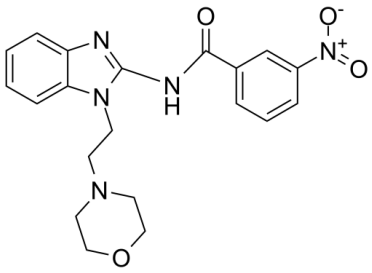
Data Sheet

Product Name:	IRAK-1-4 Inhibitor I
Cat. No.:	CS-0704
CAS No.:	509093-47-4
Molecular Formula:	C20H21N5O4
Molecular Weight:	395.41
Target:	IRAK
Pathway:	Immunology/Inflammation; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 14.29 mg/mL (36.14 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

IRAK-1-4 Inhibitor I is a dual inhibitor of **IRAK4** and **IRAK1** with **IC_{50}** of 0.2 μM and 0.3 μM, respectively. IC50 & 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].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: IRAK-1-4 Inhibitor I is dissolved in DMSO and stored, and then diluted with appropriate media before use[2].[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].

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.

CAIndexNames:

Benzamide, N-[1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]-3-nitro-

SMILES:

O=C(C1=CC=CC([N+]([O-])=O)=C1)NC2=NC3=CC=CC=C3N2CCN4CCOCC4

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

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