Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

CBL0137 hydrochloride

Catalog No: tcsc0033711

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
1197397-89-9

Formula:
$C_{21}H_{25}ClN_2O_2$

Pathway:
Apoptosis;NF-κB

Target:
MDM-2/p53;NF-κB

Purity / Grade:
>98%

Solubility:
H2O : 15.2 mg/mL (40.76 mM; Need ultrasonic and warming); DMSO : 30 mg/mL (80.45 mM; Need ultrasonic and warming)

Alternative Names:
Curaxin-137 hydrochloride;CBL-C137 hydrochloride

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Observed Molecular Weight:
372.89

Product Description

CBL0137 hydrochloride is an inhibitor of the histone chaperone, **FACT**. CBL0137 hydrochloride can also activate **p53** and inhibits **NF-κB** with **EC_{50}**s of 0.37 and 0.47 μM, respectively.

IC50 & Target: FACT[1]

EC50: 0.37 μM (p53), 0.47 μM (NF-Kb)[2]

In Vitro: Treatment with CBL0137 hydrochloride leads to complete absence of living cells at concentrations above 2.5 μM. CBL0137 hydrochloride causes a greater reduction in the number of colonies formed of not only MiaPaCa-2 cells when combines with gemcitabine, but also gemcitabine-resistant PANC-1 cells. Treatment of human pancreatic cancer cells with CBL0137 hydrochloride results in a dose dependent reduction of protein and mRNA levels of RRM1 and RRM2[1].

In Vivo: The CBL0137 hydrochloride monotherapy group and the CBL0137 hydrochloride-gemcitabine combination group samples show large necrotic fields, numerous apoptotic bodies and loss of tumor cells. Sub-optimal doses of 50 to 60 mg/kg CBL0137 hydrochloride causes similar enhancement of gemcitabine antitumor activity as that produced by the maximum tolerated dose (MTD) of 90 mg/kg as indicated by the lack of statistically significant differences among the combination groups. CBL0137 hydrochloride inhibits FACT function through depletion of the pool of active FACT involved in transcription elongation[1]. CBL0137 hydrochloride, given by oral gavage at a nontoxic dose of 30 mg/kg per day on a 5 days on/2 days off schedule, suppresses tumor growth in xenografts of colon (DLD-1), renal cell carcinoma (Caki-1), and melanoma (Mel-7) tumor cell lines and transplanted surgical samples from patients with pancreatic ductal adenocarcinoma[2].