Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

RPR-260243

Catalog No: tcsc4322

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
668463-35-2

Formula:
$C_{28}H_{25}F_3N_2O_4$

Pathway:
Membrane Transporter/Ion Channel

Target:
Potassium Channel

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
510.5

Product Description

RPR-260243 is a novel activator of HERG; modifies HERG currents inhibited by dofetilide (IC50 = 58 nM); little effect on HERG current amplitude and no significant effects on steady-state activation parameters or on channel inactivation processes.

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IC50 value:

Target: HERG activator

RPR260243 displayed no activator-like effects on other voltage-dependent ion channels, including the closely related erg3 K+ channel. RPR260243 enhanced the delayed rectifier current in guinea pig myocytes but, when administered alone, had little effect on action potential parameters in these cells. However, RPR260243 completely reversed the action potential-prolonging effects of dofetilide in this preparation. 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.