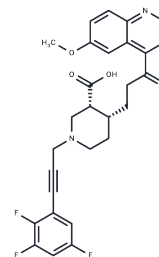


RPR-260243

Chemical Properties

CAS No. : 668463-35-2
 Formula: C₂₈H₂₅F₃N₂O₄
 Molecular Weight: 510.5
 Appearance: no data available
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	RPR-260243 is a novel activator of HERG and modifies HERG currents inhibited by dofetilide (IC ₅₀ = 58 nM).
Targets(IC ₅₀)	Others
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), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0392 mL	0.1959 mL	0.3918 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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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