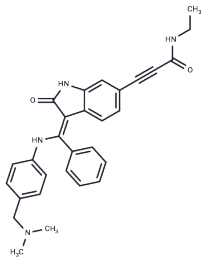
# Data Sheet (Cat.No.T6785)

TargetMol

BI-847325

## Chemical Properties



CAS No. : 1207293-36-4

Formula: C29H28N4O2

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 IC50 values of 4 and 15 nM for human MEK2 and AK-C, respectively. |
| Targets(IC50) | Apoptosis,MEK,Aurora Kinase |
| In vitro | BI-847325 shows growth-inhibitory effects on BRAF-mutant and vemurafenib-resistant melanoma cells with IC50 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 IC50 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 × 103 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.<br>H2O: < 1 mg/mL (insoluble or slightly soluble),<br>Ethanol: 1 mg/mL (2.15 mM),Sonication is recommended.<br>(< 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.<br>10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (10.76 mM),Solution.<br>*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* |

| | |
|---|---|
| In vivo Formulation | *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 | 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.