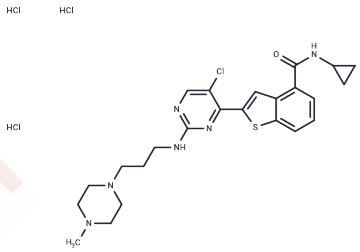
Data Sheet (Cat.No.T2081)

TargetMol

LY2409881 trihydrochloride

Chemical Properties



CAS No. :	946518-60-1
Formula:	C24H32Cl4N6OS
Molecular Weight:	594.43
Storage:	Pure form: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	LY2409881 trihydrochloride is a novel specific inhibitor of IKK2 (IC50: 30 nM); IC50 for IKK1 and other common kinases is at least one log higher.
Targets(IC50)	Apoptosis,IκB/IKK
In vitro	LY240988 was moderately toxic to ovarian cancer SKOV3 cell line. In diffuse large B-cell lymphoma cells, LY2409881 inhibited activated NF-?B, concentration- and time-dependently inhibiting cell growth and causing apoptosis. In SUDHL2 cells, LY2409881 inhibited cell growth synergistically with cyclophosphamide and adriamycin, but had no synergistic effect on LY1 cells. In SUDHL22 and LY1 cells, histone deacetylase inhibitor romidepsin synergized with LY2409881 to inhibit cell growth.
In vivo	LY240988 was moderately toxic to ovarian cancer SKOV3 cell line. In diffuse large B-cell lymphoma cells, LY2409881 inhibited activated NF-?B, concentration- and time-dependently inhibiting cell growth and causing apoptosis. In SUDHL2 cells, LY2409881 inhibited cell growth synergistically with cyclophosphamide and adriamycin, but had no synergistic effect on LY1 cells. In SUDHL22 and LY1 cells, histone deacetylase inhibitor romidepsin synergized with LY2409881 to inhibit cell growth.
Kinase Assay	CYP3A activity is assessed using the probe reactions, midazolam-1′-hydroxylation and testosterone 6β-hydroxylation. For reversible inhibition, incubations (37°C, 10 min) are composed of (final concentrations): potassium phosphate buffer (100 mM, pH 7.4), β-NADPH (1 mM), magnesium chloride (5 mM), microsomal protein (0.025 mg/mL), probe substrate (1 μM midazolam or 25 μM testosterone), LCL161 (0, 0.5, 1, 5, 10, 25, 50, or 100 μM) and organic solvent (0.2% acetonitrile for midazolam, 0.2% methanol for testosterone). After a 3-minute preincubation, the reactions are initiated by addition of β-NADPH and terminated by addition of acetonitrile (two volumes). Reactions are previously shown to be linear with respect to time and protein concentration (results not shown) with midazolam and testosterone turnover of 8.7±1.3% (n=3) and 2.6±0.20%, respectively. Formation of 1′-hydroxymidazolam and 6β-hydroxytestosterone is determined by LC-MS/MS as described below[3].
Cell Research	Cytotoxicity is evaluated using the CellTiter-Glo Reagent according to the manufacturer's manual. Experiments are carried out in 96-well plates, with each treatment in triplicate. Samples are taken at typically 24, 48, and 72 hours after treatment. Cytotoxicity is expressed by the decreasing percentage of live cells in each treatment relative to the

Cell Research	untreated control from the same experiment. IC50 for each cell line is calculated using the CalcuSyn Version 2.0 software.(Only for Reference)

Solubility Information

Solubility	DMSO: 16 mg/mL (26.92 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: 1 mg/mL (1.68 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	1.6823 mL	8.4114 mL	16.8228 mL
5 mM	0.3365 mL	1.6823 mL	3.3646 mL
10 mM	0.1682 mL	0.8411 mL	1.6823 mL
50 mM	0.0336 mL	0.1682 mL	0.3365 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

Deng C, et al. Clin Cancer Res. 2015, 21(1):134-145.