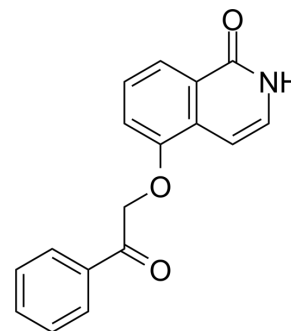


## UPF 1069

<b>Cat. No.:</b>	HY-14478		
<b>CAS No.:</b>	1048371-03-4		
<b>Molecular Formula:</b>	C <sub>17</sub> H <sub>13</sub> NO <sub>3</sub>		
<b>Molecular Weight:</b>	279.29		
<b>Target:</b>	PARP		
<b>Pathway:</b>	Cell Cycle/DNA Damage; Epigenetics		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



## SOLVENT & SOLUBILITY

### In Vitro

DMSO : ≥ 100 mg/mL (358.05 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.5805 mL	17.9025 mL	35.8051 mL
	5 mM	0.7161 mL	3.5805 mL	7.1610 mL
	10 mM	0.3581 mL	1.7903 mL	3.5805 mL

Please refer to the solubility information to select the appropriate solvent.

### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (8.95 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (8.95 mM); Clear solution

## BIOLOGICAL ACTIVITY

### Description

UPF 1069 is a PARP inhibitor, with IC<sub>50</sub>s of 8 and 0.3 μM for PARP-1 and PARP-2, respectively.

### IC<sub>50</sub> & Target

PARP-2 0.3 μM (IC <sub>50</sub> )	PARP-1 8 μM (IC <sub>50</sub> )
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### In Vitro

UPF 1069 (Compound 55) is a PARP inhibitor, with IC<sub>50</sub>s of 8 and 0.3 μM for PARP-1 and PARP-2, respectively<sup>[1]</sup>. UPF 1069 (1 μM) reduces the residual PARP activity by approximately 80% of PARP-1-deficient fibroblasts, but only slightly inhibits the enzymic activity in wild-type fibroblasts. UPF 1069 (0.1-1 μM) markedly enhances CA1 hippocampal damage. UPF 1069 (10 μM) also exacerbates oxygen-glucose deprivation (OGD) damage in organotypic hippocampal slices. However, UPF 1069

alleviates the damage caused by OGD in mixed cortical cell cultures, shows a potent neuroprotective activity both at a concentration (1  $\mu$ M) selectively acting on PARP-2 and at a concentration (10  $\mu$ M) inhibiting both PARP-1 and PARP-2 activities<sup>[2]</sup>.

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

## PROTOCOL

### Kinase Assay <sup>[2]</sup>

PARP activity is evaluated by utilizing commercially available recombinant bovine PARP-1 and mouse PARP-2. Briefly, the enzymatic reaction is carried out in 100  $\mu$ L of 50 mM Tris-HCl (pH 8.0) containing 5 mM MgCl<sub>2</sub>, 2 mM dithiothreitol, 10  $\mu$ g sonicated calf thymus DNA, 0.2  $\mu$ Ci [adenine-2,8-<sup>3</sup>H]NAD and recombinant enzyme PARP-1 or PARP-2 (0.03 U per sample). Different concentrations of the putative inhibitors are added, and the mixture is incubated for 1 h at 37°C. The reaction is terminated by adding 1 mL of 10% trichloroacetic acid (w/v) and centrifuged. Pellets are then washed twice with 1 mL of H<sub>2</sub>O and resuspended in 1 mL of 0.1 M NaOH. The radioactivity incorporated from [adenine-2,8-<sup>3</sup>H]NAD into proteins is evaluated by liquid scintillation spectrometry<sup>[2]</sup>.

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]. Pellicciari R, et al. On the way to selective PARP-2 inhibitors. Design, synthesis, and preliminary evaluation of a series of isoquinolinone derivatives. ChemMedChem. 2008 Jun;3(6):914-23.

[2]. Moroni F, et al. Selective PARP-2 inhibitors increase apoptosis in hippocampal slices but protect cortical cells in models of post-ischaemic brain damage.

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

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