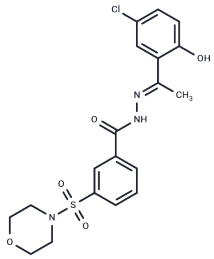
Data Sheet (Cat.No.T2304)

SP2509

Chemical Properties



CAS No. : 1423715-09-6

Formula: C19H20ClN3O5S

Molecular Weight: 437.9

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SP2509 is a specific histone demethylase LSD1 inhibitor(IC50 =13 nM).
Targets(IC50)	Apoptosis,Histone Demethylase
In vitro	In OCI-AML3, SP250 inhibited colony formation and induced apoptosis. In AML cells, SP2509 inhibited the interaction of LSD1 with CoREST, increased promoter-specific H3K4Me3, and induced p53, p21 and C/EBPα. In primary AML cells, SP2509 induced cell proliferation.
In vivo	In OCI-AML3, SP250 inhibited colony formation and induced apoptosis. In AML cells, SP2509 inhibited the interaction of LSD1 with CoREST, increased promoter-specific H3K4Me3, and induced p53, p21 and C/EBPα. In primary AML cells, SP2509 induced cell proliferation.
Kinase Assay	SP2509 activity assays: Test compounds are diluted to 20 × the desired test concentration in 100% DMSO and 2.5?μL of the diluted drug sampleis added to a black 384-well plate. The LSD1 enzyme stock is diluted 17-fold with assay buffer and 40?μL of the diluted LSD1 enzyme is added to the appropriate wells. Substrate, consisting of horseradish peroxidase, dimethyl K4 peptide corresponding to the first 21 amino acids of the N-terminal tail of histone H3, and 10-acetyl-3,7-dihydroxyphenoxazine is then added to wells. Resorufin is analyzed on an Envision plate reader with an excitation wavelength of 530?nm and an emission wavelength of 595?nm. The activity of SP2509 on the other oxidases is determined by using commercially available kits. The glucose oxidase activity (which also noncovalently binds FAD in an elongate conformation), is determined using the glucose oxidase kit. The MAO assays are performed using the MAO-glo kit with MAO-A and MAO-B.
Cell Research	Cultured AML cells are treated with SP2509 and/or PS for 96?h. At the end of treatment, cells are washed free of the drugs and 500 cells per condition are plated in methylcellulose and incubated at 37?°C. Colony formation is measured 7–10 days after plating.(Only for Reference)

Solubility Information

Solubility	DMSO: 250 mg/mL (570.91 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.57 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2836 mL	11.4181 mL	22.8363 mL
5 mM	0.4567 mL	2.2836 mL	4.5673 mL
10 mM	0.2284 mL	1.1418 mL	2.2836 mL
50 mM	0.0457 mL	0.2284 mL	0.4567 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Fiskus W, et al. Leukemia. 2014, 28(11), 2155-2164.