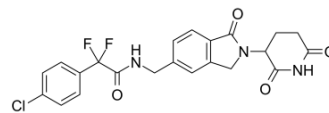


Cereblon modulator 1

Cat. No.:	HY-130800		
CAS No.:	1860875-51-9		
Molecular Formula:	C ₂₂ H ₁₈ ClF ₂ N ₃ O ₄		
Molecular Weight:	461.85		
Target:	Ligand for E3 Ligase; Apoptosis		
Pathway:	PROTAC; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (541.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.1652 mL	10.8260 mL	21.6521 mL
	5 mM	0.4330 mL	2.1652 mL	4.3304 mL
	10 mM	0.2165 mL	1.0826 mL	2.1652 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
Solubility: ≥ 2.08 mg/mL (4.50 mM); Clear solution
- Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
Solubility: ≥ 2.08 mg/mL (4.50 mM); Clear solution
- Add each solvent one by one: **10% DMSO >> 90% corn oil**
Solubility: ≥ 2.08 mg/mL (4.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cereblon modulator 1 (compound F) is a **cereblon (CRBN) E3 ligase** modulator. Cereblon modulator 1 specifically binds to **CRBN**, thereby affecting the activity of the ubiquitin E3 ligase complex. This leads to the ubiquitination of certain substrate proteins and induces the proteasome-mediated degradation of certain transcription factors, including Ikaros (IKZF1) and Aiolos (IKZF3)^{[1][2]}.

IC₅₀ & Target

Cereblon

In Vitro

A different CRBN-binding compound, Cereblon modulator 1 (compound F), that demonstrates anti-proliferative activity in non-AML hematological cancer cell lines (such as multiple myeloma) does not inhibit cell growth in any of the 11 AML cell lines tested, including the ten cell lines that are sensitive to the growth inhibitory activity of Compound D. However, when excess Cereblon modulator 1 is added to KG-1 AML cell cultures in the presence of Compound D, the anti-proliferative activity of Compound D is reduced, presumably due to competition for binding of Compound D to CRBN. The relative impact of Cereblon modulator 1 on the anti-proliferative effect of Compound D progressively increased with increasing concentrations of Cereblon modulator 1. In the presence of 100 μ M Cereblon modulator 1, the potency of Compound D in inhibiting cell growth reduced by approximately 60-fold, and the potency of Compound D in inducing apoptosis reduced by approximately 40-fold^[1].

REFERENCES

- [1]. Ellen Filvaroff, et al. Methods for treating cancer and the use of biomarkers as a predictor of clinical sensitivity to therapies. WO2017120446A1.
- [2]. CC-90009
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Caution: Product has not been fully validated for medical applications. For research use only.

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