UT-155

Cat. No.: HY-112895

CAS No.: 2031161-35-8

Molecular Formula: $C_{20}H_{15}F_4N_3O_2$

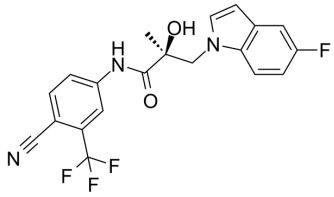
Molecular Weight: 405

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 : ≥ 130 mg/mL (320.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4691 mL	12.3457 mL	24.6914 mL
5 mM	0.4938 mL	2.4691 mL	4.9383 mL
10 mM	0.2469 mL	1.2346 mL	2.4691 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: ≥ 2.17 mg/mL (5.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (5.36 mM); Suspended solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (5.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UT-155 is a selective and potent androgen receptor (AR) antagonist, with a K_i of 267 nM for UT-155 binding to AR-LBD.

IC_{50} & Target

Ki: 267 nM (AR-LBD)[1].

In Vitro

UT-155 binds to the AR-LBD at K_i of 267 nM. UT-155 potently inhibits the R1881-induced wildtype AR transactivation with 6-10-fold higher potency than enzalutamide. While UT-155 antagonizes both wildtype and mutant ARs comparably,

	enzalutamide is weaker by two fold with the W742L mutant AR relative to the wild type AR. Treatment of LNCaP cells with UT-155 inhibits 0.1 nM R1881-induced PSA and FKBP5 gene expression between 10 and 100 nM with 5-10-fold better potency than enzalutamide[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Consistent with the anti-proliferative effects in vitro, UT-155 significantly inhibits the growth of 22RV1 xenograft by 53%, while, as expected, enzalutamide has no effect on the growth of the 22RV1 tumors. Tumor weights and PSA and the expression of AR and AR-SV are significantly lower in UT-155-treated animals[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- bioRxiv. 2020 May.

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REFERENCES

[1]. Ponnusamy S, et al. Novel Selective Agents for the Degradation of Androgen Receptor Variants to Treat Castration-Resistant Prostate Cancer. Cancer Res. 2017 Nov 15;77(22):6282-6298.

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