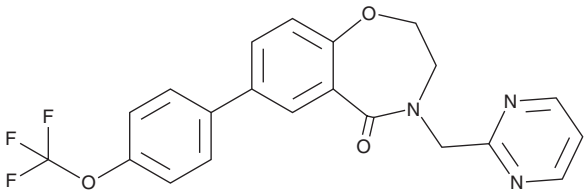
PRODUCT INFORMATION

Eleclazine

Item No. 36227

CAS Registry No.:	1443211-72-0
Formal Name:	3,4-dihydro-4-(2-pyrimidinylmethyl)-7-[4-(trifluoromethoxy)phenyl]-1,4-benzoxazepin-5(2H)-one
Synonyms:	Dihydrobenzoxazepinone, GS-6615
MF:	$C_{21}H_{16}F_3N_3O_3$
FW:	415.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 248 nm
Supplied as:	A 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

Eleclazine is supplied as a solid. A stock solution may be made by dissolving the eleclazine in the solvent of choice, which should be purged with an inert gas. Eleclazine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of eleclazine in these solvents is approximately 5, 12, and 20 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 eleclazine can be prepared by directly dissolving the solid in aqueous buffers. The solubility of eleclazine in PBS (pH 7.2) is approximately 0.16 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Eleclazine is an inhibitor of the cardiac late sodium current (I_{Na}).[1] It inhibits I_{Na} in HEK293 cells expressing the α subunit of the voltage-gated sodium channel (Na_v) isoform $Na_v1.5$ (IC_{50} = 0.88 µM). Eleclazine is selective for the cardiac late I_{Na} over a panel of 11 cardiac ion channels at 1 µM, as well as $Na_v1.1$-1.4 and $Na_v1.6$-1.8 (IC_{50}s = ≥5 µM for all). Eleclazine reverses anemone toxin-II-induced activation of the monophasic action potential duration at 90% repolarization ($MAPD_{90}$) in isolated rabbit hearts (EC_{50} = 0.72 µM). It inhibits S-T segment elevation in a rabbit model of cardiac ischemia-reperfusion injury induced by occlusion of the left anterior descending artery (EC_{50} = 0.19 µM). Eleclazine decreases the incidence of ventricular tachycardia-ventricular fibrillation and mortality in a rabbit model of ischemia-induced arrhythmia induced by left circumflex artery ligation in a dose-dependent manner.

Reference

1. Zablocki, J.A., Elzein, E., Li, X., *et al.* Discovery of dihydrobenzoxazepinone (GS-6615) late sodium current inhibitor (late I_{Na}i), a phase II agent with demonstrated preclinical anti-ischemic and antiarrhythmic properties. *J. Med. Chem.* **59(19)**, 9005-9017 (2016).

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