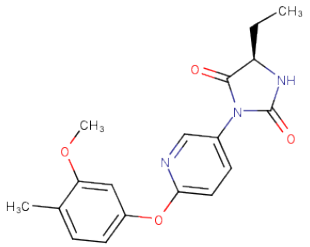
Data Sheet (Cat.No.T5183)

TargetMol
A DRUG SCREENING EXPERT

AUT1

Chemical Properties



CAS No. : 1311136-84-1

Formula: C18H19N3O4

Molecular Weight: 341.37

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	AUT1 (AUT-1) is a novel specific modulator of Kv3 channels (EC50: 4.7 and 4.9 uM for Kv3.1b and Kv3.2a).
Targets(IC50)	Potassium Channel
In vitro	AUT1 increased the current mediated by human recombinant Kv3.1b and Kv3.2a channels. pEC50 values for AUT1 were 5.33 (4.7 μM) and 5.31 (4.9 μM) for Kv3.1b and Kv3.2a, respectively [1]. Using Chinese hamster ovary cells stably expressing rat Kv3.1 channels, lower concentrations of AUT1 shift the voltage of activation of Kv3.1 currents toward negative potentials, increasing currents evoked by depolarization from typical neuronal resting potentials [2].
Cell Research	The population patch-clamp mode of an automated voltage clamp recording with IonWorks Quattro was used. Briefly, the effects on these channels were tested using 384-well population patch-clamp plates. Seal resistance was measured for each well, and cells were perforated by incubation with 100 mg/ml amphotericin B. Cells were held at 270 mV and stepped to 215 mV for 100 milliseconds (partial channel activation), and after 100 milliseconds at 270 mV, a second pulse to 140 mV was applied for 50 milliseconds (full channel activation). In all of the experiments, this voltage protocol was applied to cells before and following a 3-minute incubation with AUT1. 1-Cyclohexyl-1-[(7,8-dimethyl-2-oxo-1H-quinolin-3-yl)methyl]-3-phenylurea (10 mM), which we had previously found to be a potent and full activator of human Kv3.1 and Kv3.2 channels, was included in all assays as a standard. An external buffer with the addition of dimethyl sulfoxide (DMSO) was also tested to provide a vehicle baseline. Recordings were performed in the following buffers: Dulbecco's phosphate-buffered saline (PBS) with MgCl2 and CaCl2 as an extracellular solution and 50 mM KCl, 100 mM K-gluconate, 3.2 mM MgCl2, and 5 mM HEPES, pH 7.3 adjusted with KOH, as an intracellular solution. An online correction of 115-20 mV was applied to correct for junction potentials. The current signal was sampled at 10 kHz [1].

Solubility Information

Solubility	DMSO: 250 mg/mL (732.36 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9294 mL	14.6469 mL	29.2937 mL
5 mM	0.5859 mL	2.9294 mL	5.8587 mL
10 mM	0.2929 mL	1.4647 mL	2.9294 mL
50 mM	0.0586 mL	0.2929 mL	0.5859 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Rosato-Siri MD, et al. A Novel Modulator of Kv3 Potassium Channels Regulates the Firing of Parvalbumin-Positive Cortical Interneurons. J Pharmacol Exp Ther. 2015 Sep;354(3):251-60.