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Catalog Number: CM04905

产品信息

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CAS号: 1450881-55-6

分子式: C₂₁H₁₈ClFN₄O₄

要靶点:

JAK|Tyrosine Kinases

主要通路: 表观遗传|JAK/STAT 信号通路|血管 生成|干细胞|蛋白酪氨酸激酶|蛋白 酪氨酸激酶

分子量: 444.84 溶解度:

DMSO:55 mg/mL (123.64 mM)

靶点活性

JAK2:26nM|JAK1:23nM|TYK2:0.6 nM|JAK3:41 nM

体外活性

SAR-20347 在NK-92细胞受IL-12刺激时,有效抑制IL-12介导的STAT4磷酸化(TYK2依赖性,IC50: 126 nM)。SAR-20347(最大效应: $5~\mu$ M)剂量依赖性地抑制分泌型胚胎碱性磷酸酶(SEAP)的产生。

与对照组动物相比,SAR-20347(60 mg/kg)能够在体内抑制TYK2信号传导,表现为抑制血清中IFN-γ的产量达91%。此外,通过平均信号强度的测量,SAR-20347显著减少了IL-17的产生,这与基因表达分析的结果是一致的。

动物实验

Female 7 to 9-week old C57BL/6 mice are used. Mice are administered vehicle or 50 mg/kg SAR-20347 by oral gavage 30 minutes prior to application of 62.5 mg 5% imiquimod cream or control cream. Another dose of vehicle or 50 mg/kg SAR-20347 is given 5.5 hours following the first dose. This treatment is repeated for 5 days and on day 3 and 4, animals are injected with 100 uL saline to prevent dehydration. On the 6th day, the animals are euthanized and photographs are taken[1].

细胞实验

Cells are plated in a 96-well v-bottom plate in starvation medium, incubated with SAR-20347 (0.5% DMSO) for 20 minutes at 37°C, 5% CO2, and stimulated with individual cytokines. P-STAT levels are measured in duplicate using MSD plates following the manufacturer's instructions (MSD)[1].

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.