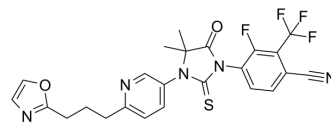


## Proxalutamide

<b>Cat. No.:</b>	HY-103184		
<b>CAS No.:</b>	1398046-21-3		
<b>Molecular Formula:</b>	C <sub>24</sub> H <sub>19</sub> F <sub>4</sub> N <sub>5</sub> O <sub>2</sub> S		
<b>Molecular Weight:</b>	517.5		
<b>Target:</b>	Androgen Receptor; SARS-CoV		
<b>Pathway:</b>	Others; Anti-infection		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 100 mg/mL (193.24 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM		1.9324 mL	9.6618 mL	19.3237 mL
		5 mM		0.3865 mL	1.9324 mL	3.8647 mL
10 mM			0.1932 mL	0.9662 mL	1.9324 mL	
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: 2.5 mg/mL (4.83 mM); Suspended solution; Need ultrasonic</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (4.83 mM); Suspended solution; Need ultrasonic</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.5 mg/mL (4.83 mM); Clear solution</li> </ol>					

### BIOLOGICAL ACTIVITY

<b>Description</b>	Proxalutamide (GT0918) is an orally active potent androgen receptor (AR) antagonist. Proxalutamide (GT0918) can be used in the study for prostate cancer and COVID-19 <sup>[1][2][3][4][5]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	Androgen Receptor <sup>[1]</sup> .
<b>In Vitro</b>	Proxalutamide (GT0918) down-regulates AR protein level in prostate cancer cells <sup>[1]</sup> . Proxalutamide can overcome the resistance of prostatic cancer cells by downregulating the expression of AR genes <sup>[4]</sup> .

Proxalutamide (GT0918, 0-200  $\mu\text{M}$ ) dose-dependently inhibits cell viability in LNCaP and 22RV1<sup>[5]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay<sup>[5]</sup>.

Cell Line:	Four human PCa cell lines, LNCaP, 22RV1, PC3 and DU145.
Concentration:	1, 2, 5, 10, 20, 50, 100, and 200 $\mu\text{M}$ .
Incubation Time:	Up to 72 h.
Result:	Preferentially affected AR-positive PCa cells ( $\text{IC}_{50}$ values from 6.90 to 32.07 $\mu\text{M}$ ) over AR-negative cells ( $\text{IC}_{50} > 200 \mu\text{M}$ ).

#### In Vivo

The elimination half-life ( $t_{1/2}$ ) of proxalutamide in rats is approximately 2 h regardless of whether it is administered by the intragastric or the intravenous route. The maximum plasma concentration of proxalutamide ( $C_{\text{max}}$ ) could reach 2  $\mu\text{g}/\text{mL}$  or higher, and the oral absolute bioavailability (F) was approximately 80%<sup>[4]</sup>.

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

Animal Model:	Rats <sup>[4]</sup> .
Dosage:	20 mg/kg (Pharmacokinetic Analysis).
Administration:	Intragastrically.
Result:	$T_{1/2} = 2 \text{ h}$ and $F\% = 80\%$

## REFERENCES

- [1]. Youzhi Tong, et al. Abstract 614: Proxalutamide (GT0918), a potent androgen receptor pathway inhibitor. Cancer Research. AACR Annual Meeting 2014; April 5-9, 2014; San Diego, CA.
- [2]. Yang M, et al. Microenvironmental pH-modified solid dispersions to enhance the dissolution and bioavailability of poorly water-soluble weakly basic GT0918, a developing anti-prostate cancer drug: preparation, characterization and evaluation in vivo. Int J Pharm. 2014 Nov 20;475(1-2):97-109.
- [3]. Monitoring Editor, et al. Proxalutamide Significantly Accelerates Viral Clearance and Reduces Time to Clinical Remission in Patients with Mild to Moderate COVID-19: Results from a Randomized, Double-Blinded, Placebo-Controlled Trial. Cureus. 2021 Feb; 13(2): e13492.
- [4]. Hua Sang, et al. Quantitative determination of proxalutamide in rat plasma and tissues using liquid chromatography/tandem mass spectrometry. Rapid Commun Mass Spectrom. 2021 Feb 15;35(3):e9003.
- [5]. Feng Qu, et al. Metabolomic profiling to evaluate the efficacy of proxalutamide, a novel androgen receptor antagonist, in prostate cancer cells. Invest New Drugs. 2020 Oct;38(5):1292-1302.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA