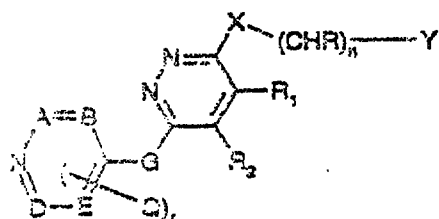




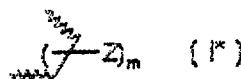
INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 401/06, A61K 31/50, C07D 401/12, 403/06, 401/14, C07F 5/02, C07D 413/14, 405/14, 471/04	A1	(11) International Publication Number: WO 98/35958 (43) International Publication Date: 20 August 1998 (20.08.98)
(21) International Application Number: PCT/EP98/00764 (22) International Filing Date: 11 February 1998 (11.02.98) (30) Priority Data: 315/97 13 February 1997 (13.02.97) CH (71) Applicant (for all designated States except AT US): NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH). (71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT]; Brunner Strasse 59, A-1235 Vienna (AT). (72) Inventors; and (75) Inventors/Applicants (for US only): BOLD, Guido [CH/CH]; Bleumathöhe 15, CH-5073 Gipf-Oberfrick (CH). FREI, Jörg [CH/CH]; Buechring 36, CH-4434 Hölstein (CH). TRAXLER, Peter [CH/CH]; Bündtenring 3, CH-4124 Schönenbuch (CH). ALTMANN, Karl-Heinz [DE/CH]; Tannenweg 5, CH-4153 Reinach (CH). METT, Heimut [DE/DE]; Freiburgerstrasse 21, D-79395 Neuenburg (DE). STOVER, David, Raymond [US/US]; 11 Nelsen Way,		Wilmington, MA 01887 (US). WOOD, Jeanette [NZ/CH]; Mühleweg 15, CH-4105 Biel-Benken (CH). (74) Agent: BECKER, Konrad; Novartis AG, Patent- und Markenabteilung, Lichtstrasse 35, CH-4002 Basel (CH). (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, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MC, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, 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 With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

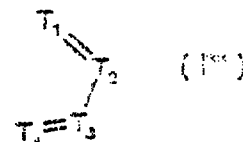
(54) Title: PHTHALAZINES WITH ANGIOGENESIS INHIBITING ACTIVITY



(I)



(I*)



(I**)

(57) Abstract

The invention relates to compounds of formula (I), wherein r is 0 to 2, n is 0 to 2; m is 0 to 4; R₁ and R₂ (i) are in each case a lower alkyl, or (ii) together form a bridge in subformula (I*) or (iii) together form a bridge in subformula (I**), wherein one or two of the ring members T₁, T₂, T₃, and T₄ are nitrogen, and the remainder are in each case CH; A, B, D, and E are N or CH, wherein not more than 2 of these radicals are N; G is lower alkylene, acyloxy- or hydroxy-lower alkylene, -CH₂-O-, -CH₂-S-, -CH₂-NH-, oxa, thia, or imino; Q is methyl; R is H or lower alkyl; X is imino, oxa, or thia; Y is aryl, pyridyl, or (un)substituted cycloalkyl; and Z is mono- or disubstituted amino, halogen, alkyl, substituted alkyl, hydroxy, etherified or esterified hydroxy, nitro, cyano, carboxy, esterified carboxy, alkanoyl, carbamoyl, N-mono- or N,N-disubstituted carbamoyl, amidino, guanidino, mercapto, sulfo, phenylthio, phenyl-lower alkylthio, alkylphenylthio, phenylsulfinyl, phenyl-lower alkylsulfinyl, alkylphenylsulfinyl, phenylsulfonyl, phenyl-lower alkylsulfonyl, or alkylphenylsulfonyl; and wherein the bonds characterized by a wavy line are either single or double bonds; or an N-oxide of said compound with the stipulation that, if Y is pyridyl or unsubstituted cycloalkyl, X is imino, and the remaining radicals are as defined, then G is selected from the group comprising lower alkylene, -CH₂-O-, -CH₂-S-, oxa and thia; or a salt thereof. The compounds inhibit angiogenesis.