

Title (en)

Nitrosourea derivatives having antitumour activity and pharmaceutical compositions containing the same.

Title (de)

Nitrosoharnstoffabkömmlinge mit Antitumoraktivität und diese enthaltende pharmazeutische Zusammensetzungen.

Title (fr)

Dérivés de nitroso-urées possédant une activité antitumorale et compositions pharmaceutiques les contenant.

Publication

**EP 0057700 A4 19821117 (EN)**

Application

**EP 81902235 A 19810814**

Priority

US 17794080 A 19800814

Abstract (en)

[origin: WO8200642A1] Novel, highly effective nitrosoureas useful in the treatment of mammalian 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 cycloalkyl group may be optionally substituted with one or more methyl groups or hydroxyl groups.

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**C07C 127/15; A61K 31/17**

IPC 8 full level

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