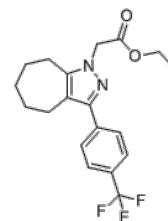


Product Name : JNJ-28583113
Cat. No. : PC-72125
CAS No. : 2765255-93-2
Molecular Formula : C₁₉H₂₁F₃N₂O₂
Molecular Weight : 366.384
Target : TRP Channel
Solubility : 10 mM in DMSO



Biological Activity

JNJ-28583113 (JNJ28583113) is a potent, selective, brain penetrant **TRPM2** antagonist with IC₅₀ of 126 nM (hTRPM2). JNJ-28583113 shows similar potency against species chimpanzee and rat TRPM2 with IC₅₀ of 100 and 25 nM, respectively. JNJ-28583113 shows no significant (IC₅₀>10 uM) reactivity towards PARP or PARG and a panel for multiple known kinases GPCRs and ion channels, nine other TRP channels with exception of TRPM5 (IC₅₀<1 uM). Blocking TRPM2 via JNJ-28583113 caused phosphorylation of GSK3 α and β subunits in cell assays. JNJ-28583113 also protected cells from oxidative stress induced cell death as well as morphological changes induced by non-cytotoxic concentrations of H₂O₂. JNJ-28583113 blunted cytokine release in response to pro-inflammatory stimuli in microglia. JNJ-28583113 was brain penetrant but not suitable for systemic dosing as it was rapidly metabolized in vivo, but the in-vitro pharmacology of JNJ-28583113 is the best in class.

References

Lawrence Fourgeaud, et al. *Eur J Pharmacol.* 2019 Jun 15;853:299-307.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com