**Proteins** 

# **Screening Libraries**

# **Product** Data Sheet

# **MN-64**

Cat. No.: HY-19351 CAS No.: 92831-11-3 Molecular Formula:  $C_{18}H_{16}O_2$ Molecular Weight: 264.32 PARP Target:

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage: Powder -20°C

2 years

3 years

-80°C In solvent 2 years

> -20°C 1 year

## **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 100 mg/mL (378.33 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.7833 mL	18.9165 mL	37.8329 mL
	5 mM	0.7567 mL	3.7833 mL	7.5666 mL
	10 mM	0.3783 mL	1.8916 mL	3.7833 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 (9.46 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (9.46 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (9.46 mM); Clear solution

# **BIOLOGICAL ACTIVITY**

Description MN-64 is a potent tankyrase 1 inhibitor, with IC $_{50}$ s of 6 nM, 72 nM, 19.1  $\mu$ M, and 39.4  $\mu$ M for TNKS1, TNKS2, ARTD1 and ARTD2, respectively.

TNKS1 TNKS2 ARTD1 ARTD2 IC<sub>50</sub> & Target 6 nM (IC<sub>50</sub>) 72 nM (IC<sub>50</sub>)  $19.1 \, \mu M \, (IC_{50})$ 39.4 µM (IC<sub>50</sub>)

In Vitro MN-64 is a potent tankyrase 1 inhibitor, with IC  $_{50}$ s of 6 nM, 72 nM, 19.1  $\mu$ M, 39.4  $\mu$ M for TNKS1, TNKS2, ARTD1 and ARTD2, respectively. MN-64 effectively inhibits Wnt/ $\beta$ -catenin at 1  $\mu$ M, and blocks STF luciferase activity at 200 nM<sup>[1]</sup>.

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

### **PROTOCOL**

Kinase Assay [1]

Inhibitory potency of compounds on Tankyrase-1 enzymatic activity is evaluated using a Scintillation Proximity Assay (SPA). The assay is designed to measure compound inhibition of Tankyrase-1 autoPARsylation (Tankyrase-1 is both enzyme and substrate in this assay). Truncated recombinant human Tankyrase-1 protein (amino acids E1023-T1327) is purified from SF9 cells. The assay is conducted using  $0.11\,\mu\text{M}$  of Tankyrase-1 protein and  $3\,\mu\text{M}$  nicotinamide adenine dinucleotide (NAD+, 2.12  $\mu\text{M}$   $^3\text{H-NAD}$ + with a specific radioactivity of 1690 Ci/mol,  $0.88\,\mu\text{M}$  biotin- NAD+), in pH 7.5 Tris buffer (60 mM Tris, 1 mM DTT, 0.01% (v/v) Tween-20°, 2.5 mM MgCl<sub>2</sub>, 0.3 mg/mL BSA). For IC<sub>50</sub> determination, 10 mM DMSO stock solution of a compound (MN-64) 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<sup>[1]</sup>.

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

### **CUSTOMER VALIDATION**

- Nat Commun. 2019 Oct 25;10(1):4898.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- Patent. US20210353681A1.

See more customer validations on www.MedChemExpress.com

#### **REFERENCES**

[1]. Narwal M, et al. Discovery of tankyrase inhibiting flavones with increased potency and isoenzyme selectivity. J Med Chem. 2013 Oct 24;56(20):7880-9.

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

Tel: 609-228-6898

Fax: 609-228-5909

 $\hbox{E-mail: } tech@MedChemExpress.com$ 

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA