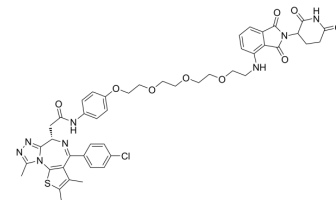


ARV-825

Cat. No.:	HY-16954		
CAS No.:	1818885-28-7		
Molecular Formula:	C ₄₆ H ₄₇ ClN ₈ O ₉ S		
Molecular Weight:	923.43		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	1 year
		-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (54.15 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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.

IC₅₀ & Target

K_d: 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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