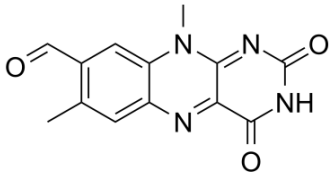
Ro 08-2750

Cat. No.:	HY-108466
CAS No.:	37854-59-4
Molecular Formula:	$C_{13}H_{10}N_4O_3$
Molecular Weight:	270.24
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (18.50 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7004 mL	18.5021 mL	37.0041 mL
	5 mM	0.7401 mL	3.7004 mL	7.4008 mL
	10 mM	0.3700 mL	1.8502 mL	3.7004 mL

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

BIOLOGICAL ACTIVITY

Description

Ro 08-2750 is a non-peptide and reversible nerve growth factor (NGF) inhibitor which binds to NGF, and with an IC_{50} of ~ 1 μM. Ro 08-2750 inhibits NGF binding to $p75^{NTR}$ selectively over TRKA[1]. Ro 08-2750 is a selective $_{MSI\ RNA\text{-}binding}$ activity inhibitor, with an IC_{50} of 2.7 μM[3].

IC_{50} & Target

IC50: ~1 μM (NGF)[1], 2.7 μM (MSI RNA-binding)[3]

In Vitro

Ro 08-2750 binds to the NGF dimer thereby probably inducing a change in its conformation such that NGF cannot bind to $p75^{NTR}$ anymore[2].

Ro 08-2750 (10 nM) completely rescues cells from undergoing NGF-induced SK-N-MC 103 cells death[2].

Ro 08-2750 (5-10 μM; 8 hours) increases differentiation and apoptosis in myeloid leukemia cells[3].

Ro 08-2750 inhibits survival of human AML lines and patient cells[3].

Ro 08-2750 inhibits MSI2 RNA-binding and alters MSI2 gene signature[3].

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

Apoptosis Analysis[3]

Cell Line:	MLL-AF9 + BM cells
Concentration:	5 μM, 10 μM
Incubation Time:	8 hours
Result:	Increased apoptosis.

In Vivo

Ro 08-2750 (13.75 mg/kg; i.p.) inhibits leukemogenesis in a myeloid leukemia model in vivo[3].

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

Animal Model:	C57BL/6 wild type mice (10-12-weeks-old), MLL-AF9 murine leukemia model[3]
Dosage:	13.75 mg/kg
Administration:	Intraperitoneal injection, at days 1, 4, 7, 10, and 13 (one day on, two days off drug)
Result:	Inhibited c-MYC levels and reduced disease burden.

REFERENCES

[1]. Arkin MR, et al. Small-molecule inhibitors of protein-protein interactions: progressing towards the dream. Nat Rev Drug Discov. 2004 Apr;3(4):301-17.

[2]. Niederhauser O, et al. NGF ligand alters NGF signaling via p75(NTR) and trkA. J Neurosci Res. 2000 Aug 1;61(3):263-72.

[3]. Minuesa G, et al. Small-molecule targeting of MUSASHI RNA-binding activity in acute myeloid leukemia. Nat Commun. 2019 Jun 19;10(1):2691.

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