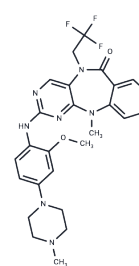


DCLK1-IN-1

Chemical Properties

CAS No. :	2222635-15-4
Formula:	C ₂₆ H ₂₈ F ₃ N ₇ O ₂
Molecular Weight:	527.54
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	DCLK1-IN-1 is a selective, in vivo compatible chemical probe of the kinase domain of doublecortin-like kinase 1 (DCLK1) and a highly selective DCLK1/2 inhibitor with IC ₅₀ values of 9.5/57.2 nM (DCLK1) and 31/103 nM (DCLK2) by binding and kinase assays, respectively. It has low toxicity and is used to study DCLK1 biology and determine its role in cancer.
Targets(IC ₅₀)	Others
In vitro	METHODS: A NanoBRET tracer (TAE684-NanoBRET-590) was synthesized and a DCLK1 NanoBRET assay was developed to measure the effect of DCLK1-IN-1 on cell proliferation in HCT116 cells. RESULTS The IC ₅₀ value of DCLK1-IN-1 against HCT116 cells was 279nM. [1] METHODS: ACHN, 786-O, and CAKI-1 RCC cells were treated with DCLK1-IN-1 (0.5, 1, 5, 10 μM) and subjected to wound healing assays. RESULTS DCLK1-IN-1 exerted a significant anti-migratory effect on ACHN, 786-O, and CAKI-1 RCC cells, with ACHN and CAKI-1 cells showing a typical dose-dependent decrease in wound healing from 0.5 to 10 μM, while 786-O cells showed a significant decrease at a dose of 10 μM. [2]
In vivo	DCLK1-IN-1 (3 mg/kg, intravenous injection; 10 mg/kg, oral administration) has good pharmacokinetic characteristics in mice, with a half-life of 2.09 hours, an area under the curve (AUC _{inf}) of 5506 hr*ng/mL, and an oral bioavailability of 81%. [1]

Solubility Information

Solubility	DMSO: 11.9 mg/mL (22.56 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	2% DMSO+40% PEG300+5% Tween 80+53% Saline: 1 mg/mL (1.9 mM),Solution. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8956 mL	9.478 mL	18.9559 mL
5 mM	0.3791 mL	1.8956 mL	3.7912 mL
10 mM	0.1896 mL	0.9478 mL	1.8956 mL
50 mM	0.0379 mL	0.1896 mL	0.3791 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

Ferguson F M , Nabet B , Raghavan S , et al. Discovery of a selective inhibitor of doublecortin like kinase 1[J]. Nature Chemical Biology, 2020, 16(6):1-9.

Ding L, et al. Inhibition of DCLK1 with DCLK1-IN-1 Suppresses Renal Cell Carcinoma Invasion and Stemness and Promotes Cytotoxic T-Cell-Mediated Anti-Tumor Immunity. Cancers (Basel). 2021 Nov 16;13(22):5729.

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