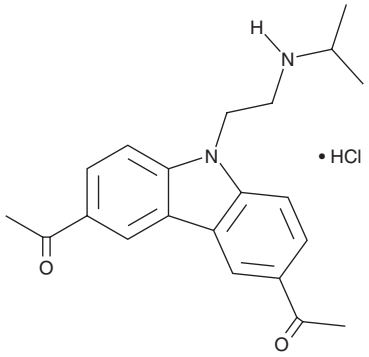
PRODUCT INFORMATION

CBL0137 (hydrochloride)

Item No. 19373



CAS Registry No.:	1197397-89-9
Formal Name:	1,1'-[9-[2-[(1-methylethyl)imino] ethyl]-9H-carbazole-3,6-diyl]*bis*-ethanone, monohydrochloride
Synonyms:	CBLC137, Curaxin 137
MF:	$C_{21}H_{24}N_2O_2$ • HCl
FW:	372.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 259, 290, 327 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CBL0137 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the CBL0137 (hydrochloride) in the solvent of choice. CBL0137 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of CBL0137 (hydrochloride) in these solvents is approximately 25 and 20 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of CBL0137 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of CBL0137 (hydrochloride) in PBS, pH 7.2, is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Curaxins are small molecules that simultaneously activate p53 and inhibit NF-κB without causing detectable genotoxicity.[1] CBL0137 is a water soluble and metabolically stable curaxin that activates p53 with an EC_{50} value of 0.37 µM and inhibits NF-κB with an EC_{50} value of 0.47 µM.[1] It functionally inactivates the facilitates chromatin transcription complex, driving the effects on p53 and NF-κB and promoting cancer cell death.[1] CBL0137 has broad anticancer activity in mice when administered orally, eradicates drug-resistant cancer stem cells, and potentiates efficacy of gemcitabine in preclinical models of pancreatic cancer.[1,2]

References

1. Gasparian, A.V., Burkhart, C.A., Purmal, A.A., *et al.* Curaxins: Anticancer compounds that simultaneously suppress NF-κB and activate p53 by targeting FACT. *Sci. Transl. Med.* **3(95)**, (2011).
2. Burkhart, C., Fleyshman, D., Kohrn, R., *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).

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