BIOLOGICAL ACTIVITY:

Fadrozole is a potent, selective and nonsteroidal inhibitor of aromatase with an IC\textsubscript{50} of 6.4 nM.

IC\textsubscript{50} & Target: IC\textsubscript{50}: 6.4 nM (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\textsubscript{50} of 0.03 μM. The production of progesterone is inhibited with an IC\textsubscript{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\textsubscript{50} of 0.03 mg/kg when given orally. In the same model, aminoglutethimide elicits the same effect with an ED\textsubscript{50} of 30 mg/kg when given orally. \[1\]. Fadrozole hydrochloride prevents the development of both benign and malignant spontaneous mammary neoplasms in female Sprague–Dawley rats. It also slows the spontaneous development of pituitary pars distalis in female rats, and reduces the incidence of spontaneous mammary tumors in male and female rats. Administration of fadrozole in male and female mice suppresses the production of 17β–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. \[2\] [\[2\]\[3\]] Rat: 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. \[2\].

Mouse: 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 administrated 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:


Caution: Product has not been fully validated for medical applications. For research use only.
Tel: 609-228-6898   Fax: 609-228-5909   E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA