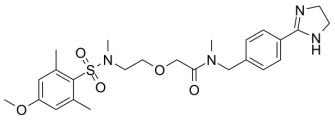
Safotibant

Cat. No.:	HY-100827
CAS No.:	633698-99-4
Molecular Formula:	$C_{25}H_{34}N_4O_5S$
Molecular Weight:	502.63
Target:	Bradykinin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Safotibant (LF22-0542) is a selective antagonist for kinin B1 receptor (BKB1R), with K_i of 0.35 and 6.5 nM, for human and mouse BKB1R, respectively. Safotibant exhibits analgesic and anti-inflammatory property in mice model[1][2].

In Vivo

Safotibant (10 mg/kg, s.c., 3 times a day for 8 days) exhibits analgesic property against bone cancer-induced pain in osteolytic sarcoma xenograft C3H/HeJ mice model, without affecting disease progression[1].

Safotibant (one eye drop application, twice a day for 7 days) inhibits expressions of inflammatory mediators B1R, iNOS, IL-1β, COX-2, VEGF-R2 and HIF-1α, reverses diabetes-induced retinal inflammation and oxidative stress in streptozotocin (STZ)-diabetic Wistar rats model[2].

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

Animal Model:	Osteolytic sarcoma xenograft C3H/HeJ mice model[1]
Dosage:	10 mg/kg
Administration:	s.c., 3 times a day for 8 days
Result:	Decreased numbers of flinching and time spent on spontaneous guarding.

Animal Model:	Streptozotocin (STZ)-diabetic Wistar rats model[2]
Dosage:	One eye drop
Administration:	On eyes application, twice a day for 7 days
Result:	Reversed retinal vascular hyperpermeability, decreased levels of retinal leukostasis and superoxide anion in 3 retinal nuclear layers.

REFERENCES

[1]. Sevcik MA, et al., Analgesic efficacy of bradykinin B1 antagonists in a murine bone cancer pain model. J Pain. 2005 Nov;6(11):771-5.

[2]. Pouliot M, et al., Ocular application of the kinin B1 receptor antagonist LF22-0542 inhibits retinal inflammation and oxidative stress in streptozotocin-diabetic rats. PLoS One. 2012;7(3):e33864.

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