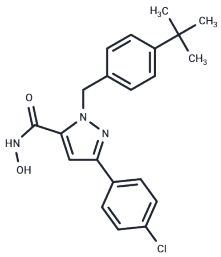
Data Sheet (Cat.No.T12253)

TargetMol

Nrf2-IN-1

Chemical Properties



CAS No. : 1610022-76-8

Formula: C21H22ClN3O2

Molecular Weight: 383.87

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	Nrf2-IN-1 is an nuclear factor-erythroid 2-related factor 2 (Nrf2) inhibitor. Nrf2-IN-1 is developed for the research of acute myeloid leukemia (AML).
Targets(IC50)	Nrf2
In vitro	Nrf2-IN-1 (Compound 4f), that inhibited Nrf2 activity.?4f had a profound growth-inhibitory effect on three AML cell lines, THP-1, HL-60 and U937, and a similar anti-growth effect in a chick embryo model.?Moreover, flow cytometry of AML cells revealed increased apoptosis with 4f (10 μM) treatment for 48 h. The protein levels of cleaved caspase-3 and cleaved poly (ADP-ribose) polymerase were enhanced in all three AML cell types.?Furthermore, Nrf2 protein level was downregulated by 4f.?Upregulation of Nrf2 by tert-butylhydroquinone (tBHQ) or Nrf2 overexpression could ameliorate 4f-induced growth inhibition and apoptosis.?Treatment with 4f reduced both B-cell lymphoma-2 (Bcl-2) expression and Bcl-2/Bcl-2-associated X protein (Bax) ratio, which indicated that 4f induced apoptosis, at least in part, via mitochondrial-dependent signaling.?Therefore, as an Nrf2 inhibitor, the pyrazolyl hydroxamic acid derivative 4f may be a promising agent in AML therapy[1].
In vivo	Nrf2-IN-1 inhibits tumor growth in chicken eggs?via apoptosis?[1].

Solubility Information

Solubility	DMSO: 260 mg/mL (677.31 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (13.03 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	2.605 mL	13.0252 mL	26.0505 mL
5 mM	0.521 mL	2.605 mL	5.2101 mL
10 mM	0.2605 mL	1.3025 mL	2.605 mL
50 mM	0.0521 mL	0.2605 mL	0.521 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

Zhang J, et al. Discovery of a novel Nrf2 inhibitor that induces apoptosis of human acute myeloid leukemia cells. Oncotarget. 2017 Jan 31;8(5):7625-7636.