dFKBP-1

Cat. No.: HY-103634

CAS No.: 1799711-22-0

Molecular Formula: $C_{53}H_{64}N_6O_{14}$

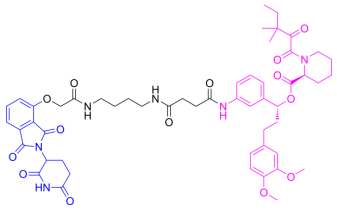
Molecular Weight: 1009.11

Target: PROTACs; FKBP

Pathway: PROTAC; Apoptosis; Autophagy; Cell Cycle/DNA Damage; Immunology/Inflammation

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (99.10 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9910 mL	4.9549 mL	9.9097 mL
	5 mM	0.1982 mL	0.9910 mL	1.9819 mL
	10 mM	0.0991 mL	0.4955 mL	0.9910 mL

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

BIOLOGICAL ACTIVITY

Description

dFKBP-1 is a potent and PROTAC-based FKBP12 degrader. dFKBP-1 incorporates the ligand SLF (HY-114872) of FKBP12, the Thalidomide based Cereblon ligand and a linker[1].

IC_{50} & Target	Cereblon	FKBP

In Vitro

dFKBP-1 potently decreases FKBP12 abundance in MV4;11 cells, leading to over 80% reduction of FKBP12 at 0.1 μM and 50% reduction at 0.01 μM. As with dBET1, destabilization of FKBP12 by dFKBP-1 is rescued by pre-treatment with Carfilzomib, MLN4924, free SLF or free Thalidomide. Cereblon (CRBN)-dependent degradation is established using previously published isogenic 293FT cell lines which are wild-type (293FT-WT) or deficient (293FT-CRBN$^{?/?}$) for CRBN. Treatment of 293FT-WT cells with dFKBP-1 induces potent, dose-dependent degradation of FKBP12, whereas 293FT-CRBN$^{?/?}$ are unaffected[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2024 Jun 26;15(1):5409.

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REFERENCES

[1]. Winter GE, et al. DRUG DEVELOPMENT. Phthalimide conjugation as a strategy for in vivo target protein degradation. Science. 2015 Jun 19;348(6241):1376-81.

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