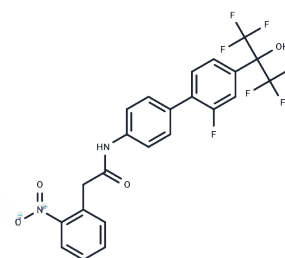


XY018

## Chemical Properties

CAS No. : 1873358-87-2  
 Formula: C<sub>23</sub>H<sub>15</sub>F<sub>7</sub>N<sub>2</sub>O<sub>4</sub>  
 Molecular Weight: 516.37  
 Storage: Store at low temperature  
 Powder: -20°C for 3 years | In solvent: -80°C for 1 year  
 Actual storage temperature shall be subject to the COA.



## Biological Description

Description	XY018 is an orally available, selective and highly effective ROR- $\gamma$ antagonist with antitumor activity, inhibits ROR- $\gamma$ activity, inhibits tumor cell growth, and can be used to study drug-resistant prostate cancer.
Targets(IC50)	ROR
In vitro	<b>METHODS:</b> C4-2B cells were treated with XY018 (0.07, 0.15, 0.31, 0.62, 1.25, 2.5, 5, 10 $\mu$ M for 4 days), the cell titer GLO reagent was added, and cell viability was measured by luminescence on a GLOMAX microplate luminometer according to the manufacturer's instructions. <b>RESULTS:</b> XY018 inhibited the growth and survival of C4-2B cells. [1]
In vivo	<b>METHODS:</b> XY018 (5 mg/kg, intraperitoneal injection, 5 times a week for 23 days) was used to treat xenograft tumor model mice generated using PCa cell lines with different characteristics (such as C4-2B expressing AR with mutant LBD, VCaP and AR-V7 with amplified AR genes, 22Rv1 expressing high levels of multiple AR variants, and AR-negative PC3), and tumor growth in mice was observed. <b>RESULTS:</b> XY018 inhibited CRPC tumor growth in mice. [1] <b>METHODS:</b> XY018 (10 mg/kg, oral; 2 mg/kg, intravenous) was used to treat SD rats and its pharmacokinetic characteristics were determined. <b>RESULTS:</b> XY018 showed good pharmacokinetic characteristics in rats, with the same bioavailability of 19%, and an intravenous half-life of 7.67 hours. [2]

## Solubility Information

Solubility	DMSO: 80 mg/mL (154.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	1.9366 mL	9.683 mL	19.366 mL
5 mM	0.3873 mL	1.9366 mL	3.8732 mL
10 mM	0.1937 mL	0.9683 mL	1.9366 mL
50 mM	0.0387 mL	0.1937 mL	0.3873 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Wang et al (2016) ROR- $\gamma$  drives androgen receptor expression and represents a therapeutic target in castration-resistant prostate cancer. Nat.Med. 22 488 PMID: 27019329

Zhang Y, et al. Discovery and Characterization of XY101, a Potent, Selective, and Orally Bioavailable ROR $\gamma$  Inverse Agonist for Treatment of Castration-Resistant Prostate Cancer. J Med Chem. 2019 May 9;62(9):4716-4730.

**Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins**

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