spec.                               | I                                   | mode                                | IC50                                |                                     |                                     |                                     |                                     |                                     | T/C%<br>(mg/kg)          |
|                                 | Delta                |   |                                     |                                     |                                     | ( )                                 |                                     |                                     |                                     |                                     |                                     |                          |
|                                 | Range                |   |                                     | II                                  |                                     |                                     |                                     |                                     |                                     |                                     |                                     | ( )                      |
|                                 | 1 2 3 4 5 6 7 8 9 10 |   |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                          |
|                                 |                      |   | <input checked="" type="checkbox"/> | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/> |
| 12106                           | 1                    |    | ±                                   |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                          |
| LA-1074                         | GI50                 |   | spec.                               | I                                   | mode                                | IC50                                |                                     |                                     |                                     |                                     |                                     | T/C%<br>(mg/kg)          |
|                                 | Delta                |   |                                     |                                     |                                     | ( )                                 |                                     |                                     |                                     |                                     |                                     |                          |
|                                 | Range                |   |                                     | II                                  |                                     |                                     |                                     |                                     |                                     |                                     |                                     | ( )                      |
|                                 | 1 2 3 4 5 6 7 8 9 10 |   |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                          |
|                                 |                      |   | <input checked="" type="checkbox"/> | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/>            | <input type="checkbox"/> |
| 11207                           | 8                    |   | YES                                 | NO                                  | NO                                  | YES                                 |                                     | NO                                  | NO                                  | NO                                  | YES                                 |                          |
| FC-4353                         | GI50                 |   | spec.                               | I                                   | mode                                | IC50                                |                                     |                                     |                                     |                                     |                                     | T/C%<br>(mg/kg)          |
|                                 | Delta                |   |                                     | -                                   | poly.                               | ( )                                 |                                     |                                     |                                     |                                     |                                     |                          |
|                                 | Range                |   |                                     | II                                  |                                     |                                     |                                     |                                     |                                     |                                     |                                     | ( )                      |
|                                 | 1 2 3 4 5 6 7 8 9 10 |   |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                          |
|                                 |                      |   | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input type="checkbox"/> |
| 11208                           | 8                    |  | YES                                 | NO                                  | NO                                  | YES                                 |                                     | NO                                  | NO                                  | NO                                  | YES                                 |                          |
| FC-4677                         | GI50                 |   | spec.                               | I                                   | mode                                | IC50                                |                                     |                                     |                                     |                                     |                                     | T/C%<br>(mg/kg)          |
|                                 | Delta                |   |                                     | -                                   | poly.                               | ( )                                 |                                     |                                     |                                     |                                     |                                     |                          |
|                                 | Range                |   |                                     | II                                  |                                     |                                     |                                     |                                     |                                     |                                     |                                     | ( )                      |
|                                 | 1 2 3 4 5 6 7 8 9 10 |   |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                          |
|                                 |                      |   | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input type="checkbox"/> |
| 11209                           | 8                    |  | YES                                 | NO                                  | NO                                  | YES                                 |                                     | NO                                  | NO                                  | NO                                  | YES                                 |                          |
| FC-4711                         | GI50                 |   | spec.                               | I                                   | mode                                | IC50                                |                                     |                                     |                                     |                                     |                                     | T/C%<br>(mg/kg)          |
|                                 | Delta                |   |                                     | -                                   | poly.                               | ( )                                 |                                     |                                     |                                     |                                     |                                     |                          |
|                                 | Range                |   |                                     | II                                  |                                     |                                     |                                     |                                     |                                     |                                     |                                     | ( )                      |
|                                 | 1 2 3 4 5 6 7 8 9 10 |   |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                                     |                          |
|                                 |                      |   | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input checked="" type="checkbox"/> | <input type="checkbox"/> |





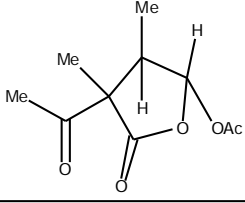
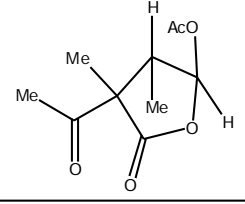
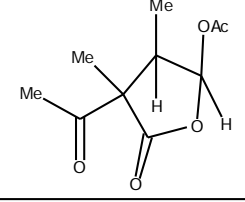
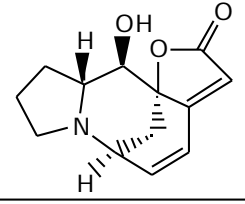
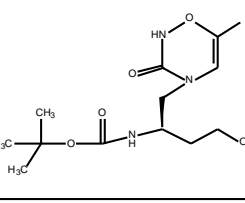
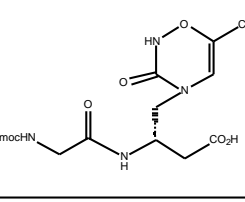








