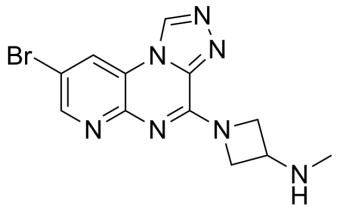
Izuforant

Cat. No.:	HY-147276
CAS No.:	1429374-83-3
Molecular Formula:	$C_{12}H_{12}BrN_7$
Molecular Weight:	334.17
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Izuforant (JW1601) (Compound 24) is an orally active histamine H4 receptor (H4R) antagonist with an IC_{50} of 36 nM against human H4R. Izuforant also shows binding affinity of human serotonin 3 receptor (h5-HT3R) with an IC_{50} of 9.1 μM. Izuforant exhibits strong anti-pruritic and anti-inflammatory efficacies[1][2].

IC_{50} & Target

Human H_4 Receptor	h5-HT3R
36 nM (IC_{50})	9.1 μM (IC_{50})

In Vitro

Izuforant (JW1601) (Compound 24) shows metabolic stability with $CL_{h,int}$ values of 3.05 and 1.33 mL/min/mg in mouse and human, respectively[1].

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

In Vivo

Izuforant (JW1601) (50 mg/kg; p.o.; once) decreases histamine-and substance P-induced itching in mice[1].

Izuforant (100 mg/kg; p.o.; twice a day for 3 weeks) inhibits oxazolone-induced atopic dermatitis in mice[1].

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

Animal Model:	Female, ICR mice (8 weeks old)[1]
Dosage:	50 mg/kg
Administration:	Oral administration, once
Result:	Decreased histamine-and substance P-induced itching.

Animal Model:	Female, Balb/c mice (6 weeks old, 20±3 g)[1]
Dosage:	100 mg/kg
Administration:	Oral administration, twice a day for 3 weeks
Result:	Inhibited oxazolone-induced atopic dermatitis (51.2% inhibition).

Animal Model:	ICR mouse (20~30 g) and SD rat (200~300 g)[1]

Dosage:	50 mg/kg for mice and 10 mg/kg for rats
Administration:	Oral administration (Pharmacokinetic Analysis)
Result:	Pharmacokinetics parameter of Izuforant (Compound 24)[1]

Compound	Mouse				Rat		
	$t_{1/2}$ (h)	C_{max} (μg/mL)	AUC_{inf} (μg•hr/mL)		$t_{1/2}$ (h)	C_{max} (μg/mL)	AUC_{inf} (μg•hr/mL)
Izuforant	0.96	18.87	32.17		1.30	2.42	4.51

REFERENCES

[1]. Pil Su Ho, et al. Novel heterocyclic derivatives and their uses. WO2013048214A2.

[2]. Jin M, et al. From Bench to Clinic: the Potential of Therapeutic Targeting of the IL-22 Signaling Pathway in Atopic Dermatitis. Immune Netw. 2018 Dec 19;18(6):e42.

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