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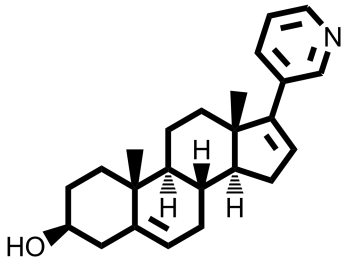
CYP17 inhibitor Abiraterone (CB-7598)

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



Molecular Weight:	349.51
Formula:	$C_{24}H_{31}NO$
Purity:	≥98%
CAS#:	154229-19-3
Solubility:	DMSO up to 20mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

Abiraterone is the first-in-class potent and selective CYP17 (cytochrome P450 17alpha-hydroxylase-17,20-lyase) inhibitor with IC_{50} ~4 nM. It was approved by US FDA in 2011 to treat castration-resistant prostate cancer. As prostate cancer cells proliferate in response to androgen steroids, CYP17 inhibition is a new strategy to prevent androgen synthesis and treat lethal metastatic prostate cancer. In recent Nature publication, the structures of cytochrome P450 17A1 with abiraterone was released. A phase I/II clinical trial evaluating abiraterone in advanced breast cancer patients is also underway.

How to Use:

In vitro: Abiraterone is typically used at 1 μM concentration in vitro.

In vivo: Abiraterone acetate (pro-drug) was dosed to mice and rats at 50 mg/kg orally once per day.

Reference:

1. Jarman M, et al. The 16,17-double bond is needed for irreversible inhibition of human cytochrome p45017alpha by abiraterone (17-(3-pyridyl)androsta-5, 16-dien-3beta-ol) and related steroidal inhibitors. (1998) J Med Chem. 41(27):5375-81.
2. Attard G et al. Phase I clinical trial of a selective inhibitor of CYP17, abiraterone acetate, confirms that castration-resistant prostate cancer commonly remains hormone driven. (2008) J Clin Oncol. 26(28):4563-71.
3. Attard G et al. Selective inhibition of CYP17 with abiraterone acetate is highly active in the treatment of castration-resistant prostate cancer. (2009) J Clin Oncol. 27(23):3742-8.
4. de Bono JS, et al. Abiraterone and increased survival in metastatic prostate cancer. (2011) N Engl J Med. 364(21):1995-2005.
5. DeVore NM, et al. Structures of cytochrome P450 17A1 with prostate cancer drugs abiraterone and TOK-001. (2012) Nature. 482(7383):116-9.