Fasitibant chloride

Cat. No.: HY-14886

CAS No.: 1157852-02-2

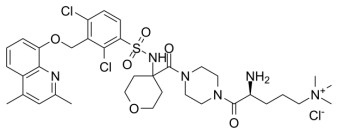
Molecular Formula: $C_{36}H_{49}Cl_3N_6O_6S$

Molecular Weight: 800.23

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 chloride (MEN16132 free base) is a potent and selective nonpeptide bradykinin B2 receptor (B2R) antagonist. Fasitibant chloride reduces joint pain and diminishes joint oedema in Carrageenan-induced arthritis rat model[1][2][3].

IC_{50} & Target

B2R[1][2]

In Vitro

Fasitibant chloride (MEN16132 free base; 1 μM; pre-treatment 30 min before BK) produces a consistent reduction of the FGF-2 expression (BK induced) and decrement of BK induced-FGFR-1 phosphorylation[2].

Fasitibant chloride inhibits the phosphorylation of FRSα, ERK1/2, STAT3 (BK induced; 1 μM; for 15 min), except AKT in HUVEC[2].

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

Cell Viability Assay[2]

Cell Line:	human umbilical vein endothelial cells (HUVEC)
Concentration:	1 μM
Incubation Time:	Pre-treatment 30 min before Bradykinin (BK; 1 μM; for 24 h)
Result:	Produced a consistent reduction of the FGF-2 expression (BK induced) and decrement of BK induced-FGFR-1 phosphorylation (without affecting FGFR-2 activity).

In Vivo

Fasitibant chloride (MEN16132 free base; 100 μg per knee; injection into the knee; 30 min before λ-carrageenan) inhibits about 40-45% on the carrageenan-induced joint pain and knee joint oedema[1].

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

Animal Model:	Male Wistar rats weighing 250-300 g[1]
Dosage:	100 μg per knee
Administration:	Injection into the knee; 30 min before λ-carrageenan
Result:	Inhibited about 40-45% on the carrageenan-induced joint pain and knee joint oedema. Reduced the neutrophil infiltration in the synovium by about 60% and the release of

	prostaglandins by about 30%.

REFERENCES

[1]. 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.

[2]. Erika Terzuoli, et al. Bradykinin B2 Receptor Contributes to Inflammatory Responses in Human Endothelial Cells by the Transactivation of the Fibroblast Growth Factor Receptor FGFR-1. Int J Mol Sci. 2018 Sep 6;19(9):2638.

[3]. Paola Cucchi, et al. MEN16132, a Novel Potent and Selective Nonpeptide Antagonist for the Human Bradykinin B2 Receptor. In Vitro Pharmacology and Molecular Characterization. Eur J Pharmacol. 2005 Dec 28;528(1-3):7-16.

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

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