For Research Use Only

GSK2193874

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Catalog Number: CM06802

产品信息

Catalog Number:
CM06802

CAS号:
1336960-13-4

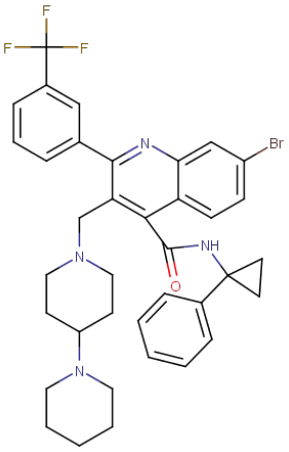
分子式:
$C_{37}H_{38}BrF_3N_4O$

主要靶点:
TRP/TRPV Channel

主要通路:
离子通道

分子量:
691.6

溶解度:
DMSO:100 mg/mL (144.59 mM)



靶点活性

rTRPV4:2 nM (IC50)|hTRPV4:40 nM (IC50)

体外活性

GSK2193874 was identified as a selective, orally active TRPV4 blocker that inhibits Ca(2+) influx through recombinant TRPV4 channels and native endothelial TRPV4 currents[1,2].

体内活性

In isolated rodent and canine lungs, TRPV4 blockade prevented the increased vascular permeability and resultant pulmonary edema associated with elevated PVP. ?In both acute and chronic HF models, GSK2193874 pretreatment inhibited the formation of pulmonary edema and enhanced arterial oxygenation[2].

动物实验

Adult male Sprague-Dawley rats (n = 7 to 8 per group) were treated with vehicle (6% Cavitron) or GSK2193874 (30 mg/kg/day) via oral gavage for at least 4 days before osmotic challenges.?Rats underwent acute and chronic hyper- and hypo-osmotic challenges.Sprague-Dawley (control, n = 18) and spontaneously hypertensive rats (n = 11) were implanted with Data Sciences International (DSI) radiotelemetry transmitters.?Rats were dosed with GSK2193874, and data were captured with DSI receivers and analyzed with Microsoft Excel[2].

描述

GSK2193874 was identified as a selective, orally active TRPV4 blocker.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years

For technical support and original validation data for this product please contact
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