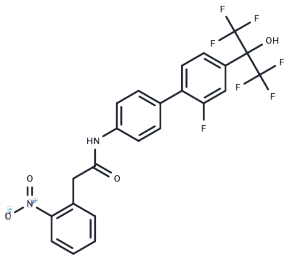
# Data Sheet (Cat.No.T24213)

TargetMol

XY018

## Chemical Properties



CAS No. : 1873358-87-2

Formula: C23H15F7N2O4

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

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|---|---|
| Description | XY018 is an orally available, selective and highly effective ROR-γ antagonist with antitumor activity, inhibits ROR-γ activity, inhibits tumor cell growth, and can be used to study drug-resistant prostate cancer. |
| Targets(IC50) | ROR |
| In vitro | **METHODS**: C4-2B cells were treated with XY018 (0.07, 0.15, 0.31, 0.62, 1.25, 2.5, 5, 10 μM 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.<br>**RESULTS**:XY018 inhibited the growth and survival of C4-2B cells. [1] |
| In vivo | **METHODS**: 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.<br>**RESULTS**:XY018 inhibited CRPC tumor growth in mice. [1]<br>**METHODS**: XY018 (10 mg/kg, oral; 2 mg/kg, intravenous) was used to treat SD rats and its pharmacokinetic characteristics were determined.<br>**RESULTS**: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.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 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 |

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-γ 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 RORγ Inverse Agonist for Treatment of Castration-Resistant Prostate Cancer. J Med Chem. 2019 May 9;62(9):4716-4730.