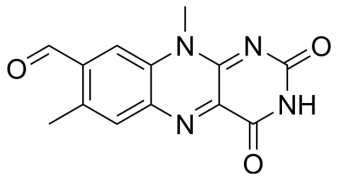
Ro 08-2750

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 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 4 mg/mL (14.80 mM; ultrasonic and warming and heat to 80°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.

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 5 mg/mL (18.50 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (18.50 mM); Suspended solution; Need ultrasonic

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.

CUSTOMER VALIDATION

- Research Square Preprint. 2021, Jun.

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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.

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

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