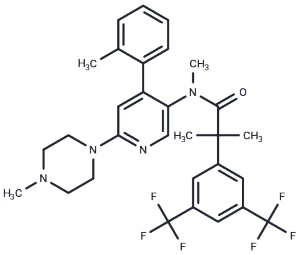
Data Sheet (Cat.No.T6905)

TargetMol

Netupitant

Chemical Properties



CAS No. : 290297-26-6

Formula: C30H32F6N4O

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 (Ki: 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 (pKb: 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 (ID50 1.5 mg/kg) or orally (ID50 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℃ with either growth media alone(control) or media containing antagonists. Antagonist concentrations are at least 30-fold the Kd 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),MgCl2 (1 mM) CaCl2 (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 ℃.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

Solubility	DMSO: 9.62 mg/mL (16.63 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

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.