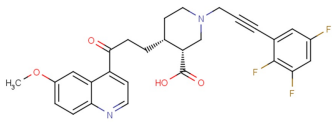
Data Sheet (Cat.No.T16796)

RPR-260243

Chemical Properties



CAS No.:	668463-35-2
Formula:	C28H25F3N2O4
Molecular Weight:	510.5
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	RPR-260243 is a novel activator of HERG and modifies HERG currents inhibited by dofetilide (IC50 = 58 nM).
Targets(IC_{50})	Others: None
In vitro	RPR260243 increased the delayed rectifier current in guinea pig myocytes but, when administered alone, had little effect on action potential parameters in these cells. RPR260243 fully reversed the action potential-prolonging effects of dofetilide in this preparation. HERG activator RPR260243 displayed no activator-like effects on other voltage-dependent ion channels, including the closely related erg3 K+ channel. Using the Langendorff heart method, we found that 5 μM RPR260243 increased T-wave amplitude, prolonged the PR interval, and shortened the QT interval. We believe RPR260243 represents the first known HERG channel activator and that the drug works primarily by inhibiting channel closure, leading to a persistent HERG channel current upon repolarization.

Solubility Information

Solubility	DMSO: 10 mg/mL (19.59 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.959 mL	9.794 mL	19.589 mL
5 mM	0.392 mL	1.959 mL	3.918 mL
10 mM	0.196 mL	0.979 mL	1.959 mL
50 mM	0.039 mL	0.196 mL	0.392 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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 Mar;67(3):827-36.

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