



(51) International Patent Classification:

C07D 473/34 (2006.01) *A61K 31/7076* (2006.01)
A61K 31/52 (2006.01) *A61K 31/437* (2006.01)
C07D 495/04 (2006.01) *A61P 35/00* (2006.01)
C07H 19/167 (2006.01) *C07D 471/04* (2006.01)

(21) International Application Number:

PCT/SG2009/000356

(22) International Filing Date:

25 September 2009 (25.09.2009)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/100,291 26 September 2008 (26.09.2008) US

(71) **Applicant** (for all designated States except US): **AGENCY FOR SCIENCE, TECHNOLOGY AND RESEARCH** [SG/SG]; 1 Fusionopolis Way, #20-10 Connexis, Singapore 138632 (SG).

(72) Inventors; and

(75) **Inventors/Applicants** (for US only): **CHAI, Christina, L., L.** [AU/SG]; Institute of Chemical and Engineering Sciences, 1 Pesek Road, Jurong Island, Singapore 627833 (SG). **TAM, Eric, K., W.** [CN/SG]; Institute of Chemical and Engineering Sciences, 1 Pesek Road, Jurong Island, Singapore 627833 (SG). **YANG, Haiyan** [SG/SG]; Institute of Chemical and Engineering Sciences, 1 Pesek Road, Jurong Island, Singapore 627833 (SG). **YU, Qiang** [CA/SG]; Genome Institute of Singapore, 60 Biopolis Street, #02-01, Genome, Singapore 138672 (SG).

NGUYEN, Tuan Minh [VN/SG]; Institute of Chemical and Engineering Sciences, 1 Pesek Road, Jurong Island, Singapore 627833 (SG).

(74) **Agent: ELLA CHEONG SPRUSON & FERGUSON (SINGAPORE) PTE LTD**; Robinson Road Post Office, P.O. Box 1531, Singapore 903031 (SG).

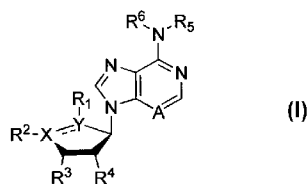
(81) **Designated States** (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, 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, PE, 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, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

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

(54) Title: 3-DEAZANEPLANOCIN DERIVATIVES



(57) **Abstract:** This invention describes the series of compounds based on the 3-deazaneplanocin A (DZNep) core structure designed to inhibit the function of Polycomb repressive complex 2 (PRC2) proteins.