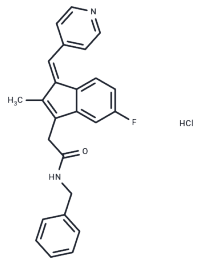
Data Sheet (Cat.No.T31020)

TargetMol

CP 461

Chemical Properties



CAS No. : 227619-96-7

Formula: C25H22ClFN2O

Molecular Weight: 420.91

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	CP 461 (UNII-68OJX9I7DT), a specific PDE2A inhibitor, is a novel pro-apoptotic compound that inhibits cyclic GMP phosphodiesterase but not cyclooxygenase-1 or -2. CP 461 inhibits the growth of a variety of human tumor cell lines in vitro and selectively induces apoptosis in cancer cell lines, but not in normal cells.
Targets(IC50)	Apoptosis,Microtubule Associated,PDE
In vivo	CP-461 inhibits the growth of a broad range of human tumor cell lines in vitro at micromolar concentrations and selectively induces apoptosis in cancer cell lines but not normal cells. Preclinical studies revealed good oral bioavailability and no toxicity in dogs and rats at single doses of up to 500 mg/kg. In a Phase I trial, 21 patients with a range of solid tumors and good performance status received CP-461 p.o. twice daily for 28 consecutive days. Cycles were repeated without a treatment-free interval. CP-461 doses ranged from 100 to 800 mg/day. Therapy was well tolerated overall, and a maximum tolerated dose was not reached. Grade 3 asymptomatic aspartate aminotransferase/alanine aminotransferase elevation in 1 patient treated at 800 mg/day was the only dose-limiting toxicity. No hematologic toxicity was noted. Peak plasma concentrations occurred between 1 and 2 h after dosing, and doses above 200 mg/day exceeded the known in vitro EC(50) (1-2 micro M) for apoptosis in cancer cells. No drug was detectable after 24 h of administration, and the terminal half-life was 6.7 h. The area under the plasma concentration-time curve was dose-proportional from 200 to 800 mg/day. Four patients exhibited disease stability after two cycles of treatment. CP-461 is minimally toxic at doses up to 800 mg/day when administered p.o. on a twice-daily schedule.[1]

Solubility Information

Solubility	DMSO: 16.67 mg/mL (39.6 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3758 mL	11.879 mL	23.758 mL
5 mM	0.4752 mL	2.3758 mL	4.7516 mL
10 mM	0.2376 mL	1.1879 mL	2.3758 mL
50 mM	0.0475 mL	0.2376 mL	0.4752 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

Sun W, et al. Phase I and pharmacokinetic trial of the proapoptotic sulindac analog CP-461 in patients with advanced cancer. Clin Cancer Res. 2002 Oct;8(10):3100-4.