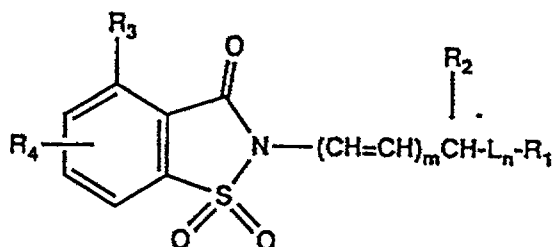


ABSTRACT

2-SUBSTITUTED SACCHARIN DERIVATIVE PROTEOLYTIC ENZYME
INHIBITORS

Novel 2-substituted saccharins which inhibit the enzymatic activity of proteolytic enzymes are useful in the treatment of degenerative diseases and have the formula



wherein:

L is -O-, -S-, -SO- or -SO₂-;

m and n are each independently 0 or 1;

R₁ is substituted phenyl, heterocyclyl or substituted heterocyclyl or,

when L is -O- and n is 1, R₁ is cycloheptatrienon-2-yl or,

when L is -S- and n is 1, R₁ is cyano or lower-alkoxythiocarbonyl or,

when L is -SO₂- and n is 1, R₁ is lower-alkyl or trifluoromethyl;

R₂ is hydrogen, lower-alkoxycarbonyl, phenyl or phenylthio; and

R₃ and R₄ are each hydrogen or various substituents,

and processes for preparation and pharmaceutical compositions and method of use thereof are disclosed.

This Sheet Has Been Replaced

