PROTAC EGFR degrader 7 diTFA

Cat. No.: HY-147858A

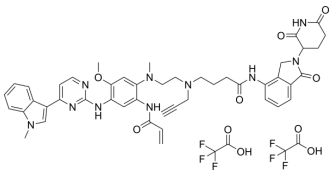
Molecular Formula: $C_{50}H_{50}F_6N_{10}O_{10}$

Molecular Weight: 1064.98

Target: PROTACs

Pathway: PROTAC

Storage: -20°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (93.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9390 mL	4.6949 mL	9.3898 mL
	5 mM	0.1878 mL	0.9390 mL	1.8780 mL
	10 mM	0.0939 mL	0.4695 mL	0.9390 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 (2.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PROTAC EGFR degrader 7 (compound 13b) is a potent and selective CRBN-recruiting PROTAC EGFRL858R/T790M degrader, with a DC_{50} of 13[1].2 nM.PROTAC EGFR degrader 7 inhibits NCI-H1975 cells proliferation, with an IC_{50} of 46[1].82 nM.PROTAC EGFR degrader 7 significantly induces apoptosis and G2/M phase arrest in NCI-H1975 cell.PROTAC EGFR degrader 7 shows antitumor activity, and can be used for non-small cell lung cancer (NSCLC) research[1].

REFERENCES

[1]. Zhang W, et al. Discovery of highly potent and selective CRBN-recruiting EGFRL858R/T790M degraders in vivo. Eur J Med Chem. 2022 Aug 5;238:114509.

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

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