For Research Use Only

RGFP966

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Catalog Number: CM04429

产品信息

Catalog Number:
CM04429

CAS号:
1357389-11-7

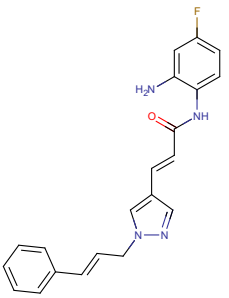
分子式:
$C_{21}H_{19}FN_4O$

主要靶点:
HDAC

主要通路:
DNA损伤和修复|表观遗传

分子量:
362.4

溶解度:
DMSO:360 mg/mL



靶点活性

HDAC3:80 nM(cell free)

体外活性

RGFP966 is specific for HDAC3 (IC50: 0.08 μM) and no effective inhibition of any other HDAC at concentrations up to 15 μM [1]. In LPS/IFN γ -stimulated RAW 264.7 macrophages treatment with RGFP966 did not change the expression of the genes TNF α , iNOS, and IL-10 but provided a significant downregulation of the expression of the pro-inflammatory genes IL-1 β , IL-6 and IL-12b [2]. RGFP966 resulted in decreased cell growth in CTCL cell lines due to increased apoptosis that was associated with DNA damage and impaired S phase progression [3].

体内活性

All mice exhibited a robust preference for the cocaine-paired context after cocaine-conditioned place preference (CPP) training. Treatment with RGFP966 (3 or 10 mg/kg, s.c.)immediately after the drug-free preference tests resulted in significant extinction of CPP on posttest 2 and posttest 3. Treatment with 10 mg/kg, but not with 3 mg/kg, resulted in a significantly rapid reduction of CPP on the subsequent days [1]. RGFP966 at doses of 10 and 25 mg/kg improves motor deficits on rotarod and in open field exploration, accompanied by neuroprotective effects on striatal volume [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 seeion [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].

描述

RGFP966 is an HDAC3 inhibitor (IC50: 0.08 μM) and does not affect other HDACs at concentrations up to 15 μM.

储存

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