# Data Sheet (Cat.No.T6934)



## Pexmetinib

### **Chemical Properties**

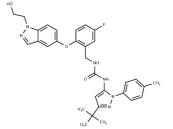
CAS No.: 945614-12-0

Formula: C31H33FN6O3

Molecular Weight: 556.63

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



# **Biological Description**

| Description   | Pexmetinib (ARRY-614) (ARRY-614) is a potent, orally bioavailable, dual p38 MAPK/Tie-2 inhibitor with IC50 of 4 nM/18 nM in a HEK-293 cell line. Phase 1.   |
|---------------|---|
| Targets(IC50) | Tie-2,p38 MAPK,Autophagy  |
| In vitro      | In HeLa cells, Pexmetinib inhibits phospho-HSP27 with IC50 of 2 nM. In isolated PBMCs and human whole blood cells, Pexmetinib inhibits LPS-Induced TNF $\alpha$ with IC50 of 4.5 nM and 313 nM, respectively. [1]   |
| In vivo       | In male Swiss Webster mice, Pexmetinib (30 mg/kg, p.o.) inhibits the production of the proin? ammatory cytokines TNFα and IL6 in response to lipopolysaccharide (LPS) or staphyloccus enterotoxin A. In established RPMI 8226 xenografts, ARRY-614 (25 mg/kg, p.o.) inhibits tumor growth and shows additive activity when combines with thalidomide. In ovarian carcinoma A2780 xenografts, ARRY-614 (30 mg/kg, p.o.) also shows additive tumor growth inhibition activity when combines with Taxol. [1] |

### **Solubility Information**

| Solubility | H2O: < 1 mg/mL (insoluble or slightly soluble),<br>br/>DMSO: 93 mg/mL (167.1 |
|------------|--|
|            | mM),<br>Ethanol: 93 mg/mL (167.1 mM),<br>(< 1 mg/ml refers to the product    |
|            | slightly soluble or insoluble)   |

#### **Preparing Stock Solutions**

|       | 1mg       | 5mg       | 10mg       |
|-------|-----------|-----------|------------|
| 1 mM  | 1.7965 mL | 8.9826 mL | 17.9653 mL |
| 5 mM  | 0.3593 mL | 1.7965 mL | 3.5931 mL  |
| 10 mM | 0.1797 mL | 0.8983 mL | 1.7965 mL  |
| 50 mM | 0.0359 mL | 0.1797 mL | 0.3593 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.

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Reference

Koch K. 2013 AACR Annual Meeting.

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