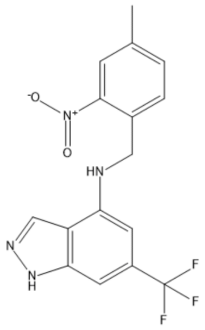
TDO-IN-1

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



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.

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

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