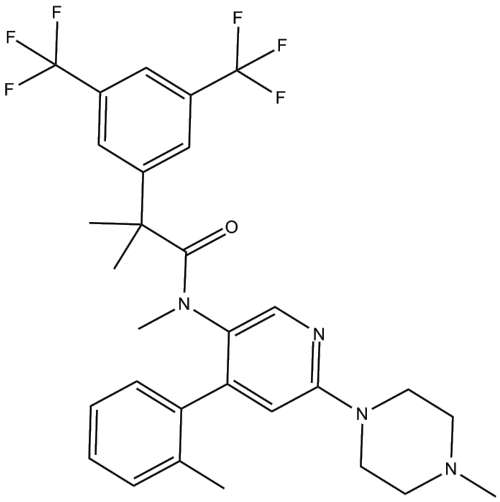
Product Data Sheet

Product Name: Netupitant
Cat. No.: GC17596

Chemical Properties

Cas. No.	290297-26-6		
Chemical Name	2-(3,5-bis(trifluoromethyl)phenyl)-N,2-dimethyl-N-(6-(4-methylpiperazin-1-yl)-4-(o-tolyl)pyridin-3-yl)propanamide		
SMILES	CC1=CC=CC=C1C2=CC(N3CCN(CC3)C)=NC=C2N(C(C(C)(C4=CC(C(F)(F)F)=CC(C(F)(F)F)=C4)C)=O)C		
Formula	$C_{30}H_{32}F_6N_4O$	M.Wt	578.59
Solubility	DMSO : 9.09 mg/mL (15.71 mM; ultrasonic and warming and heat to 60°C)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

Netupitant (CID-6451149) is a highly potent and selective, orally active neurokinin-1 receptor

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antagonist with Ki of 0.95 nM.IC50 value: 0.95 nM (Ki) [1]Target: NK1 receptorin vitro: Netupitant also dose-dependently inhibited the SP response as expected from an NK1 receptor antagonist. Importantly, when both palonosetron and netupitant were present, they exhibited an enhanced inhibition of the SP response compared to either of the two antagonists alone [2].in vivo: In mice the intrathecal injection of SP elicited the typical scratching, biting and licking response that was dose-dependently inhibited by Netupitant given intraperitoneally in the 1-10mg/kg dose range. In gerbils, foot tapping behavior evoked by the intracerebroventricular injection of a NK(1) agonist was dose-dependently counteracted by Netupitant given intraperitoneally (ID(50) 1.5mg/kg) or orally (ID(50) 0.5mg/kg) [3].

References:

[1]. Hoffmann T, 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. 2006 Mar 1;16(5):1362-5.
[2]. Stathis M, et al. Inhibition of substance P-mediated responses in NG108-15 cells by netupitant and palonosetron exhibit synergistic effects. Eur J Pharmacol. 2012 Aug 15;689(1-3):25-30.
[3]. Rizzi A, et al. In vitro and in vivo pharmacological characterization of the novel NK1 receptor selective antagonist Netupitant. Peptides. 2012 Sep;37(1):86-97.
[4]. Ajit G. Thomas, et al. Netupitant and palonosetron trigger NK1 receptor internalization in NG108-15 cells. Exp Brain Res. 2014; 232(8): 2637–2644.

Caution: Product has not been fully validated for medical applications. For research use only.
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