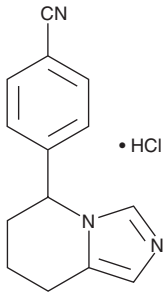
PRODUCT INFORMATION

Fadrozole (hydrochloride)

Item No. 24272

CAS Registry No.:	102676-31-3
Formal Name:	4-(5,6,7,8-tetrahydroimidazo[1,5-a]pyridin-5-yl)-benzonitrile, monohydrochloride
Synonym:	CGS 16949A
MF:	$C_{14}H_{13}N_3 \bullet HCl$
FW:	259.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 229 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Fadrozole (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the fadrozole (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Fadrozole (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of fadrozole (hydrochloride) in these solvents is approximately 2, 10, and 5 mg/ml, respectively.

Fadrozole (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, fadrozole (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Fadrozole (hydrochloride) has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Fadrozole is a non-steroidal aromatase inhibitor (IC_{50}s = 5 and 1.4 nM for human placental and rat ovarian microsomal aromatase, respectively).[1,2] It selectively inhibits estrogen over progesterone production induced by luteinizing hormone (LH) in hamster ovarian tissue as well as corticosterone and aldosterone production induced by adrenocorticotropic hormone (ACTH; Item No. 24257) in rat adrenal tissue (IC_{50}s = 0.03, 160, 100, and 1 µM, respectively).[1] It also decreases ovarian estrogen levels in rats when administered at a dose of 0.26 mg/kg.[2] Fadrozole inhibits conversion of cholesterol to 27-hydroxycholesterol by the cytochrome P450 (CYP) isomer 27A1 (K_i = 4.6 µM).[3] It inhibits growth of androstenedione-stimulated mammary D2 cells implanted into thoracic mammary fat pads of mice by 95% when administered at a dose of 0.5 mg per animal per day.[4]

References

1. Bhatnagar, A.S., Häusler, A., Schieweck, K., *et al. J. Steroid Biochem. Mol. Biol.* **37(6)**, 1021-1027 (1990).
2. Steele, R.E., Mellor, L.B., Sawyer, W.K., *et al. Steroids* **50(1-3)**, 147-161 (1987).
3. Mast, N., Lin, J.B., and Pikuleva, I.A. *Mol. Pharmacol.* **88(3)**, 428-436 (2015).
4. Tekmal, R.R. and Durgam, V.R. *Cancer Lett.* **118(1)**, 21-28 (1997).

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