

Product Data Sheet

Product Name: GSK-25

Cat. No.: GC38791

Chemical Properties

Cas. No. 874119-56-9

SMILES C1C=CC(C2=NC(C3=C(F)C=C(Cl)C=C3)C(C(NC4=C(F)C=C(NN=C5)C5=C4)=O)=C(C)N2)=CC=N1

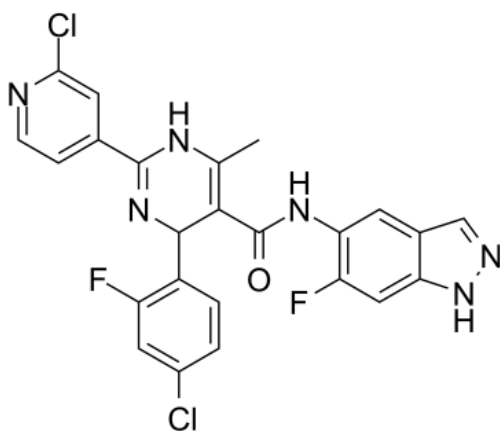
Formula $C_{24}H_{16}Cl_2F_2N_6O$ M.Wt 513.33

Solubility DMSO: 100 mg/mL (194.81 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Background

GSK-25 is a potent, selective and orally bioavailable ROCK1 inhibitor ($IC_{50}=7$ nM). GSK-25 maintains good selectivity against a panel of 31 kinases (>100 fold), as well as RSK1 and p70S6K (RSK1: $IC_{50}=398$ nM, p70S6K: $IC_{50}=1$ μ M). GSK-25 inhibits P450 profile (IC_{50} s of 2.5, 5.2, 2.5 μ M for CYP2C9, CYP2D6, CYP3A4, respectively)[1].

GSK-25 (Rat aorta $IC_{50}=256$ nM) is profiled in a spontaneously hypertensive rat (SHR) model of hypertension. At 30 mg/kg (p.o.), GSK-25 induces a 25 mmHg (t=3 hours) drop in blood pressure[1]. GSK-25 has promising oral bioavailability (49% in male Sprague-Dawley rats and 19% in monkey), good half-life (1.8 hours in rat and 2.2 hours in monkey)[1].

[1]. Sehon CA, et al. Potent, selective and orally bioavailable dihydropyrimidine inhibitors of Rho kinase (ROCK1) as potential therapeutic agents for cardiovascular diseases. J Med Chem. 2008 Nov 13;51(21):6631-4.

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