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## (54) Title: COMPOUNDS FOR TREATMENT OF CANCER

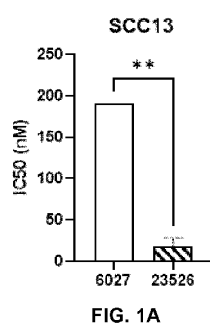
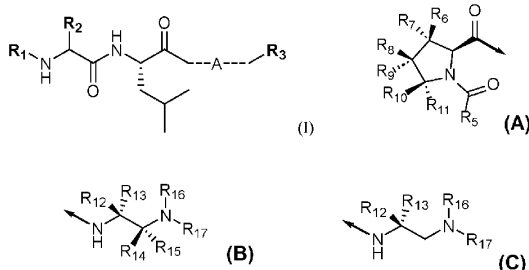


FIG. 1A

(57) Abstract: The present invention relates to novel compounds of formula (I) having anti-cancer activity, pharmaceutically acceptable salts thereof as well as pharmaceutical compositions comprising the same and the use of such compounds for the treatment of cancer wherein --A-- represents a peptide chain, wherein said peptide chain consists of 4 to 9 amino acids, wherein preferably said amino acids are selected from  $\alpha$ -aminoisobutyric acid (Aib), leucine (Leu), preferably (*S*)-leucine, or  $\beta$ -alanine ( $\beta$ -Ala); R<sub>1</sub> is (A), wherein the arrow indicates the attachment to the NH-moiety depicted in formula (I), and wherein R<sub>5</sub> is selected from H, C<sub>1</sub>-C<sub>16</sub>alkyl, C<sub>1</sub>-C<sub>16</sub>alkenyl, carbocyclyl, heterocyclyl, C<sub>1</sub>-C<sub>3</sub>alkylene-carbocyclyl or C<sub>1</sub>-C<sub>3</sub>alkylene-heterocyclyl, wherein said C<sub>1</sub>-C<sub>16</sub>alkyl and said C<sub>1</sub>-C<sub>16</sub>alkenyl each independently optionally substituted with halogen, OR<sub>18</sub>, NR<sub>19</sub>R<sub>20</sub>, -[O-C<sub>2</sub>H<sub>4</sub>]<sub>n</sub>-OCH<sub>3</sub> wherein n=2-20; and wherein each of said carbocyclyl and said heterocyclyl independently at each occurrence optionally substituted with C<sub>1</sub>-C<sub>4</sub>alkyl, halogen, oxo, CF<sub>3</sub>, OR<sub>18</sub>, NR<sub>19</sub>R<sub>20</sub>, C<sub>6</sub>H<sub>5</sub>, C<sub>6</sub>H<sub>5</sub> substituted with halogen, C<sub>1</sub>-C<sub>3</sub>alkyl, OR<sub>18</sub>, NR<sub>19</sub>R<sub>20</sub>, wherein R<sub>18</sub>, R<sub>19</sub>, R<sub>20</sub> are independently at each occurrence H, C<sub>1</sub>-C<sub>3</sub>alkyl, and wherein R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> are independently at each occurrence selected from H, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkenyl, carbocyclyl, heterocyclyl, C<sub>1</sub>-C<sub>3</sub>alkylene-carbocyclyl, C<sub>1</sub>-C<sub>3</sub>alkylene-heterocyclyl, halogen, C<sub>1</sub>-C<sub>2</sub>haloalkyl, OR<sub>21</sub> or NR<sub>22</sub>R<sub>23</sub>, wherein said R<sub>21</sub>, R<sub>22</sub>, R<sub>23</sub> are independently at each occurrence selected from H, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkenyl, C(O)O-C<sub>1</sub>-C<sub>6</sub>alkyl, C(O)-C<sub>1</sub>-C<sub>6</sub>alkyl, C(O)O-C<sub>1</sub>-C<sub>6</sub>alkenyl, C(O)-C<sub>1</sub>-C<sub>6</sub>alkenyl, carbocyclyl, heterocyclyl, C<sub>1</sub>-C<sub>3</sub>alkylene-carbocyclyl or C<sub>1</sub>-C<sub>3</sub>alkylene-heterocyclyl, wherein each of said carbocyclyl and said heterocyclyl independently at

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each occurrence optionally substituted with C<sub>1</sub>-C<sub>3</sub>alkyl, halogen, oxo, C<sub>1</sub>-C<sub>2</sub>haloalkyl, OR<sub>18</sub>, NR<sub>19</sub>R<sub>20</sub>, C<sub>6</sub>H<sub>5</sub>, C<sub>6</sub>H<sub>5</sub> substituted with halogen, C<sub>1</sub>-C<sub>3</sub>alkyl, OR<sub>18</sub>, NR<sub>19</sub>R<sub>20</sub>; or wherein two of said R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, and R<sub>11</sub> together with the carbon atom to which they are attached form a carbocycle or heterocycle, preferably a carbocycle; said carbocycle and said heterocycle optionally substituted with C<sub>1</sub>-C<sub>3</sub>alkyl, halogen, CF<sub>3</sub>, OR<sub>18</sub>, NR<sub>19</sub>R<sub>20</sub>; and wherein at least one of said R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, and R<sub>11</sub> is not H; and R<sub>2</sub> is selected from C<sub>4</sub>-C<sub>12</sub>alkyl, C<sub>4</sub>-C<sub>10</sub>alkoxy, C<sub>1</sub>-C<sub>3</sub>alkylene-cycloalkyl, C<sub>1</sub>-C<sub>3</sub>alkylene-aryl or C<sub>1</sub>-C<sub>3</sub>alkylene-heteroaryl, wherein independently in said C<sub>1</sub>-C<sub>3</sub>alkylene one -CH<sub>2</sub>- moiety is optionally replaced by -CH(NH)- or -O-; and wherein said alkyl, cycloalkyl, aryl and heteroaryl are each independently optionally substituted with one or more, preferably one or two, substituents selected from C<sub>1</sub>-C<sub>2</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>haloalkyl, oxo, OH, halogen, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>6</sub>H<sub>5</sub> or C<sub>6</sub>H<sub>5</sub> substituted with C<sub>1</sub>-C<sub>3</sub>alkyl or OC<sub>1</sub>-C<sub>3</sub>alkyl; R<sub>3</sub> is (B), preferably (C), wherein the arrow indicates the attachment to the A-moiety in formula (I), and wherein R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub> and R<sub>15</sub> are independently at each occurrence selected from H or C<sub>1</sub>-C<sub>3</sub>alkyl, preferably H or methyl, or two of said R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub> and R<sub>15</sub> together with the carbon atom to which they are attached form a carbocycle or heterocycle, preferably a carbocycle, and wherein R<sub>16</sub> and R<sub>17</sub> are independently of each other selected from H or C<sub>1</sub>-C<sub>4</sub>alkyl optionally substituted with halogen, hydroxyl or C<sub>3</sub>-C<sub>6</sub>cycloalkyl; or together with the nitrogen atom to which they are attached form independently at each occurrence a heteroaryl or a heterocyclyl, each independently optionally substituted with halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, OR<sub>18</sub>, NR<sub>19</sub>R<sub>20</sub>; or a pharmaceutically acceptable salt of said compound of formula (I).