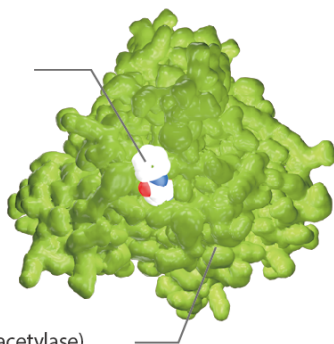


# Aromatase

HDAC Inhibitor:  
Vorinostat (SAHA)



HDAC (Histone deacetylase)

Aromatase (also known as CYP19) is a member of the general class of cytochrome P450 enzymes. It catalyzes the conversion of androgens to estrogens, which is a crucial step in the biosynthesis of estrogens in the human body.

Aromatase enzyme is expressed in ovarian granulosa cells, placental syncytiotrophoblast, adipose tissue, brain, and skin fibroblasts. The primary sources of aromatase are ovarian granulosa cells in premenopausal women and adipose cells in postmenopausal women. Aromatase inhibitors suppress oestrogen synthesis in the ovaries and in peripheral tissues, starting from the next day after dosing. They work by inhibiting the action of aromatase, which converts androgens

into oestrogens (testosterone into estradiol and androstenedione into oestrone). There are three generations of aromatase inhibitors (AIs). Aminoglutethimide is a first-generation aromatase inhibitor. The second-generation inhibitors include Fadrozole and Formestane. Anastrozole, Letrozole, and Exemestane are third-generation inhibitors. Aromatase is encoded by the *cyp19a1* gene, belongs to a particular reticulum-bound cytochrome P450 superfamily and forms an electron-transfer complex with its partner, NADPH-cytochrome P450 reductase (CPR).

## Aromatase Inhibitors & Modulators

### Anastrozole

(ZD1033)

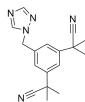
Cat. No.: HY-14274

**Bioactivity:** Anastrozole is a potent, highly selective **aromatase** inhibitor, which inhibits human placental aromatase with an **IC<sub>50</sub>** of 15 nM.

**Purity:** 99.93%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO,  
10 mg, 50 mg, 100 mg, 200 mg, 500 mg



### Endoxifen

Cat. No.: HY-18719E

**Bioactivity:** Endoxifen is a key active metabolite of tamoxifen (TAM) with higher affinity and specificity to **estrogen receptor** that also inhibits aromatase activity.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10 mg, 50 mg, 100 mg



### Exemestane

(FCE 24304; EXE)

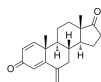
Cat. No.: HY-13632

**Bioactivity:** Exemestane(FCE 24304) is an aromatase inhibitor, inhibits human placental and rat ovarian aromatase with IC50 of 30 nM and 40 nM, respectively.

**Purity:** 97.77%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO,  
10 mg, 50 mg, 100 mg



### Fadrozole

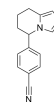
Cat. No.: HY-14247A

**Bioactivity:** Fadrozole is a potent, selective and nonsteroidal inhibitor of **aromatase** with an **IC<sub>50</sub>** of 6.4 nM.

**Purity:** 99.78%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO,  
5 mg, 10 mg, 25 mg, 50 mg, 100 mg



### Fadrozole hydrochloride

(CGS 16949A)

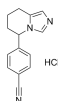
Cat. No.: HY-14247

**Bioactivity:** Fadrozole hydrochloride is a potent, selective and nonsteroidal inhibitor of **aromatase** with an **IC<sub>50</sub>** of 6.4 nM.

**Purity:** 99.64%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO,  
5 mg, 10 mg, 25 mg, 50 mg, 100 mg



### Letrozole

(CGS 20267)

Cat. No.: HY-14248

**Bioactivity:** Letrozole is an **aromatase** inhibitor with an **IC<sub>50</sub>** of 1-13 nM.

**Purity:** 99.91%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO,  
100 mg, 200 mg, 500 mg

