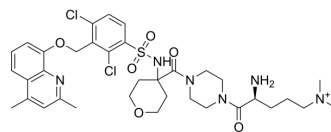


Fasitibant free base

Cat. No.:	HY-106277
CAS No.:	869939-83-3
Molecular Formula:	C ₃₆ H ₄₉ Cl ₂ N ₆ O ₆ S ⁺
Molecular Weight:	764.78
Target:	Bradykinin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Fasitibant (free base) is a potent, selective, high affinity, and long-lasting nonpeptide bradykinin B ₂ (BK ₂) receptor antagonist. Fasitibant (free base) has proinflammatory effects and can be used for the research of osteoarthritis and rheumatoid arthritis ^[1] .
IC₅₀ & Target	Bradykinin B2 Receptor (B2R)
In Vitro	Fasitibant (free base) (1 μM; 30 min) reduces BK induced PGE ₂ formation and COX-2 gene expression in synovial cells ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Fasitibant (free base) (100 μg; knee injection; single dose) significantly reduces the release of IL-1b, IL-6, GRO/PINC-1 synovial cytokines, the formation of PGE metabolites, the activity of myeloperoxidase (MPO) induced by Carrageenan (HY-125474) in rats, and the effect was more significant when combined with Dexamethasone (HY-14648) ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. S Meini, et al. Fasitibant prevents the bradykinin and interleukin 1β synergism on prostaglandin E₂ release and cyclooxygenase 2 expression in human fibroblast-like synoviocytes. *Naunyn Schmiedebergs Arch Pharmacol.* 2012 Aug;385(8):777-86.

[2]. Claudio Valenti, et al. Fasitibant chloride, a kinin B₂ receptor antagonist, and dexamethasone interact to inhibit carrageenan-induced inflammatory arthritis in rats. *Br J Pharmacol.* 2012 Jun;166(4):1403-10.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA