Data Sheet (Cat.No.T4361)

TargetMol

CBL0137 hydrochloride

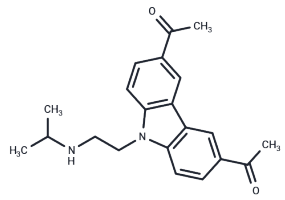
Chemical Properties

CAS No. : 1197397-89-9

Formula: C21H25ClN2O2

Molecular Weight: 372.88

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	CBL0137 hydrochloride (Curaxin-137 hydrochloride) is an inhibitor of the histone chaperone FACT, which also activates p53 and inhibits NF-κB with EC50 values of 0.37 and 0.47 μM, respectively. CBL0137 hydrochloride functionally inactivates the complex that promotes chromatin transcription (FACT), thereby driving effects on p53 and NF-κB and promoting cancer cell death, and when used in combination with cisplatin, CBL0137 hydrochloride has potent anticancer activity against SCLC.
Targets(IC50)	NF-κB,p53,MDM-2/p53
In vitro	**METHODS**: HUVECs and HAECs cell treated with CBL0137 hydrochloride (Curaxin-137 hydrochloride) (0, 1, 3, 10 μM, 24 h) were tested for cell viability using the CCK-8 assay kit. **RESULTS** CBL0137 hydrochloride (Curaxin-137 hydrochloride) above 1 μM reduced the survival rate of HUVECs and HAECs. [1]
In vivo	**METHODS**: ApoE−/− mice were treated with CBL0137 hydrochloride (Curaxin-137 hydrochloride) (1 mg/kg, oral, for three weeks) to observe the effects of CBL0137 hydrochloride (Curaxin-137 hydrochloride) on the atherosclerosis mouse model and perform Western blot experiments. **RESULTS**CBL0137 hydrochloride (Curaxin-137 hydrochloride) can reduce the formation of atherosclerotic plaques in partially ligated carotid arteries;CBL0137 hydrochloride (Curaxin-137 hydrochloride) treatment downregulated the protein levels of p-YAP (Y357) and p-Src (Y416) in these arteries, as well as the inflammatory genes MCP-1 and VCAM-1. [1]
Kinase Assay	MiaPaca2 and BxPC-3 cells are treated with CBL0137 hydrochloride for 4 or 24 h. Cells are harvested in 1× Cell Culture Lysis Reagent containing protease and phosphatase inhibitors. Lysates 5 to 20 μg are separated on SDS-PAGE gels and transferred to PVDF membranes. Blots are probed with antibodies specific for SSRP1, SPT16, RRM1, and RRM2.
Cell Research	Effects of CBLC137 (2 μM for 24 hours) on cell cycle in tumor (HT1080, RCC45, MiaPaca) and normal cells (Wi38, NKE-hTERT) are examined using FACS analysis of propidium iodide-stained cells.
Animal Research	Animal Models: xenograft mouse models of cancer. Formulation: water. Dosages: 30 mg/kg. Administration: p.o.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: 25 mg/mL (67.05 mM),Sonication is recommended. DMSO: 36 mg/mL (96.55 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: 2 mg/mL (5.36 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	2.6818 mL	13.4091 mL	26.8183 mL
5 mM	0.5364 mL	2.6818 mL	5.3637 mL
10 mM	0.2682 mL	1.3409 mL	2.6818 mL
50 mM	0.0536 mL	0.2682 mL	0.5364 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

Ding H, et al . Curaxin CBL0137 inhibits endothelial inflammation and atherogenesis via suppression of the Src-YAP signalling axis. Br J Pharmacol. 2023 Apr;180(8):1168-1185.
Lindner DJ,et al. CBL0137 increases the targeting efficacy of Rovalpituzumab tesirine against tumour-initiating cells in small cell lung cancer. Br J Cancer. 2021 Mar;124(5):893-895.
Gasparian AV, et al. Curaxins: anticancer compounds that simultaneously suppress NF-κB and activate p53 by targeting FACT. Sci Transl Med. 2011 Aug 10;3(95):95ra74.