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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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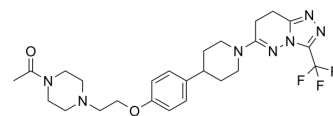
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AZD3514

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:	Vitamin D Related/Nuclear Receptor
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (192.47 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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 an orally active and selective androgen receptor (AR) inhibitor. AZD3514 androgen-dependently and -independently inhibits AR signal. AZD3514 down-regulates nuclear AR levels in human LNCaP prostate cancer cells in the absence of androgen with an pIC₅₀ value of 5.75. AZD3514 can be used for the research of prostate cancer^{[1][2][3]}.

In Vitro

AZD3514 (0-10 μM/L; 7 d) inhibits LNCaP and LAPC4 cells proliferation^[2].
 AZD3514 (0-10 μM/L; 24 h) inhibits the ligand-driven expression of known AR-regulated genes^[2].

AZD3514 (0-30 $\mu\text{M/L}$; 24 h) reduces AR protein expression in LNCaPs and LAPC4s^[2].
 AZD3514 (1-10 $\mu\text{M/L}$; 2 h) reduces AR nuclear translocation in LNCaP cells^[2].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay^[2]

Cell Line:	LNCaP and LAPC4 cell lines
Concentration:	0, 0.1, 0.4, 1.1, 3.3 and 10 $\mu\text{M/L}$
Incubation Time:	7 days
Result:	Inhibited LAPC4 cells growth and dose-dependently inhibited proliferation of LNCaP cells.

Western Blot Analysis^[2]

Cell Line:	LNCaP and LAPC4 cell lines
Concentration:	0, 0.4, 1.1, 3.3, 10 and 30 $\mu\text{M/L}$
Incubation Time:	0-24 hours
Result:	Dose-dependently reduced AR protein expression in LNCaPs, and decreased AR protein in LAPC4 cells with a concentration of 10 $\mu\text{M/L}$. Reduced the rate of AR synthesis to reduce the concentration of AR protein.

RT-PCR^[2]

Cell Line:	LNCaP and LAPC4 cell lines
Concentration:	0, 0.4, 1.1, 3.3 and 10 $\mu\text{M/L}$
Incubation Time:	24 hours
Result:	Inhibited ligand-driven expression of AR-regulated genes PSA and TMPRSS2 in both LNCaP and LAPC4 cells.

In Vivo

AZD3514 (10-100 mg/kg; p.o. once daily for 6 days) inhibits AR signaling in rats^[2].
 AZD3514 (50 mg/kg; p.o. once daily for 30 days) inhibits prostate tumor growth^[2].
 AZD3514 (50-100 mg/kg; p.o. once daily for 3 days) significantly reduces nuclear AR protein in vivo^[2].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Intact or castrat 42- and 49-day-old Hans Wistar rats ^[2]
Dosage:	10, 50 and 100 mg/kg
Administration:	Oral gavage; 10-100 mg/kg once daily; for 6 days
Result:	Inhibited AR signaling in rats, reduced seminal vesicle weight in intact rats, and inhibited the ability of exogenous testosterone propionate to cause an increase in seminal vesicle weight in castrated rat.

Animal Model:	Male Copenhagen rats with Dunning R3327H prostate tumors ^[2]
Dosage:	50 mg/kg
Administration:	Oral gavage; 50 mg/kg once daily; for 30 days

Result:	Significantly inhibited prostate tumor growth of rats.
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CUSTOMER VALIDATION

- Mol Cancer Ther. 2016 Jul;15(7):1702-12.
- Arch Pharm (Weinheim). 2022 Feb 7;e202100467.

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REFERENCES

- [1]. Loddick SA, et al. AZD3514: a small molecule that modulates androgen receptor signaling and function in vitro and in vivo. Mol Cancer Ther. 2013 Sep;12(9):1715-27.
- [2]. Omlin A, et al. AZD3514, an oral selective androgen receptor down-regulator in patients with castration-resistant prostate cancer - results of two parallel first-in-human phase I studies. Invest New Drugs. 2015 Jun;33(3):679-90.
- [3]. 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.

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

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