

## Ribociclib succinate hydrate

## Chemical Properties

CAS No.:	1374639-79-8
Formula:	C <sub>27</sub> H <sub>38</sub> N <sub>8</sub> O <sub>6</sub>
Molecular Weight:	570.64
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).

## Biological Description

Description	Ribociclib succinate hydrate is a highly specific CDK4/6 inhibitor (IC <sub>50</sub> s: 10 nM and 39 nM, respectively). It also is over 1,000-fold less potent against the cyclin B/CDK1 complex.
Targets(IC <sub>50</sub> )	CDK4: 10 nM CDK6: 39 nM
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 <sub>0</sub> /G <sub>1</sub> phase of the cell cycle. This G <sub>0</sub> /G <sub>1</sub> 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 <sub>50</sub> =306±68 nM, considering sensitive lines only, where sensitivity is defined as an IC <sub>50</sub> 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 obviously delayed throughout the 21 days of treatment in mice harboring the BE2C or 1643 xenografts (both, p<0.0001), although growth resumed post-treatment. CB17 immunodeficient mice bearing BE2C, NB-1643 (MYCN amplified, sensitive in vitro), or EBC1 (non-amplified, resistant in vitro) xenografts are treated once daily for 21 days with Ribociclib (LEE011; 200 mg/kg) or with vehicle control. This dosing strategy is well tolerated, as no weight loss or other signs of toxicity are observed in any of the xenograft models [2].

## Solubility Information

Solubility	DMSO: 19 mg/mL (33.30 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.752 mL	8.762 mL	17.524 mL
5 mM	0.35 mL	1.752 mL	3.505 mL
10 mM	0.175 mL	0.876 mL	1.752 mL
50 mM	0.035 mL	0.175 mL	0.35 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

## Reference

1. 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.
2. 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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