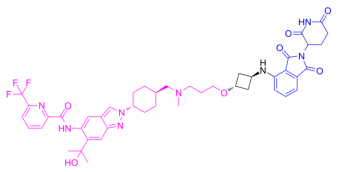
KTX-582

Cat. No.:	HY-148274
CAS No.:	2573298-13-0
Molecular Formula:	$C_{45}H_{51}F_3N_8O_7$
Molecular Weight:	872.93
Target:	IRAK; Apoptosis; PROTACs
Pathway:	Immunology/Inflammation; Apoptosis; PROTAC
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 : 100 mg/mL (114.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1456 mL	5.7278 mL	11.4557 mL
	5 mM	0.2291 mL	1.1456 mL	2.2911 mL
	10 mM	0.1146 mL	0.5728 mL	1.1456 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (2.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KTX-582 is a potent IRAK4 degrader with DC_{50} values of 4 nM and 5 nM for IRAK4 and Ikaros, respectively. KTX-582 can induce apoptosis in $MYD88^{MT}$ DLBCL, and is efficient to induce in vivo tumor regressions in lymphoma model[1][2][3].

IC_{50} & Target

DC_{50}: 4 nM (IRAK4), 5 nM (Ikaros)[1]

In Vitro

KTX-582 (compound I-41) degrades IRAK4 in whole blood monocyte and lymphocyte with IC_{50}s of <0.05 μM[4].
KTX-582 inhibits IRAK4 in human whole blood LPS TNFα with an IC_{50} of 0.05~1 μM[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Matthew Weiss. Discovery and characterization of IRAKIMiDs: degraders targeting both IRAK4 and IMiD substrates for oncology indications. Northeastern Section, ACS (NESACS).

[2]. Jennifer K. Lue, MD . Targeting MYD88-Mutant DLBCL with IRAKIMiDs: A Comparison to IRAK4 Kinase Inhibition and Evaluation of Synergy with Rational Combinations. American Society of Hematology ASH Annual Meeting

[3]. Nello Mainolfi, et al. Irak degraders and uses thereof. WO/2020/113233

[4]. Vogelmann A, Robaa D, Sippl W, Jung M. Proteolysis targeting chimeras (PROTACs) for epigenetics research. Curr Opin Chem Biol. 2020 Aug;57:8-16.

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