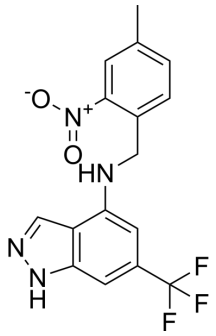
TDO-IN-1

Cat. No.:	HY-151425		
CAS No.:	2490672-92-7		
Molecular Formula:	$C_{16}H_{13}F_3N_4O_2$		
Molecular Weight:	350.3		
Target:	Others		
Pathway:	Others		
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 (285.47 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8547 mL	14.2735 mL	28.5470 mL
	5 mM	0.5709 mL	2.8547 mL	5.7094 mL
	10 mM	0.2855 mL	1.4273 mL	2.8547 mL

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

BIOLOGICAL ACTIVITY

Description

TDO-IN-1 is an orally active and selective inhibitor of tryptophan 2,3-dioxygenase (TDO), shows excellent selectivity over indoleamine-2,3-dioxygenase (IDO), with an IC_{50} value of 0.62 μM (IDO). TDO-IN-1 reverse the local immune tolerance of tumor tissue to inhibit tumor growth in vivo[1].

IC_{50} & Target

IC50: 0.62 μM (tryptophan 2,3-dioxygenase, TDO)[1]

In Vitro

TDO-IN-1 (HT-28) (0-100 μM; 24 h) shows significant tumoricidal effect on different tumor lines, with IC_{50}s of 0.54 μM (HepG2), 5.08 μM (Hepa1-6), 1.34 μM (H22), 37.39 μM (B16), 3.43 μM (MOLM-13), and 7.25 μM (Jurkat), respectively[1].
TDO-IN-1 (0-100 μM; 24 h) exhibits few cytotoxic activity against normal cells (HEK 293 cells) below 10 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

TDO-IN-1 (HT-28) (25 mg/kg; p.o.; once daily; 9 d) improve the effect of tumor immunotherapy of CT26 tumor expressing TDO, substantially inhibits the proliferation of CT26 tumors in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CT-26 allograft BALB/c mice (6-8 weeks old, female)[1]
Dosage:	12.5, 25, and 50 mg/kg
Administration:	Oral gavage; once daily; 9 days
Result:	Resulted significant reduction in tumor weight and volume in mice, with the tumor volume inhibiton rate of 76.93%. Reduced the expression of Foxp3 and enhance the expression of CD8 and TNF-α in tumor tissue to increase the immune response of tumor-bearing mice.

REFERENCES

[1]. Huo C, et al. 4,6-Disubstituted-1H-Indazole-4-Amine derivatives with immune-chemotherapy effect and in vivo antitumor activity. Eur J Med Chem. 2022 Nov 5;241:114625.

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