Screening Libraries

Product Data Sheet

Ketodarolutamide

Cat. No.: HY-19337 CAS No.: 1297537-33-7 Molecular Formula: $\mathsf{C}_{19}\mathsf{H}_{17}\mathsf{CIN}_{6}\mathsf{O}_{2}$

Molecular Weight: 396.83

Target: Androgen Receptor

Pathway: Vitamin D Related/Nuclear Receptor

Storage: Powder

> 4°C 2 years

3 years

-80°C In solvent 2 years

-20°C

-20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (252.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.5200 mL	12.5999 mL	25.1997 mL
	5 mM	0.5040 mL	2.5200 mL	5.0399 mL
	10 mM	0.2520 mL	1.2600 mL	2.5200 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 (6.30 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (6.30 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ketodarolutamide (ORM-15341) is a potent and full antagonist for human AR (hAR) with IC50 values of 38 nM as shown by transactivation assays in AR-HEK293 cells stably expressing full-length hAR and an androgen-responsive luciferase reporter gene construct.IC50 value: 38 nMTarget: androgen receptorin vitro: In competitive AR binding assays, the inhibition constant (Ki) values of Ketodarolutamide (ORM-15341) was 8 nM. Ketodarolutamide (ORM-15341) functions as a full antagonist for all tested mutant ARs, with IC50 of 25, 51, 700, and 1160 nM for wtAR, AR(F876L), AR(T877A), and AR(W741L).

CUSTOMER VALIDATION

• Int J Cancer. 2024 Mar 16.

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REFERENCES

- [1]. Moilanen AM, et al. Discovery of ODM-201, a new-generation androgen receptor inhibitor targeting resistance mechanisms to androgen signaling-directed prostate cancer therapies. Sci Rep. 2015 Jul 3;5:12007. doi: 10.1038/srep12007.
- [2]. Toermaekangas Olli, et al. Preparation of heteroaryl carboxamides as androgen receptor modulators. From PCT Int. Appl. (2012), WO 2012143599 A1 20121026.

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

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