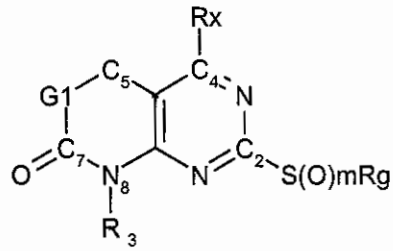
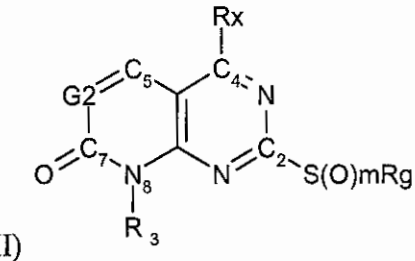
Process for Preparing Pyrido[2,3-*d*]pyrimidin-7-one and 3,4-Dihydropyrimido[4,5-*d*]pyrimidin-2(1*H*)-one Derivatives

ABSTRACT

The present invention is directed to a novel method of preparing of 2,4,8-trisubstituted pyrido[2,3-d]pyrimidin-7-one pharmacophores of Formula (II) or (IIa)



(II)



(IIa)

wherein

G1 is CH_2;

G2 is CH;

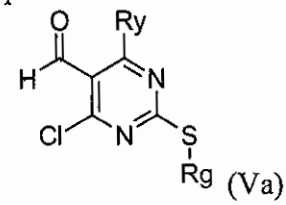
Rx is chloro, bromo, iodo, or $O\text{-}S(O)_2CF_3$;

R_g is a $C_{1\text{-}10}$ alkyl;

m is 0, or an integer having a value of 1, or 2;

R_3 is a $C_{1\text{-}10}$ alkyl, $C_{3\text{-}7}$ cycloalkyl, $C_{3\text{-}7}$ cycloalkyl $C_{1\text{-}10}$ alkyl, aryl, aryl$C_{1\text{-}10}$ alkyl, heteroaryl, heteroaryl$C_{1\text{-}10}$ alkyl, heterocyclic or a heterocyclyl$C_{1\text{-}10}$ alkyl moiety, and wherein each of these moieties may be optionally substituted.

which comprises reacting a compound of the formula:



(Va)

wherein

Ry is chloro, bromo, iodo, $O\text{-}S(O)_2CF_3$; and

Rg is a $C_{1\text{-}10}$ alkyl;

with a olefin forming reagent in a suitable base to yield a compound of Formula (II), or (IIa) wherein m=0 and oxidizing the sulphur as necessary or desired.