# PRODUCT INFORMATION



## Amiodarone (hydrochloride)

Item No. 15213

CAS Registry No.: 19774-82-4

Formal Name: (2-butyl-3-benzofuranyl)

> [4-[2-(diethylamino)ethoxy]-3,5-diiodophenyl]-methanone,

monohydrochloride

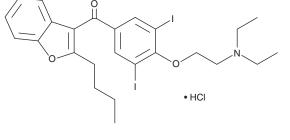
MF: C<sub>25</sub>H<sub>29</sub>I<sub>2</sub>NO<sub>3</sub> • HCI

FW: 681.8 ≥98% **Purity:** 

UV/Vis.:  $\lambda_{\text{max}}$ : 241 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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



### **Laboratory Procedures**

Amiodarone (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the amiodarone (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Amiodarone (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of amiodarone (hydrochloride) in ethanol is approximately 5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

#### Description

Amiodarone is a class III antiarrhythmic agent, in that it prolongs both cardiac action potential and refractoriness by blocking potassium currents. It inhibits the voltage-gated potassium channel hERG, also known as KCNH2, with an IC $_{50}$  value of 1  $\mu$ M. $^2$  In addition, amiodarone binds with high affinity to the sigma-1 opioid receptor,  $3-\beta$ -hydroxysteroid  $\Delta^8\Delta^7$  isomerase, and C-8 sterol isomerase ( $K_i$ s = 1, 25, and 62 nM, respectively) and inhibits human thyroid hormone receptors  $\alpha$  and  $\beta$ (IC<sub>50</sub>s = 0.6, 0.65 μM, respectively).<sup>3-4</sup> It also inhibits the cytochrome P450 (CYP) isoforms CYP2C8 and CYP3A4 in vitro at low micromolar concentrations.5

### References

- 1. Campbell, T.J. and Williams, K.M. Therapeutic drug monitoring: Antiarrhythmic drugs. Br. J. Clin. Pharmacol. 46(4), 307-319 (1998).
- 2. Sinha, N. and Sen, S. Predicting hERG activities of compounds from their 3D structures: Development and evaluation of a global descriptors based QSAR model. Eur. J. Med. Chem. 46(2), 618-630 (2011).
- Laggner, C., Schieferer, C., Fiechtner, B., et al. Discovery of high-affinity ligands of σ1 receptor, ERG2, and emopamil binding protein by pharmacophore modeling and virtual screening. J. Med. Chem. 48(15), 4754-4764 (2005).
- 4. Carlsson, B., Singh, B.N., Temciuc, M., et al. Synthesis and preliminary characterization of a novel antiarrhythmic compound (KB130015) with an improved toxicity profile compared with amiodarone. J. Med. Chem. 45(3), 623-630 (2002).
- 5. Polasek, T.M., Elliott, D.J., Lewis, B.C., et al. Mechanism-based inactivation of human cytochrome P4502C8 by drugs in vitro. J. Pharmacol. Exp. Ther. 311(3), 996-1007 (2004).

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

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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