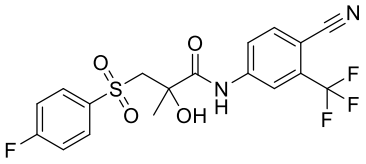
Product data sheet

MedKoo Cat#: 100084 Name: Bicalutamide CAS#: 90357-06-5 Chemical Formula: $C_{18}H_{14}F_4N_2O_4S$ Exact Mass: 430.06104 Molecular Weight: 430.37	
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:
Bicalutamide is a synthetic, nonsteroidal antiandrogen. Bicalutamide competitively binds to cytosolic androgen receptors in target tissues, thereby inhibiting the receptor binding of androgens. This agent does not bind to most mutated forms of androgen receptors.

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	43.0	100.0

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.32 mL	11.62 mL	23.24 mL
5 mM	0.46 mL	2.32 mL	4.65 mL
10 mM	0.23 mL	1.16 mL	2.32 mL
50 mM	0.05 mL	0.23 mL	0.46 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. Chen KC, Chen CR, Chen CY, Tzou KY, Peng CC, Peng RY. Bicalutamide Elicits Renal Damage by Causing Mitochondrial Dysfunction via ROS Damage and Upregulation of HIF-1. Int J Mol Sci. 2020 May 11;21(9):3400. doi: 10.3390/ijms21093400. PMID: 32403414; PMCID: PMC7247665.
2. Bouchal J, Baumforth KR, Sváchová M, Murray PG, von Angerer E, Kolár Z. Microarray analysis of bicalutamide action on telomerase activity, p53 pathway and viability of prostate carcinoma cell lines. J Pharm Pharmacol. 2005 Jan;57(1):83-92. doi: 10.1211/0022357055164. PMID: 15638997.

In vivo study

1. Browne G, Nesbitt H, Ming L, Stein GS, Lian JB, McKeown SR, Worthington J. Bicalutamide-induced hypoxia potentiates RUNX2-mediated Bcl-2 expression resulting in apoptosis resistance. Br J Cancer. 2012 Nov 6;107(10):1714-21. doi: 10.1038/bjc.2012.455. Epub 2012 Oct 16. PMID: 23073173; PMCID: PMC3493869.
2. Yoshida T, Kinoshita H, Segawa T, Nakamura E, Inoue T, Shimizu Y, Kamoto T, Ogawa O. Antiandrogen bicalutamide promotes tumor growth in a novel androgen-dependent prostate cancer xenograft model derived from a bicalutamide-treated patient. Cancer Res. 2005 Nov 1;65(21):9611-6. doi: 10.1158/0008-5472.CAN-05-0817. PMID: 16266977.

7. Bioactivity
Biological target:

Product data sheet

Bicalutamide is an orally active non-steroidal androgen receptor (AR) antagonist.

In vitro activity

Maximal decrease of telomerase activity was observed after 3 days of treatment by bicalutamide in LNCaPcells. Telomerase was not inhibited in LNCaP cells after 1 day of treatment and in DU145 cells after 1 or 3 days of treatment (Figure 3). The list of telomerase-related gene responses after bicalutamide treatment is presented in Table 2 (see Materials and Methods for design of microarray experiments). Moreover, in LNCaP cells this study found a decrease of TERT after both 1 day (8.5 and 5.6 fold) and 3 days (29.4 fold) of bicalutamide treatment. The expression of TERT was not changed in DU145 cells. The decrease of telomerase activity in LNCaP cells was also accompanied by a decrease in the mRNA level of MYC, androgen receptor, dyskerin and chaperone proteins HSP90, p23 and Hsp70Hsp90-organizing protein (Table 2).

Reference: J Pharm Pharmacol. 2005 Jan;57(1):83-92. https://pubmed.ncbi.nlm.nih.gov/15638997/

In vivo activity

Administration of bicalutamide or vehicle to mice bearing KUCaP was started 1 week before the castration and continued for 3 more weeks until the sacrifice. Although KUCaP treated with vehicle regressed after castration, KUCaP treated with bicalutamide continued to grow even after castration (Fig. 4B). In castrated mice treated with vehicle, PSA level was <0.2 ng/mL in all animals. However, serum PSA level in castrated mice treated with bicalutamide did not decrease—93.5 ± 30.7 ng/mL (mean ± SD)—but is even higher than PSA levels in mice without hormonal manipulation (Fig. 4C). These results suggested that antiandrogen bicalutamide had an agonistic activity to W741C mutant AR in vivo. Bicalutamide-treated KUCaP in castrated mice had very similar histology to KUCaP in male mice without hormonal manipulation, suggesting that bicalutamide functioned as a substitution of testicular androgen even after castration in KUCaP (Fig. 4D-F).

Reference: Cancer Res. 2005 Nov 1;65(21):9611-6. https://cancerres.aacrjournals.org/content/65/21/9611.long

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.