# Enitociclib

**Cat. No.:** HY-103019

**CAS No.:** 1610358-56-9

**Molecular Formula:** $C_{19}H_{18}F_2N_4O_2S$

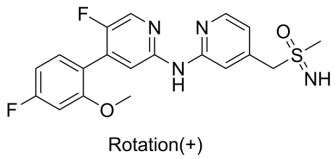
**Molecular Weight:** 404.43

**Target:** CDK

**Pathway:** Cell Cycle/DNA Damage

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



Rotation(+)

## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 113.3 mg/mL (280.15 mM; Need ultrasonic and warming)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.4726 mL | 12.3631 mL | 24.7262 mL |
| | 5 mM | 0.4945 mL | 2.4726 mL | 4.9452 mL |
| | 10 mM | 0.2473 mL | 1.2363 mL | 2.4726 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: ≥ 2.5 mg/mL (6.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Enitociclib ((+)-Enitociclib) is an enanthiomer of BAY-1251152 with rotation (+). Enitociclib is a potent and selective CDK9 inhibitor with an $IC_{50}$ of 3 nM. Enitociclib has anti-tumour activity[1][2].

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

CDK9/CycT1
3 nM ($IC_{50}$)

**In Vitro**

Enitociclib (Example 2) inhibits HeLa, HeLa-MaTu-ADR, NCI-H460, DU145, Caco-2, B16F10, A2780 and MOLM-13 cells

proliferation with $IC_{50}$ values of 110 nM, 33 nM, 75 nM, 33 nM, 62 nM, 240 nM, 110 nM and 29 nM, respectively[1].
An efficient inhibition of the proliferation of both ABC (Activated B-cell type) and GCB (Germinal-centre B-cell type) subtypes of diffuse large B-cell lymphoma (DLBCL) by Enitociclib (Compound A')[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Enitociclib (Compound A'; 10 mg/kg; intravenous injection; once every seven days; for 14 days; female SCID mice) reaches a Treatment to Control ratios (T/C) by area of 0.29 and a T/C by weight of 0.24. Enitociclib inhibits tumour growth and had good tolerability[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Female SCID mice with OCI-LY-3 cells[2] |
| Dosage: | 10 mg/kg |
| Administration: | Intravenous injection; once every seven days; for 14 days |
| Result: | Inhibited tumour growth and had good tolerability. |

## CUSTOMER VALIDATION

- Acta Pharm Sin B. 2023 May 26.
- Oncotarget. 2023 Dec 20:14:997-1008.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Ulrich LÜCKING, et al. 5-fluoro-n-(pyridin-2-yl)pyridin-2-amine derivatives containing a sulfoximine group. WO2014076091A1.

[2]. Use of Arne Scholz, et al. 5-fluoro-4-(4-fluoro-2-methoxyphenyl)-n-{4-[(s-methylsulfonimidoyl)methyl]pyridin-2-yl}pyridin-2-amine for treating diffuse large b-cell lymphoma. WO2019158517A1.



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