ARV-825

Cat. No.: HY-16954

CAS No.: 1818885-28-7

Molecular Formula: $C_{46}H_{47}ClN_8O_9S$

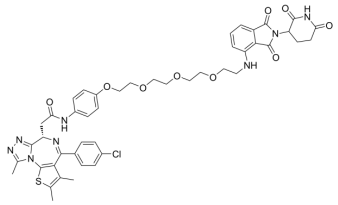
Molecular Weight: 923.43

Target: PROTACs; Epigenetic Reader Domain

Pathway: PROTAC; Epigenetics

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 : ≥ 50 mg/mL (54.15 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.0829 mL	5.4146 mL	10.8292 mL
5 mM	0.2166 mL	1.0829 mL	2.1658 mL
10 mM	0.1083 mL	0.5415 mL	1.0829 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 (2.71 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ARV-825 is a PROTAC connected by ligands for Cereblon and BRD4. ARV-825 binds to BD1 and BD2 of BRD4 with K_ds of 90 and 28 nM, respectively.

IC_{50} & Target

Kd: 90 nM (Bromodomain 1 of BRD4), 28 nM (Bromodomain 2 of BRD4)[1]

In Vitro

ARV-825 is a hetero-bifunctional proteolysis-targeting chimera (PROTAC) that recruits BRD4 to the E3 ubiquitin ligase cereblon. ARV-825 actively recruits BRD4 to cereblon, resulting in the rapid and efficient degradation of the former via the proteasome. Given that BRD4 and cereblon binding moieties in ARV-825 have K_ds of 28-90 nM and ~3 μM to their respective targets, this suggests that ARV-825 acts in a substoichiometric way in mediating BRD4 degradation. ARV-825 treatment

results in prolonged BRD4 down-regulation and downstream signaling suppression compared to BRD4 inhibitors[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

Affinity of compounds (e.g., ARV-825) with Bromodomain 1 and 2 of BRD4 is determined with BROMOscan by DiscoverX[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2022 Jul 18;13(1):4157.
- Nat Commun. 2022 Jan 10;13(1):183.
- Nat Commun. 2020 Aug 14;11(1):4083.
- Nat Commun. 2020 Apr 22;11(1):1935.
- Clin Cancer Res. 2019 Jun 1;25(11):3404-3416.

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REFERENCES

[1]. Lu J, et al. Hijacking the E3 Ubiquitin Ligase Cereblon to Efficiently Target BRD4. Chem Biol. 2015 Jun 18;22(6):755-63.

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