

LCL161

Catalog No: tcsc1630



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1005342-46-0

Formula:

$C_{26}H_{33}FN_4O_3S$

Pathway:

Apoptosis

Target:

IAP

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

500.63

Product Description

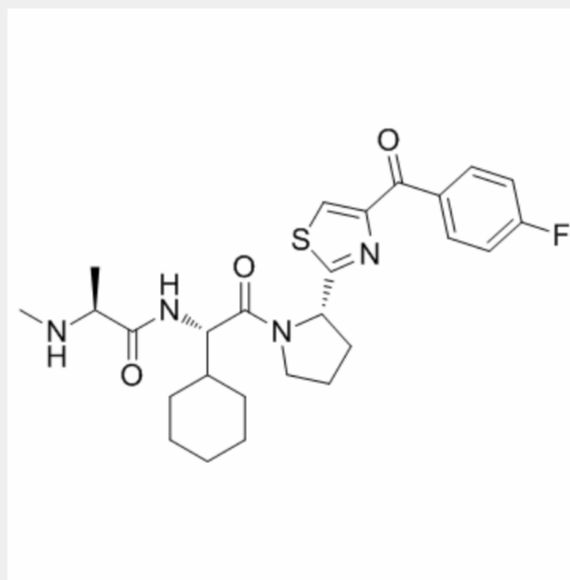
LCL161 is a novel **IAP** inhibitor, inhibits **XIAP** activity in HEK293 cell with **IC₅₀** of 35 nM, also inhibits **ciAP1** activity in MDA-MB-231

cell with **IC₅₀** of 0.4 nM.

IC50 & Target: IC50: 35 nM (XIAP, in HEK293 cell), 0.40 nM (cIAP1, in MDA-MB-231)^[1]

In Vitro: LCL161 shows anti-proliferative effects and reduces cell viability significantly in Hep3B (IC₅₀=10.23 μM) and PLC5 (IC₅₀=19.19 μM) cells in a dose-dependent manner. LCL161 induces apoptosis significantly in both the sensitive cell lines in a dose-dependent manner. LCL161 significantly down regulates the expression of cIAP1, starting at very low concentrations. LCL161 at low concentrations inhibits cIAP1 starting at the concentration of 0.5 nM^[2]. LCL161 is a small molecule oral IAP antagonist in development for use in combination with cytotoxic agents. The effect of LCL161 on CYP3A4/5 (CYP3A) activity is investigated in vitro. Results in human liver microsomes indicated LCL161 inhibited CYP3A in a concentration- and time-dependent manner (K_i of 0.797 μM and K_{inact} of 0.0803 min⁻¹). LCL161 activates human PXR in a reporter gene assay and induced CYP3A4 mRNA up to ~5-fold in human hepatocytes^[3].

In Vivo: Tumor-bearing mice are treated with vehicle or LCL161 p.o. at a dose of 50 mg/kg/day, or SC-2001 p.o. at a dose of 10 mg/kg/day, 5 days a week, or in combination for the duration of the study. Tumor growth is significantly inhibited by co-treatment with SC2001 and LCL161 and tumor size in the co-treatment group is only one third of that of the control group at the end of the study^[2]. LCL161 is a first-in-class oral Smac mimetic shown to induce degradation of cIAP1 and cleavage of caspase 3 in mouse xenograft models^[4].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!