Product Datasheet

MK-0869

Catalog No. **BP-02465** · CAS **170729-80-3** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-10**

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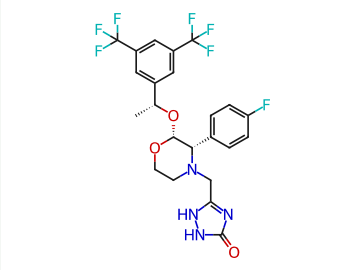
Target	Research area
Neurokinin Receptor; Bacterial; HIV; Antibiotic	**Neurological Disease; Endocrinology; Cancer**

Molecular formula	$C_{23}H_{21}F_7N_4O_3$
Molecular weight	**534.4267**

STRUCTURE



DESCRIPTION

Aprepitant (MK-0869) is a selective and high-affinity neurokinin 1 receptor antagonist with a Kd of 86 pM.

BIOLOGICAL ACTIVITY

In Vitro

Aprepitant decreases the metabolic activity with an estimated IC50 value of 20 μM. Aprepitant induces cell-growth inhibition and G1 cell-cycle arrest. Aprepitant significantly induces apoptosis in Nalm-6 cells, and the apoptosis is mediated through caspase-3 activation. Aprepitant (20 μM) induces p53 accumulation and expression of pro-apoptotic p53 target genes[2]. Aprepitant (1, 5, 10 μM) inhibits HIV infection in MDM from both depressed and not depressed HIV negative individuals ex vivo in a dose-dependent manner. IC90 value of aprepitant is equivalent to 10 μM, and the IC50 value is about 5 μM.

In Vivo

Aprepitant prevents the increase of NK-1R expression induced by in vivo NHP infection with B. burgdorferi. Aprepitant treatment prevents B. burgdorferi-induced increases in CCL2 protein levels in the CSF of NHPs. Aprepitant treatment prevents B. burgdorferi-induced increases in CCL2 and CXCL13 mRNA expression in the dorsal root ganglia of NHPs, prevents B. burgdorferi-induced increases in CCL2, CXCL13, IL-17A, and IL-6 mRNA expression in the spinal cord of NHPs. Aprepitant treatment attenuates B. burgdorferi infection-induced reductions in astrocyte activity/numbers[1]. Aprepitant (10 mg/kg, i.p.) significantly attenuates the CPP expression and locomotor activation produced by AMPH and cocaine in mice. Aprepitant does not induce significant CPP or conditioned place aversion or locomotor activation or suppression[3]. Aprepitant (125 mg/day, p.o.) results in 1 log reduction in plasma levels of viral RNA as compared to non-treated controls.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1].Martinez AN, et al. Aprepitant limits in vivo neuroinflammatory responses in a rhesus model of Lyme neuroborreliosis. J Neuroinflammation. 2017 Feb 15;14(1):37.

[2].Bayati S, et al. Inhibition of tachykinin NK1 receptor using aprepitant induces apoptotic cell death and G1 arrest through Akt/p53 axis in pre-B acute lymphoblastic leukemia cells. Eur J Pharmacol. 2016 Nov 15;791:274-283.

[3].Mannangatti P, et al. Differential effects of aprepitant, a clinically used neurokinin-1 receptor antagonist on the expression of conditioned psychostimulant versus opioid reward. Psychopharmacology (Berl). 2017 Feb;234(4):695-705.

[4].Barrett JS, et al. Pharmacologic rationale for the NK1R antagonist, aprepitant as adjunctive therapy in HIV. J Transl Med. 2016 May 26;14(1):148.

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com