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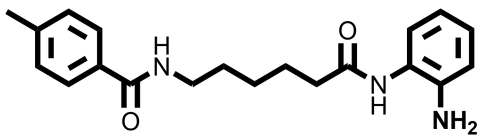
Brain-penetrant HDAC Inhibitor – RG2833 (RGFP109)

Chemical Name: N-(6-((2-aminophenyl)amino)-6-oxohexyl)-4-methylbenzamide



Molecular Weight:	339.43
Formula:	$C_{20}H_{25}N_3O_2$
Purity:	≥98%
CAS#:	1215493-56-3
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

RG2833 (RGFP109) is a potent and selective brain-penetrant HDAC inhibitor with IC_{50} of 60 nM and 50 nM for HDAC1 and HDAC3, respectively. It upregulates frataxin mRNA and protein levels dose-dependently in cultures of unstimulated peripheral blood mononuclear cells (PBMC) obtained from FRDA patients. In vivo it corrects frataxin deficiency and increases histone acetylation in the brain and heart of KIKI mice without acute toxicity signs.

How to Use:

In vitro: RG2833 was used at 10 μM final concentration in vitro and cellular assays.

In vivo: RG2833 (RGFP109) could be dosed to KIKI mice by subcutaneous injection at 150 mg/kg, correct frataxin deficiency and increases histone acetylation in the brain and heart of KIKI mice without acute toxicity signs. RG2833 could be orally dosed to mice at 30 mg/kg, alleviate established l-DOPA-induced dyskinesia.

Reference:

1. Rai M, et al. Two new pimelic diphenylamide HDAC inhibitors induce sustained frataxin upregulation in cells from Friedreich's ataxia patients and in a mouse model. (2010) PLoS One. 5(1), e8825.
2. Sandi C, et al. Prolonged treatment with pimelic o-aminobenzamide HDAC inhibitors ameliorates the disease phenotype of a Friedreich ataxia mouse model. (2011) Neurobiol Dis. 42(3), 496-505.
3. Johnston TH, et al. RGFP109, a histone deacetylase inhibitor attenuates L-DOPA-induced dyskinesia in the MPTP-lesioned marmoset: a proof-of-concept study. (2013) Parkinsonism Relat Disord. 19(2), 260-264.