



PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07C 281/16, 281/18, A61K 31/195		A1	(11) International Publication Number: WO 96/16031
			(43) International Publication Date: 30 May 1996 (30.05.96)
(21) International Application Number: PCT/US95/14126			
(22) International Filing Date: 15 November 1995 (13.11.95)			
(30) Priority Data: 08/344,274 23 November 1994 (23.11.94) US 08/484,547 7 June 1995 (07.06.95) US			
(60) Parent Application or Grant (63) Related by Continuation US Filed on 08/484,547 (CON) 7 June 1995 (07.06.95)			
(71) Applicant (for all designated States except US): THE UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49001 (US).			
(72) Inventors; and (75) Inventors/Applicants (for US only): LARSEN, Scott, D. [US/US]; 56 Naples Court, Kalamazoo, MI 49009 (US). VAILLANCOURT, Valerie, A. [US/US]; 4760 Wimbledon Way, Kalamazoo, MI 49009 (US). MAY, Paul, D. [US/US]; 7890 North 32nd Street, Richland, MI 49083 (US). TANIS, Steven, P. [US/US]; 7601 Farmington Avenue, Kalamazoo, MI 49002 (US). TUCKER, John, A. [US/US]; Apartment			
		301, 3721 Greenleaf Circle, Kalamazoo, MI 49008 (US). MEGLASSON, Martin, D. [US/US]; 5337 Whippoorwill Drive, Kalamazoo, MI 49002 (US). SCHOSTAREZ, Heinrich, J. [US/US]; 6417 Surrey, Portage, MI 49002 (US). (74) Agent: WELCH, Lawrence, T.; The Upjohn Company, Corporate Intellectual Property Law, 301 Henrietta Street, Kalamazoo, MI 49001 (US). (81) Designated States: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, 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), ARIPO patent (KE, LS, MW, SD, SZ, UG). 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>	
(54) Title: AMINOGUANIDINE CARBOXYLATES FOR THE TREATMENT OF NON-INSULIN-DEPENDENT DIABETES MELLITUS			
$\text{AG}-\underset{\text{R}^2}{\text{CH}}-\text{CO}_2\text{R}^1 \quad (\text{II})$ $\text{H}_2\text{N}-\underset{\text{H}}{\text{C}}=\text{N}-\text{N}=\underset{\text{R}^3}{\text{C}}-\text{CO}_2\text{H} \quad (\text{III})$			
(57) Abstract			
The present invention provides novel compounds of the formulae (I): $\text{AG}-(\text{CH}_2)_n-\text{CO}_2\text{R}^1$ and (II) or pharmacologically acceptable salts thereof, wherein AG is: a) N-aminoguanidine, b) N,N'-diaminoguanidine, or c) N,N',N''-triaminoguanidine; and n, R¹ and R² have the meanings given in the description as well as a new use for the compounds of formula (III) wherein R³ has the meanings given in the description for the treatment of non-insulin dependent diabetes mellitus (NIDDM).			