

Product Data Sheet

Fasitibant chloride hydrochloride

Cat. No.: HY-106277A

CAS No.: 869880-33-1

Molecular Formula: C₃₆H₅₀Cl₄N₆O₆S

Molecular Weight: 836.7

Target: Bradykinin Receptor
Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Pasitibant chloride hydrochloride (MEN16132) is a potent, selective, high affinity, and long-lasting nonpeptide bradykinin B₂ (BK₂) receptor antagonist. Fasitibant chloride hydrochloride 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 chloride hydrochloride (1 μM; 30 min) reduces BK induced PGE₂ formation and COX-2 gene expression in synovial

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

In Vivo

Fasitibant chloride hydrochloride (100 μ g; 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.

Animal Model:	Male wistar rats with inflammatory arthritis ^[2]
Dosage:	100 μg per knee
Administration:	Injection into the knee joint; 100 μg per knee; combines with dexamethasone
Result:	Was more effective than each drug administered alone in inhibiting knee joint inflammation. Reduced joint pain and diminished joint oedema.

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REFERENCES

[1]. S Meini, et al. Fasitibant prevents the bradykinin and interleukin 1β synergism on prostaglandin E_2 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 B_2 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.

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