

Product Data Sheet

Product Name: Eggmanone

Cat. No.: GC10796

Chemical Properties

Cas. No. 505068-32-6

Chemical Name 5,6,7,8-tetrahydro-3-(2-methyl-2-propen-1-yl)-2-[[2-oxo-2-(2-thienyl)ethyl]thio]-[1]benzothieno[2,3-d]pyrimidin-4(3H)-one

SMILES O=C1N(CC(C)=C)C(SCC(C2=CC=CS2)=O)=NC3=C1C4=C(S3)CCCC4

Formula $C_{20}H_{20}N_2O_2S_3$ M.Wt 416.6

Solubility ≤ 0.5 mg/ml in ethanol; 10mg/ml in DMSO; 5mg/ml in dimethyl formamide 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

Eggmanone is a potent and selective PDE4D3 inhibitor with IC50 value of 72 nM that antagonizes the Hedgehog signaling pathway [1].

Hedgehog (Hh) signaling plays an important role in vertebrate development, and its dysregulation is a driver of numerous malignancies. Phosphodiesterase 4 (PDE4) is a target for Hh inhibition. Eggmanone exerts its Hh-inhibitory effects through selective antagonism of PDE4, leading to protein kinase A activation and subsequent Hh blockade [1].

Eggmanone is a potent and selective PDE4 inhibitor that antagonizes the Hedgehog signaling pathway. In Sufu-/- mouse embryonic fibroblasts (MEFs), Eggmanone significantly reduced transcription levels of Gli1 and Ptc1. Eggmanone functioned upstream of Gli processing and nuclear translocation to exert its Hh-inhibitory effects. Eggmanone exhibited potent antagonism of PDE4D3 with IC50 value of 0.072 μ M,

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approximately 40- to 50-fold selective over PDE3A, PDE10A2, and PDE11A4 (IC₅₀s = 3, 3.05, and 4.08 μ M, respectively). In Shh-Light2 cells transfected with PDE4D3, Eggmanone restored the increased Hh signaling [1].

Reference:

[1]. Williams CH, Hempel JE, Hao J, et al. An in vivo chemical genetic screen identifies phosphodiesterase 4 as a pharmacological target for hedgehog signaling inhibition. Cell Rep. 2015 Apr 7;11(1):43-50.

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