dBET57

Cat. No.: HY-123844

CAS No.: 1883863-52-2

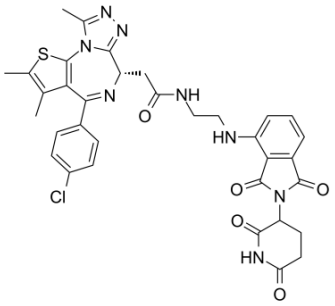
Molecular Formula: $C_{34}H_{31}ClN_8O_5S$

Molecular Weight: 699.18

Target: PROTAC; Epigenetic Reader Domain

Pathway: PROTAC; Epigenetics

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (357.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4302 mL	7.1512 mL	14.3025 mL
	5 mM	0.2860 mL	1.4302 mL	2.8605 mL
	10 mM	0.1430 mL	0.7151 mL	1.4302 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: ≥ 2.08 mg/mL (2.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

dBET57 is a potent and selective degrader of $BRD4_{BD1}$ based on the PROTAC technology. dBET57 mediates recruitment to the $CRL4^{CRBN}$ E3 ubiquitin ligase, with a $DC_{50/5h}$ of 500 nM for $BRD4_{BD1}$, and is inactive on $BRD4_{BD2}$[1].

IC_{50} & Target

BRD4 (BD1)
500 nM (DC50)

REFERENCES

[1]. Nowak RP, et al. Plasticity in binding confers selectivity in ligand-induced protein degradation. Nat Chem Biol. 2018 Jul;14(7):706-714.

Caution: Product has not been fully validated for medical applications. For research use only.

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