



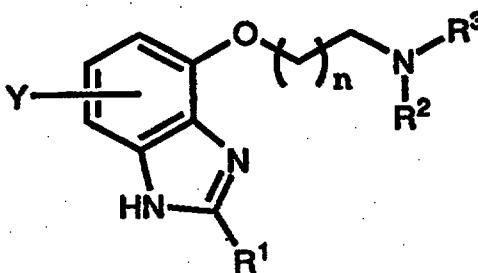
INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 235/06, A61K 31/415, C07D 235/08, 235/10, 409/12, 401/12, A61K 31/47	A1	(11) International Publication Number: ✓ WO 98/35945 (43) International Publication Date: 20 August 1998 (20.08.98)
(21) International Application Number: ✓ PCT/US98/00613 (22) International Filing Date: ✓ 13 January 1998 (13.01.98) (30) Priority Data: ✓ 08/801,276 ✓ 18 February 1997 (18.02.97) ✓ US (71) Applicant: AMERICAN HOME PRODUCTS CORPORATION [US/US]; Five Giralda Farms, Madison, NJ 07940-0974 (US). (72) Inventors: NELSON, James, Albert ✓ Decision Way West, Washington Crossing, PA 18977 (US). MEWSHAW, Richard, Eric ✓ 21 Boxwood Drive, Princeton, NJ 08540 (US). (74) Agents: ALICE, Ronald, W.; American Home Products Corporation, One Campus Drive, Parsippany, NJ 07054 (US) et al.		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i> 1P05's copy 9903061-1

(54) Title: **4-AMINOALKOXY-1H-BENZIMIDAZOLE DERIVATIVES, THEIR PREPARATION AND THEIR USE AS DOPAMINE AUTORECEPTOR (D₂) AGONISTS** ✓

(57) Abstract

This invention relates to D₂ dopaminergic compounds of formula (I), wherein R¹ is hydrogen, trifluoromethyl, pentafluoroethyl, heptafluoropropyl, straight-chain or branched alkyl group having up to 6 carbons or benzyl optionally substituted by one to three substituents selected from halogen, amino, nitro, hydroxy, C₁-C₆ alkoxy; R² is hydrogen or C₁-C₆ alkyl. R₃ is hydrogen, straight-chain or branched alkyl group having up to 10 carbon atoms, cyclohexylmethyl, -(CH₂)_mAr, where Ar is phenyl, thienyl, furanyl, or pyridinyl, each optionally substituted by one to two substituents selected from halogen, C₁-C₆ alkoxy, trifluoromethyl or C₁-C₆ alkyl; or NR²R³ is 1,2,3,4-tetrahydroquinolin-1-yl or 1,2,3,4-tetrahydroisoquinolin-2-yl; Y = halogen, lower alkyl, amino, and lower alkoxy; n = 1-5; or a pharmaceutically acceptable salt thereof. These D₂ dopaminergic compounds are useful in the treatment of schizophrenia, Parkinson's disease, Tourette's syndrome, and drug or alcohol addiction.



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