For Research Use Only

Apremilast

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Catalog Number: CM05774

产品信息

Catalog Number:
CM05774

CAS号:
608141-41-9

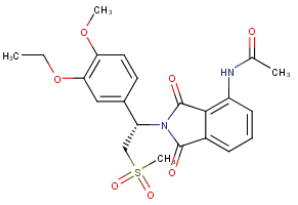
分子式:
$C_{22}H_{24}N_2O_7S$

主要靶点:
TNF|PDE|Apoptosis

主要通路:
代谢|凋亡|凋亡

分子量:
460.5

溶解度:
DMSO:4.6 mg/mL (10 mM)



靶点活性

PDE4:74 nM

体外活性

Apremilast通过抑制由脂多糖(LPS)引起的TNF-α释放，IC50为104 nM（pIC50=6.98±0.2），这一结果与之前报道的Apremilast对周围血单核细胞(PBMCs)的TNF-α抑制作用（IC50=110 nM）几乎完全一致，同时与Apremilast对PDE4酶抑制作用的效力相似（IC50=74 nM）。这些结果明确支持了Apremilast通过提高细胞内cAMP水平来抑制TNF-α的假设。PKA、Epac1和Epac2的敲除阻止了Apremilast对TNF-α的抑制和对IL-10的刺激[1]。

细胞实验

Apremilast is solubilized in DMSO and stored, and then diluted with appropriate media (DMSO 0.025%) before use[1]. Raw 264.7 cells (100,000) are grown in 96-well plates. After 24 h, cells are stimulated with vehicle (final concentration of 0.025% DMSO) or with Apremilast at the indicated concentrations. After 30 minutes cells are stimulated with LPS 1 μg/mL for 4 h. When studying CGS21680 , SCH58261, ZM241385, BAY60-6583, or GS6201, the adenosine receptor ligands are added 15 minutes before Apremilast. Methotrexate is added 24 h and 1 h before Apremilast. Supernates are then collected and TNF-α levels are quantified with the Mouse TNF-α Quantikine ELISA Kit. IC50 (EC50) calculations are made using non-linear regression, sigmoidal dose-response, constraining the top to 100 % and bottom to 0 %, allowing variable slope, using GraphPad Prism v6.00[1].

储存

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