

Product Data Sheet

Product Name: MK-4101

Cat. No.: GC13630

Chemical Properties

Cas. No. 935273-79-3

Chemical Name 5-(3,3-difluorocyclobutyl)-3-(4-(4-methyl-5-(2-(trifluoromethyl)phenyl)-4H-1,2,4-triazol-3-yl)bicyclo[2.2.2]octan-1-yl)-1,2,4-oxadiazole

SMILES CN1C(C2=CC=CC=C2C(F)(F)F)=NN=C1C34CCC(CC4)(C5=NOC(C6CC(F)(F)C6)=N5)CC3

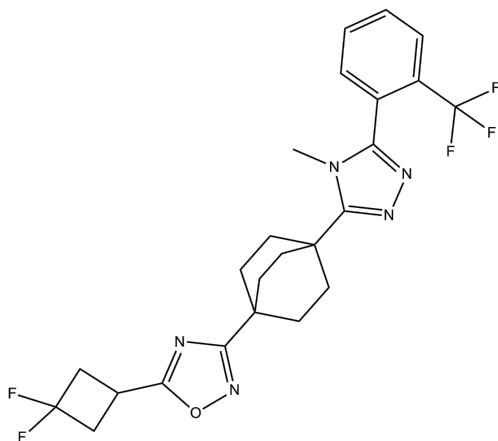
Formula $C_{24}H_{24}F_5N_5O$ M.Wt 493.47

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml, Ethanol: 30 mg/ml, Ethanol:PBS (pH 7.2) (1:8): 0.11 mg/ml
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

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

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IC50: 1.5 μ mol/L for in mouse Light II cells by Gli_luc assay

MK-4101 is a Hedgehog (Hh) signaling pathway inhibitor.

Abnormal activation of the Hedgehog (Hh) signaling pathway is reported in the pathogenesis of various cancers, such as medulloblastoma and basal cell carcinoma.

In vitro: MK-4101 was found to target the Hh pathway in tumor cells, with the maximum inhibitory effect on Gli1. MK-4101 could also induce the deregulation of cell cycle and block of DNA replication in tumors. In addition, members of the IGF and Wnt signaling pathways were among the most highly deregulated genes by MK-4101, indicating that the interplay among Hh, IGF, and Wnt was critical in Hh-dependent tumorigenesis [1].

In vivo: In a previous study, using neonatally irradiated Ptch1(+/-) mice as a model of Hh-dependent tumors, the in vivo effects of MK-4101 was investigated for the treatment of medulloblastoma and basal cell carcinoma. Results showed a potent antitumor activity of MK-4101, achieved by the inhibition of proliferation and induction of extensive apoptosis. Moreover, beside antitumor activity on transplanted tumors, MK-4101 was found to be highly efficacious against primary medulloblastoma and basal cell carcinoma in the cerebellum and skin [1].

Clinical trial: Up to now, MK-4101 is still in the preclinical development stage.

Reference:

[1] Filocamo G et al. MK-4101, a Potent Inhibitor of the Hedgehog Pathway, Is Highly Active against Medulloblastoma and Basal Cell Carcinoma. Mol Cancer Ther. 2016 Jun;15(6):1177-89.

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