

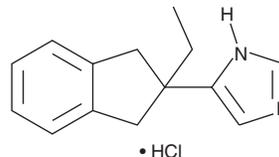
PRODUCT INFORMATION



Atipamezole (hydrochloride)

Item No. 9001181

CAS Registry No.: 104075-48-1
Formal Name: 5-(2-ethyl-2,3-dihydro-1H-inden-2-yl)-1H-imidazole, monohydrochloride
MF: C₁₄H₁₆N₂ • HCl
FW: 248.8
Purity: 98%
UV/Vis.: λ_{max}: 213 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

Atipamezole (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the atipamezole (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Atipamezole (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of atipamezole (hydrochloride) in ethanol and DMSO is approximately 10 mg/ml and approximately 1 mg/ml in DMF.

Atipamezole (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, atipamezole (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Atipamezole (hydrochloride) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Atipamezole is an antagonist of α_{2A} -adrenergic receptors (α_{2A} -ARs; $K_i = 1.86$ nM).^{1,2} It is selective for α_{2A} -ARs over α_{2B} - and α_1 -ARs (K_i s = 1,949.83 and 13,300 nM, respectively), as well as over 40 receptors, ion channels, and transporters (IC_{50} s = 540->10,000 nM). Atipamezole (0.04, 0.08, and 1.2 mg/kg) reverses bradycardia and sedation induced by the α_2 -AR agonist medetomidine in dogs.³ It also prevents hypoalgesia induced by the non-steroidal anti-inflammatory drug (NSAID) ketoprofen in sheep.⁴ Atipamezole (0.3 mg/kg) enhances contralateral circling behavior induced by apomorphine or L-DOPA (Item No. 13248) and prolongs the duration of action of L-DOPA in a rat model of Parkinson's disease.⁴ Formulations containing atipamezole have been used to reverse the sedative and analgesic effects of dexmedetomidine or medetomidine in dogs. Atipamezole is an imidazole that potently antagonizes the α_2 -adrenoceptor ($K_i = 1.6$ nM).^{1,2} It shows selectivity over the α_1 -adrenoceptor ($K_i = 13,300$ nM) and is a poor antagonist at a wide variety of other receptors and channels.² Through its effects at the α_2 -adrenoceptor, atipamezole reverses the sedative and analgesic effects of α_2 -adrenoceptor agonists, alters cognitive functions and sexual behavior, and has neuroprotective effects.² It may also potentiate the effects of dopaminergic drugs used in Parkinson's disease.^{2,5}

References

1. Vacher, B., Funes, P., Chopin, P., et al. *J. Med. Chem.* **53**(19), 6986-6995 (2010).
2. Pertovaara, A., Haapalinna, A., Sirviö, J., et al. *CNS Drug Rev.* **11**(3), 273-288 (2005).
3. Vainio, O. and Vähä-Vahe, T. *J. Vet. Pharmacol. Ther.* **13**(1), 15-22 (1990).
4. Lizarraga, I. and Chambers, J.P. *Res. Vet. Sci.* **80**(2), 194-200 (2006).
5. Haapalinna, A., Leino, T., and Heinonen, E. *Naunyn Schmiedeberg's Arch. Pharmacol.* **368**(5), 342-351 (2003).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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