

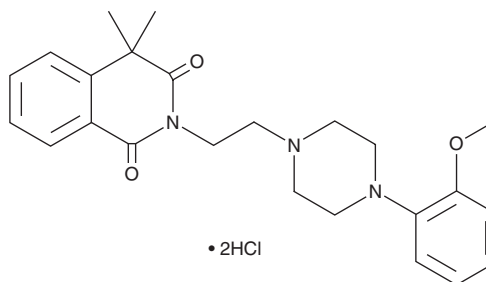
PRODUCT INFORMATION



ARC 239 (hydrochloride)

Item No. 36218

CAS Registry No.: 55974-42-0
Formal Name: 2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4,4-dimethyl-1,3(2H,4H)-isoquinolinedione, dihydrochloride
MF: $C_{24}H_{29}N_3O_3 \cdot 2HCl$
FW: 480.4
Purity: $\geq 98\%$
Supplied as: A solid
Storage: $-20^{\circ}C$
Stability: ≥ 4 years



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

Laboratory Procedures

ARC 239 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the ARC 239 (hydrochloride) in water. We do not recommend storing the aqueous solution for more than one day.

Description

ARC 239 is an antagonist of α_{2B} -adrenergic receptors (α_{2B} -ARs; $K_i = 87.1$ nM).¹⁻³ It is selective for α_{2B} -ARs over α_{2A} -ARs ($K_i = 3,548$ nM) but also inhibits α_{2C} -ARs ($K_i = 112.2$ nM) and the serotonin (5-HT) receptor subtype 5-HT_{1A} ($K_i = 63.1$ nM).^{2,3} ARC 239 also binds to α_{1A} , α_{1B} , and α_{1D} -adrenergic receptors (K_d s = 0.45, 7.08, and 1.82 nM, respectively) but only induces the release of intracellular calcium in CHO cells expressing the α_{1B} -adrenergic receptors with an EC_{50} value of 100 μM .⁴ It has commonly been used to determine the selectivity of α_2 -adrenergic receptor agonists and antagonists.^{5,6}

References

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2. Uhlén, S., Muceniece, R., Rangel, N., *et al.* Comparison of the binding activities of some drugs on α_{2A} , α_{2B} and α_{2C} -adrenoceptors and non-adrenergic imidazoline sites in the guinea pig. *Pharmacol. Toxicol.* **76**(6), 353-364 (1995).
3. Meana, J.J., Callado, L.F., Pazos, A., *et al.* The subtype-selective α_2 -adrenoceptor antagonists BRL 44408 and ARC 239 also recognize 5-HT_{1A} receptors in the rat brain. *Eur. J. Pharmacol.* **312**(3), 385-388 (1996).
4. Proudman, R.G.W., Pupo, A.S., and Baker, J.G. The affinity and selectivity of α -adrenoceptor antagonists, antidepressants, and antipsychotics for the human α_{1A} , α_{1B} , and α_{1D} -adrenoceptors. *Pharmacol. Res. Perspect.* **8**(4), e00602 (2020).
5. Lee, H.G., Choi, J.I., Kim, Y.O., *et al.* The role of alpha-2 adrenoceptor subtype in the antiallodynic effect of intraplantar dexmedetomidine in a rat spinal nerve ligation model. *Neurosci. Lett.* **557**(Pt B), 118-122 (2013).
6. Millan, M.J. Evidence that an α_{2A} -adrenoceptor subtype mediates antinociception in mice. *Eur. J. Pharmacol.* **215**(2-3), 355-356 (1992).

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.

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