

Title (en)

NEW DERIVATIVES OF PYRAZOLO(3,4-d)PYRIMIDINE, PREPARATION METHOD THEREOF AND REMEDY CONTAINING THEM.

Title (de)

NEUE PYRAZOLO(3,4-d)PYRIMIDINE, VERFAHREN ZU DEREN HERSTELLUNG UND SIE ENTHALTENDE ARZNEIMITTEL.

Title (fr)

NOUVEAUX DERIVES DE LA PYRAZOLO(3,4-d)PYRIMIDINE, PROCEDE DE LEUR PREPARATION ET REMEDE LES CONTENANT.

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Application

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Abstract (en)

[origin: EP0063381A1] Compounds having the general formula I <IMAGE> wherein R<1> and R<2>, which may be the same or different, represent hydrogen or the remainders C1-C8-alkyl, C2-C8-alkenyl, C4-C8-alkyl-carbonyl-alkyl, C3-C8-alkyl-thio-alkyl and C3-C8-alkoxy-alkyl, which may be substituted by halogen, hydroxy or by the group di (C1-C2-alkyl)-amino, providing that the symbols R<1> and R<2> do not represent at the same time hydrogen; wherein A represents one of the groups <IMAGE> wherein R<3> represents hydrogen or C1-C4-alkyl, R<4> represents hydrogen, C1-C4-alkyl or phenyl, which may be substituted up to three times by C1-C2-alkoxy, R<5> represents hydrogen, C1-C6-alkyl, hydroxy-C1-C3-alkyl, di(C1-C2-alkyl)-amino-C1-C4-alkyl, C2-C6-alkenyl, phenyl, phenyl-C1-C2-alkyl or benzoyl, the phenyllic cycles of the last three rests may be substituted up to three times by C1-C2-alkoxy, R<5> representing C1-C3-alkyl-thio-C2-C4-alkyl or hydroxy-, amino or sulfo-C1-C3-alkyl-thio-C2-C4 alkyl; with the conditions that R<1>R<2> and R<5> cannot represent simultaneously ethyl, R<1> and R<2> cannot represent at the same time methyl, where R<3> or R<5>, represent butyl or di(C1-C2-alkyl)-amino-ethyl, and the sum of atoms of carbon, oxygen, nitrogen and sulphur of the side chains linked to the atoms of nitrogen of the heterocycle totalizing at least 6, or at least 5, when R<2> represents isobutyl. These compounds have a strong bronchospasmolytic activity, an inhibiting effect on the phosphodiesterase and a retinotrop effect. These compounds improve the rheologic properties of the blood and hence the peripheral blood circulation. These compounds are therefore appropriate to the preparation of drugs. Method for the preparation of these new compounds are also disclosed.

Abstract (fr)

Composes de formule generale I (FORMULE) dans laquelle R1 et R2, qui peuvent etre identiques ou differents signifient l'hydrogene ou les restes C1-C8-alkyle, C2-C8-alkenyle, C4-C8-alkyle-carbonyle-alkyle, C3-C8-alkyle-thio-alkyle et C3-C8-alkoxy-alkyle, qui peuvent etre substitues par halogene, hydroxy ou le groupe di(C1-C2-alkyle)-amino, les symboles R1 et R2 ne pouvant cependant signifier en meme temps l'hydrogene; dans laquelle A signifie l'un des groupes (FORMULE) OU (FORMULE) dans lequel R3 signifie hydrogene ou C1-C4-alkyle, R4 signifie hydrogene, C1-C4-alkyle ou phenyle, qui peut etre substitue jusqu'a trois fois par C1-C2-alkoxy, R5 signifie l'hydrogene, C1-C6-alkyle, hydroxy-C1-C3-alkyle, di(C1-C2-alkyle)-amino-C1-C4-alkyle, C2-C6-alkenyle, phenyle, phenyle-C1-C2-alkyle ou benzoyle, les cycles phenyliques des trois derniers rests pouvant etre substitues jusqu'a trois fois par C1-C2-alkoxy, R5 signifiant par ailleurs C1-C3-alkyle-thio-C2-C4-alkyle ou alors hydroxy-, amino ou sulfo-C1-C3-alkyle-thio-C2-C4 alkyle; avec les conditions que R1, R2 et R5 ne peuvent simultanement signifier ethyle, R1 et R2 ne peuvent signifier en meme temps methyle, lorsque R3 ou R5 signifie butyle ou di(C1-C2-alkyle)-amino-ethyle, et la somme des atomes de carbone, d'oxygene, d'azote et de soufre des chaines laterales liees aux atomes d'azote de l'heterocycle etant au total au moins 6, ou au moins 5, lorsque R2 signifie isobutyle. Ces composes presentent une forte activite bronchospasmolytique, un effet inhibiteur sur la phosphodiesterase et un effet retinotrope. Ces composes ameliorent les proprietes rheologiques du sang et en fait la circulation sanguine peripherique. Ces composes se pretent donc a la preparation de medicaments. L'on decrit, par ailleurs, des procede de preparation de ces nouveaux composes.

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