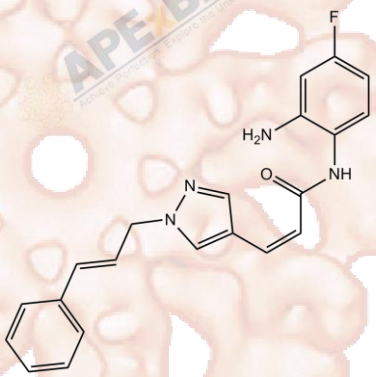
Product Data Sheet

RGFP966



Cat. No.:	A8803
CAS No.:	1357389-11-7;1396841-57-8
Formula:	C21H19FN4O
M.Wt:	362.4
Synonyms:	RGFP 966;RGFP-966
Target:	DNA Damage/DNA Repair
Pathway:	HDAC
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾18.12 mg/mL in DMSO; ⩾2.94 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.7594 mL	13.7969 mL	27.5938 mL
5 mM	0.5519 mL	2.7594 mL	5.5188 mL
10 mM	0.2759 mL	1.3797 mL	2.7594 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Specific HDAC3 inhibitor
IC_{50} & Target	0.08 μM (HDAC3)

In Vitro

Cell Viability Assay

Cell Line:	HH and Hut78 CTCL cells
Preparation method:	The solubility of this compound in DMSO is > 18.1 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	~ 10 μM; 24 ~ 72 hrs

	Applications:	In HH and Hut78 CTCL cells, the 24-hr RGFP966 treatment increased the acetylation of H3K9/K14, H3K27, and H4K5, but not H3K56ac. In addition, RGFP966 also inhibited the growth of CTCL cells by increasing apoptosis that was related to DNA damage and impaired S phase progression.
In Vivo	**Animal experiment**	
	Animal models:	C57BL/6J mice
	Dosage form:	3, 10 and 30 mg/kg; systemic delivery
	Applications:	After ORM training, RGFP966 significantly increased preference for the novel object in a dose-dependent manner. Mice treated with 10 mg/kg RGFP966 either 1 hr before or after subthreshold OLM training showed enhanced preference for the object in a novel location during the retention test, without any effect on the total exploration time of objects.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1.Zhang M, Urabe G, et al. "HDAC6Regulates the MRTF-A/SRF Axis and Vascular Smooth Muscle Cell Plasticity." JACC Basic Transl Sci. 2018 Dec 31;3(6):782-795.PMID:30623138

2.Huang L, Lai WH, et al. "Elimination of HIV-1 Latently Infected Cells by Gnidimacrin and a Selective HDAC Inhibitor." ACS Med Chem Lett. 2018 Feb 6;9(3):268-273.PMID:29541372

3.Topper MJ, Vaz M, et al. "Epigenetic Therapy Ties MYC Depletion to Reversing Immune Evasion and Treating Lung Cancer." Cell. 2017 Nov 30;171(6):1284-1300.e21.PMID:29195073

4. Sun XY, Qu Y, et al. "Novel histone deacetylase inhibitor N25 exerts anti-tumor effects and induces autophagy in human glioma cells by inhibiting HDAC3."Oncotarget. 2017 Sep 8;8(43):75232-75242.PMID:29088860

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References

[1]. Malvaez, M., et al., HDAC3-selective inhibitor enhances extinction of cocaine-seeking behavior in a persistent manner. Proc Natl Acad Sci U S A, 2013. 110(7): p. 2647-52.

[2]. Wells, C.E., et al., Inhibition of histone deacetylase 3 causes replication stress in cutaneous T cell lymphoma. PLoS One, 2013. 8(7): p. e68915.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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