

Title (en)
COMPOSITIONS CONTAINING VARDENAFIL FOR NASAL APPLICATION

Title (de)
VARDENAFILHALTIGE ZUSAMMENSETZUNGEN ZUR NASALEN APPLIKATION

Title (fr)
COMPOSITIONS CONTENANT LE VARDENAFIL POUR APPLICATION NASALE

Publication
EP 1381368 A2 20040121 (DE)

Application
EP 02732548 A 20020403

Priority
• DE 10118306 A 20010412
• EP 0203663 W 20020403

Abstract (en)
[origin: DE10118306A1] The invention relates to compositions containing imidazotriazinone for nasal application. Said compounds contain a reduced amount of local anaesthetic in addition to said cGMP PDE inhibitor.
[origin: DE10118306A1] A new composition comprises: (1) a 2-phenyl-imidazo (5,1-f) (1,2,4)-triazin-4(3H)-one derivative of formula (I) inhibiting cyclic guanosine monophosphate phosphodiesterase (cGMP PDE); and (2) a local anesthetic (II) (other than benzyl alcohol). A new composition comprises: (1) a 2-phenyl-imidazo (5,1-f) (1,2,4)-triazin-4(3H)-one derivative of formula (I) inhibiting cyclic guanosine monophosphate phosphodiesterase (cGMP PDE); and (2) at least one local anesthetic (II) (other than benzyl alcohol). R1 = H or 1-4C alkyl; R2 = 1-4C alkyl; R3, R4 = 1-5C alkyl (optionally substituted by 1 or 2 of OH or OMe); or NR3R4 = piperidino, morpholino, thiomorpholino or 4-(R7)-piperazino, all optionally substituted (possibly geminally) by 1 or 2 of OH, CHO, COOH, up to 6C acyl or up to 6C alkoxycarbonyl, by 1-6C alkyl (optionally substituted by 1 or 2 of OH or COOH) and/or by pyrrolidino or piperidino; R7 = H, CHO, up to 6C acyl, up to 6C alkoxycarbonyl, 1-6C alkyl (optionally substituted by 1 or 2 of OH, COOH, 1-6C alkoxy or up to 6C alkoxycarbonyl) or 3-8C cycloalkyl; R5, R6 = H, 1-6C alkyl, OH or 1-6C alkoxy. (II) is selected from: (1) compounds of formula (II'); (2) compounds of formula (II''); (3) 2-methoxycarbonyl-4-methyl-3-(2-(propylamino)-propionamido)-thiophene; (4) 2-butoxy-4-(N-(2-diethylaminoethyl)-carbamoyl)-quinoline; (5) 3-butyl-1-(2-dimethylaminoethyl)-isoquinoline; (6) N-(N-(1,1-dimethylpropyl)-N-methylcarbamoylmethyl)-N-(2-hydroxyethyl)-glycine-N-(1,1-dimethyl-2-phenylethyl)-N-methylamide; (7) polydodecanol; and (8) benoxinate. or their salts or hydrates. A1 = H, NH2, NHT, OT or OCH2Ph; T = 1-6C alkyl; A2 = OT (optionally substituted by NHT, NT2 or Het) or -(CH2)p-Het; Het = saturated 5- or 6-membered heterocycle, which contains and is bonded via N, optionally contains 1 or 2 other N, O or S heteroatoms and is optionally substituted by 1-3 T; A3 = H, halo or OT; B1 = H or OH; B2 = -T'-N(T)2 or Het'; T' = 1-6C alkylene (optionally substituted by one or more T); Het' = Het not bonded via N; B3 = T, halo or COOT; p = 1-6; and n = 1 or 2. Independent claims are included for nasal spray applicators or powder inhalers (both preferably of unit dose type) containing the composition.

IPC 1-7
A61K 31/505

IPC 8 full level
A61K 9/00 (2006.01); **A61K 9/72** (2006.01); **A61K 9/12** (2006.01); **A61K 31/53** (2006.01); **A61K 9/14** (2006.01); **A61K 31/165** (2006.01); **A61K 31/167** (2006.01); **A61K 31/245** (2006.01); **A61K 31/426** (2006.01); **A61K 31/435** (2006.01); **A61K 31/445** (2006.01); **A61K 31/47** (2006.01); **A61K 31/505** (2006.01); **A61K 31/519** (2006.01); **A61K 31/5377** (2006.01); **A61K 31/541** (2006.01); **A61K 31/77** (2006.01); **A61K 45/00** (2006.01); **A61K 45/06** (2006.01); **A61P 15/10** (2006.01); **A61P 23/02** (2006.01); **A61P 43/00** (2006.01); **C07D 487/00** (2006.01)

CPC (source: EP KR US)
A61K 9/0043 (2013.01 - EP US); **A61K 9/0075** (2013.01 - EP US); **A61K 45/06** (2013.01 - EP US); **A61P 9/00** (2018.01 - EP); **A61P 15/10** (2018.01 - EP); **A61P 23/02** (2018.01 - EP); **A61P 43/00** (2018.01 - EP); **C07D 487/04** (2013.01 - KR)

Designated contracting state (EPC)
AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE TR

Designated extension state (EPC)
LT LV RO SI

DOCDB simple family (publication)
DE 10118306 A1 20021017; AR 035821 A1 20040714; BG 108245 A 20050131; BR 0208813 A 20040309; CA 2443639 A1 20021024; CN 1537004 A 20041013; CZ 20032752 A3 20040114; DO P2002000373 A 20021130; EC SP034795 A 20031201; EE 200300501 A 20031215; EP 1381368 A2 20040121; GT 200200070 A 20030131; HU P0303877 A2 20040329; HU P0303877 A3 20050530; IL 158255 A0 20040512; JP 2004525968 A 20040826; KR 20030087072 A 20031112; MX PA03009314 A 20040310; NO 20034556 D0 20031010; NO 20034556 L 20031210; PE 20021035 A1 20021129; PL 363033 A1 20041115; RU 2003133143 A 20050410; SK 12682003 A3 20040302; US 2003022894 A1 20030130; US 2004248891 A1 20041209; US 6740306 B2 20040525; UY 27256 A1 20021129; WO 02083674 A2 20021024; WO 02083674 A3 20030109

DOCDB simple family (application)
DE 10118306 A 20010412; AR P020101322 A 20020410; BG 10824503 A 20031009; BR 0208813 A 20020403; CA 2443639 A 20020403; CN 02811457 A 20020403; CZ 20032752 A 20020403; DO 2002000373 A 20020404; EC SP034795 A 20031008; EE P200300501 A 20020403; EP 0203663 W 20020403; EP 02732548 A 20020403; GT 200200070 A 20020411; HU P0303877 A 20020403; IL 15825502 A 20020403; JP 2002581429 A 20020403; KR 20037013280 A 20031010; MX PA03009314 A 20020403; NO 20034556 A 20031010; PE 2002000303 A 20020411; PL 36303302 A 20020403; RU 2003133143 A 20020403; SK 12682003 A 20020403; US 12269402 A 20020411; US 81380104 A 20040330; UY 27256 A 20020411