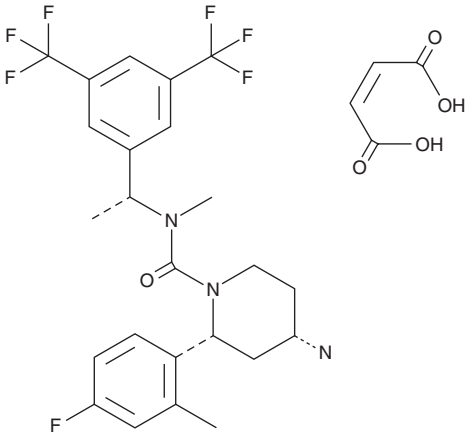
PRODUCT INFORMATION

Orvepitant (maleate)

Item No. 43077



CAS Registry No.: 579475-24-4
Formal Name: (2R,4S)-N-[(1R)-1-[3,5-bis(trifluoromethyl) phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-4-[(8aS)-hexahydro-6-oxopyrrolo[1,2-a] pyrazin-2(1H)-yl]-N-methyl-1-piperidinecarboxamide, (2Z)-2-butenedioate
Synonym: GW 823296B
MF: $C_{31}H_{35}F_7N_4O_2 \bullet C_4H_4O_4$
FW: 744.7
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years

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

Laboratory Procedures

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

Description

Orvepitant is a neurokinin-1 (NK_1) receptor antagonist (K_i = 0.06 nM for the human receptor).[1] It is selective for the NK_1 receptor over a panel of 67 transporters, ion channels, and enzymes at 10 µM. Orvepitant inhibits substance P-induced calcium release in CHO cells expressing the recombinant human NK_1 receptor (apparent pK_B = 10.3). It inhibits GR73632-induced foot tapping in gerbils with half-maximal inhibitory dose (ID_{50}) values of 0.11, 0.09, 0.09, and 3.36 mg/kg when administered 1, 4, 8, or 24 hours prior to GR73632, respectively. Orvepitant also inhibits GR73632-induced hindlimb scratching in gerbils.[2] It reduces the number of territorial postures in the human threat test in marmosets, indicating anxiolytic-like activity, when administered at doses of 1, 3, and 10 mg/kg.[1]

References

1. Di Fabio, R., Alvaro, G., Braggio, S., *et al.* Identification, biological characterization and pharmacophoric analysis of a new potent and selective NK1 receptor antagonist clinical candidate. *Bioorg. Med. Chem.* **21(21)**, 6264-6273 (2013).
2. Trower, M.K., Fisher, A., Upton, N., *et al.* Neurokinin-1 receptor antagonist orvepitant is an effective inhibitor of itch-associated response in a Mongolian gerbil model of scratching behaviour. *Exp. Dermatol.* **23(11)**, 858-860 (2014).

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.

WARRANTY AND LIMITATION OF REMEDY
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