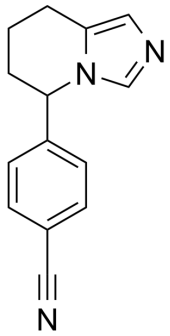
Fadrozole



Cat. No.:	HY-14247A
CAS No.:	102676-47-1
Molecular Formula:	$C_{14}H_{13}N_3$
Molecular Weight:	223.27
Target:	Cytochrome P450
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (447.89 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	4.4789 mL	22.3944 mL	44.7888 mL
	5 mM	0.8958 mL	4.4789 mL	8.9578 mL
	10 mM	0.4479 mL	2.2394 mL	4.4789 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.17 mg/mL (9.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (9.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (9.72 mM); Clear solution
4. Add each solvent one by one: 2% DMSO >> 98% corn oil
   Solubility: 1.96 mg/mL (8.78 mM); Clear solution; Need ultrasonic
5. Add each solvent one by one: 5% DMSO >> 95% corn oil
   Solubility: 1 mg/mL (4.48 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Fadrozole (CGS 16949A free base) is a potent, selective and nonsteroidal inhibitor of aromatase with an IC_{50} of 6.4 nM.

IC_{50} & Target	Aromatase
In Vitro	Fadrozole hydrochloride is a very potent inhibitor of both human placental and rat ovarian aromatase. In hamster ovarian slices, fadrozole hydrochloride inhibits the production of estrogen with an IC_{50} of 0.03 μM. The production of progesterone is inhibited with an IC_{50} of 120 μM. Synthesis of other cytochrome P-450 dependent steroids can be suppressed to various degrees with higher doses of fadrozole hydrochloride. [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Fadrozole hydrochloride is able to inhibit the aromatase-mediated androstenedione-induced uterine hypertrophy in immature female rats with an ED_{50} of 0.03 mg/kg when given orally. In the same model, aminoglutethimide elicits the same effect with an ED_{50} of 30 mg/kg when given orally[1]. Fadrozole hydrochloride prevents the development of both benign and malignant spontaneus mammary neoplasns in female Sprague-Dawley rats. It also slows the spontaneous development of ptuitary pars dta mas in female rats, and reduces the of spontaneous hcu ar tumours in male and female rats[2]. Administration of fadrozole in male and female mice suppresses the production of 17b-estradiol, accompanied with a 70% reduction in parasite burden. This protective effect is associated in male mice with a recovery of the specific cellular immune response. Interleukin-6 (IL-6) serum levels, and its production by splenocytes, is augmented by 80%, together with a 10-fold increase in its expression in testes of infected male mice. Fadrozole treatment returns these levels to baseline values[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2][3]	Rats: Rats are treated with daily dosing with fadrozole hydrochloride (CGS 16949A) in purified water by gavage for 2 years. There are 60 rats in each of four groups given 0, 0.05, 0.25 or 1.25 mg/kg daily. Control rats receive only water. Clinical signs are recorded weekly and the animals are examine for palpable masses every 4 weeks for the first 9 months, then every 2 weeks for the remainder of the study[2]. Mice: Fadrozole is administered in the form of sub-dermal long-term release pellets (20 mg/wt kg, in three-week-release pellets), starting 1 week prior to the infection, using a 10-gauge needle. Three pellets are administrated during the study. Placebo pellets are administered to another group of infected mice, in the same fashion as the inhibitor. After 1 week, mice are infected and killed 8 weeks later[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Ecotoxicol Environ Saf. 2021 Apr 1;212:111991.

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REFERENCES

[1]. Browne LJ, et al. Fadrozole hydrochloride: a potent, selective, nonsteroidal inhibitor of aromatase for the treatment of estrogen-dependent disease. J Med Chem. 1991 Feb;34(2):725-36.

[2]. Gunson DE, et al. Prevention of spontaneous tumours in female rats by fadrozole hydrochloride, an aromatase inhibitor. Br J Cancer. 1995 Jul;72(1):72-5.

[3]. Morales-Montor J, et al. Inhibition of p-450 aromatase prevents feminisation and induces protection during cysticercosis. Int J Parasitol. 2002 Oct;32(11):1379-87.

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

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