# AZD3514

**Cat. No.:** HY-16079

**CAS No.:** 1240299-33-5

**Molecular Formula:** $C_{25}H_{32}F_3N_7O_2$

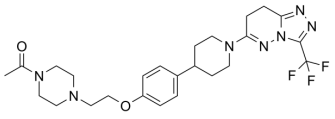
**Molecular Weight:** 519.56

**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.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 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**

1. 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
2. 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
3. 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 activie and selective androgen receptor (AR) inhibitor. AZD3514 androgen-dependently and -independently inhibits AR signal. AZD351 down-regulates nuclear AR levels in human LNCaP prostate cancer cells in the absence of androgen with an $pIC_{50}$ 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 μM/L; 24 h) reduces AR protein expression in LNCaPs and LAPC4s[2].
AZD3514 (1-10 μM/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 μM/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 μM/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 μM/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 μM/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 proprionate 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. |

## CUSTOMER VALIDATION

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

See more customer validations on www.MedChemExpress.com

## 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.



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