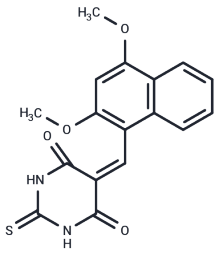
Data Sheet (Cat.No.T15601)

TargetMol

IT-901

Chemical Properties



CAS No. : 1584121-99-2

Formula: C17H14N2O4S

Molecular Weight: 342.37

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	IT-901 is an orally active and potent NF-κB subunit c-Rel inhibitor with IC50 values for NF-κB and c-Rel binding to DNA of 0.1 μM and 3 μM, respectively. IT-901 is a naphthalene thiobarbiturate derivative with antitumor activity and is used for the prevention and treatment of human lymphoma and myeloma.
Targets(IC50)	Others,NF-κB
In vitro	Following 24 hours of treatment with IT-901 at concentrations of 1, 3, and 5 μM, there is a reduction in the proliferation of viable ABC and GCB DLBCL cells[2]. At a concentration of 3 μM and a duration of 24 hours, IT-901 induces a dose-dependent decrease in cell viability. However, at least 60 percent of cells remain viable after 48 hours of treatment with 4 μM IT-901 in all tested cell lines, except HBL1[2]. With a treatment duration of 6 hours and concentrations of 1, 5, and 10 μM, IT-901 leads to a diminished expression of p65 and p50 in both nuclear and cytosolic fractions. Additionally, it reduces the expression of the inhibitory subunit IκBα in both phosphorylated and non-phosphorylated forms in primary CLL cells and cell lines[2].The IC50 of IT-901/GDM-12 is 2.9 μM for c-Rel, while IL-2 secretion is effectively blocked at 5 μM[2]. Concentrations of IT-901 above 10 μM become increasingly toxic and may induce apoptosis in healthy cells[2]. IT-901 demonstrates inhibition of cell growth in both activated B-like (ABC) and germinal center B-like (GCB) cell lines, with IC50 values ranging between 3 μM to 4 μM [2].
In vivo	Administered intraperitoneally (IP) every other day for 2 weeks at a dose of 24 mg/kg, IT-901 proves to be an effective treatment for acute graft-versus-host disease (GVHD) without compromising its anti-tumor activity[2]. IT-901, given at doses ranging from 12 to 20 mg/kg via IP administration, enhances the pharmacokinetic (PK) profile by increasing both half-life (T1/2) and maximum plasma concentration (Cmax)[2].

Solubility Information

Solubility	DMSO: 10 mg/mL (29.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9208 mL	14.6041 mL	29.2082 mL
5 mM	0.5842 mL	2.9208 mL	5.8416 mL
10 mM	0.2921 mL	1.4604 mL	2.9208 mL
50 mM	0.0584 mL	0.2921 mL	0.5842 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

Vaisitti T, et al. Targeting metabolism and survival in chronic lymphocytic leukemia and Richter syndrome cellsby a novel NF-κB inhibitor. Haematologica. 2017 Nov;102(11):1878-1889.
Shono Y, et al. Characterization of a c-Rel Inhibitor That Mediates Anticancer Properties in HematologicMalignancies by Blocking NF-κB-Controlled Oxidative Stress Responses. Cancer Res. 2016 Jan 15;76 (2):377-89.