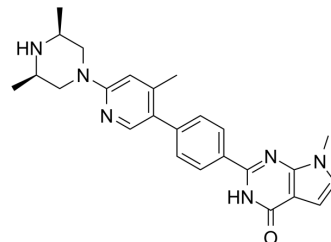


AZ6102

Cat. No.:	HY-12975		
CAS No.:	1645286-75-4		
Molecular Formula:	C ₂₅ H ₂₈ N ₆ O		
Molecular Weight:	428.53		
Target:	PARP		
Pathway:	Cell Cycle/DNA Damage; Epigenetics		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (58.34 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	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	<ol style="list-style-type: none"> 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 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 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 also 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.
IC₅₀ & Target	IC ₅₀ : 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 also has 100-fold

selectivity against other PARP family enzymes, with IC₅₀s 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 MgCl₂, 0.3 mg/mL BSA). For IC₅₀ 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.

See more customer validations on www.MedChemExpress.com

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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

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