

Catalog Number: CM03971

产品信息

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CM03971

CAS号:
1260907-17-2

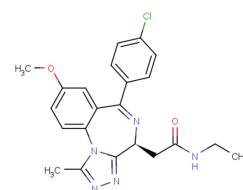
分子式:
C₂₂H₂₂ClN₅O₂

主要靶点:
Epigenetic Reader Domain

主要通路:
表观遗传

分子量:
423.9

溶解度:
H₂O:<1 mg/mL,DMSO:78 mg/mL
(184 mM),Ethanol:39 mg/mL (92
mM)



靶点活性

BET proteins:35 nM

体外活性

连续两天每日注射两次**I-BET-762**,可使小鼠免于脓毒症造成的死亡。**I-BET-762**可防止细菌诱导的脓毒症和脂多糖诱导的内毒素休克.脂多糖注射后**1.5 h**,**I-BET-762**可治愈小鼠.在实验性自身免疫性脑脊髓炎小鼠模型中,**I-BET-762**早期处理可抑制Th1分化的**2D2** T细胞诱发神经性炎症的能力.

体内活性

在分化的第2天,**I-BET-762**处理可改变**CD4⁺**T细胞的细胞因子产生,使一些抗炎基因产物的表达上调,并使一些促炎细胞因子的表达下调。**I-BET-762**抑制溴区和额外的末端结构域的蛋白和**BRD2/3/4**结合到**BET**的串联溴区 (Kd: **50.5-61.3 nM**) ,在FRET分析中,置换**BET**串联溴区一种四乙酰化的**H4**肽 (IC₅₀: **32.5-42.5 nM**) 。

细胞实验

CD4⁺ T cells are isolated from lymph nodes and spleens of 10- to 12-wk old mice and activated with plate bound anti-**CD3** and anti-**CD28** antibodies in the presence of indicated cytokines. **I-BET-762** compounds is included during the 60–72 h of initial activation. Over the course of 5 d of T-cell culture and expansion, the compounds is diluted 12-fold relative to the starting concentrations.(Only for Reference)

描述

GSK 525762A (**I-BET 762**) is an inhibitor of BET proteins (IC₅₀: about 35 nM).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years