Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Aprepitant

Catalog No: tcsc0487

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
170729-80-3

Formula:
$C_{23}H_{21}F_7N_4O_3$

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
Neurokinin Receptor;Neurokinin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (187.12 mM)

Alternative Names:
MK-0869;MK-869;L-754030

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Observed Molecular Weight:
534.43

Product Description

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

IC50 & Target: Kd: 86 pM (Neurokinin 1 receptor)[1]

In Vitro: Aprepitant decreases the metabolic activity with an estimated IC_{50} 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. IC_{90} value of aprepitant is equivalent to 10 μM, and the IC_{50} value is about 5 μM[4].

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. In contrast, aprepitant significantly enhances the expression of CPP produced by morphine while significantly suppressing the locomotor activity of the mice conditioned with morphine. 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[4].