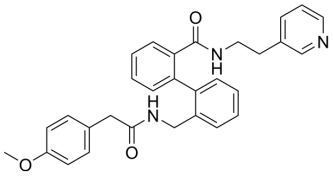
AVE-0118

Cat. No.:	HY-118387
CAS No.:	498577-53-0
Molecular Formula:	$C_{30}H_{29}N_3O_3$
Molecular Weight:	479.57
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AVE-0118 is a nonselective Kv1.5 blocker with an IC_{50} of 1.1 μM. AVE-0118 is a multichannel inhibitor with weak, micromolar activity against Kv1.5 and other ion channels. It is inactive against I_{Ks}, I_{KATP}, and L-type Ca+ channels[1].
IC_{50} & Target	IC50: 1.1 μM (Kv1.5), 3.4 uM (I_{to}), 4.5 uM (I_{KAch}), 8.4 uM (I_{Kr})[1]
In Vitro	AVE-0118 is shown to be a Kv1.5 blocker (IC_{50} = 1.1 uM) with moderate selectivity versus I_{to} (3.4 uM), I_{Kr} (8.4 uM), and I_{KAch} (4.5 uM) and good selectivity versus I_{Ks}, I_{K1}, I_{KATP}[1]. AVE-0118 (10 μM) significantly potentiates the electrical field stimulation (EFS)-induced neurogenic type of contractions[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AVE-0118 reduces the inducibility of AF in goats with remodeled atria at a dose of 3 mg/kg and did not prolong QTc up to 5 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bilodeau MT, et al. Kv1.5 blockers for the treatment of atrial fibrillation: approaches to optimization of potency and selectivity and translation to in vivo pharmacology. Curr Top Med Chem. 2009;9(5):436-51.

[2]. Kun A, et al. Neurogenic contraction induced by the antiarrhythmic compound, AVE 0118, in rat small mesenteric arteries. Basic Clin Pharmacol Toxicol. 2014 Oct;115(4):315-20.

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