



(51) International Patent Classification:

A61K 47/48 (2006.01) C07D 519/00 (2006.01)
C07D 487/04 (2006.01) A61P 35/00 (2006.01)

(21) International Application Number:

PCT/US2012/059864

(22) International Filing Date:

12 October 2012 (12.10.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/547,187 14 October 2011 (14.10.2011) US

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(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY,
BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM,
DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI,
NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU,
RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA,
ZM, ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,

[Continued on next page]

(54) Title: PYRROLOBENZODIAZEPINES AND CONJUGATES THEREOF

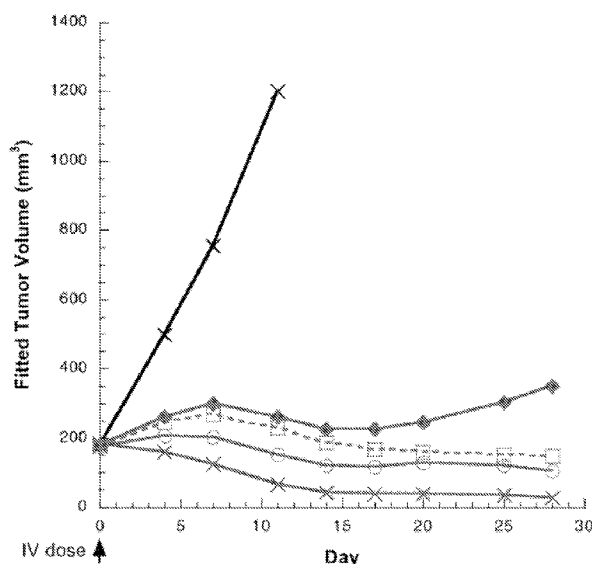


Fig. 1

(57) Abstract: A conjugate of formula (A): the dot-
ted lines indicate the optional presence of a double
bond between C1 and C2 or C2 and C3; R² is inde-
pendently selected from H, OH, =O, =CH₂, CN, R,
OR, =CH-R^D, =C(R^D)₂, O-SO₂-R, CO₂R and COR,
and optionally further selected from halo or dihalo;
where R^D is independently selected from R, CO₂R,
COR, CHO, CO₂H, and halo; R⁶ and R⁹ are inde-
pendently selected from H, R, OH, OR, SH, SR,
NH₂, NHR, NRR', NO₂, Me₃Sn and halo; R⁷ is inde-
pendently selected from H, R, OH, OR, SH, SR,
NH₂, NHR, NRR', NO₂, Me₃Sn and halo; Y is selec-
ted from a single bond, and a group of formulae A1
or A2: where N shows where the group binds to the
N10 of the PBD moiety; R¹¹ and R¹² are independ-
ently selected from H and methyl, or together with
the carbon atom to which they are bound form a cyclo-
propylene group; CBA represents a cell binding
agent; Q is independently selected from O, S and
NH; R¹¹ is either H, or R or, where Q is O, SO₃M,
where M is a metal cation; R and R' are each inde-
pendently selected from optionally substituted C₁₋₁₂
alkyl, C₃₋₂₀ heterocyclyl and C₅₋₂₀aryl groups, and
optionally in relation to the group NRR', R and R'
together with the nitrogen atom to which they are at-
tached form an optionally substituted 4-, 5-, 6- or Z-
ene group, which chain may be interrupted by one or more heteroatoms, e.g. O, S, N(H); NMe and/or aromatic rings, e.g. benzene or
pyridine, which rings are optionally substituted; X and X' are independently selected from O, S and N(H).

metfibern heteracyclie ring; wherein R¹², R¹⁶, R¹⁹ and R¹⁷ are as defined for R², R⁶, R⁹ and R⁷ respectively; wherein R¹¹ is a C₃₋₁₂alkyl
ene group, which chain may be interrupted by one or more heteroatoms, e.g. O, S, N(H); NMe and/or aromatic rings, e.g. benzene or
pyridine, which rings are optionally substituted; X and X' are independently selected from O, S and N(H).



GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *of inventorship (Rule 4.17(iv))*

Published:

— *with international search report (Art. 21(3))*