

Product Data Sheet

Product Name: RHPS4
Cat. No.: GC16485

Chemical Properties

Cas. No. 390362-78-4

Chemical Name 3,11-difluoro-6,8,13-trimethyl-8H-quinolino[4,3,2-kl]acridin-13-ium methyl sulfate

SMILES FC1=CC=C(N(C)C2=C3C4=[N+](C)C5=C(C=C(F)C=C5)C3=CC(C)=C2)C4=C1.COS(=O)([O-])=O

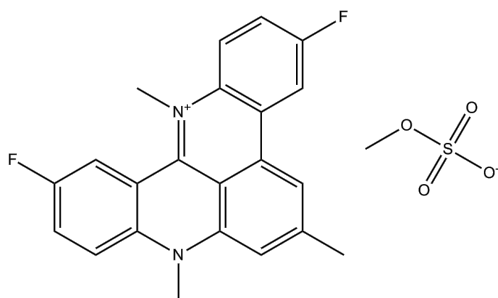
Formula $C_{23}H_{20}F_2N_2O_4S$ M.Wt 458.48

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

IC50: ~3 µM for M14 cells in 5-day growth inhibition assay

RHPS4 is a telomerase inhibitor.

The protection of chromosome termini, degradation and recombination is regulated by the telomeres. A recent model proposes that telomeres can form a cap at the chromosome end. Thus, the telomere cap integrity should be intact to allow cell division to proceed.

Caution: Product has not been fully validated for medical applications. For research use only.

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In vitro: It was found that the treatment RHPS4 to UXF1138L cells led to the displacement of the telomerase catalytic subunit (hTERT) from the nucleus, induction of telomere-initiated DNA-damage signalling as well as chromosome fusions. It was further reported that RHPS4 was more potent over cancer cells growing as colonies than cells growing as monolayers. In addition, the forming units of human cord blood and HEK293T embryonic kidney cell colony were more resistant to RHPS4 [1].

In vivo: Animal study found that, compared with controls, RHPS4-treated UXF1138L xenografts had a decreased clonogenicity, loss of nuclear hTERT expression and an induction of mitotic abnormalities. Though RHPS4 alone showed limited in vivo efficacy, a combination treatment with the mitotic spindle poison Taxol resulted in tumour remissions and further enhancement of telomere dysfunction [1].

Clinical trial: Up to now, RHPS4 is still in the preclinical development stage.

Reference:

[1] Phatak P, Cookson JC, Dai F, Smith V, Gartenhaus RB, Stevens MF, Burger AM. Telomere uncapping by the G-quadruplex ligand RHPS4 inhibits clonogenic tumour cell growth in vitro and in vivo consistent with a cancer stem cell targeting mechanism. Br J Cancer. 2007 Apr 23;96(8):1223-33.

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