
Product Data Sheet

Product Name: PI3K/mTOR Inhibitor-4

Cat. No.: GC66447

Chemical Properties

Cas. No. 2361215-32-7

Formula $C_{27}H_{22}FN_3O_6S$

M.Wt

535.54

Solubility

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

PI3K/mTOR Inhibitor-4 is an orally active pan-class I **PI3K/mTOR** inhibitor. PI3K/mTOR Inhibitor-4 has enzymatic inhibition activity for PI3K α , PI3K γ , PI3K δ and mTOR with **IC₅₀** values of 0.63 nM, 22 nM, 9.2 nM and 13.85 nM, respectively. PI3K/mTOR Inhibitor-4 can be used for the research of cancer^[1].

PI3K/mTOR Inhibitor-4 (compound 8d-1) has enzymatic inhibition activity for PI3K α , PI3K δ , mTOR, PI3K β and PI3K γ with IC₅₀ values of 0.63 nM, 9.2 nM, 13.85 nM, 94.54 nM and 22 nM, respectively^[1].

PI3K/mTOR Inhibitor-4 shows potent anti-proliferation activity in A549, Hela, HCT-116, HepG2, A375 and MCF-7 cells with IC₅₀ values of 1.35 nM, 1.22 nM, 13.44 nM, 1.08 nM, 18.4 nM and 8.26 nM, respectively^[1].

PI3K/mTOR Inhibitor-4 (2.5-10 μ M; 24 h) inhibits the PI3K/AKT/mTOR pathway^[1].

Cell Viability Assay^[1]

Cell Line: PC12 and LO2 cells

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

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Concentration: 0.625-20 μ MIncubation
Time: 72 hResult: Showed low toxicity in concentrations from 0.625 μ M to 20 μ M.Western Blot Analysis^[1]

Cell Line: HeLa cells

Concentration: 2.5, 5 and 10 μ MIncubation
Time: 24 h

Result: Dose-dependently decreased the level of phosphorylation of AKT and its downstream target S6 in HeLa cell line.

PI3K/mTOR Inhibitor-4 (compound 8d-1) (i.v., oral; 1mg/kg, 10 mg/kg) displays favorable pharmacokinetic parameters in Sprague-Dawley rats^[1].

PI3K/mTOR Inhibitor-4 (oral; 10-50 mg/kg) shows significant efficiency in HeLa/A549 tumor xenograft models without causing significant weight loss and toxicity^[1].

Animal Model: SD rats (male; 200-220 g)^[1]

Dosage: 1, 10 mg/kg

Administration: Intravenous, oral

Result:	IV (1 mg/kg)	PO (10 mg/kg)	T _{max} (h)	C _{max} (ng/ml)	AUC _{inf} (ng*h/ml)	t _{1/2} (h)	F(%)
	CL (ml/min/kg)	V _{ss} (ml/kg)					
	8.6	1199.81	2.67	886.67	4753.35	1.78	24.1

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Animal Model: BALB/c nude mice (female; 6-7 weeks; 18-22 g)^[1]

Dosage: 10, 20, 40, 50 mg/kg/d (Hela model) and 20, 40 mg/kg/d (A549 model)

Administration: Oral

Result: Inhibited the growth of xenograft tumors in a dose-dependent manner.

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