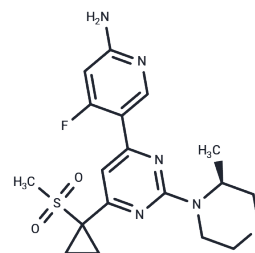


PI3K/mTOR Inhibitor-1

Chemical Properties

CAS No. :	1949802-49-6
Formula:	C ₁₈ H ₂₂ FN ₅ O ₃ S
Molecular Weight:	407.46
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	PI3K/mTOR Inhibitor-1 is a potent, orally bioavailable dual inhibitor of PI3K/mTOR (PI3K α /PI3K β /PI3K δ /PI3K γ /mTOR with IC ₅₀ s of 20/376/204/46/186 nM).
Targets(IC ₅₀)	mTOR,PI3K
In vitro	PI3K/mTOR Inhibitor-1 (Compound 26) is a potent dual PI3K/mTOR inhibitor, demonstrating high inhibitory activity against PI3K α / β / γ / δ (IC ₅₀ = 20/376/204/46 nM) and mTOR (IC ₅₀ = 189 nM), potent suppression of AKT phosphorylation (IC ₅₀ = 196 nM), and excellent antiproliferative effects on cancer cells. Enzymic data and modeling simulations indicate that a cyclopropyl ring on the C4 sulfone chain and a fluorine on the C6 aminopyridyl moiety are responsible for its maintained PI3K activity and enhanced mTOR potency, respectively.
In vivo	PI3K/mTOR Inhibitor-1 (Compound 26) demonstrated superior antiproliferative effects in the HT-29 colorectal carcinoma xenograft model at daily doses of 3.75 and 7.5 mg/kg compared to BKM120 at doses of 15 and 30 mg/kg.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4542 mL	12.2711 mL	24.5423 mL
5 mM	0.4908 mL	2.4542 mL	4.9085 mL
10 mM	0.2454 mL	1.2271 mL	2.4542 mL
50 mM	0.0491 mL	0.2454 mL	0.4908 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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