Atipamezole Hydrochloride
Sterile Injection

Description

Atipamezole hydrochloride is an alpha-two (α₂) antagonist for use in reversing the effects of alpha-two agonists such as xylazine hydrochloride, medetomidine hydrochloride and dexmedetomidine sedation. Atipamezole hydrochloride was approved [1996, Pfizer Animal Health] under the trade name Antiseden.

Indications

Atipamezole hydrochloride is uniquely effective for reversal of alpha-two sedation in nondomestic hoofstock and most other wild and exotic species. The ability of yohimbine hydrochloride or tolazoline hydrochloride to effectively reverse alpha-two sedation by xylazine hydrochloride or the more specific binding medetomidine hydrochloride and dexmedetomidine varies among species. Species such as blackbuck and argali sedated with xylazine hydrochloride are difficult to reverse with yohimbine hydrochloride or tolazoline hydrochloride but reverse rapidly with atipamezole hydrochloride. Similar difficulties occur with hand reared and/or imprinted hoofstock that do not respond favorably to reversal of α₂'s with yohimbine hydrochloride or tolazoline hydrochloride. These animals usually can be reversed with atipamezole hydrochloride.

Chemistry & Pharmacology

Atipamezole is 4-[2-Ethyl-1,3-dihydroinden-2-yl]-3Himidazole with a chemical formula of C₁₄H₁₆N₂.

Atipamezole hydrochloride has a high affinity for all three α₂-adrenergic receptor subtypes in both humans and rodents [3.] It competitively displaces α₂-adrenergic agonist drugs and rapidly blocks or reverses several drug effects. Atipamezole hydrochloride is more potent and selective than other α₂-antagonists [e.g., yohimbine hydrochloride, tolazoline hydrochloride]. Receptor binding studies in rodents have shown the α₂-to-α₁ selectivity ratio of atipamezole to be 8526 compared with 3240, 1620, 260, and 160 for dexmedetomidine, medetomidine hydrochloride, detomidine, and xylazine hydrochloride, respectively [3] [5.] The α₂-to-α₁ selectivity ratio for yohimbine hydrochloride, an indole alkaloid, is 40 [3.] Atipamezole hydrochloride is highly selective: studies based on receptor binding and conducted using isolated organ preparations have shown that atipamezole hydrochloride has no affinity for or effects on other receptors [e.g., histaminergic, opiate, muscannic, serotonergic, dopaminergic, GABAergic, or benzodiazepine receptors]. This selectivity minimizes the undesirable effects [5.]

Pharmacokinetics

Atipamezole hydrochloride is a weak lipophilic base [1.] Absorption after intramuscular administration is rapid; a peak plasma level is reached in about 10 minutes in dogs [2.] Peak concentrations in tissue, including the brain, are two to three times higher than corresponding plasma levels [3.] Atipamezole hydrochloride rapidly crosses the blood–brain barrier. The drug undergoes extensive hepatic biotransformation, and metabolites are primarily excreted in urine [3.] The elimination half-life is 1.3 hours in rats and 2.6 hours in dogs [3], [4.]

References


For ordering details contact us at: 866-823-9314 info@wildpharm.com
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Dosage & Administration

Atipamezole hydrochloride is dosed as a ratio to the amount of medetomidine hydrochloride administered. The dose ratio to medetomidine hydrochloride ranges from 3/1 to 10/1 in the wide range of species. In most species it is 5/1.

Atipamezole hydrochloride is always given intramuscularly. Intravenous use is for absolute anesthetic emergencies only.

Available Formulations & How Supplied

Atipamezole hydrochloride is compounded upon prescription in 10 ml multiple use vials. Each ml contains 25 mg of atipamezole hydrochloride.

Atipamezole hydrochloride can be purchased by calling 1-866-823-9314 or by visiting us online at www.zoopharm.net.

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