# BI-1347

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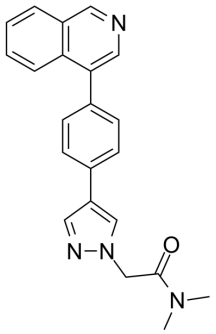
| **Cat. No.:** | HY-120350 |
| **CAS No.:** | 2163056-91-3 |
| **Molecular Formula:** | $C_{22}H_{20}N_4O$ |
| **Molecular Weight:** | 356.42 |
| **Target:** | CDK |
| **Pathway:** | Cell Cycle/DNA Damage |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 125 mg/mL (350.71 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.8057 mL | 14.0284 mL | 28.0568 mL |
| | 5 mM | 0.5611 mL | 2.8057 mL | 5.6114 mL |
| | 10 mM | 0.2806 mL | 1.4028 mL | 2.8057 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.84 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.84 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

BI-1347 is an orally active, selective and potent CDK8 inhibitor ($IC_{50}$=1.1 nM). BI-1347 shows anti-tumoral activity[1][2].

**$IC_{50}$ & Target**

CDK8
1.1 nM ($IC_{50}$)

**In Vitro**

BI-1347 (150 nM; 44 h) enhances granzyme B (GZMB+) production in mouse splenic NK cells[2].
BI-1347 (0.1 nM-10 μM; 24 h) treatment increases perforin secretion from NK92MI cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

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|---|---|
| Cell Line: | Mouse splenic NK cells |
| Concentration: | 150 nM |
| Incubation Time: | 44 hours |
| Result: | Increased the proportion of granzyme B-positive NK cells by approximately 4-fold. |

Western Blot Analysis[2]

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|---|---|
| Cell Line: | Human NK92MI cells |
| Concentration: | 0.1 nM-10 μM |
| Incubation Time: | 24 hours |
| Result: | Increased perforin levels with an $EC_{50}$ value of 7.2 nM. |

**In Vivo**

BI-1347 (oral gavage; 10 mg/kg; once daily; 30 d) modulates STAT1 $^{S727}$ phosphorylation and shows anti-tumor activity in vivo[2].

BI-1347 (oral gavage; 10 mg/kg) intermittent schedule and BI-8382 continuous treatment combination treatment increases efficacy compared to each monotherapy in the mammary carcinoma EMT6 model[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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|---|---|
| Animal Model: | B16-F10-luc2 syngeneic melanoma model[2] |
| Dosage: | 10 mg/kg |
| Administration: | Oral gavage; 10 mg/kg; once daily; 30 d |
| Result: | Reduced phosphorylation of STAT1 $^{S727}$ for at least 6 h by 60%.<br>Showed minimal effect on body weight at 10 mg/kg.<br>Showed lower tumor burden both on day 23 and 29, compared to the control group. |

## CUSTOMER VALIDATION

- Cell. 2021 Apr 15;184(8):2167-2182.e22.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Hofmann MH, et al. Selective and Potent CDK8/19 Inhibitors Enhance NK-Cell Activity and Promote Tumor Surveillance. Mol Cancer Ther. 2020 Apr;19(4):1018-1030.

[2]. Harald Engelhardt, et al. New phenylpyrazolylacetamide compounds and derivatives as cdk8/cdk19 inhibitors.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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