BSJ-03-204 triTFA

Cat. No.: HY-136250A

Molecular Formula: $C_{49}H_{51}F_9N_{10}O_{14}$

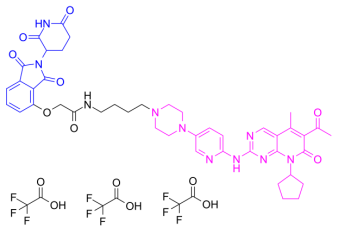
Molecular Weight: 1174.97

Target: PROTACs; CDK

Pathway: PROTAC; Cell Cycle/DNA Damage

Storage: -20°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (85.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8511 mL	4.2554 mL	8.5109 mL
	5 mM	0.1702 mL	0.8511 mL	1.7022 mL
	10 mM	0.0851 mL	0.4255 mL	0.8511 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 (2.13 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BSJ-03-204 triTFA is a PROTAC connected by ligands for Cereblon and CDK. BSJ-03-204 triTFA is a potent and selective Palbociclib-based CDK4/6 dual degrader (PROTAC), with IC_{50}s of 26.9 nM and 10.4 nM for CDK4/D1 and CDK6/D1, respectively. BSJ-03-204 triTFA does not induce IKZF1/3 degradation and has anti-cancer activity[1].

In Vitro

BSJ-03-204 triTFA (0.0001-100 μM; for 3 or 4 days) has potent anti-proliferative effects on MCL cell lines[1].
BSJ-03-204 triTFA (1 μM; for 1 day) potently induces a G1 arrest[1].
BSJ-03-204 triTFA (0.1-5 μM; for 4 hours) only resultes in degradation of CDK4/6 in WT cells, not IKZF1/3[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Baishan Jiang, et al. Development of Dual and Selective Degraders of Cyclin-Dependent Kinases 4 and 6. Angew Chem Int Ed Engl. 2019 May 6;58(19):6321-6326.

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