

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 K_i 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

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| CAS 号 | 129-56-6 | |
| 分子式 | C ₁₄ H ₈ N ₂ O | |
| 分子量 | 220.23 | |
| 溶解度 | DMSO | 13.64 mg/mL (61.9 mM) warming |
| | Water | insoluble |

