PRODUCT INFORMATION



Netupitant-d₄

Item No. 28901

CAS Registry No.: 2070015-31-3

Formal Name: N-methyl- α , α -dimethyl-d3-N-[4-(2-

methylphenyl)-6-(4-methyl-1-piperazinyl)-

3-pyridinyl]-3,5-bis(trifluoromethyl)-

benzeneacetamide

 $C_{30}H_{26}D_6F_6N_4O$ MF:

FW: 584.6

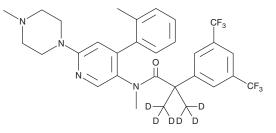
Chemical Purity: ≥98% (Netupitant)

Deuterium

Incorporation: \geq 99% deuterated forms (d₁-d₆); \leq 1% d₀

Supplied as: A solid -20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

Netupitant-d₆ is intended for use as an internal standard for the quantification of netupitant (Item No. 23809) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Netupitant- d_6 is supplied as a solid. A stock solution may be made by dissolving the netupitant- d_6 in the solvent of choice, which should be purged with an inert gas. Netupitant- d_{k} is soluble in methanol and DMSO.

Description

Netupitant is an insurmountable antagonist of the neurokinin-1 (NK₁) receptor (K_i = 0.95 nM in CHO cells expressing the human recombinant receptor). It is selective for human NK_1 over human NK_2 and NK_3 and rat NK_1 (K_1 s = >1,500 nM) and over 50 G protein-coupled receptors, monoamine transporters, and ion channels when used in the nanomolar range.² Netupitant decreases the maximal response to substance P-induced contractions in isolated guinea pig ileum with long-lasting effects. It also dose-dependently inhibits the substance P-induced scratching, biting, and licking response in mice when used at doses ranging from 1 to 10 mg/kg and decreases NK agonist-induced foot tapping in gerbils (ID₅₀s = 1.5 mg/kg, i.p., or 0.5 mg/kg, oral).

References

- 1. Hoffmann, T., Bös, M., Stadler, H., et al. Design and synthesis of a novel, achiral class of highly potent and selective, orally active neurokinin-1 receptor antagonists. Bioorg. Med. Chem. Lett. 16(5), 1362-1365 (2006).
- 2. Rizzi, A., Campi, B., Camarda, V., et al. In vitro and in vivo pharmacological characterization of the novel NK₁ receptor selective antagonist Netupitant. Peptides 37(1), 86-97 (2012).

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

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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