

Product Data Sheet

Product Name: pan-HCN-IN-1

Cat. No.: GC81722

Chemical Properties

Cas. No. 1334308-63-2

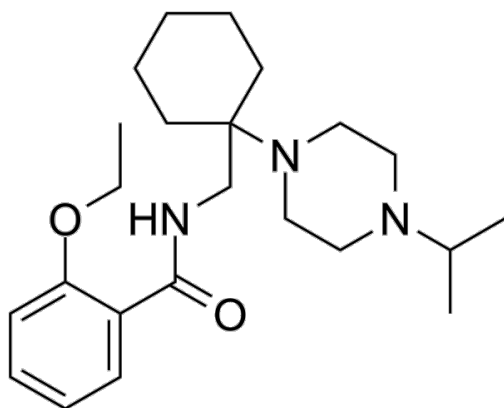
Formula $C_{23}H_{37}N_3O_2$ M.Wt 387.56

Solubility DMSO: 100 mg/mL (258.02 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

pan-HCN-IN-1 is a potent HCN ion channel inhibitor with IC₅₀ values of 58 nM, 522 nM, 58 nM, and

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58 nM against HCN1, HCN2, HCN3, and HCN4, respectively. pan-HCN-IN-1 exerts voltage-dependent but not use-dependent inhibition, potently inhibits channels in the closed state, and significantly slows the activation time constant of HCN1 currents. pan-HCN-IN-1 can be used for the research of cognitive dysfunction [1].

In Vivo, pan-HCN-IN-1 (Compound J&J12e) (3-10 mg/kg; i.p.; single administration; 30 min) induces severe dose-limiting side effects in naive rats [1]. pan-HCN-IN-1 (0.8-10 mg/kg; i.p.; single administration; 30 min) exerts effects of failing to improve working memory and even exacerbating the deterioration of cognitive performance at the highest dose in both normal rats and rats with MK-801-induced injury [1]. pan-HCN-IN-1 (2.5 mg/kg; i.p.; single administration; monitored for approximately 20 h) exerts a significant heart rate-lowering effect in healthy rats implanted with wireless telemetry devices [1].

In Vitro, Pan-HCN-IN-1 (Compound J&J12e) (14.6 nM - 30 μ M; 10 min) potently and non-selectively inhibits HCN1, HCN2, and HCN4 channels expressed in HEK293 cells. It exhibits voltage-dependent inhibitory properties, rapid onset of action, and slows the activation kinetics of HCN1, with an IC_{50} of 58 nM against HCN1 channels [1]. pan-HCN-IN-1 inhibits HCN1 currents in HEK cells overexpressing HCN1, with voltage-dependent potency that reaches the highest level at -80 mV (IC_{50} = 25 nM) and the lowest level at -120 mV (IC_{50} = 148 nM) [1]. pan-HCN-IN-1 exhibits detectable metabolic clearance in human and rat microsomes and hepatocytes, shows weak P-gp-mediated efflux, has low plasma protein binding in rats, and potently inhibits hERG channels (IC_{20} = 0.04 μ M) [1]. pan-HCN-IN-1 potently inhibits rHCN1 (IC_{50} = 9 nM) and modulates the activation kinetics of HCN channels in transiently transfected HEK cells, with a faster onset of block for rHCN1 than for hHCN1 [1]. pan-HCN-IN-1 (0.02 μ M) increases the tau value of HCN1 channel activation in HEK cells overexpressing HCN1 [1]. Pan-HCN-IN-1 (0.03 μ M-10 μ M; 5 min per concentration) alters the electrophysiological properties of human induced pluripotent stem cell-derived atrial-like cardiomyocytes, prolongs the beating cycle and changes the peak potential amplitude [1]. pan-HCN-IN-1 alters the electrophysiological function of spontaneously beating atrial-like hIPS cardiomyocytes, prolongs the field potential duration, and simultaneously reduces the beating frequency and spike potential amplitude [1].

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References:

[1]. Harde E, et al. Selective and brain-penetrant HCN1 inhibitors reveal links between synaptic integration, cortical function, and working memory. *Cell chemical biology*. 2024 Mar 21;31(3):577-592.e23.

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