# Miscellaneous

| JCI.No<br>compound<br>screening   | sup.<br>code | screening No.<br>structure/comments  | 1             | 2                    | 3     | 4    | 5     | 6              | 7    | 8      | 9    | 10                |  |
|---|--------------|--|---------------|----------------------|-------|------|-------|----------------|------|--------|------|-------------------|--|
|   |              |  | HCC<br>panel  | PK                   | Topo. | Tub. | HDAC. | p-Gp           | Inv. | Angio. | Apo. | P388              |  |
| 12121   | 12           | <br>4-epi-Acetomycin      | YES           | NO                   | NO    | NO   | NO    | NO             | NO   |        | YES  |                   |  |
|   |              |  | GI50<br>-4.81 | spec.                | I     | mode | IC50  | >2.3<br>( mM ) |      |        |      | T / C%<br>(mg/kg) |  |
|   |              |  | Delta<br>0.76 | A1<br>C1<br>M1       | ±     |      |       |                |      | ( )    |      |                   |  |
|   |              |  | Range<br>1.01 |                      | ±     |      |       |                |      |        |      |                   |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |              |  |               |                      |       |      |       |                |      |        |      |                   |  |
| 12122   | 12           | <br>5-epi-Acetomycin      | YES           | YES                  | NO    | NO   | NO    | NO             | NO   |        | NO   |                   |  |
|   |              |  | GI50<br>-5.45 | spec.                | I     | mode | IC50  | >2.3<br>( mM ) |      |        |      | T / C%<br>(mg/kg) |  |
|   |              |  | Delta<br>0.43 | C2<br>A1<br>M1<br>Y1 | -     |      |       |                |      | ( )    |      |                   |  |
|   |              |  | Range<br>1.27 |                      | ±     |      |       |                |      |        |      |                   |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |              |  |               |                      |       |      |       |                |      |        |      |                   |  |
| 12123   | 12           | <br>4,5-Diepi-Acetomycin | YES           | NO                   | NO    | NO   | NO    | NO             | NO   |        | YES  |                   |  |
|   |              |  | GI50<br>-4.82 | spec.                | I     | mode | IC50  | >3.4<br>( mM ) |      |        |      | T / C%<br>(mg/kg) |  |
|   |              |  | Delta<br>0.78 | A1<br>C1<br>M1       | -     |      |       |                |      | ( )    |      |                   |  |
|   |              |  | Range<br>1.15 |                      | ±     |      |       |                |      |        |      |                   |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |              |  |               |                      |       |      |       |                |      |        |      |                   |  |
| 12063   | 13           | <br>SS-9-3              | NO            | NO                   |       |      |       |                |      |        | NO   |                   |  |
|   |              |  | GI50<br>-4    | spec.                | I     | mode | IC50  | ( )            |      |        |      | T / C%<br>(mg/kg) |  |
|   |              |  | Delta<br>0.15 |                      | II    |      |       |                |      | ( )    |      |                   |  |
|   |              |  | Range<br>0.15 |                      |       |      |       |                |      |        |      |                   |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  |              |  |               |                      |       |      |       |                |      |        |      |                   |  |
| 12214   | 14           | <br>TASpOH              | ±             | NO                   | NO    | NO   | NO    | NO             | NO   | NO     | NO   |                   |  |
|   |              |  | GI50<br>-4.04 | spec.                | I     | mode | IC50  | >1.6<br>( mM ) |      |        |      | T / C%<br>(mg/kg) |  |
|   |              |  | Delta<br>1.28 |                      | II    |      |       |                |      | ( )    |      |                   |  |
|   |              |  | Range<br>1.32 |                      | -     |      |       |                |      |        |      |                   |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |              |  |               |                      |       |      |       |                |      |        |      |                   |  |
| 12215   | 14           | <br>TGlyAsp             | NO            | NO                   | NO    | NO   | NO    | NO             | NO   | NO     | NO   |                   |  |
|   |              |  | GI50<br>-4.02 | spec.                | I     | mode | IC50  | 11.6<br>( mM ) |      |        |      | T / C%<br>(mg/kg) |  |
|   |              |  | Delta<br>0.42 |                      | II    |      |       |                |      | ( )    |      |                   |  |
|   |              |  | Range<br>0.43 |                      | -     |      |       |                |      |        |      |                   |  |
| 1 2 3 4 5 6 7 8 9 10<br><input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> |              |  |               |                      |       |      |       |                |      |        |      |                   |  |





