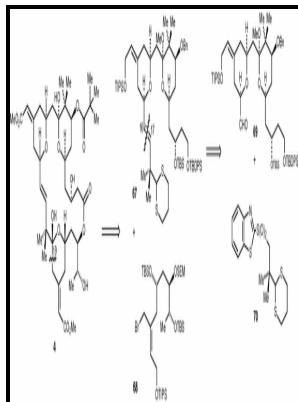


Progress towards the synthesis of bryostatin and analogues

typescript - Synthesis and biological evaluation of fully synthetic bryostatin analogues



Description: -

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Unlocking the Drug Potential of the Bryostatin Family: Recent Advances in Product Synthesis and Biomedical Applications

This hypothesis led to the design of macrocycles containing bryostatin-like recognition domains but structurally simplified spacer domains. Based upon these observations, a possible explanation for the failure of the RCM reaction is outlined in. Like other members of this class, kirkinine has a very complex molecular architecture featuring a highly oxygenated tricyclic ring system, an orthoester side chain, an epoxide, and 11 stereogenic centers.

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We expected that the A-ring aldehyde 2 would be available from acyclic precursor 4, which can be seen to possess an anti, anti relationship of the C 3-C 5-C 7 stereotriad. C Endogenous PKC remaining relative to control in NIH 3T3 fibroblasts following a 24-h incubation with 200-nM test compound.

Convergent Assembly of Highly Potent Analogues of Bryostatin 1 via Pyran Annulation

An expeditious assembly of a C 1-C 16 subunit of bryostatin 1 is described. Reagents and conditions: a LDA, THF, -78 °C, 30 min; 2-iodo-1- t-butyldimethylsilanoxy ethane, -78 °C to rt, 15 h, 79%; b t-BuOK, THF, 0 °C, 2 h, 93%; c DIBAL, CH₂Cl₂, 0 °C, 2 h, 94%; d n-BuLi, THF, -78 °C, 45 min; MsCl, -78 °C, 1.

Total Syntheses of Bryostatins. Syntheses of Two Ring

Tetrahydrofuran THF , dimethoxyethane DME , Benzene, pyridine, diisopropylamine, triethylamine, diisopropylethylamine, dimethylsulfoxide, acetonitrile, hexane, toluene, diethyl ether, and dichloromethane were purified with a Solv-Tek solvent purification system by passing through a column of activated alumina. A pyran annulation reaction was utilized to form the B-ring by reaction of a hydroxy-allylsilane with a fully elaborated A-ring subunit.

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