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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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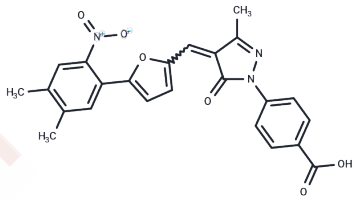
Data Sheet (Cat.No.T2452)

TargetMol

C646

Chemical Properties



CAS No. : 328968-36-1

Formula: C24H19N3O6

Molecular Weight: 445.42

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	C646, a histone acetyltransferase inhibitor, inhibits p300 (Ki: 400 nM, in a cell-free assay).
Targets(IC50)	Apoptosis,Epigenetic Reader Domain,Histone Acetyltransferase,Autophagy
In vitro	In the spinal cord, C646 can attenuate mechanical and thermal hyperalgesia, accompanied by the suppression of COX-2 expression. When administered immediately after weak extinction training into the ILPFC, C646 enhances the integration of fear extinction memory.
In vivo	In vitro, C646 at a concentration of 10 μM inhibits p300 by 86%. In castration-sensitive androgen-responsive prostate cancer cell lines, C646 (20 μM) induces apoptosis by interfering with the AR and NF-kB pathways. Furthermore, C646 at 25 μM reduces the acetylation levels of histones H3 and H4 and blocks TSA-induced acetylation. In mouse cells, C646 inhibits the dynamic acetylation of all H3K4me3, spanning locally across promoters and transcription start sites of inducible genes, thereby disrupting the interaction with RNA polymerase II and the activation of these genes.
Kinase Assay	Radioactive assay: IC50 values for the putative p300 HAT inhibitors are determined using the direct radioactive assay described above. Reactions are performed in 20 mM HEPES (pH 7.9), and contained 5 mM DTT, 80 μM EDTA, 40μg/ml BSA, 100 μM H4-15, and 5 nM p300. Putative inhibitors are added over a range of concentrations, with DMSO concentration kept constant (<5%). Reactions are incubated at 30°C for 10 min, then initiated with addition of a 1:1 mixture of 12C-acetyl-CoA and 14C-acetyl-CoA to 20 mM. After 10 min at 30°C, reactions are quenched with 14% SDS (w/v). All concentrations are screened in duplicate. Gels are run, washed, dried, and exposed to a PhosphorImager plate, and production of Ac-H4-15 quantified to obtain IC50s.
Cell Research	Histone acetylation assays in mouse cells. C3H10T1/2 mouse fibroblasts are grown in DMEM with 10% FCS at 37°C with 6% CO2. Confluent cultures are rendered quiescent in DMEM with 0.5% FCS for 18-20 hr prior to treatment. Cells are treated with the following compounds: TSA (10 ng/ml [33 nM]), C646 (25 μM), C37 (25 μM). Antibodies are used at the following concentrations: total H3 (1:10000; ab7834; Abcam); H4K12ac (1:2500; 06-761; Upstate). Rabbit anti-H3K9ac (1:10000) antibodies are generated in-house. Histones are isolated from cells by acid extraction, separated by SDS and acid-urea polyacrylamide gel electrophoresis and analyzed by western blotting.(Only for Reference)

Solubility Information

Solubility	DMSO: 4.45 mg/mL (10 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2451 mL	11.2254 mL	22.4507 mL
5 mM	0.449 mL	2.2451 mL	4.4901 mL
10 mM	0.2245 mL	1.1225 mL	2.2451 mL
50 mM	0.0449 mL	0.2245 mL	0.449 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Bowers EM, et al. Virtual ligand screening of the p300/CBP histone acetyltransferase: identification of a selective small molecule inhibitor. Chem Biol. 2010 May 28;17(5):471-82.

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