

CCT251236

Catalog No: tcsc6956

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 100mg

Size: 100mg

Cas No:

1693731-40-6

Formula:

 $C_{32}H_{32}N_4O_5$

Pathway: Metabolic Enzyme/Protease;Cell Cycle/DNA Damage

Target: HSP;HSP

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 150 mg/mL (271.43 mM)

Observed Molecular Weight:

552.62

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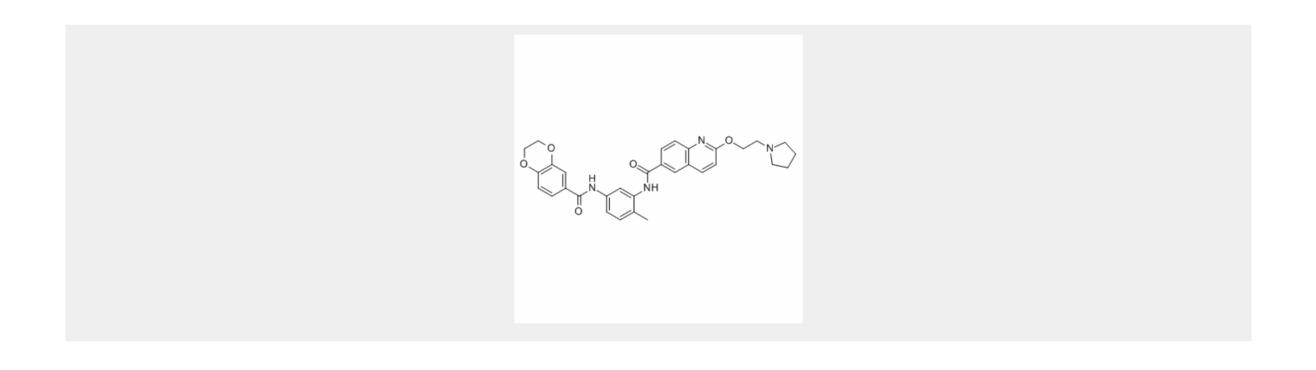
Product Description

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.

IC50 & Target: IC50: 19 nM (HSF1-mediated HSP72 induction)^[1]

In Vitro: CCT251236 displays the desired balance of *in vitro* properties, while maintaining excellent cellular activity with an IC_{50} of 19 nM. The free GI_{50} 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 IC_{50} of 40 nM^[1].

In Vivo: 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].



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