

SP-600125

货号: S2230

储存条件: 粉末-20°C 可保存 3 年; 液体-80°C 可保存 12 月。

产品描述

c-Jun N-terminal kinase (JNK) is a serine threonine protein kinase that belongs to the mitogen-activated protein kinase family. SP-600125 is an anthrapyrazolone inhibitor of JNK with IC50 values of 40, 40, and 90nM for JNK1, JNK2, and JNK3, respectively. SP-600125 also exhibits inhibitory activity against p56Lck, MMK3, MMK4, MMK6, MM7, PKB/AKT, and PKC α with IC50 values of 4.3, 1.5, 0.4, 1.0, 5.1, 1.0, and 1.5 μ M, respectively. SP-600125 inhibited JNK2 in an ATP-competitive manner with a Ki value of 0.19 \pm 0.06 μ M. It inhibited the phosphorylation of c-Jun in Jurkat T cells with an IC50 value of 5-10 μ M. In cells stimulated with PMA and phytohemagglutinin, SP-600125 inhibited both IL-2 and IFN- γ expression in a dose-dependent manner with IC50 values of 6 and 7 μ M, respectively. The incubation of Th1 cells with SP-600125 for 5 days potently inhibited the expression of IFN- γ , TNF- α , and IL-10 (IC50 = 7-12 μ M), but only weakly blocked the production of IL-1 β and IL-6 (IC50 > 30 μ M). In primary human peripheral blood mononuclear cells stimulated with LPS (100 ng/ml) for 4 h, treatment with SP-600125 dose-dependently inhibited the mRNA expression of COX-2 and TNF- α with IC50 values of 5 and 10 μ M. In CD-1 mice induced with LPS, intravenous administration of SP-600125 significantly decreased the serum level of TNF- α at doses of 15 and 30mg/kg, while oral administration of 30mg/kg SP-600125 significantly inhibited TNF- α expression compared to the vehicle-treated group.

作用机制

SP-600125 is a small-molecule, ATP-competitive inhibitor of JNK

产品信息

CAS 号	129-56-6	
分子式	C14H8N2O	
分子量	220.23	
溶解度	DMSO	13.64 mg/mL (61.9 mM) warming
	Water	insoluble

