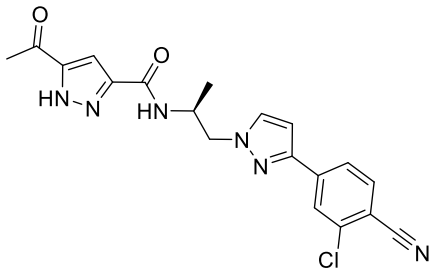
Product data sheet

MedKoo Cat#: 555933 Name: Ketodarolutamide CAS#: 1297537-33-7 Chemical Formula: $C_{19}H_{17}ClN_6O_2$ Exact Mass: 396.1102 Molecular Weight: 396.835	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Ketodarolutamide., also known as ORM-15341 and BAY-1896953, is a potent and full antagonist for human AR (hAR) with IC50 = 38 nM. Ketodarolutamide is a nonsteroidal antiandrogen (NSAA) and the major active metabolite of darolutamide (ODM-201, BAY-1841788), an NSAA which is used in the treatment of prostate cancer in men. Similarly to its parent compound, darolutamide acts as a highly selective, high-affinity, competitive silent antagonist of the androgen receptor (AR). Both agents show much higher affinity and more potent inhibition of the AR relative to the other NSAAs enzalutamide and apalutamide, although they also possess much shorter and comparatively less favorable elimination half-lives.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100.0	252.0

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.52 mL	12.60 mL	25.20 mL
5 mM	0.50 mL	2.52 mL	5.04 mL
10 mM	0.25 mL	1.26 mL	2.52 mL
50 mM	0.05 mL	0.25 mL	0.50 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Sugawara T, Baumgart SJ, Nevedomskaya E, Reichert K, Steuber H, Lejeune P, Mumberg D, Haendler B. Darolutamide is a potent androgen receptor antagonist with strong efficacy in prostate cancer models. Int J Cancer. 2019 Sep 1;145(5):1382-1394. doi: 10.1002/ijc.32242. Epub 2019 Mar 23. PMID: 30828788; PMCID: PMC6766977.

In vivo study

1. Taavitsainen P, Gieschen H, Korjamo T, Kähkönen M, Malmström C, Prien O, Niehues M, Sandmann S, Janssen W, Koskinen M. Absorption, distribution, metabolism and excretion of darolutamide (a novel non-steroidal androgen receptor antagonist) in rats. Xenobiotica. 2020 Aug;50(8):967-979. doi: 10.1080/00498254.2020.1723038. Epub 2020 Feb 6. PMID: 32003293.

7. Bioactivity

Biological target:

Ketodarolutamide, also known as ORM-15341 and BAY-1896953, is a potent and full antagonist for human AR (hAR) with IC50 = 38 nM.

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In vitro activity

The antagonistic properties of the different compounds in a cell - based transactivation assay using an MMT - driven luciferase reporter were determined. For darolutamide, the (S,R) - and (S,S) - diastereomers, and the main in vivo metabolite keto - darolutamide, a strong antagonistic activity against AR wild type, when stimulating with 1 nM R1881, was found. This was reduced but still significantly better than observed for other AR antagonists when increasing the androgen level used for stimulation to 10 nM. Strong antagonism was also measured for darolutamide, its diastereomers and for keto - darolutamide when testing the W742C and W742L forms.

Reference: Int J Cancer. 2019 Sep 1;145(5):1382-1394. https://pubmed.ncbi.nlm.nih.gov/30828788/

In vivo activity

The absorption, distribution, metabolism and excretion properties of darolutamide in rats are reported. Keto-darolutamide was the most abundant metabolite in rat hepatocytes and the only major one in plasma. Interconversion between diastereoisomers was observed.

Reference: Xenobiotica. 2020 Aug;50(8):967-979. https://pubmed.ncbi.nlm.nih.gov/32003293/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.