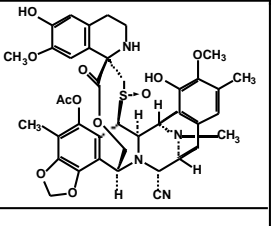
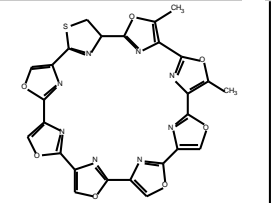
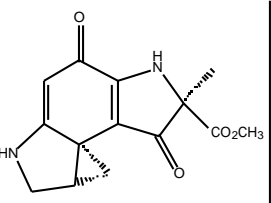
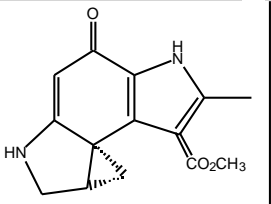
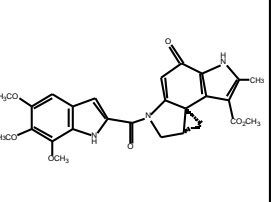
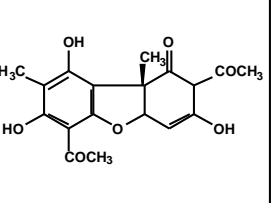








# Miscellaneous

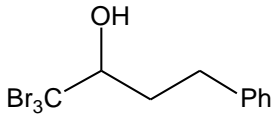
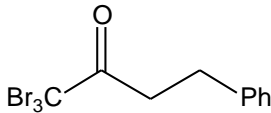
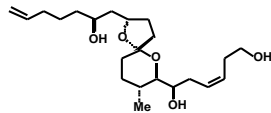
| JCI.No<br>compound<br>screening | sup.<br>code | screening No.<br>structure/comments   | 1             | 2     | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10              |
|---------------------------------|--------------|---|---------------|-------|-------|------|-------|------|------|--------|------|-----------------|
|                                 |              |   | HCC<br>panel  | PK    | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388            |
| 11784                           | 31           | <br>Ecteinascidin 786<br>(Et 786)  | YES           | NO    | NO    | NO   |       | NO   |      | NO     | YES  |                 |
|                                 |              | <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> | GI50<br>-7.61 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|                                 |              |   | Delta<br>0.66 |       | ±     |      | ( )   |      |      |        |      | ( )             |
|                                 |              |   | Range<br>1.53 |       | II    |      |       |      |      |        |      | ( )             |
| 11863                           | 35           | <br>Telomestatin<br>(GM95)   | YES           |       |       |      |       |      |      |        |      |                 |
|                                 |              | <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  | GI50<br>-5.19 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|                                 |              | テロメラゼ阻害物質   | Delta<br>0.79 |       | II    |      | ( )   |      |      |        |      | ( )             |
|                                 |              |   | Range<br>1.83 |       |       |      |       |      |      |        |      | ( )             |
| 12025                           | 36           | <br>Seg A of DuA  | YES           |       |       |      |       |      |      |        |      |                 |
|                                 |              | <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  | GI50<br>-4.89 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|                                 |              | DNAアルキル化物質Duocarmycinの部分構造  | Delta<br>1.31 |       | II    |      | ( )   |      |      |        |      | ( )             |
|                                 |              |   | Range<br>1.79 |       |       |      |       |      |      |        |      | ( )             |
| 12026                           | 36           | <br>Seg A of 86  | ±             |       |       |      |       |      |      |        |      |                 |
|                                 |              | <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  | GI50<br>-5.97 | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|                                 |              | DNAアルキル化物質Duocarmycinの部分構造  | Delta<br>0.87 |       | II    |      | ( )   |      |      |        |      | ( )             |
|                                 |              |   | Range<br>1.45 |       |       |      |       |      |      |        |      | ( )             |
| 12027                           | 36           | <br>Du86   | YES           |       |       |      |       |      |      |        |      |                 |
|                                 |              | <input checked="" type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/> <input type="checkbox"/>  | GI50<br>10.4! | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|                                 |              | DNAアルキル化物質Duocarmycinの部分構造  | Delta<br>0.66 |       | II    |      | ( )   |      |      |        |      | ( )             |
|                                 |              |   | Range<br>1.56 |       |       |      |       |      |      |        |      | ( )             |
| 11882                           | 39           | <br>d-Usonic acid  | YES           | NO    | NO    | NO   |       | NO   | NO   |        |      | NO              |
|                                 |              | <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> <input checked="" type="checkbox"/> | GI50<br>-4.8  | spec. | I     | mode | IC50  |      |      |        |      | T/C%<br>(mg/kg) |
|                                 |              |   | Delta<br>0.57 |       | -     |      | ( )   |      |      |        |      | ( )             |
|                                 |              |   | Range<br>0.78 |       | II    |      |       |      |      |        |      | ( )             |







