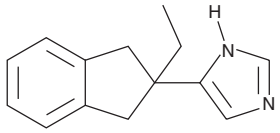
PRODUCT INFORMATION

Atipamezole

Item No. 34289

CAS Registry No.: 104054-27-5
Formal Name: 5-(2-ethyl-2,3-dihydro-1H-inden-2-yl)-1H-imidazole
Synonym: MPV 1248
MF: $C_{14}H_{16}N_2$
FW: 212.3
Purity: ≥98%
Supplied as: A 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 is supplied as a solid. A stock solution may be made by dissolving the atipamezole in the solvent of choice, which should be purged with an inert gas. Atipamezole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of atipamezole in these solvents is approximately 30 mg/ml.

Atipamezole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, atipamezole should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Atipamezole has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol: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_is = 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.[5] Formulations containing atipamezole have been used to reverse the sedative and analgesic effects of dexmedetomidine or medetomidine in dogs.

References

1. Vacher, B., Funes, P., Chopin, P., *et al.* Rigid analogues of the α2-adrenergic blocker atipamezole: Small changes, big consequences. *J. Med. Chem.* **53(19)**, 6986-6995 (2010).
2. Pertovaara, A., Haapalinna, A., Sirviö, J., *et al.* Pharmacological properties, central nervous system effects, and potential therapeutic applications of atipamezole, a selective α_2-adrenoceptor antagonist. *CNS Drug Rev.* **11(3)**, 273-288 (2005).
3. Vainio, O. and Vähä-Vahe, T. Reversal of medetomidine sedation by atipamezole in dogs. *J. Vet. Pharmacol. Ther.* **13(1)**, 15-22 (1990).
4. Lizarraga, I. and Chambers, J.P. Involvement of opioidergic and α_2-adrenergic mechanisms in the central analgesic effects of non-steroidal anti-inflammatory drugs in sheep. *Res. Vet. Sci.* **80(2)**, 194-200 (2006).
5. Haapalinna, A., Leino, T., and Heinonen, E. The α_2-adrenoceptor antagonist atipamezole potentiates anti-Parkinsonian effects and can reduce the adverse cardiovascular effects of dopaminergic drugs in rats. *Naunyn Schmiedebergs Arch. Pharmacol.* **368(5)**, 342-351 (2003).

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