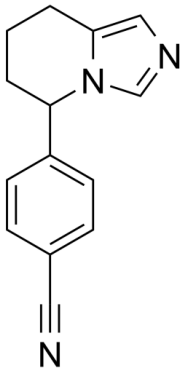
Data Sheet

Product Name:	Fadrozole
Cat. No.:	CS-7759
CAS No.:	102676-47-1
Molecular Formula:	$C_{14}H_{13}N_3$
Molecular Weight:	223.27
Target:	Aromatase
Pathway:	Others
Solubility:	DMSO : ≥ 100 mg/mL (447.89 mM)



BIOLOGICAL ACTIVITY:

Fadrozole (CGS 16949A free base) is a potent, selective and nonsteroidal inhibitor of **aromatase** with an **IC_{50}** of 6.4 nM. IC50 & Target: IC50: 6.4 nM (aromatase)[1] **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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Fadrozole hydrochloride is prepared in water[2].[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].

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.

CAIndexNames:

Benzonitrile, 4-(5,6,7,8-tetrahydroimidazo[1,5-a]pyridin-5-yl)-

SMILES:

N#CC1=CC=C(C2CCCC3=CN=CN23)C=C1

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