Web: www.taiclone.com
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GSK-7975A

Catalog No: tcsc8058

Available Sizes

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1253186-56-9

Formula:
$C_{18}H_{12}F_5N_3O_2$

Pathway:
Membrane Transporter/Ion Channel

Target:
Calcium Channel

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 90 mg/mL (226.53 mM)

Observed Molecular Weight:
397.3

Product Description

GSK-7975A is a potent and orally available **CRAC** channel inhibitor.

In Vitro: GSK-7975A reduces FcεRI-dependent Ca^{2+} influx and 3 μM GSK-7975A reduces the release of histamine, leukotriene C4,

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and cytokines (IL-5/-8/-13 and TNFα) by up to 50%[1]. GSK-7975A inhibits mediator release from mast cells, and pro-inflammatory cytokine release from T-cells in a variety species. GSK-7975A completely inhibits calcium influx through CRAC channels. This leads to inhibition of the release of mast cell mediators and T-cell cytokines from multiple human and rat preparations. Mast cells from guinea-pig and mouse preparations are not inhibited by GSK-7975A; however cytokine release is fully blocked from T-cells in a mouse preparation[2]. GSK-7975A inhibits toxin-induced activation of ORAI1 and/or activation of Ca^{2+} currents after Ca^{2+} release, in a concentration-dependent manner, in mouse and human pancreatic acinar cells (inhibition >90% of the levels observed in control cells). GSK-7975A also prevents activation of the necrotic cell death pathway in mouse and human pancreatic acinar cells[3].

In Vivo: GSK-7975A inhibits local and systemic features of acute pancreatitis in TLCS-AP, CER-AP, FAEE-AP, in dose- and time-dependent manners. GSK-7975A significantly reduces increases in serum amylase, IL6, and pancreatic MPO levels; lung MPO is reduced significantly by low dose only. GSK-7975A markedly reduces pancreatic histopathology in TLCS-AP, CER-AP, and FAEE-AP[3].