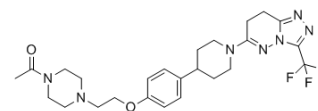


AZD3514

Cat. No.:	HY-16079		
CAS No.:	1240299-33-5		
Molecular Formula:	C ₂₅ H ₃₂ F ₃ N ₇ O ₂		
Molecular Weight:	519.56		
Target:	Androgen Receptor		
Pathway:	Others		
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 : ≥ 100 mg/mL (192.47 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.9247 mL	9.6235 mL	19.2471 mL
	5 mM	0.3849 mL	1.9247 mL	3.8494 mL
	10 mM	0.1925 mL	0.9624 mL	1.9247 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 (4.81 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (4.81 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (4.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZD3514 is a potent and oral androgen receptor downregulator with Ki of 2.2 μM and has ability of reducing AR protein expression. IC50 Value: 2.2 μM (Ki) Target: androgen receptor AZD3514 binds to the AR ligand binding domain and has selectivity for binding to AR over other nuclear hormone receptors [1]. in vitro: AZD3514 inhibits cell growth in prostate cancer cells expressing wild-type (VCaP) and mutated (T877A) AR (LNCaP), but is inactive in AR-negative prostate cancer cells, indicating a dependency on AR for efficacy [2]. in vivo: We assessed activity initially in the Hershberger castrated rat assay in which oral dosing of AZD3514 (100mg/kg once-daily for 7 days) significantly inhibited testosterone-induced growth

of sexual accessory organs [2]. Clinical trial: Open-label Prostate Cancer Study. Phase 1

CUSTOMER VALIDATION

- Mol Cancer Ther. 2016 Jul;15(7):1702-12.

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REFERENCES

- [1]. Bradbury RH, et al. Discovery of AZD3514, a small-molecule androgen receptor downregulator for treatment of advanced prostate cancer. Bioorg Med Chem Lett. 2013 Apr 1;23(7):1945-8.
- [2]. Sarah A Loddick, Rob Bradbury, Nicola Broadbent. Abstract 3848: Preclinical profile of AZD3514: A small molecule-targeting androgen receptor function with a novel mechanism of action and the potential to treat castration-resistant prostate cancer. Cancer
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Caution: Product has not been fully validated for medical applications. For research use only.

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