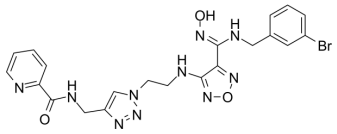
IDO2-IN-1

Cat. No.:	HY-151093
CAS No.:	2803768-09-2
Molecular Formula:	$C_{21}H_{21}BrN_{10}O_3$
Molecular Weight:	541.36
Target:	Indoleamine 2,3-Dioxygenase (IDO)
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 140 mg/mL (258.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8472 mL	9.2360 mL	18.4720 mL
	5 mM	0.3694 mL	1.8472 mL	3.6944 mL
	10 mM	0.1847 mL	0.9236 mL	1.8472 mL

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

BIOLOGICAL ACTIVITY

Description

IDO2-IN-1 is an orally active and potent Indoleamine 2,3-dioxygenase 2 (IDO2) inhibitor with an IC_{50} value of 112 nM. IDO2-IN-1 can be used for inflammatory autoimmunity research[1].

IC_{50} & Target

IDO1	IDO2
411 nM (IC_{50})	112 (IC_{50})

In Vitro

IDO2-IN-1 (compound 22) shows stronger inhibition on IDO2 (IC_{50} =112 nM) over IDO1 (IC_{50} =411 nM)[1].
IDO2-IN-1 inhibits hIDO1 expression (EC_{50}=633 nM) in HeLa cell-based IDO1/kynurenine assay, co-incubated with hIFN-γ (100 ng/mL final concentration), which is used for producing N-formylkynurenine[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HeLa cells line expressing hIDO1 induced by IFN-γ
Concentration:	1 nM-0.1 mM

Incubation Time:	48 hours
Result:	Showed additional potency against IDO1 with an EC_{50} value of 633 nM.

In Vivo

The Adjuvant arthritis (AA) model and Collagen-induced arthritis (CIA) model have similar pathogenesis and pathological characteristics to human rheumatoid arthritis (RA).

IDO2-IN-1 (compound 22) (100 mg/kg; p.o.; once dose) exhibits excellent anti-inflammatory activity, higher than naproxen, a prescription drug reducing pain, swelling, and joint stiffness from arthritis[1].

IDO2-IN-1 (25, 50, 100 mg/kg; i.p.; once daily, for 19 d) exhibits excellent inhibitory effect on mice paw swelling, shows efficacy in a collagen-induced arthritis model in mice[1].

IDO2-IN-1 (30, 60, 120 mg/kg; i.p.; once daily, for 15 d) inhibits joint inflammation and displays potential effect in autoimmune arthritis improvement[1].

Pharmacokinetic Profile in Rat[1]

Route	Dose (mg/kg)	$T_{1/2/sub> (h)}$	T_{max} (h)	C_{max} (ng/mL)	$AUC_{(0-\infty)}$ (h•ng/mL)	CL (mL/h/kg)	V_z (mL/kg)	$MRT_{(0-\infty)}$ (h)	F (%)
i.v.	1	0.69	/	/	375.1	2673	2675	0.55	/
p.o.	10	2.02	0.75	153.8	670.5	/	/	7.48	17.87

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

Animal Model:	Xylene-induced ear swelling mouse model (ICR mice, male, 6 weeks old)[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; once dose; evenly coated right ear with 25 μL of xylene after 1 h treatment
Result:	Significantly relieved mouse ear swelling with a high swelling inhibition rate of 65.32%.

Animal Model:	Collagen-induced arthritis (CIA) mice model (DBA/1J mice, male, 6 weeks old)[1]
Dosage:	25, 50, 100 mg/kg
Administration:	Intraperitoneal injection; once daily; 19 days, began on day 56 after collagen induced
Result:	Decreased the expression of inflammatory cytokines IL-18 and IL-33. Reduced inflammation and cartilage and bone erosions symptoms.

Animal Model:	Adjuvant arthritis (AA) rat model (Sprague-Dawley rats, male, 180 ± 20 g)[1]
Dosage:	30, 60, 120 mg/kg
Administration:	Intraperitoneal injection; once daily; 15 days, began on day 21 after chondrex induced
Result:	Significantly reduced IL-6 and TNF-α levels. Decreased synovial hyperplasia accompanied by inflammatory cell infiltration, pannus formation, and bone erosion of cartilage in a dose-dependent manner.

CUSTOMER VALIDATION

- Fundamental Research. 2022.

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REFERENCES

[1]. He G, et al. Discovery of the First Selective IDO2 Inhibitor As Novel Immunotherapeutic Avenues for Rheumatoid Arthritis. J Med Chem. 2022 Aug 11.

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