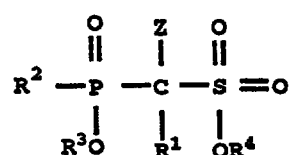


Abstract

α -PHOSPHONOSULFONATE SQUALENE
SYNTHETASE INHIBITORS AND METHOD

α -Phosphonosulfonate compounds are provided which inhibit the enzyme squalene synthetase and thereby inhibit cholesterol biosynthesis. These compounds have the formula



wherein R^2 is OR^5 or R^{5a} ; R^3 and R^5 are independently H, alkyl, arylalkyl, aryl or cycloalkyl; R^{5a} is H, alkyl, arylalkyl or aryl; R^4 is H, alkyl, aryl, arylalkyl, or cycloalkyl; Z is H, halogen, lower alkyl or lower alkenyl; and R^1 is a lipophilic group which contains at least 7 carbons and is alkyl, alkenyl, alkynyl, mixed alkenyl-alkynyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl; as further defined above; including pharmaceutically acceptable salts and or prodrug esters of the phosphonic (phosphinic) and/or sulfonic acids.

This Sheet Has Been Replaced

