

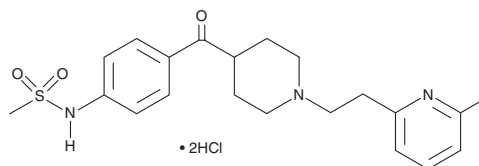
PRODUCT INFORMATION



E-4031 (hydrochloride)

Item No. 15203

CAS Registry No.: 113559-13-0
Formal Name: N-[4-[[1-[2-(6-methyl-2-pyridinyl)ethyl]-4-piperidinyl]carbonyl]phenyl]-methanesulfonamide, dihydrochloride
MF: C₂₁H₂₇N₃O₃S • 2HCl
FW: 474.4
Purity: ≥98%
UV/Vis.: λ_{max}: 273 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

E-4031 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the E-4031 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. E-4031 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamides. The solubility of E-4031 (hydrochloride) in these solvents is approximately 25 and 0.3 mg/ml, respectively.

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 E-4031 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of E-4031 (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

Voltage-gated potassium ERG channels (K_v11.1), encoded by the ether-a-go-go related gene, mediate the inwardly rectifying potassium (K⁺) current that repolarizes myocardial cells, gut smooth muscle cells, and interstitial cells of Cajal.¹ E-4031 is a methanesulfonanilide class III antiarrhythmic agent that prolongs cardiac action potential duration by blocking ERG K⁺ channels (IC₅₀ = 29 nM).^{2,3} E-4031 inhibits the ERG1, ERG2, and ERG3 isoforms with K_D values of 99, 116, and 193 nM, respectively.⁴ However, at higher μM concentrations E-4031 can demonstrate pro-arrhythmic activity, inducing early after depolarizations (prolonged repolarization) in cell models and torsade de pointes in animal models.⁵ E-4031 has been used to pharmacologically rescue misprocessed ERG in long QT syndrome type 2, an autosomal dominant cardiac disease associated with prolongation of the QT interval and torsade de pointes.⁶

References

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2. Jurkiewicz, N.K. and Sanguinetti, M.C. *Circ. Res.* **72**(1), 75-83 (1993).
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4. Shi, W., Wymore, R.S., Wang, H.S., et al. *J. Neurosci.* **17**(24), 9423-9432 (1997).
5. Nalos, L., Varkevisser, R., Jonsson, M.K., et al. *Br. J. Pharmacol.* **165**(2), 467-478 (2012).
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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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