

Product Data Sheet

Product Name: CCT251236

Cat. No.: GC19094

Chemical Properties

Cas. No. 1693731-40-6

Chemical Name N-[5-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)carbonyl]amino]-2-methylphenyl]-2-[2-(1-pyrroli danyl)ethoxy]-6-quinolinecarboxamide

SMILES CC1=CC=C(NC(C2=CC(OCCO3)=C3C=C2)=O)C=C1NC(C4=CC(C=CC(OCCN5CCCC5)=N6)=C6C=C4)=O

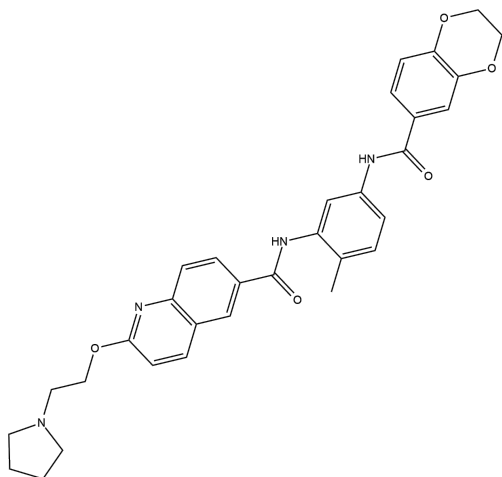
Formula $C_{32}H_{32}N_4O_5$ M.Wt 552.62

Solubility 3mg/mL in DMSO, or in DMF 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



Protocol

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

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Animal experiment: Mice: SK-OV-3 cells are injected subcutaneously into athymic mice for tumor formation. Once tumors are established, the mice are randomized into treatment and control groups and are dosed orally once-a-day with either vehicle or 20 mg/kg of CCT251236. Tumor volumes and mouse body weights are measured throughout and tumor weights are measured at the end of the study, while total tumor concentrations are measured 2 and 6 hours post final dose[1].

References:

[1]. Cheeseman MD, et al. Discovery of a Chemical Probe Bisamide (CCT251236): An Orally Bioavailable Efficacious Pirin Ligand from a Heat Shock Transcription Factor 1 (HSF1) Phenotypic Screen. J Med Chem. 2017 Jan 12;60(1):180-201.

Background

CCT251236 is an orally available pirin ligand from a heat shock transcription factor 1 (hsf1) phenotypic screen with an IC₅₀ of 19 nM for inhibition of HSF1-mediated HSP72 induction.

CCT251236 displays the desired balance of in vitro properties, while maintaining excellent cellular activity with an IC₅₀ of 19 nM. The free GI₅₀ in SK-OV-3 cells is 1.1 nM. Western blotting confirms that CCT251236 blocks the HSF1-mediated induction of both HSP72 and HSP27 as representative heat shock proteins, following treatment with the HSP90 inhibitor 17AAG. Also, qPCR analysis demonstrates that CCT251236 inhibits the induction of HSP72 at the mRNA level, clearly blocking the induction of HSPA1A gene expression with an IC₅₀ of 40 nM[1].

CCT251236 possesses low total blood clearance (10% hepatic blood flow) and moderate oral bioavailability, with a half-life sufficient to allow once-a-day dosing. Clear therapeutic efficacy is observed with CCT251236, with a tumor growth inhibition of 70% based on final tumor volumes[1].

References:

[1]. Cheeseman MD, et al. Discovery of a Chemical Probe Bisamide (CCT251236): An Orally Bioavailable Efficacious Pirin Ligand from a Heat Shock Transcription Factor 1 (HSF1) Phenotypic Screen. J Med Chem. 2017 Jan 12;60(1):180-201.

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