# Miscellaneous

| JCI.No<br>compound<br>screening | sup.<br>code                                  | screening No.   | 1              | 2        | 3     | 4    | 5     | 6    | 7    | 8      | 9    | 10   |                 |
|---------------------------------|---|---|----------------|----------|-------|------|-------|------|------|--------|------|------|-----------------|
|                                 |   | structure/comments  | HCC<br>panel   | PK       | Topo. | Tub. | HDAC. | p-Gp | Inv. | Angio. | Apo. | P388 |                 |
| 11414                           | 71  | <br><chem>OCC(Cc1ccc(Br)cc1)CBr</chem>       | NO             | NO       | YES   | NO   |       |      |      |        |      | NO   |                 |
| SCRC99-6                        | GI50<br>-4.47                                 |   | spec.          | I        | mode  | IC50 |       |      |      |        |      |      | T/C%<br>(mg/kg) |
|                                 | Delta<br>0.32                                 |   |                | -        |       | ( )  |       |      |      |        |      |      | ( )             |
|                                 | Range<br>0.6                                  |   |                | II       |       |      |       |      |      |        |      |      | ( )             |
|                                 | 1 2 3 4 5 6 7 8 9 10<br>☒ ☒ ☒ ☒ ☐ ☐ ☐ ☐ ☐ ☐ ☒ |   |                |          | +     |      |       |      |      |        |      |      |                 |
| 11415                           | 71  | <br><chem>CCC(=O)Cc1ccc(Br)cc1</chem>        | NO             | YES      | YES   | NO   |       |      |      |        |      | NO   |                 |
| SCRC99-7                        | GI50<br>-4.57                                 |   | spec.          | I        | mode  | IC50 |       |      |      |        |      |      | T/C%<br>(mg/kg) |
|                                 | Delta<br>0.2                                  |   | M2<br>Y2       | ++       |       | ( )  |       |      |      |        |      |      | ( )             |
|                                 | Range<br>0.78                                 |   | A1<br>C1<br>E1 | II<br>++ |       |      |       |      |      |        |      |      | ( )             |
|                                 | 1 2 3 4 5 6 7 8 9 10<br>☒ ☒ ☒ ☒ ☐ ☐ ☐ ☐ ☐ ☐ ☒ |   |                |          |       |      |       |      |      |        |      |      |                 |
| 11769                           | 71  | <br><chem>CC12OC(C=C)C(O)C1C(O)C2C=C</chem> | NO             | NO       | NO    | NO   |       | NO   | NO   | NO     | NO   |      |                 |
| Attenol A                       | GI50<br>-4.02                                 |   | spec.          | I        | mode  | IC50 |       |      |      |        |      |      | T/C%<br>(mg/kg) |
|                                 | Delta<br>0.47                                 |   |                | -        |       | ( )  |       |      |      |        |      |      | ( )             |
|                                 | Range<br>0.49                                 |   |                | II       |       |      |       |      |      |        |      |      | ( )             |
|                                 | 1 2 3 4 5 6 7 8 9 10<br>☒ ☒ ☒ ☒ ☐ ☐ ☒ ☒ ☒ ☒ ☐ |   |                |          | -     |      |       |      |      |        |      |      |                 |