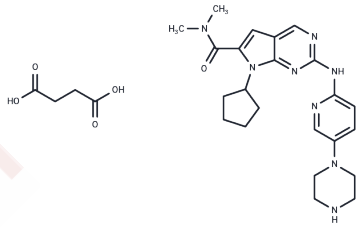
Data Sheet (Cat.No.T15732)

TargetMol

Ribociclib succinate

Chemical Properties



CAS No. : 1374639-75-4

Formula: C27H36N8O5

Molecular Weight: 552.63

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ribociclib succinate (LEE011 succinate) is a highly specific CDK4/6 inhibitor with IC50 values of 10 nM and 39 nM, respectively, and exhibits over 1,000-fold reduced potency against the cyclin B/CDK1 complex.
Targets(IC50)	CDK
In vitro	Ribociclib succinate treatment of two neuroblastoma cell lines (BE2C and IMR5) with demonstrated sensitivity to CDK4/6 inhibition causes a dose-dependent accumulation of cells in the G0/G1 phase of the cell cycle. This G0/G1 arrest becomes significant at Ribociclib concentrations of 100 nM (p=0.007) and 250 nM (p=0.01), respectively. Treatment with Ribociclib obviously inhibits substrate adherent growth relative to the control in 12 of the 17 neuroblastoma cell lines examined (mean IC50=306±68 nM, considering sensitive lines only, where sensitivity is defined as an IC50 of less than 1 μM. Treating a panel of 17 neuroblastoma cell lines with Ribociclib across a four-log dose range (10 to 10,000 nM) [2].
In vivo	Tumor growth is significantly delayed during 21 days of Ribociclib (LEE011; 200 mg/kg) treatment in mice with BE2C or 1643 xenografts (both, p<0.0001), although growth resumed post-treatment. CB17 immunodeficient mice with BE2C, NB-1643 (MYCN amplified, sensitive in vitro), or EBC1 (non-amplified, resistant in vitro) xenografts received daily treatment with Ribociclib or vehicle control, with no observed weight loss or toxicity signs in any models [2].

Solubility Information

Solubility	DMSO: 9 mg/mL (16.29 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 0.5 mg/mL (0.9 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8095 mL	9.0476 mL	18.0953 mL
5 mM	0.3619 mL	1.8095 mL	3.6191 mL
10 mM	0.181 mL	0.9048 mL	1.8095 mL
50 mM	0.0362 mL	0.181 mL	0.3619 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

VanArsdale T, et al. Molecular Pathways: Targeting the Cyclin D-CDK4/6 Axis for Cancer Treatment. Clin Cancer Res. 2015 Jul 1;21(13):2905-10.
Crystal structure of ribociclib hydrogen succinate, (C23H31N8O)(HC4H4O4)
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.

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