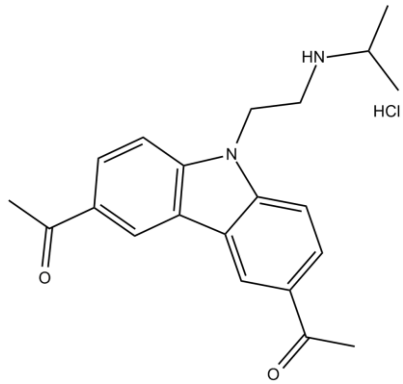
Product Name: CBL0137 (hydrochloride)

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties



Product Name:	CBL0137 (hydrochloride)
Cas No.:	1197397-89-9
M.Wt:	372.9
Formula:	C21H24N2O2•HCl
Synonyms:	CBLC137,Curaxin 137
Chemical Name:	1,1'-[9-[2-[(1-methylethyl)imino]ethyl]-9H-carbazole-3,6-diyl]bis-ethanone, monohydrochloride
Canonical SMILES:	CC(C1=CC=C2C(C(C=C(C(C)=O)C=C3)=C3N2CCNC(C)C)=C1)=O.Cl
Solubility:	Soluble in DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	Apoptosis
Pathways:	p53

Description:

EC50: 0.37 μM for activating p53; 0.47 μM for inhibiting NF-κB
CBL0137 (hydrochloride) is a curaxin that activates p53 and inhibits NF-κB.
The p53 and nuclear factor κB (NF-κB) pathways are dysregulated in almost all tumors, making them attractive targets for therapeutic activation and inhibition, respectively.
In vitro: CBL0137 was identified as a metabolically stable curaxin activating p53 and inhibiting

NF-κB. CBL0137 could functionally inactivate chromatin transcription complex, resulting in the effects on p53 and NF-κB and promoting cancer cell death [1]. It was also found that CBL0137 alone was a potent inducer of apoptosis in pancreatic cancer cell lines and was toxic not only for proliferating bulk tumor cells, but also for pancreatic cancer stem cells [2].
In vivo: In mice, CBL0137 was effective against orthotopic gemcitabine resistant PANC-1 model and patient derived xenografts, in which CBL0137 anti-tumor effect related with overexpression of FACT. Moreover, the combination effects of CBL0137 and gemcitabine might be explained by the ability of CBL0137 to inhibit several transcriptional programs induced by gemcitabine, including NF-kappaB response and expression of ribonucleotide reductase [2].
Clinical trial: A phase 1 trial of CBL0137 in patients with metastatic or unresectable advanced solid neoplasm and a study of IV CBL0137 in previously treated hematological subjects are crrently recruiting patients [https://clinicaltrials.gov/ct2/results term=CBL0137&Search=Search].

***Reference**:*

1. A. V. Gasparian, C. A. Burkhart, A. A. Purmal, et al. Curaxins: Anticancer compounds that simultaneously suppress NF-κB and activate p53 by targeting FACT. Sci.Transl.Med. 3(95), (2011).
2. C. Burkhart, D. Fleyshman, R. Kohrn, et al. Curaxin CBL0137 eradicates drug resistant cancer stem cells and potentiates efficacy of gemcitabine in preclinical models of pancreatic cancer. Oncotarget 5(22), 11038-11053 (2014).

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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