Cemsidomide

Cat. No.: HY-144841

CAS No.: 2504235-67-8

Molecular Formula: $C_{28}H_{27}N_3O_4$

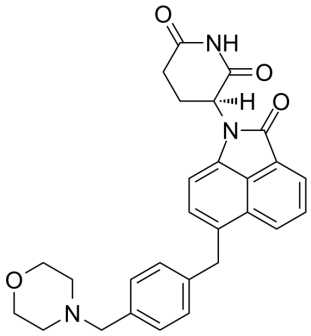
Molecular Weight: 469.53

Target: Ligands for E3 Ligase

Pathway: PROTAC

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 : 25 mg/mL (53.24 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1298 mL	10.6489 mL	21.2979 mL
	5 mM	0.4260 mL	2.1298 mL	4.2596 mL
	10 mM	0.2130 mL	1.0649 mL	2.1298 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.32 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cemsidomide (CFT7455) is an orally active zinc finger transcription factors Ikaros (IKZF1), Aiolos (IKZF3) degrader. Cemsidomide is an anti-cancer agent that binds with high affinity to the cereblon E3 ligase (K_d of 0.9 nM) (WO2022032132A1; Compound 1)[1].

IC_{50} & Target

Cereblon
0.9 nM (Kd)

In Vitro

Cemsidomide promotes the degradation of >75% of steady state IKZF1 in multiple myeloma cells within 1.5 hours at 0.3 nM. The high binding affinity and degradation catalysis of CFT7455 enables potent cell growth inhibition in both previously untreated NCIH929 multiple myeloma cell lines (IC_{50} of 0.071 nM) and NCIH929 cells made resistant to both lenalidomide

	and pomalidomide (IC_{50} of 2.3 nM)[1]. Cemsidomide has potent antiproliferative activity against multiple myeloma cells and IMiD-resistant H929 cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In mouse xenograft tumor models, Cemsidomide demonstrates dose dependent efficacy from 3 μg/kg/day to 100 μg/kg/day. In several tumor xenografts tested daily dosing of Cemsidomide at dose of 30 μg/kg/day to 100 μg/kg/day led to durable tumor regression[1]. Cemsidomide (0.1 mg/kg/day; for 21 days) promotes tumor regression in the H929 tumor xenograft model (95% tumor growth inhibition by 7 days)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. James A. Henderson, et al. Abstract LB007: CFT7455: A novel, IKZF1/3 degrader that demonstrates potent tumor regression in IMiD-resistant multiple myeloma (MM) xenograft models. Cancer Res (2021) 81 (13_Supplement): LB007.

[2]. David Proia, et al. Advantageous therapies for disorders mediated by ikaros or aiolos. WO2022032132A1.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA