



(51) International Patent Classification:

C07D 491/044 (2006.01) A61K 31/407 (2006.01)
A61K 31/655 (2006.01) A61P 29/00 (2006.01)
A61P 31/04 (2006.01) A61P 35/00 (2006.01)

(21) International Application Number:

PCT/US2009/043644

(22) International Filing Date:

12 May 2009 (12.05.2009)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/052,576 12 May 2008 (12.05.2008) US

(71) Applicant (for all designated States except US):
NEREUS PHARMACEUTICALS, INC. [US/US];
10480 Wateridge Circle, San Diego, CA 92121 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **MACHERLA, Venkat, Rami Reddy** [IN/US]; 10868 Caminito Arcada, San Diego, CA 92131 (US). **POTTS, Barbara, Christine** [US/US]; 2029 Oro Verde Road, Escondido, CA 92027 (US). **MANAM, Rama, Rao** [IN/US]; 11633-3 Compass Point Drive, San Diego, CA 92126 (US). **MCARTHUR, Katherine, A.** [US/US]; 4534 71st Street, La Mesa, CA 91941 (US). **CHAO, Ta-Hsiang** [US/US]; 7016 Enders

Avenue, San Diego, CA 92122 (US). **NEUTEBOOM, Saskia, Theodora Cornelia** [NL/US]; 6149 Seacrest View Road, San Diego, CA 92121-4123 (US).

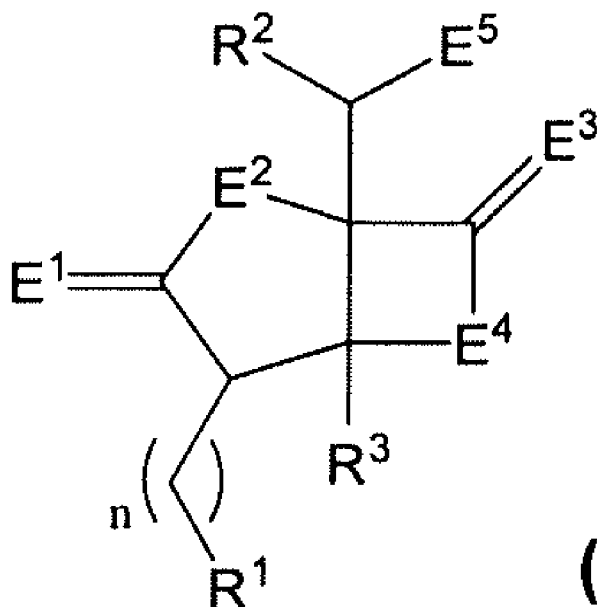
(74) Agent: **MALLON, Joseph, J.**; Knobbe Martens Olson & Bear LLP, 2040 Main Street, 14th Floor, Irvine, CA 92614 (US).

(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, BR, BW, BY, BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, 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, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (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, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: SALINOSPORAMIDE DERIVATIVES AS PROTEASOME INHIBITORS



(I)

(57) Abstract: Disclosed herein are 6-oxa-2-azabicyclo[3.2.0]heptane-3,7-dione or heterobicyclic γ -lactam- β -lactone derivatives of formula (I) that include a sulfonate ester, ester or ether group in the substituent at the 4-position as proteasome inhibitors. These Salinosporamide derivatives of formula (I) can be included in pharmaceutical compositions and can be used for treating or ameliorating a disease or condition such as cancer, a microbial disease or inflammation.



Published:

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

— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))