# AZ6102

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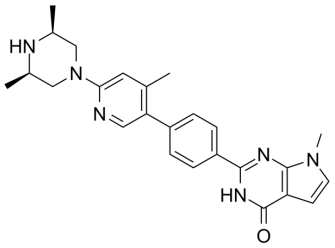
|---|---|
| **Cat. No.:** | HY-12975 |
| **CAS No.:** | 1645286-75-4 |
| **Molecular Formula:** | $C_{25}H_{28}N_6O$ |
| **Molecular Weight:** | 428.53 |
| **Target:** | PARP |
| **Pathway:** | Cell Cycle/DNA Damage; Epigenetics |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 25 mg/mL (58.34 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.3336 mL | 11.6678 mL | 23.3356 mL |
| 5 mM | 0.4667 mL | 2.3336 mL | 4.6671 mL |
| 10 mM | 0.2334 mL | 1.1668 mL | 2.3336 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 (5.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

AZ6102 is a potent dual TNKS1 and TNKS2 inhibitor, with $IC_{50}$s of 3 nM and 1 nM, respectively, and alao has 100-fold selectivity against other PARP family enzymes, with $IC_{50}$s of 2.0 μM, 0.5 μM, and >3 μM, for PARP1, PARP2, and PARP6, respectively.

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

IC50: 3 nM (TNKS1), 1 nM (TNKS2), 2.0 μM (PARP1), 0.5 μM (PARP2), >3 μM (PARP6)[1]

**In Vitro**

AZ6102 is a potent dual TNKS1 and TNKS2 inhibitor, with $IC_{50}$s of 3 nM and 1 nM, respectively. AZ6102 alao has 100-fold

selectivity against other PARP family enzymes, with $IC_{50}$s of 2.0 μM, 0.5 μM, and >3 μM, for PARP1, PARP2, and PARP6, respectively. AZ6102 shows Wnt pathway inhibition in DLD-1 cells[1].

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

## PROTOCOL

**Kinase Assay [1]**

The assay is conducted using 0.11 μM of tankyrase-1 protein and 3 μM nicotinamide adenine dinucleotide ($NAD^+$, 2.12 μM $^3H$-$NAD^+$ with a specific radioactivity of 1690 Ci/mol, 0.88 μM biotin- $NAD^+$), in pH 7.5 Tris buffer (60 mM Tris, 1 mM DTT, 0.01% (v/v) Tween-20®, 2.5 mM $MgCl_2$, 0.3 mg/mL BSA). For $IC_{50}$ determination, 10 mM DMSO stock solution of a compound (AZ6102 ) is sequentially diluted by two-fold in DMSO, and aliquots of the diluted solutions are transferred to 384-well assay plates and mixed with Tankyrase-1 solution[1].

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

## CUSTOMER VALIDATION

- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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## REFERENCES

[1]. Johannes JW, et al. Pyrimidinone nicotinamide mimetics as selective tankyrase and wnt pathway inhibitors suitable for in vivo pharmacology. ACS Med Chem Lett. 2015 Jan 13;6(3):254-9.



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