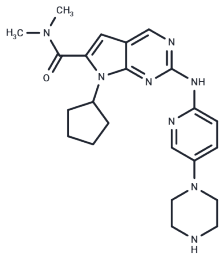
Data Sheet (Cat.No.T6199)

TargetMol

Ribociclib

Chemical Properties



CAS No. : 1211441-98-3

Formula: C23H30N8O

Molecular Weight: 434.54

Appearance: no data available

Storage: store under nitrogen
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Ribociclib (LEE011) is an orally available, and highly specific CDK4/6 inhibitor (IC50: 10/39 nM).
Targets(IC50)	CDK,VEGFR
In vitro	LEE011 (200 mg/kg/day, p.o.) significantly delayed the growth of BE2C or 1643 cells in mice without causing weight loss or other toxic symptoms.
In vivo	LEE011 inhibits the growth of neuroblastoma cells, a process regulated by G1 cell cycle arrest and cellular senescence. It demonstrates significant growth suppression in 12 out of 17 neuroblastoma types evaluated, with an average IC50 of 307 nM.
Kinase Assay	Enzyme assays are performed using a homogeneous time-resolved fluorescence assay with recombinant epitope tagged kinase domains (JAK1, 837-1142; JAK2, 828-1132; JAK3, 718-1124; Tyk2, 873-1187) or full-length enzyme (cMET and Chk2) and peptide substrate. Each enzyme reaction is performed with or without test compound (11-point dilution), JAK, cMET, or Chk2 enzyme, 500 nM (100 nM for Chk2) peptide, ATP (at the Km specific for each kinase or 1 mM), and 2.0% DMSO in assay buffer. The calculated IC50 value is the compound concentration required for inhibition of 50% of the fluorescent signal. Additional kinase assays are performed at Cerep using standard conditions at 200 nM. Enzymes tested included: Abl, Akt1, AurA, AurB, CDC2, CDK2, CDK4, CHK2, c-kit, EGFR, EphB4, ERK1, ERK2, FLT-1, HER2, IGF1R, IKKα, IKKβ, JNK1, Lck, MEK1, p38α, p70S6K, PKA, PKCα, Src, and ZAP70[1].
Cell Research	A panel of neuroblastoma cell lines, selected based upon prior demonstration of substrate adherent growth, is plated in triplicate on the Xcelligence Real-Time Cell Electronic Sensing system and treated 24 hours later with a four-log dose range of inhibitor or with a dimethyl sulfoxide (DMSO) control. Cell indexes are monitored continuously for ~100 hours, and IC50 values are determined as follows: growth curves are generated by plotting the cell index as a function of time and are normalized to the cell index at the time of treatment for a baseline cell index of 1. The area under the normalized growth curve from the time of treatment to 96 hours posttreatment is then calculated using a baseline area of 1 (the cell index at the time of treatment). Areas are normalized to the DMSO control, and the resulting data are analyzed using a nonlinear log inhibitor versus normalized response function. All experiments are repeated at least once. (Only for Reference)

Solubility Information

Solubility	DMSO: 50 mg/mL (115.06 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (11.51 mM),Suspension. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3013 mL	11.5064 mL	23.0128 mL
5 mM	0.4603 mL	2.3013 mL	4.6026 mL
10 mM	0.2301 mL	1.1506 mL	2.3013 mL
50 mM	0.046 mL	0.2301 mL	0.4603 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Li T, et al. Ribociclib (LEE011) suppresses cell proliferation and induces apoptosis of MDA-MB-231 by inhibiting CDK4/6-cyclin D-Rb-E2F pathway. Artif Cells Nanomed Biotechnol. 2019 Dec;47(1):4001-4011.

Ou J, Li H, Qiu P, et al. CDK9 modulates circadian clock by attenuating REV-ERBα activity. Biochemical and Biophysical Research Communications. 2019 Jun 11;513(4):967-973

Rader J, et al. Dual CDK4/CDK6 inhibition induces cell-cycle arrest and senescence in neuroblastoma. Clin Cancer Res. 2013 Nov 15;19(22):6173-82.