

Catalog # 10-4026

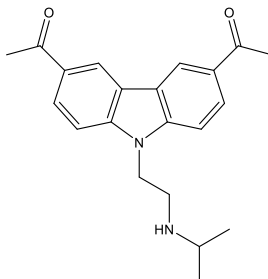
CBL0137

CAS# 1197996-80-7

1-[6-Acetyl-9-[2-(propan-2-ylamino)ethyl]carbazole-3-yl]ethanone; 3,6-Diacetyl-9-(2-isopropylethyl)-9H-carbazole;

Curaxin 137

Lot # FBS2209



CBL0137 is an anticancer agent that activates p53 and suppresses NF- κ B *via* trapping of the FACT (facilitates chromatin transcription) complex, an important histone chaperone that mediates nucleosome disassembly and reassembly and facilitates DNA transcription, replication, and repair.^{1,2} Importantly, it is able to intercalate DNA and disrupt DNA/histone interactions without genotoxicity. CBL0137 inhibits the self-renewal of cancer stem cells *via* NOTCH1 activation.³ CBL0137 downregulation of HSF1 and MYC(N) have also been demonstrated in animal models.^{4,5} CBL0137 has also been shown to improve immunological tumor control *via* facilitating release of cytokines and chemokines attracting NK, CD8+, and CD4+ T cells.⁶ CBL0137 was able to activate the Z-RNA sensor ZBP1 inducing necroptosis and reversing immune checkpoint blockage unresponsiveness in mouse models of melanoma.⁷

- 1) Gasparian *et al.* (2011), *Curaxins: anticancer compounds that simultaneously suppress NF- κ B and activate p53 by targeting FACT*; *Sci. Transl. Med.* **3** 95ra74
- 2) Neshet *et al.* (2018), *Role of chromatin damage and chromatin trapping of FACT in mediating the anticancer cytotoxicity of DNA-binding small molecule drugs*; *Cancer Res.* **78** 1431
- 3) De *et al.* (2018), *The FACT inhibitor CBL0137 synergizes with cisplatin in small-cell lung cancer by increasing NOTCH1 expression and targeting tumor-initiating cells*; *Cancer Res.* **78** 2396
- 4) Jin *et al.* (2018), *Curaxin CBL0137 Exerts Anticancer Activity via Diverse Mechanisms*; *Front. Oncol.* **8** 598
- 5) Wang *et al.* (2020), *Effective inhibition of MYC-amplified group 3 medulloblastoma by FACT-targeted curaxin drug CBL0137*; *Cell Death Dis.* **11** 1029
- 6) Chen *et al.* (2021), *Stimulation of an anti-tumor immune response with "chromatin-damaging" therapy*; *Cancer Immunol. Immunother.* **70** 2073
- 7) Zhang *et al.* (2022), *ADAR1 masks the cancer immunotherapeutic promise of ZBP1-driven necroptosis*; *Nature* May 25 online ahead of print

PHYSICAL DATA

Molecular Weight:	336.44
Molecular Formula:	C ₂₁ H ₂₄ N ₂ O ₂
Purity:	>98% HPLC
	NMR: (Conforms)
Solubility:	Soluble in DMSO (20 mg/ml)
Physical Description:	Pale yellow to off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Store solutions at -20°C for up to 3 months.

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