

Product Data Sheet

Product Name: Abiraterone

Cat. No.: GC17645

Chemical Properties

Cas. No. 154229-19-3

Chemical Name (3S,8R,9S,10R,13S,14S)-10,13-dimethyl-17-pyridin-3-yl-2,3,4,7,8,9,11,12,14,15-decahydro-1H-cyclopenta[a]phenanthren-3-ol

SMILES CC12CCC(CC1=CCC3C2CCC4(C3CC=C4C5=CN=CC=C5)C)O

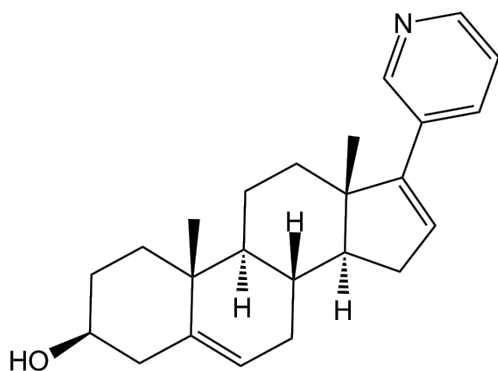
Formula $C_{24}H_{31}NO$ M.Wt 349.52

Solubility 15 mg/ml in DMF; 1 mg/ml in DMSO; 15 mg/ml in Ethanol Storage Store at -20°C; Argon filled and protected from light

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

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

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Cell experiment [1]:

Cell lines C4-2 cells

C4-2 cells were plated in 96- or 384-well plates at a density of 4000 or 1000 cells per well in 95µl or 22.5µl of medium, respectively. On the following day, drugs were added to the wells after being diluted in 5µl or 2.5µl of medium (for 96- or 384-well plates, respectively). The concentrations of Abiraterone were 0, 1, 5, 10 and 20µM, and those of BI2536 (Plk1 inhibitor) were 0, 1, 10 and 50µM. A constant amount of DMSO vehicle was maintained in all wells. After 72h of incubation, cell viability relative to the control was measured using CellTiter-Preparation Method Glo™ according to the manufacturer's recommendations. Luminescence in individual wells in plates with opaque walls was measured using the Infinite™ M200 Pro plate reader. Relative viability was calculated by dividing the luminescent signal from each well by that measured in the vehicle-control well on an individual replicate basis. For experiments examining cell number and relative confluence, drug-treated cells were washed with PBS and fixed with 4% formaldehyde for 1h, stained with 1µM SYTO™ 60, and imaged on the Odyssey™ CLx scanner.

Reaction
Conditions 0, 1, 5, 10 and 20µM; 72h

Applications The antiandrogen Abiraterone (0, 1, 5, 10 and 20µM; 72h) in combination with inhibitors of Polo-like kinase 1 synergistically kills CRPC cells.

Animal experiment [2]:

Animal models NOD.CB17-Prkdcscid/NCrCrl mice (8-week-old) and C57BL/6 mice (8-week-old)

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Preparation Method	On Day 0, 5×10^5 GBM cells were collected in 5 μ l of medium and implanted into the mouse brain using a stereotactic instrument and micro-injector. Starting on Day 6, the mice were divided into three groups: untreated control group, Abiraterone-only group (10mg/kg), and Abiraterone (10mg/kg) + Progesterone combination group. The drugs were administered via intraperitoneal injection (once every three days) until the death of the mice. For IVIS imaging, mice were injected intraperitoneally with 200 μ l of luciferin (15mg/ml) and then imaged using the IVIS Lumina III XRMS.
Dosage form	10mg/kg; once every three days; i.p.
Applications	In an orthotopic brain tumor mouse model, co-administration of Abiraterone (10mg/kg; once every three days; i.p.) and Progesterone significantly curtailed the progression of implanted U87MG-R-luc GBM tumors.

References:

- [1] Patterson JC, Varkaris A, Croucher PJP, et al. Plk1 Inhibitors and Abiraterone Synergistically Disrupt Mitosis and Kill Cancer Cells of Disparate Origin Independently of Androgen Receptor Signaling. *Cancer Res.* 2023 Jan 18;83(2):219-238.
- [2] Chen HC, Lin HY, Chiang YH, et al. Progesterone boosts abiraterone-driven target and NK cell therapies against glioblastoma. *J Exp Clin Cancer Res.* 2024 Aug 6;43(1):218.

Background

Abiraterone, a CYP17A1 inhibitor approved by the FDA, has been approved for the treatment of castration-resistant prostate cancer (CRPC)[1].

In vitro, Abiraterone (20 or 40 μ M; 72h) treatment significantly reduced mitochondrial respiration in T98G and U87MG-R cells[2]. The antiandrogen Abiraterone (0, 1, 5, 10 and 20 μ M; 72h) in combination with inhibitors of Polo-like kinase 1 synergistically kills CRPC cells[3].

In vivo, In an orthotopic brain tumor mouse model, co-administration of Abiraterone (10mg/kg; once every three days; i.p.) and Progesterone significantly curtailed the progression of implanted U87MG-

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R-luc GBM tumors^[2]. The use of the small-molecule inhibitor Abiraterone (10mg/kg; 20 days; i.p.) to reduce testosterone synthesis significantly enhanced the antitumor activity of T cells in male mice and improved the efficacy of anti-PD-1 immunotherapy^[4].

References:

- [1] Lu D, Song Y, Yu Y, et al. KAT2A-mediated AR translocation into nucleus promotes abiraterone-resistance in castration-resistant prostate cancer. *Cell Death Dis.* 2021 Aug 12;12(8):787.
- [2] Chen HC, Lin HY, Chiang YH, et al. Progesterone boosts abiraterone-driven target and NK cell therapies against glioblastoma. *J Exp Clin Cancer Res.* 2024 Aug 6;43(1):218.
- [3] Patterson JC, Varkaris A, Croucher PJP, et al. Plk1 Inhibitors and Abiraterone Synergistically Disrupt Mitosis and Kill Cancer Cells of Disparate Origin Independently of Androgen Receptor Signaling. *Cancer Res.* 2023 Jan 18;83(2):219-238.
- [4] Zhang X, Cheng L, Gao C, et al. Androgen Signaling Contributes to Sex Differences in Cancer by Inhibiting NF- κ B Activation in T Cells and Suppressing Antitumor Immunity. *Cancer Res.* 2023 Mar 15;83(6):906-921.

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