

Product Data Sheet

Product Name: CAY10746

Cat. No.: GC47053

Chemical Properties

Cas. No. 2247240-76-0

SMILES CN(C)C1=CC=C(C(C(=NC2=CC=CC(NC(COC3=CC(OC=CC4=O)=C4C=C3)=O)=C2)=O)C=C1

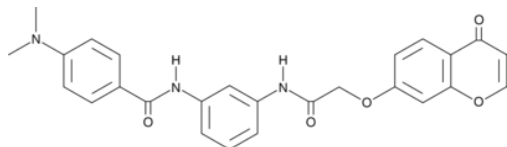
Formula C₂₆H₂₃N₃O₅ M.Wt 457.5

Solubility DMSO : 83.33 mg/mL (182.15 mM; ultrasonic and warming and heat to 60°C) 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



Background

CAY10746 is an inhibitor of Rho-associated kinase I (ROCK-I) and ROCK-II (IC₅₀s = 14 and 3 nM, respectively).¹ It is selective for ROCK-I and ROCK-II over PKA (IC₅₀ = >10,000 nM) and over 387 recombinant human protein kinases in a panel of 394 kinases (IC₅₀s = >10,000 nM for all) but does inhibit LIM kinase 2 (LIMK2), Aurora A, Aurora B, cGMP-dependent protein kinase 1α (PKG1α), and PKG1β (IC₅₀s = 46, 1,072, 1,239, 517, and 660 nM, respectively). CAY10746 (0.1-10 μM) inhibits phosphorylation of the ROCK target protein MYPT1 in SH-SY5Y cells. It also inhibits migration of human umbilical vein endothelial cells (HUVECs) when used at a concentration of 1 μM. CAY10746 (1 μM) protects isolated mouse retinal neurons from apoptosis and oxidative stress induced by high glucose in an *in vitro* model of diabetic retinopathy. It also promotes high glucose-induced vessel regression in mouse retinal explants.

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

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1. Zhao, L., Li, Y., Wang, Y., et al. Discovery of 4H-chromen-4-one derivatives as a new class of selective Rho kinase (ROCK) inhibitors, which showed potent activity in ex vivo diabetic retinopathy models. *J. Med. Chem.* 62(23)10691-10710(2019)

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