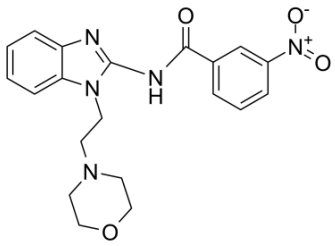
IRAK-1-4 Inhibitor I

Cat. No.:	HY-13329
CAS No.:	509093-47-4
Molecular Formula:	$C_{20}H_{21}N_5O_4$
Molecular Weight:	395.41
Target:	IRAK
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMF : 25 mg/mL (63.23 mM; ultrasonic and warming and heat to 60°C)
DMSO : 5 mg/mL (12.65 mM; ultrasonic and adjust pH to 3 with HCl)
Ethanol : < 1 mg/mL (insoluble)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5290 mL	12.6451 mL	25.2902 mL
	5 mM	0.5058 mL	2.5290 mL	5.0580 mL
	10 mM	0.2529 mL	1.2645 mL	2.5290 mL

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

BIOLOGICAL ACTIVITY

Description

IRAK-1-4 Inhibitor I is an inhibitor of interleukin-1 receptor-associated kinase 1/4 (IRAK 1/4) with IC_{50}s of 0.2 μM and 0.3 μM, respectively.

IC_{50} & Target

IC50: 0.2 μM (IRAK-4), 0.3 μM (IRAK-1)[1]

In Vitro

IRAK-1-4 Inhibitor I has IC_{50} greater than the highest concentration tested (10 μM) against a panel of 27 other kinases, including the most closely homologous (outside of the IRAK family) Lck and $pp60^{SRC}$. Additionally, IRAK-1-4 Inhibitor I does not show any signs of cytotoxicity in a 72 h proliferation assay in HeLa cells (ED_{50}>30 μM). Significant inhibition of IRAK-1 is observed with IRAK-1-4 Inhibitor I (IRAK-1 IC_{50}=0.3 μM)[1]. IRAK-1/4 inhibitor eliminates the LPS-induced increases in Bcl10, NF-κB, and IL-8. IRAK-1/4 mediates LPS-induced IL-8 activation and functions upstream of Bcl10. The LPS-induced increase in Bcl10 declines by 73% (from 5.18±0.22 to 2.36±0.08 ng/mL), and the IL-8 response decline by 60% (from 2.64±0.31 to 1.14±0.08 ng/mL)[2].

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

PROTOCOL

Cell Assay [2]

NCM460 cells, grown in 24-well plates, are incubated with 50 μM IRAK-1/4 inhibitor for 2 h. After 2 h, the media are changed, and new media with or without LPS (10 ng/mL) added. Treatment is terminated at 6 h, and spent media and cells are collected for IL-8 and other assays[2].

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

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Oncogene. 2020 Sep;39(36):5888-5901.
- Environ Health. 2020 Aug 1;19(1):87.
- Sci Rep. 2016 Jun 8;6:27460.
- Antibiotics. 2020 Jan 17;9(1):33.

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REFERENCES

[1]. Powers JP, et al. Discovery and initial SAR of inhibitors of interleukin-1 receptor-associated kinase-4. Bioorg Med Chem Lett. 2006 Jun 1;16(11):2842-2845.

[2]. Bhattacharyya S, et al. Bcl10 mediates LPS-induced activation of NF-kappaB and IL-8 in human intestinal epithelial cells. Am J Physiol Gastrointest Liver Physiol. 2007 Aug;293(2):G429-37.

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