

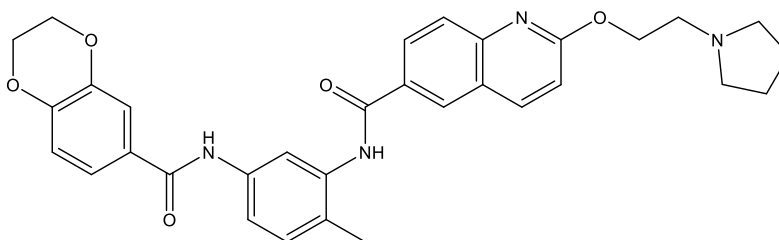
Catalog # 10-4410

CCT251236

CAS# 1693731-40-6

N-[5-(2,3-Dihydro-1,4-benzodioxine-6-carbonylamino)-2-methylphenyl]-2-(2-pyrrolidin-1-ylethoxy)quinoline-6-carboxamide

Lot # FBA7142



CCT251236 is an inhibitor of the Heat Shock Transcription Factor 1 (HSF1) stress pathway (IC_{50} = 19 nM Inhibition HSF-1 mediated HSP72 induction, IC_{50} = 40 nM HSPA1A induction).¹ It displayed efficacy in a human ovarian carcinoma xenograft mouse model (SK-OV 3 cells) and inhibited migration of WM266.4 melanoma cells @ 100 nM. CCT251236 showed high affinity for the protein pirin (K_i = 28 nM), but this target was not shown conclusively to be the cause of the anticancer activity. It also showed efficacy *in vitro* against primary patient-derived myeloma cells and H929 human myeloma xenograft models.²

- 1) Cheeseman et al. (2017), *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., **60** 180
- 2) Fok et al. (2018), *HSF-1: Essential for Myeloma Cell Survival and A Promising Therapeutic Target*; Clin. Cancer Res., **124** 2395

PHYSICAL DATA

Molecular Weight:	552.63
Molecular Formula:	C ₃₂ H ₃₂ N ₄ O ₅
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (5 mg/ml)
Physical Description:	Off-white/Beige solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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