

PRODUCT INFORMATION



Casopitant (mesylate)

Item No. 42910

CAS Registry No.: 414910-30-8

Formal Name: (2R,4S)-4-(4-acetyl-1-piperazinyl)-N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-N-methyl-1-piperidinecarboxamide, methanesulfonate

Synonym: GW 679769

MF: $C_{30}H_{35}F_7N_4O_2 \cdot CH_3SO_3H$

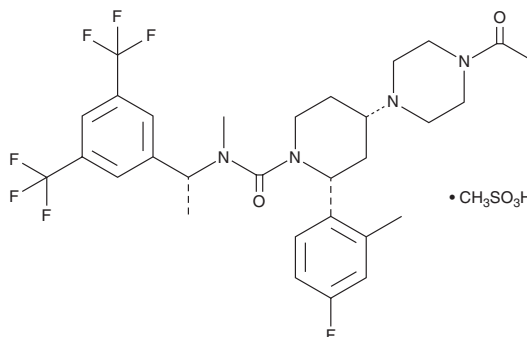
FW: 712.7

Purity: $\geq 98\%$

Supplied as: A solid

Storage: $-20^\circ C$

Stability: ≥ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Casopitant (mesylate) is supplied as a solid. A stock solution may be made by dissolving the casopitant (mesylate) in the solvent of choice, which should be purged with an inert gas. Casopitant (mesylate) is slightly soluble (0.1-1 mg/ml) in acetonitrile and methanol.

Description

Casopitant is a neurokinin-1 (NK_1) receptor antagonist ($K_i = 0.33$ nM).¹ It is selective for the NK_1 receptor over a panel of 70 G protein-coupled receptors (GPCRs), ion channels, and transporters at 10 μM . Casopitant inhibits substance P-induced increases in intracellular calcium in CHO cells expressing the human NK_1 receptor ($pA_2 = 9.7$). Oral administration of casopitant (1 mg/kg) increases social interaction time in the social exploration test in gerbils, indicating anxiolytic-like activity. It inhibits GR73632-induced foot tapping in gerbils with half-maximal inhibitory dose (ID_{50}) values of 0.08, 0.04, 0.19, and 1.1 mg/kg when administered 1, 4, 8, or 24 hours prior to GR73632, respectively.

Reference

1. Di Fabio, R., Alvaro, G., Griffante, C., *et al.* Discovery and biological characterization of (2R,4S)-1'-acetyl-N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-N-methyl-4,4'-bipiperidine-1-carboxamide as a new potent and selective neurokinin 1 (NK_1) receptor antagonist clinical candidate. *J. Med. Chem.* **54**(4), 1071-1079 (2011).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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