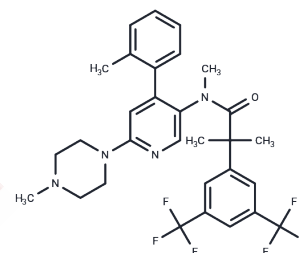


Netupitant

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 290297-26-6 |
| Formula: | C ₃₀ H ₃₂ F ₆ N ₄ O |
| Molecular Weight: | 578.59 |
| Storage: | Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA. |



Biological Description

| | |
|-----------------|---|
| Description | Netupitant (CID 6451149) is a specific neurokinin 1 (NK1) receptor antagonist (K _i : 0.95 nM). |
| Targets(IC50) | Neurokinin receptor |
| In vitro | Netupitant concentration-dependently antagonizes the stimulatory effects of substance P (SP) in CHO NK1 cells and shows insurmountable antagonism (pK _b : 8.87). In cells expressing NK2/3 receptors, Netupitant is inactive. |
| In vivo | In mice the intrathecal injection of SP elicited the typical scratching, biting and licking response is dose-dependently inhibited by Netupitant (1-10 mg/kg, i.p.). In gerbils, foot tapping behavior evoked by the intracerebroventricular injection of an NK1 agonist is dose-dependently counteracted by Netupitant gave intraperitoneally (ID ₅₀ 1.5 mg/kg) or orally (ID ₅₀ 0.5 mg/kg). In time course experiments in gerbils, Netupitant displayed long-lasting effects. |
| Kinase Assay | zotarolimus (10 pM-1 μM) in buffer A (2% BSA and 0.2% Tween-20 in D-PBS) is used in the assay of Binding Affinity to FKBP12. |
| Cell Research | Cell lines: NG108-15 cells. Concentrations: 1,3,10,30 nM. Method:cells are preincubated for 1 h at 37°C with either growth media alone(control) or media containing antagonists. Antagonist concentrations are at least 30-fold the K _d value to ensure receptor saturation.After preincubation,antagonists are removed and cells are rinsed with growth media alone for an additional hour to allow for dissociation of antagonists still bound to the receptor.Cell media are then replaced with isosmotic HEPES buffer (pH 7.4,20 mM) containing NaCl (130 mM),KCl (2 mM),MgCl ₂ (1 mM) CaCl ₂ (2 mM),Fluo-4 acetoxymethyl (AM) ester (2 mM),pluronic acid (0.04%) and SP at various concentrations in the 3 nM to 1 mM range.The final incubation lasted for 1 h at 37 °C.Pluronic acid is added as a nonionic surfactant to sequester the AM ester molecules into micelles for cellular uptake. |
| Animal Research | Animal Models: Male Swiss mice. Formulation: Saline containing 5% DMSO and 5% Tween 80. Dosages: 1 and 10 mg/kg. Administration: i.p. |

Solubility Information

A DRUG SCREENING EXPERT

| | |
|---------------------|---|
| Solubility | DMSO: 9.62 mg/mL (16.63 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 0.96 mg/mL (1.66 mM), Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|------------|
| 1 mM | 1.7283 mL | 8.6417 mL | 17.2834 mL |
| 5 mM | 0.3457 mL | 1.7283 mL | 3.4567 mL |
| 10 mM | 0.1728 mL | 0.8642 mL | 1.7283 mL |
| 50 mM | 0.0346 mL | 0.1728 mL | 0.3457 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Rizzi A, et al. Peptides. 2012, 37(1):86-97.

Stathis M, et al. Eur J Pharmacol. 2012, 689(1-3):25-30.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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