

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
19 April 2001 (19.04.2001)

PCT

(10) International Publication Number
WO 01/27128 A1(51) International Patent Classification⁷: C07H 15/203,
A61K 31/70, A61P 3/1008540 (US). MENG, Wei [CN/US]; 404 Bollen Court,
Pennington, NJ 08534 (US).

(21) International Application Number: PCT/US00/27187

(74) Agents: KILCOYNE, John, M. et al.; Bristol-Myers
Squibb Company, P.O. Box 4000, Princeton, NJ
08543-4000 (US).

(22) International Filing Date: 2 October 2000 (02.10.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/158,773 12 October 1999 (12.10.1999) US
60/194,615 5 April 2000 (05.04.2000) US(71) Applicant (for all designated States except US): BRIS-
TOL-MYERS SQUIBB COMPANY [US/US]; P.O. Box
4000, Princeton, NJ 08543-4000 (US).

(72) Inventors; and

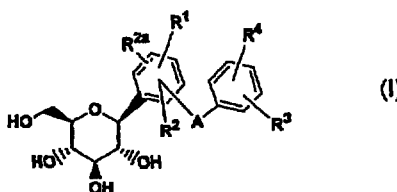
(75) Inventors/Applicants (for US only): ELLSWORTH,
Bruce [US/US]; 7 Glenview Drive, Princeton, NJ 08540
(US). WASHBURN, William, N. [US/US]; 120 Pleasant
Valley Road, Titusville, NJ 08560 (US). SHER, Philip,
M. [US/US]; 18 Mifflin Court, Plainsboro, NJ 08536 (US).
WU, Gang [US/US]; 390 Rosedale Road, Princeton, NJ(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ,
DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, UG, ZW), Eurasian
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

— With international search report.

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: C-ARYL GLUCOSIDE SGLT2 INHIBITORS



(I)

(57) Abstract: SGLT2 inhibiting compounds are provided having formula (I) where R¹, R², and R^{2a} are independently hydrogen, OH, OR⁵, lower alkyl, CF₃, OCHF₂, OCF₃, SR^{5f} or halogen, or two of R¹, R² and R^{2a} together with the carbons to which they are attached can form an annelated five, six or seven membered carbocycle or heterocycle; R³ and R⁴ are independently hydrogen, OH, OR^{5a}, OAr^{yl}, OCH₂Ar^{yl}, lower alkyl, cycloalkyl, CF₃, -OCHF₂, -OCF₃, halogen, -CN, -CO₂R^{5b}, -CO₂H, -COR^{6b}, -CH(OH)R^{6c}, -CH(OR^{5b})R^{6d}, -CONR^{6a}, -NHCOR^{5c}, -NHSO₂R^{5d}, -NHSO₂Ar^{yl}, Aryl, -SR^{5c}, -SOR^{5f}, SO₂R^{5g}, SO₂Ar^{yl}, or a five, six or seven membered heterocycle, or R³ and R⁴ together with the carbons to which they are attached form an annelated five, six or seven membered carbocycle or heterocycle; R⁵, R^{5a}, R^{5b}, R^{5c}, R^{5d}, R^{5e}, R^{5f}, R^{5g}, R^{5h}, and R⁵ⁱ are independently lower alkyl; R⁶, R^{6a}, R^{6b}, R^{6c} and R^{6d} are independently hydrogen, alkyl, aryl, alkylaryl or cycloalkyl, or R⁶ and R^{6a} together with the nitrogen to which they are attached form an annelated five, six or seven membered heterocycle; A is O, S, NH, or (CH₂)_n where n is 0 - 3. A method is also provided for treating diabetes and related diseases employing an SGLT2 inhibiting amount of the above compound alone or in combination with another antidiabetic agent or other therapeutic agent.