

BI-847325

## Chemical Properties

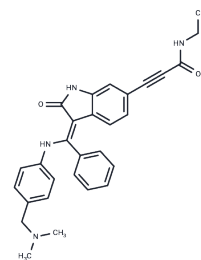
CAS No. : 1207293-36-4

Formula: C<sub>29</sub>H<sub>28</sub>N<sub>4</sub>O<sub>2</sub>

Molecular Weight: 464.56

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	BI-847325 is a selective dual inhibitor of MEK and aurora kinases (AK) with IC <sub>50</sub> values of 4 and 15 nM for human MEK2 and AK-C, respectively.
Targets(IC <sub>50</sub> )	Apoptosis,MEK,Aurora Kinase
In vitro	BI-847325 shows growth-inhibitory effects on BRAF-mutant and vemurafenib-resistant melanoma cells with IC <sub>50</sub> ranging from 0.3 nM to 2 μM, and prevents colony formation in six BRAF-mutant melanoma cell lines. BI-847325 also induces apoptosis by reducing Mcl-1 expression. [1]
In vivo	In mice bearing 1205Lu and 1205LuR xenografts, BI-847325 (75 mg/kg, p.o.) causes significant tumor suppression without significant alteration in the body weights. [1]
Kinase Assay	Assays are run in the presence of 100 μM ATP using 10 μM of substrate. 30 μL PROTEIN-MIX in 25% DMSO and incubated for 15 min at room temperature. 10 μL PEPTIDE-MIX is added, the mixture is incubated for 60 min at RT and stopped by adding 180 μL 6.4% TCA (final concentration: 5%). Incorporated phosphate is measured in a scintillation counter and IC <sub>50</sub> values are calculated using a sigmoidal curve analysis program with variable hill slope[1].
Cell Research	Cells are plated at a density of 2.5 × 10 <sup>3</sup> cells per 100 μL and left to grow overnight before being treated with increasing concentrations of BI-847325 for 72 hours. The metabolic activity is determined using Alamar blue reagent as per the manufacturer's protocol.(Only for Reference)

## Solubility Information

Solubility	DMSO: 50 mg/mL (107.63 mM),Sonication is recommended. H <sub>2</sub> O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 1 mg/mL (2.15 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 5 mg/mL (10.76 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (10.76 mM),Solution. <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</i>

## A DRUG SCREENING EXPERT

In vivo Formulation	<i>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>
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1526 mL	10.7629 mL	21.5257 mL
5 mM	0.4305 mL	2.1526 mL	4.3051 mL
10 mM	0.2153 mL	1.0763 mL	2.1526 mL
50 mM	0.0431 mL	0.2153 mL	0.4305 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

Phadke MS, et al. Mol Cancer Ther. 2015, 14(6), 1354-1364.

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