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

Darapladib

Catalog No: tcsc1035

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
356057-34-6

Formula:
$C_{36}H_{38}F_4N_4O_2S$

Pathway:
Metabolic Enzyme/Protease

Target:
Phospholipase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (149.98 mM)

Alternative Names:
SB-480848

Observed Molecular Weight:
666.77

Product Description

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Darapladib is a potent inhibitor of lipoprotein-associated phospholipase A2 (**Lp-PLA$_2$**) with **IC$_{50}$** of 0.25 nM.

IC50 & Target: IC50: 0.25 nM (Lp-PLA$_2$)[1]

In Vitro: Mechanistic studies using steady state and transient kinetics indicate Darapladib (SB-480848) to be a freely reversible, non-covalently bound, inhibitor of rhLp-PLA$_2$ with a K_i of 110 pM and an off-rate of 27 min. Potent inhibition of the enzyme in whole human plasma is confirmed (IC_{50}=5±2 nM). Furthermore, the presence of Darapladib during the copper catalysed oxidation of human LDL prevents the production of lyso-PtdCho (IC_{50}=4±3 nM) and subsequent monocyte chemotaxis (IC_{50}=4±1 nM)[1].

In Vivo: Additional in vivo studies with Darapladib indicated an oral bioavailability of 11±2% in the fed rat. The oral bioavailability of Darapladib is 28±4% in the dog. Furthermore excellent inhibition of Lp-PLA$_2$ within the atherosclerotic plaque is achieved for Darapladib, with 95±1% inhibition observed 2 h after an oral dose of 30 mg/kg to the WHHL rabbit[1]. Darapladib, a specific inhibitor of lipoprotein-associated phospholipase A2 (lp-PLA$_2$), on inflammation and atherosclerotic formation in the low density lipoprotein receptor (LDLR)-deficient mice. the activity of serum lp-PLA$_2$ is inhibited by more than 60% in LDLR-deficient mice after oral administration of 50 mg/kg once daily of Darapladib for 6 weeks. Darapladib significantly inhibits serum lp-PLA$_2$ activity in LDLR-deficient mice[2].