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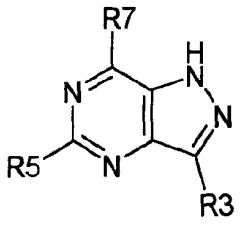
(54) Pyrazolo[4,3-d]pyrimidines, processes for their preparation and methods for therapy

(57) The invention relates to 3-, 5-, 7-trisubstituted pyrazolo[4,3-d]pyrimidines represented by the general formula **I**



I

and pharmaceutically acceptable salts thereof, wherein

R3 is an optionally substituted alkyl, cycloalkyl, cycloheteroalkyl, cycloalkyl alkyl, aryl or alkylaryl group;
R5 is halogen, $-NHNH_2$, -NHOH, $NHCONH_2$, guanylo (NH-C(NH)NH_2) an optionally substituted C_1-C_6 alkyl, alkenyl, alkinyl, C_3-C_{15} cycloalkyl, R_f (C_3-C_{15} cycloalkyl), heterocycle, heteroalkyl, aryl, heteroaryl, arylalkyl, cycloheteroalkyl, cycloheteroalkyl alkyl, heteroarylalkyl group, the group -C(O)-R_a, -C(O)NR_bR_c, -SO_3R_d, or -NHC(O)R_e, wherein R_a and R_f are an optionally substituted C_1-C_6 alkyl, alkenyl, or alkinyl group, R_b, R_c and R_d are independently selected from the group consisting of H, optionally substituted C_1-C_6 alkyl, alkenyl, or alkinyl group, and R_e is a hydroxy, amino, alkoxy, alkylamino, optionally substituted C_1-C_6 alkyl, alkenyl or alkinyl group; or the group -X-$R_{5'}$, wherein X is -NH-, -O-, -S- or -N(alkyl)- and $R_{5'}$ is hydrogen, an optionally substituted C_1-C_6 alkyl, alkenyl, alkinyl, C_3-C_{15} cycloalkyl, , Rf(C_3-C_{15} cycloalkyl), aryl, heterocycle, hetero C_1-C_6 alkyl, arylalkyl, heteroaryl, cycloheteroalkyl, cycloheteroalkyl alkyl, or heteroarylalkyl group, the group -C(O)-R_a, -C(O)NR_bR_c, -SO_3R_d, or -NHC(O)R_e, wherein R_a, R_b, R_c, R_d, R_e and R_f have the above meaning, and
R7 is halogen, $-NHNH_2$, NHOH, $NHCONH_2$, guanylo (NH-C(NH)NH_2) or the group -X-$R_{7'}$, wherein X has the above meaning and the meaning of $R_{7'}$ is as defined for $R_{5'}$.

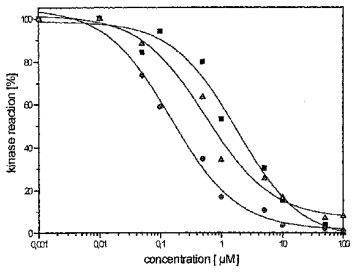


Figure 1: Dose-response curves of CDK1/cyclin B kinase inhibition by 3-isopropyl-5-methyl-7-benzylamino-pyrazolo[4,3-d]pyrimidine (■), 3-isopropyl-5-chloro-7-benzylamino-pyrazolo[4,3-d]pyrimidine (▲), 3-isopropyl-5-(4-hydroxycyclohexylamino)-7-benzylamino-pyrazolo[4,3-d]pyrimidine (●).

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