

# PRODUCT INFORMATION



## Netupitant

Item No. 23809

CAS Registry No.: 290297-26-6

Formal Name: N,α,α-trimethyl-N-[4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-benzeneacetamide

Synonym: Ro 67-31898/000

MF: C<sub>30</sub>H<sub>32</sub>F<sub>6</sub>N<sub>4</sub>O

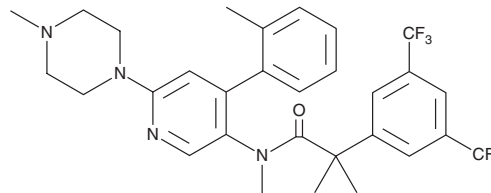
FW: 578.6

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

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

### Description

Netupitant is an insurmountable antagonist of the neurokinin-1 (NK<sub>1</sub>) receptor (K<sub>i</sub> = 0.95 nM in CHO cells expressing the human recombinant receptor).<sup>1</sup> It is selective for human NK<sub>1</sub> over human NK<sub>2</sub> and NK<sub>3</sub> and rat NK<sub>1</sub> (K<sub>i</sub>s = >1,500 nM) and over 50 G protein-coupled receptors, monoamine transporters, and ion channels when used in the nanomolar range.<sup>2</sup> 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 1-10 mg/kg and decreases NK agonist-induced foot tapping in gerbils (ID<sub>50</sub>s = 1.5 mg/kg, i.p., or 0.5 mg/kg, oral). Formulations containing netupitant have been used in the treatment of chemotherapy-induced nausea and vomiting.

### References

- 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).
- Rizzi, A., Campi, B., Camarda, V., *et al.* In vitro and in vivo pharmacological characterization of the novel NK<sub>1</sub> 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.

#### 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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