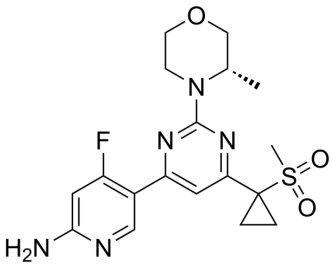
PI3K/mTOR Inhibitor-1

Cat. No.:	HY-112602
CAS No.:	1949802-49-6
Molecular Formula:	$C_{18}H_{22}FN_5O_3S$
Molecular Weight:	407.46
Target:	PI3K; mTOR
Pathway:	PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PI3K/mTOR Inhibitor-1 is a potent, orally bioavailable dual PI3K/mTOR inhibitor with IC_{50}s of 20/376/204/46 nM and 186 nM for PI3Kα/PI3Kβ/PI3Kγ/PI3Kδ and mTOR, respectively[1]. Antitumor activity[1].

IC_{50} & Target

PI3Kα	PI3Kβ	PI3Kγ	PI3Kδ
20 nM (IC_{50})	376 nM (IC_{50})	204 nM (IC_{50})	46 nM (IC_{50})

mTOR
186 nM (IC_{50})

In Vitro

PI3K/mTOR Inhibitor-1 (Compound 26) also exhibits potent functional suppression of AKT phosphorylation (IC_{50}=196 nM)[1]. PI3K/mTOR Inhibitor-1 (0.046-10 μM, 72 hours) exhibits excellent antiproliferative effects on a panel of cancer cells. PI3K/mTOR Inhibitor inhibits A431, A549, PC3, MDA-MB-361, SW480, ES-2, HT29, SK-OV-3, HCT116 , G401 , BT20 ,DLD1 HCC827, H1650, H460, Farage, H820, HCT15, H358, Colo-205, PC9, H1975, WSU-DLCL2, HT, A2780, SU-DHL-10, Toledo,SU-DHL-6, DB, and Pfeiffer cells with IC_{50}s of 0.188, 0.104, 0.063, 0.085, 0.534, 0.179, 0.163, 0.135, 0.308, 0.113, 0.729, 0.264, 0.287, 1.662, 0.611, 0.202, 0.365, 0.104, 0.098, 0.109, 0.237, 0.136, 0.145, 0.090, 0.251 0.215, 0.269, 0.111 0.062, and 0.061 μM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	U87-MG, A431, MCF-7, PC3, A549, MDA-MB-361, SW480, ES-2, HT29, SK-OV-3, HCT116, G401, BT20, DLD1, HCC827, H1650, H460, Farage, H820, HCT15, H358, Colo-205, PC9, H1975, WSU-DLCL2, HT, A2780, SU-DHL-10, Toledo, SU-DHL-6, DB, Pfeiffer cells
Concentration:	0.046-10 μM
Incubation Time:	72 hours
Result:	Inhibited HT-29 cells proliferation with an IC_{50} of 0.163 μM.

In Vivo

PI3K/mTOR Inhibitor-1 (Compound 26) produces 54.4% tumor growth inhibition (TGI) with daily oral doses of 3.75 mg/kg for 27 days. The 7.5 mg/kg group of PI3K/mTOR Inhibitor-1 displays more significant TGI (72.9%). All animals survive after 27-day treatment, whereas 15% weigh loss is observed in PI3K/mTOR Inhibitor-1, 7.5 mg/kg group[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Balb/c nu/nu mice with HT-29 colorectal carcinoma xenograft mouse model carrying the PIK3CA P449T mutation[1]
Dosage:	3.75 and 7.5 mg/kg
Administration:	Oral gavage daily for 27 days
Result:	Tumor growth inhibition (TGI) was 54.4% and 72.9% for daily oral doses of 3.75 mg/kg and 7.5 mg/kg for 27 days, respectively.

CUSTOMER VALIDATION

- Environ Pollut. 2021 Jan 1;268(Pt B):115748.

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REFERENCES

[1]. Shen S, et al. Discovery of an Orally Bioavailable Dual PI3K/mTOR Inhibitor Based on Sulfonyl-Substituted Morpholinopyrimidines. ACS Med Chem Lett. 2018 Jun 25;9(7):719-724.

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