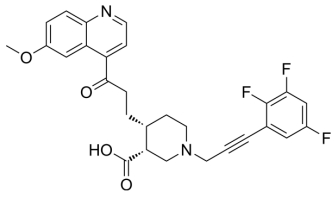
RPR-260243

Cat. No.:	HY-16915
CAS No.:	668463-35-2
Molecular Formula:	$C_{28}H_{25}F_3N_2O_4$
Molecular Weight:	510.5
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (19.59 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9589 mL	9.7943 mL	19.5886 mL
	5 mM	0.3918 mL	1.9589 mL	3.9177 mL
	10 mM	0.1959 mL	0.9794 mL	1.9589 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (1.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (1.96 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (1.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RPR-260243, a potent activator of human ether-a-go-go-related gene (hERG), slows deactivation and attenuates inactivation of hERG1 channels. RPR260243-modified HERG currents are inhibited by Dofetilide (IC_{50}=58 nM). RPR260243 displays no activator-like effects on other voltage-dependent ion channels, including the closely related ERG3 K+ channel[1][2]. RPR-260243 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

In Vitro

RPR-260243 enhances the delayed rectifier current in guinea pig myocytes but, when administered alone, has little effect on

action potential parameters in these cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Research Square Preprint. 2023 Apr 3.

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REFERENCES

[1]. Wu W, et al. Concatenated hERG1 tetramers reveal stoichiometry of altered channel gating by RPR-260243. Mol Pharmacol. 2015;87(3):401-409.

[2]. Kang J, et al. Discovery of a small molecule activator of the human ether-a-go-go-related gene (HERG) cardiac K+ channel. Mol Pharmacol. 2005;67(3):827-836.

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