Title (en)

5,6-O-ISOALKYLIDENE ASCORBIC ACID DERIVATIVES.

Title (de)

5,6-O-ISOALKYLIDEN-ASCORBINSÄUREABKÖMMLINGE.

Title (fr)

DERIVES D'ACIDE 5,6-O-ISOALKYLIDENE ASCORBIQUE.

Publication

EP 0057699 A1 19820818 (EN)

Application

EP 81902234 A 19810814

Priority

US 17794080 A 19800814

Abstract (en)

[origin: WO8200642A1] Novel, highly effective nitrosoureas useful in the treatment of mammaliam tumors. The high degree of selectivity of the compounds is attributed to the positioning of certain electronegative groups on the ss-carbon of the unnitrosated side of the molecule. Hypothetically, this may aid in hydrogen bonding to certain enzyme active sites, thereby more selectively eliminating enzymatic maintenance of proteins masking tumor cell surface antigens, which in turn prevent normal immune system destruction of neoplastic tissue. The activity of the compounds is further shown to be superior to that of MeCCNU (1-(4-trans-methylcyclohexyl)-3-(2-chloroethyl)-3-nitrosourea), a highly active compound commonly employed in cancer chemotherapy. Compounds of the following general formula are disclosed: (FORMULA) and pharmaceutically acceptable salts thereof, wherein hal is chlorine or fluorine; R is a hydroxy, halogen, carboxylic acid group or derivatives thereof; n is 4 to 7, wherein the cycycloalkyl group may be optionally substituted with one or more methyl groups or hydroxyl groups.

Abstract (fr)

Nouveaux produits de condensation entre des cetolactones d'enediol tel que de l'acide 5,6-0-isopropylidene ascorbique et des isocyanates de 2-chloroethyle presentant une puissante activite anti-tumorale probablement sans la liberation d'agents d'alkylation in vivo. Bien que les structures des produits ne puissent pas etre facilement tirees au clair, il apparait que leur activite est superieure a celle du BCNU (bis(2-chloroethyle)-N-nitrosouree) et parallele a celle de la nitrosouree NeCCNU(1-(4-trans-methylcyclohexyle)-3-(2-chlorotethyle)-3-nitrosouree), qui est toxique et tres efficace. Les composes preferes sont des produits de condensation selon la formule (FORMULE) ou R2 et R3 representent un groupe alkyle inferieur contenant de 1 a 3 atomes de carbone ou H et hal-CH2-CH2-N=C=O (B) ou hal represente I, Br ou Cl.

IPC 1-7

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IPC 8 full level

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