

产品名称: **AZ6102**

产品别名: **AZ6102**

生物活性:

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.				
IC ₅₀ & Target	TNKS2	TNKS1	PARP1	PARP2	
	2 nM (IC ₅₀)	3 nM (IC ₅₀)	2 μM (IC ₅₀)	0.5 μM (IC ₅₀)	
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 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].				
Solvent&Solubility	<i>In Vitro:</i> DMSO : ≥ 29 mg/mL (67.67 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	<div><div>Solvent / Mass / Concentration</div></div>	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
	<p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。</p>				
References	[1]. Johannes JW, et al. <u>Pyrimidinone nicotinamide mimetics as selective tankyrase and wnt pathway inhibitors suitable for in vivo pharmacology</u> . ACS Med Chem Lett. 2015 Jan 13;6(3):254-9.				

实验参考:

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