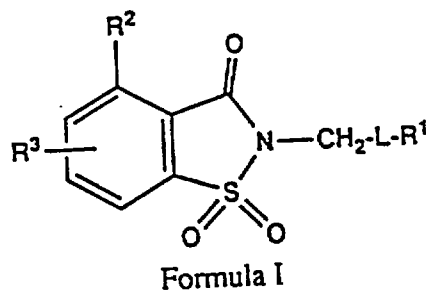


ABSTRACT

SACCHARIN DERIVATIVE PROTEOLYTIC ENZYME
INHIBITORS

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Compounds having the structural formula



10 wherein

L is N, O or SO_n wherein n is 0, 1 or 2;

L-R^1 is a leaving group, H-L-R^1 is the conjugate acid thereof and,

when L is N, H-L-R^1 has a pK_a value less than or equal to 6,

when L is O, H-L-R^1 has a pK_a value less than or equal to 8, and

15 when L is SO_n , H-L-R^1 has a pK_a value less than or equal to 5;

R_2 is primary or secondary alkyl of two to four carbon atoms, primary alkylamino of one to three carbon atoms, primary alkylmethylamino of two to four carbon atoms, diethylamino or primary alkoxy of one to three carbon atoms; and

R_3 is from one to three of a variety of substituents at any or all of the

20 5-, 6- and 7-positions;

or a pharmaceutically acceptable acid addition salt thereof if the compound has a basic functional group or a pharmaceutically acceptable base addition salt thereof if the compound has an acidic functional group,

which inhibit the enzymatic activity of proteolytic enzymes, and processes for

25 preparation thereof, method of use thereof in treatment of degenerative diseases and pharmaceutical compositions thereof are disclosed.

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