PRODUCT INFORMATION



Ranolazine (hydrochloride)

Item No. 15604

CAS Registry No.:	95635-56-6		
Formal Name:	N-(2,6-dimethylphenyl)-4-[2-hydroxy-		
	3-(2-methoxyphenoxy)propyl]-1- piperazineacetamide, dihydrochloride		
Synonym:	RS 43285		J
MF:	C ₂₄ H ₃₃ N ₃ O ₄ • 2HCl		\searrow
FW:	500.5		
Purity:	≥98%	O OH OH	0
UV/Vis.:	λ _{max} : 272 nm		
Supplied as:	A crystalline solid	• 2HCI	
Storage:	-20°C		
Stability:	≥4 years		
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.			

Laboratory Procedures

Ranolazine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the ranolazine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Ranolazine (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ranolazine (hydrochloride) in these solvents is approximately 20 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of ranolazine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ranolazine (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Ranolazine is a piperazine derivative with cardioprotective activity.¹⁻⁴ It reduces the late sodium current (I_{Na}) in mouse myocytes expressing the long QT syndrome 3 mutant sodium channel DKPQ, ventricular myocytes isolated from a canine model of heart failure, guinea pig ventricular myocytes exposed to hydrogen peroxide or anemone toxin-II, and HEK293 cells expressing human Na_v1.5 channels (IC₅₀s = 5.9-15 μ M) as well as the late potassium current (I_{Kr}) in canine ventricular myocytes and HEK293 cells (IC₅₀s = 11.5 and 14.4 μ M, respectively).^{1.2} Ranolazine also inhibits radioligand binding to α_1 -, β_1 -, and β_2 -adrenergic receptors (K_is = 8.2-19.5, 1.4-8.6, and 0.5-14.8 μ M, respectively).² In vivo, ranolazine (480 μ g/kg per min) reduces clofilium-induced prolongation of the QTc interval and Torsade de Pointes (TdP) in rabbits.³ Ranolazine also reduces interstitial collagen deposition as well as atrial natriuretic peptide (ANP; Item Nos. 24539 | 24276), connective tissue growth factor (CTGF), brain natriuretic peptide (BNP; Item No. 24541), and matrix metalloproteinase-2 (MMP-2) mRNA levels, and prevents left ventricular dilation in a mouse model of cardiotoxicity induced by doxorubicin (Item No. 15007).⁴

References

- 1. Shryock, J.C. and Belardinelli, L. Br. J. Pharmacol. 153(6), 1128-1132 (2008).
- 2. Verrier, R.L., Kumar, K., Nieminen, T., et al. Europace 15(3), 317-324 (2013).
- 3. Wang, W.Q., Robertson, C., Dhalla, A.K., et al. J. Pharmacol. Exp. Ther. 325(3), 875-881 (2008).
- 4. Tocchetti, C.G., Carpi, A., Coppola, C., et al. Eur. J. Heart Fail. 16(4), 358-366 (2014).

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