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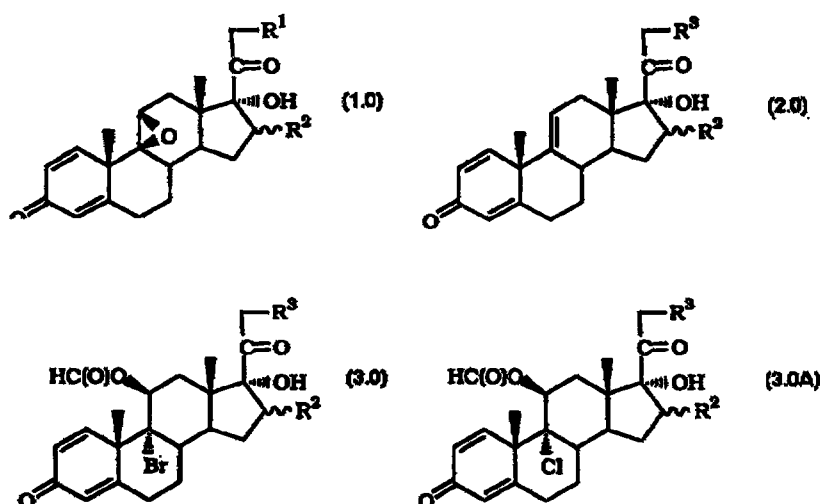
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(21) International Application Number: PCT/US96/19600 (22) International Filing Date: 18 December 1996 (18.12.96) (30) Priority Data: 08/575,562 20 December 1995 (20.12.95) US (71) Applicant: SCHERING CORPORATION [US/US]; 2000 Galloping Hill Road, Kenilworth, NJ 07033-0530 (US). (72) Inventors: FU, Xiaoyong; 18 Augusta Avenue, Edison, NJ 08820 (US). THIRUVENGADAM, Tiruvettipuram, K.; 14 Lavender Drive, Edison, NJ 08820 (US). TANN, Chou-Hong; 30 Pinewood Crescent, Berkeley Heights, NJ 07922 (US). (74) Agents: JEANETTE, Henry, C. et al.; Schering-Plough Corporation, Patent Dept. K-6-1 1990, 2000 Galloping Hill Road, Kenilworth, NJ 07033-0530 (US).		(81) Designated States: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), 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>

(54) Title: **PROCESS FOR PREPARATION OF 9,11 β -EPOXIDE STEROIDS**



(57) Abstract

Disclosed is a process for producing the epoxy steroid (1.0) wherein R^1 is selected from H, -OH, or Cl, and R^2 is selected from hydrogen or lower alkyl. The process comprises reacting the triene of Formula (2.0) with a brominating or chlorinating agent in DMF containing a catalytic amount of 70 % HClO_4 , at a temperature of about 0 to about +40 °C to produce the corresponding bromoformate (3.0) or chloroformate (3.0A) wherein when R^1 is H then R^3 is H; and when R^1 is -OH then R^3 is a suitably protected -OH group; and when R^1 is Cl then R^3 is Cl. The bromoformate or chloroformate is then reacted, at a temperature of about -20 to about +10 °C, with a strong base in an organic solvent mixture comprising: (a) THF or CH_2Cl_2 and (b) a C_1 to C_6 alkanol or acetonitrile, to produce the epoxy steroid (1.0).