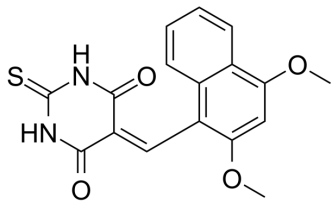
IT-901

Cat. No.:	HY-124179
CAS No.:	1584121-99-2
Molecular Formula:	$C_{17}H_{14}N_2O_4S$
Molecular Weight:	342.37
Target:	NF-κB
Pathway:	NF-κB
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (36.51 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

IT-901 is an orally active and potent NF-κB subunit c-Rel inhibitor with an IC_{50} of 0.1 μM, 3 μM for NF-κB DNA binding and c-Rel DNA binding, respectively. IT-901, a bioactive naphthalenethiobarbiturate derivative, has the potential for human lymphoid tumors and ameliorate graft-versus-host disease (GVHD)[1][2].

IC_{50} & Target

NF-κB	c-Rel
0.1 μM (IC_{50})	3 μM (IC_{50})

In Vitro

IT-901 (1, 3, 5 μM; for 24 hours) results in decreased proliferation of viable ABC and GCB DLBCL cells[1].
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[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].
The IC_{50} of IT-901/GDM-12 is 2.9 μM for c-Rel whereas IL-2 secretion is successfully blocked at 5 μM[1].
The concentrations of IT-901 above 10 μM become increasingly toxic and may lead to apoptosis of healthy cells[1].
IT-901 inhibits cell growth of both activated B-like (ABC) and germinal center B-like (GCB) cell lines with the IC_{50} values

between 3μM to 4μM[1].

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

Cell Proliferation Assay[1]

Cell Line:	TMD8 and SU-DHL8 cells
Concentration:	1, 3, 5 μM
Incubation Time:	For 24 hours
Result:	Resulted in decreased proliferation of viable ABC and GCB DLBCL cells.

Cell Viability Assay[1]

Cell Line:	SU-DHL8 and TMD8 cells
Concentration:	3 μM
Incubation Time:	For 24 hours
Result:	Decreased cell viability in a dose-dependent fashion.

Western Blot Analysis[2]

Cell Line:	Primary chronic lymphocytic leukemia (CLL) cells and cell lines
Concentration:	1, 5, 10 μM
Incubation Time:	For 6 hours
Result:	Documented Diminished expression of p65 and p50 in nuclear and cytosolic fractions and also decreased the expression of the inhibitory subunit IκBα both in the phosphorylated and non-phosphorylated forms.

In Vivo

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].

IT-901 (12-20 mg/kg; IP) improves the PK profile by increasing $T_{1/2}$ and C_{max}[1].

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

Animal Model:	BALB/C-Tg (NFkB-RE-luc)-Xen mice with 6-9 weeks old[1]
Dosage:	24 mg/kg
Administration:	IP; every other day for 2 weeks
Result:	Had an effective treatment of acute GVHD without impairing anti-tumor activity.

REFERENCES

[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.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA