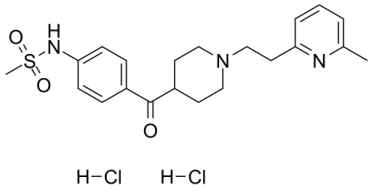
Data Sheet

Product Name:	E-4031
Cat. No.:	CS-3721
CAS No.:	113559-13-0
Molecular Formula:	C21H29Cl2N3O3S
Molecular Weight:	474.44
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Solubility:	H2O : ≥ 50 mg/mL (105.39 mM)



BIOLOGICAL ACTIVITY:

E-4031 is a benzenesulfonamide antiarrhythmic agent; blocks the ATP-sensitive potassium channel. IC50 value: Target: K+ channel blocker in vitro: Dofetilide and E-4031 induced EADs or TdP in all assays (50-83%), and the induction correlated with a significant increase in beat-to-beat variability of repolarization [1]. E-4031 (0.1 mumol/L) significantly prolonged cycle length and action potential duration, depolarized maximum diastolic potential, and reduced both the upstroke velocity of the action potential and the diastolic depolarization rate [2]. in vivo: E-4031 in doses of 0.01 and 0.1 mg/kg that can provide the plasma concentrations effectively to inhibit IKrin vitro significantly delayed the repolarization beyond the initiation of diastole, resulting in the inversion of electro-mechanical coupling, which provides an ideal proarrhythmic substrate, while the durations of left ventricular systole and diastole remained the same [3]. Bepridil and E-4031 prolonged QT interval and ARI in all LV layers, though the magnitude of prolongation was greatest in Mid, increasing the transmural ARI dispersion, particularly during bradycardia [4].

References:

[1]. Nalos L, et al. Comparison of the IKr blockers moxifloxacin, dofetilide and E-4031 in five screening models of pro-arrhythmia reveals lack of specificity of isolated cardiomyocytes. Br J Pharmacol. 2012 Jan;165(2):467-78.

[2]. Verheijck EE, et al. Effects of delayed rectifier current blockade by E-4031 on impulse generation in single sinoatrial nodal myocytes of the rabbit. Circ Res. 1995 Apr;76(4):607-15.

[3]. Izumi-Nakaseko H, et al. Effects of selective IKr channel blockade by E-4031 on ventricular electro-mechanical relationship in the halothane-anesthetized dogs. Eur J Pharmacol. 2014 Oct 5;740:263-70.

[4]. Izumi D, et al. Effects of bepridil versus E-4031 on transmural ventricular repolarization and inducibility of ventricular tachyarrhythmias in the dog. Pacing Clin Electrophysiol. 2010 Aug;33(8):950-9.

CAIndexNames:

Methanesulfonamide, N-[4-[[1-[2-(6-methyl-2-pyridinyl)ethyl]-4-piperidinyl]carbonyl]phenyl]-, hydrochloride (1:2)

SMILES:

CS(=O)(NC1=CC=C(C(C2CCN(CCC3=NC(C)=CC=C3)CC2)=O)C=C1)=O.[H]Cl.[H]Cl

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA