

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
5 June 2008 (05.06.2008)

PCT

(10) International Publication Number
WO 2008/067450 A2

(51) International Patent Classification:

C12N 5/00 (2006.01) *A61K 31/565* (2006.01)
C07J 1/00 (2006.01) *A61P 35/00* (2006.01)
A61K 31/566 (2006.01)

(74) Agent: SHARAREH, Shahnam; Fox Rothschild LLP,
997 Lenox Drive, Bldg. #3, Lawrenceville, NJ 08648 (US).

(21) International Application Number:

PCT/US2007/085913

(22) International Filing Date:

29 November 2007 (29.11.2007)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/867,980 30 November 2006 (30.11.2006) US

(71) Applicant (for all designated States except US): EN-
DECE, LLC [US/US]; 1001 West Glen Oaks Lane, Suite
105b, Mequon, WI 53092 (US).

(72) Inventor; and

(75) Inventor/Applicant (for US only): YARGER, James
[US/US]; W71 N391 Cedar Pointe Avenue, Cedarburg,
WI 53012 (US).

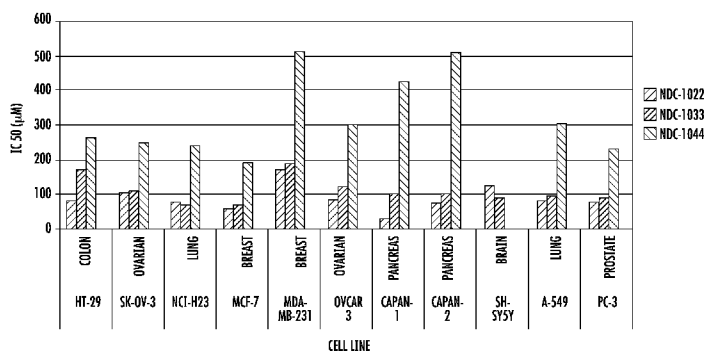
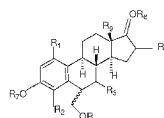
(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG,
ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KM, KN, 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, 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, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL,
PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished
upon receipt of that report

(54) Title: 6-ALKOXYALKYL ESTRADIOL DERIVATIVES AND METHODS OF USE



IC50 DATA SUMMARY FOR NDC-1022, NDC-1033 AND NDC-1044

(57) Abstract: A compound having the structure : wherein R₁, R₂, R₃, R₄, R₅, R₆, R₇ and R₉ are independently hydrogen, C₁ to C₆ alkyl or substituted alkyl, halogen, sulfate or glucuronide moieties; the --- symbol represents either a single or a double bond and when the --- symbol is a double bond and forms a keto group at position 3 or 17, then no R₇ or R₆ is present, respectively; the symbol --- represent the presence or absence of a bond at position 10; and the ~~~ symbol represents any type of bond regardless of the stereochemistry. The invention also embraces the enantiomers, other stereochemical isomers, hydrates, solvates, tautomers and pharmaceutically acceptable salts of said compounds.