产品名称：UPF 1069
产品别名：UPF 1069

生物活性：

Description	UPF 1069 is a PARP inhibitor, with IC_{50}s of 8 and 0.3 μM for PARP-1 and PARP-2, respectively.	
IC_{50} & Target	PARP-2	PARP-1
	0.3 μM (IC_{50})	8 μM (IC_{50})
In Vitro	UPF 1069 (Compound 55) is a PARP inhibitor, with IC_{50}s of 8 and 0.3 μM for PARP-1 and PARP-2, respectively[1]. 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 cuased by OGD in mixed cortical cell cultures, shows a potent neuroprotective activity both at a concentration (1 μM) selectively acting on PARP-2 and at a concentration (10 μM) inhibiting both PARP-1 and PARP-2 activities[2].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (358.05 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	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

*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。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (8.95 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.95 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (8.95 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.95 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。

	以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Kinase Assay	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 μL of 50 mM Tris-HCl (pH 8.0) containing 5 mM $MgCl_2$, 2 mM dithiothreitol, 10 μg sonicated calf thymus DNA, 0.2 μCi [adenine-2,8-^{3}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_2O and resuspended in 1 mL of 0.1 M NaOH. The radioactivity incorporated from [adenine-2,8-^{3}H]NAD into proteins is evaluated by liquid scintillation spectrometry [2]
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.