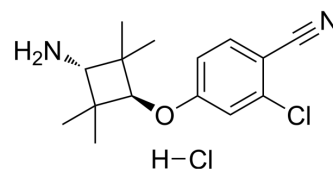


AR antagonist 1 hydrochloride

Cat. No.:	HY-130845A		
CAS No.:	1818885-55-0		
Molecular Formula:	C ₁₅ H ₂₀ Cl ₂ N ₂ O		
Molecular Weight:	315.24		
Target:	Ligands for E3 Ligase; Ligands for Target Protein for PROTAC; Androgen Receptor		
Pathway:	PROTAC; Vitamin D Related/Nuclear Receptor		
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 : 62.5 mg/mL (198.26 mM; ultrasonic and warming and heat to 60°C)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	3.1722 mL	15.8609 mL	31.7219 mL
5 mM	0.6344 mL	3.1722 mL	6.3444 mL
10 mM	0.3172 mL	1.5861 mL	3.1722 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

AR antagonist 1 (compound 29) hydrochloride is a potent androgen receptor (AR) antagonist and binds to E3 ligase ligands with weak binding affinities to VHL protein in the synthesis of PROTAC ARD-266 (HY-133020)^[1].

In Vitro

AR antagonist 1 (compound 29) hydrochloride is the ligand for target ligase of ARD-266. ARD-266 is a highly potent and VHL E3 ligase-based androgen receptor (AR) PROTAC degrader^[1].

AR antagonist 1 exhibits micromolar binding affinity to its E3 ligase complex, it can be successfully employed for the design of highly potent and efficient PROTAC degraders^[1].

PROTACs contain two different ligands connected by a linker; one is a ligand for an E3 ubiquitin ligase and the other is for the target protein.

PROTACs exploit the intracellular ubiquitin-proteasome system to selectively degrade target proteins^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Han X, et al. Discovery of Highly Potent and Efficient PROTAC Degraders of Androgen Receptor (AR) by Employing Weak Binding Affinity VHL E3 Ligase Ligands. J Med Chem. 2019 Dec 26;62(24):11218-11231.

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

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