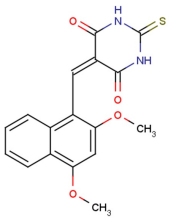
Data Sheet (Cat.No.T15601)

TargetMol
A DRUG SCREENING EXPERT

IT-901

Chemical Properties



CAS No.:	1584121-99-2
Formula:	C17H14N2O4S
Molecular Weight:	342.37
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	IT-901 is an orally active and effective inhibitor of NF-κB subunit c-Rel (IC50s: 0.1 μM, 3 μM for NF-κB DNA binding and c-Rel DNA binding, respectively). IT-901 has the potential for human lymphoid tumors, and ameliorate graft-versus-host disease.
Targets(IC_{50})	NF-κB: 0.1 μM c-Rel: 3 μM
In vitro	The concentrations of IT-901 above 10 μM become increasingly toxic and may lead to apoptosis of healthy cells. IT-901 inhibits cell growth of both activated B-like (ABC) and germinal center B-like (GCB) cell lines with the IC50 values between 3μM to 4μM. IT-901 (1, 3, 5 μM; for 24 hours) causes decreased proliferation of viable ABC and GCB DLBCL cells. IT-901 (3 μM; for 24 hours) decreases cell viability in a dose-dependent fashion, at least 60 percent of cells were still viable after 48 hours of IT-901 treatment (4μM) in all tested cell lines except HBL1. The IC50 of IT-901/GDM-12 is 2.9 μM for c-Rel whereas IL-2 secretion is successfully blocked at 5 μM [1]. IT-901 (1, 5, 10 μM; for 6 hours) documents Diminished expression of p65 and p50 in nuclear and cytosolic fractions and also decreases the expression of the inhibitory subunit IκBα both in the phosphorylated and non-phosphorylated forms in primary CLL cells and cell lines [2].
In vivo	IT-901 (12-20 mg/kg; IP) improves the PK profile by increasing T1/2 and Cmax. IT-901 (24 mg/kg; IP; every other day for 2 weeks) has an effective treatment of acute GVHD without impairing anti-tumor activity [1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.921 mL	14.604 mL	29.208 mL
5 mM	0.584 mL	2.921 mL	5.842 mL
10 mM	0.292 mL	1.46 mL	2.921 mL
50 mM	0.058 mL	0.292 mL	0.584 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. 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.

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