PRODUCT INFORMATION



CAY10746

Item No. 29814

CAS Registry No.: 2247240-76-0

Formal Name: 4-(dimethylamino)-N-[3-[[2-[(4-

oxo-4H-1-benzopyran-7-yl)oxy]

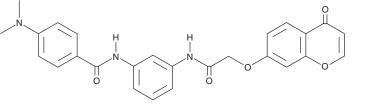
acetyl]amino]phenyl]-benzamide

MF: $C_{26}H_{23}N_3O_5$ 457.5 FW: ≥95%

UV/Vis.: λ_{max} : 239, 247, 305 nm Supplied as: A crystalline solid

Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

CAY10746 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10746 in the solvent of choice, which should be purged with an inert gas. CAY10746 is soluble in the organic solvent DMSO.

Description

Purity:

CAY10746 is an inhibitor of Rho-associated kinase I (ROCK1) and ROCK2 (IC_{50} S = 14 and 3 nM, respectively). It is selective for ROCK1 and ROCK2 over PKA ($IC_{50} = >10,000$ nM) and over 387 recombinant human protein kinases in a panel of 394 kinases (IC_{50} s = >10,000 nM for all) but does inhibit LIM kinase 2 (LIMK2), Aurora A, Aurora B, cGMP-dependent protein kinase 1α (PKG1α), and PKG1 β (IC₅₀s = 46, 1,072, 1,239, 517, and 660 nM, respectively). CAY10746 (0.1-10 μ M) 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.

Reference

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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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