

Catalog Number: CM04429

产品信息

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CM04429

CAS号:
1357389-11-7

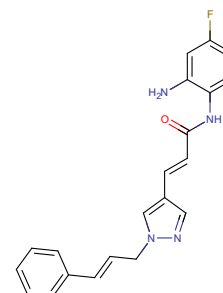
分子式:
C₂₁H₁₉FN₄O

主要靶点:
HDAC

主要通路:
表观遗传|DNA损伤和修复

分子量:
362.4

溶解度:
DMSO:45 mg/mL (124.17 mM)



靶点活性

HDAC3:80 nM(cell free)

体外活性

RGFP966 对HDAC3具有特异性抑制作用 (IC₅₀: 0.08 μM), 在高至15 μM的浓度下, 对其他HDAC无有效抑制[1]。在LPS/IFN γ 刺激的RAW 264.7巨噬细胞中, RGFP966处理未改变TNF α、iNOS和IL-10基因的表达, 但显著降低了促炎症基因IL-1 β、IL-6和IL-12b的表达[2]。此外, RGFP966在CTCL细胞系中减缓了细胞增长, 此现象与DNA损伤和S期进程受损相关的增加的细胞凋亡有关[3]。

体内活性

所有小鼠在经过可卡因条件性位置偏好(CPP)训练后, 明显偏好于与可卡因相关联的环境。在无药物偏好测试后立即使用RGFP966 (3或10 mg/kg, 皮下注射) 治疗, 在第二次和第三次后测中显著减弱了CPP。其中, 10 mg/kg的剂量显著快速降低了随后几天的CPP, 而3 mg/kg的剂量则没有此效果[1]。RGFP966在10和25 mg/kg的剂量下, 能改善旋转木马测试和开放场探索中的运动缺陷, 并伴随着对纹状体体积的神经保护作用[4]。

动物实验

Subthreshold training and a 24-h retention test for location-dependent object recognition memory (OLM) and novel object recognition memory (ORM) were performed as described previously. Mice received an injection of RGFP966 (3, 10, or 30 mg/kg s.c) or vehicle alone either 1 h before or immediately after a 3-min training session [1].

细胞实验

To investigate the influence of the HDAC 3-selective inhibitor RGFP966 on cell viability, RAW 264.7 macrophages, HBE cells and hASM cells were seeded in 96-well plates. To obtain identical cell density at the start of the experiments, RAW 264.7 macrophages were seeded at 25,000 cells/cm², HBE cells and hASM cells were seeded at 70% confluency (based on surface area) and were serum-starved for 24 h prior incubation with RGFP966. Shortly before incubation with RGFP966, the medium was replaced by 100 μl fresh (if appropriate serum free) culture medium. Incubations with LPS and IFN γ were performed as described for HDAC 1–3 downregulation by siRNA. After 20 h of incubation with RGFP966, 20 μl of CellTiter 96 Aqueous One Solution reagent was added to each well and incubated at 37 °C for 1 h in the dark. The absorbance at 490 nm was measured using a Synergy H1 plate reader. LPS/IFN γ-stimulated cells without addition of RGFP966 were considered 100% [2].

储存

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