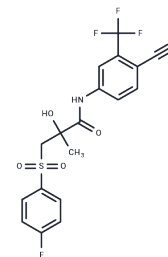


## Bicalutamide

## Chemical Properties

CAS No. :	90357-06-5
Formula:	C <sub>18</sub> H <sub>14</sub> F <sub>4</sub> N <sub>2</sub> O <sub>4</sub> S
Molecular Weight:	430.37
Storage:	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	Bicalutamide (ICI-176334), a synthetic, nonsteroidal antiandrogen, competitively binds to cytosolic androgen receptors in target tissues, thereby inhibiting the receptor binding of androgens.
Targets(IC50)	Androgen Receptor, Autophagy
In vivo	Single bicalutamide reduces tumor growth by 79%, at defined submaximal doses. The ridaforolimus-bicalutamide combination exhibits improved and potent antitumor activity, almost completely abrogating tumor growth. The combination is also well tolerated, as evidenced by no significant changes in body weight over the course of treatment. Plasma PSA levels are again tightly linked to tumor growth in the combination-treated mice. [3]
Kinase Assay	Whole-cell competitive binding assays: Whole-cell competitive binding assays are performed in LNCaP/AR(codon-switch) (LNCaP/AR(cs)) (harbors a mixture of exogenous wild-type AR and endogenous mutant AR (T877A)) and cells propagated in Iscove's or RPMI media supplemented with 10% fetal bovine serum, or during the assay with 10% charcoal-stripped, dextran-treated fetal bovine serum (CSS). Cells are pre-incubated with 18F-FDHT, increasing concentrations (1pM to 1 μM) of cold Bicalutamide are added, and the assay is performed to measure specific uptake of 18F-FDHT (4). IC50 values are determined using a one site binding model with least squares curve fitting and R <sup>2</sup> > 0.99.
Cell Research	Exponentially growing C4-2 cells are plated into two 96-well plates and incubated overnight at 37 °C. Twenty-four hours later one plate is aspirated and stored at -80 °C and the other treated with 10-fold serial concentrations of ridaforolimus (1000 nM to 0.0001 nM) or vehicle (ethanol). Following 72 hours culture at 37 °C, the plates are assessed simultaneously for cell growth using the Cy QUANT Cell Proliferation Assay kit. Bicalutamide and Ridaforolimus combination proliferation assays are performed similarly except cell growth is determined as the change in cell number between vehicle control and compound treated cells after 72 hours in culture.(Only for Reference)

## Solubility Information

Solubility	DMSO: 250 mg/mL (580.9 mM), Sonication is recommended. Ethanol: 4.31 mg/mL (10.01 mM), Sonication is recommended.
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## A DRUG SCREENING EXPERT

Solubility	(< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.65 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3236 mL	11.6179 mL	23.2358 mL
5 mM	0.4647 mL	2.3236 mL	4.6472 mL
10 mM	0.2324 mL	1.1618 mL	2.3236 mL
50 mM	0.0465 mL	0.2324 mL	0.4647 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

- Clegg NJ, et al. Cancer Res. 2012, 72(6), 1494-1503.
- Colquhoun AJ, et al. Prostate Cancer Prostatic Dis. 2012.
- Squillace RM, et al. Int J Oncol. 2012.

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