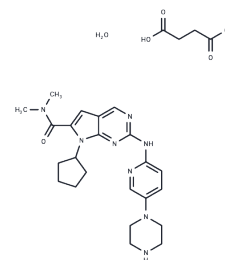


Ribociclib succinate hydrate

Chemical Properties

CAS No. :	1374639-79-8
Formula:	C ₂₇ H ₃₈ N ₈ O ₆
Molecular Weight:	570.651
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 hydrate is a highly specific CDK4/6 inhibitor (IC ₅₀ s: 10 nM and 39 nM, respectively). It also is over 1,000-fold less potent against the cyclin B/CDK1 complex.
Targets(IC ₅₀)	CDK
In vitro	Ribociclib succinate hydrate 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 G ₀ /G ₁ phase of the cell cycle. This G ₀ /G ₁ 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 IC ₅₀ =306±68 nM, considering sensitive lines only, where sensitivity is defined as an IC ₅₀ 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	Treatment with Ribociclib (LEE011; 200 mg/kg) or vehicle control for 21 days significantly delayed tumor growth in CB17 immunodeficient mice bearing BE2C or NB-1643 (MYCN amplified, sensitive in vitro) xenografts, with both groups showing a marked growth inhibition (p<0.0001); however, tumor growth resumed after the treatment period. This study also included EBC1 (non-amplified, resistant in vitro) xenografts in the treatment regimen. The daily dosing of Ribociclib was well tolerated across all xenograft models, with no observed weight loss or signs of toxicity [2].

Solubility Information

Solubility	DMSO: 19 mg/mL (33.3 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: 1.5 mg/mL (2.63 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7524 mL	8.7619 mL	17.5239 mL
5 mM	0.3505 mL	1.7524 mL	3.5048 mL
10 mM	0.1752 mL	0.8762 mL	1.7524 mL
50 mM	0.035 mL	0.1752 mL	0.3505 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.

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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