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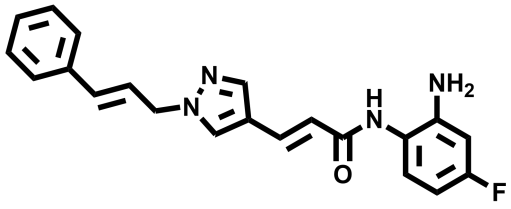
RGFP966 --- HDAC3 Inhibitor

Chemical Name: (E)-N-(2-amino-4-fluorophenyl)-3-(1-cinnamyl-1H-pyrazol-4-yl)acrylamide



Molecular Weight:	362.40
Formula:	$C_{21}H_{19}FN_4O$
Purity:	≥98%
CAS#:	1357389-11-7
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

RGFP966 is a potent and selective HDAC3 inhibitor. It has an IC_{50} of 0.08 μM for HDAC3 and displays no effective inhibition of any other HDAC at concentrations up to 15 μM. RGFP966 treatment on two cutaneous T cell lymphoma (CTCL) cell lines for 24 hours resulted in increased acetylation at H3K9/K14, H3K27, and H4K5, but not H3K56ac as revealed by western blot analysis. RGFP966 decreases cell growth of CTCL cell lines due to increased apoptosis that is associated with DNA damage and impaired S phase progression. Besides its use to study cancer biology, it also has specific neurological effects. Interestingly, RGFP966 treatment in vivo enhances long-term memory for object memory in mice. It facilitates extinction and prevents reinstatement of cocaine-conditioned place preference.

How to Use:

In vitro: RGFP966 was used at 10 μM final concentration in various in vitro assays.

In vivo: RGFP966 was dosed to mice at 10 mg/Kg once per day by subcutaneous dosing.

Reference:

1. Malvaez M, et al. HDAC3-selective inhibitor enhances extinction of cocaine-seeking behavior in a persistent manner. (2013) Proc Natl Acad Sci USA. 110(7):2647-52.
2. Wells CE, et al. Inhibition of histone deacetylase 3 causes replication stress in cutaneous T cell lymphoma. (2013) PLoS One. 8(7):e68915.