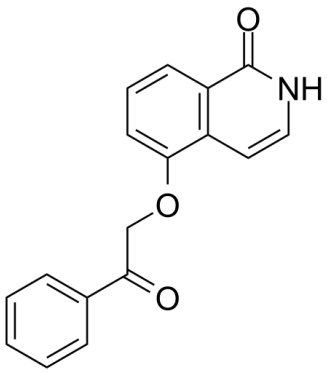
Data Sheet

Product Name:	UPF 1069
Cat. No.:	CS-1466
CAS No.:	1048371-03-4
Molecular Formula:	C17H13NO3
Molecular Weight:	279.29
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Solubility:	DMSO : ≥ 100 mg/mL (358.05 mM)



BIOLOGICAL ACTIVITY:

UPF 1069 is a **PARP** inhibitor, with **IC_{50}**s of 8 and 0.3 μM for PARP-1 and PARP-2, respectively. IC50 & Target: IC50: 8 μM (PARP-1), 0.3 μM (PARP-2)[1][2] **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].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [2]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.

CAIndexNames:

1(2H)-Isoquinolinone, 5-(2-oxo-2-phenylethoxy)-

SMILES:

O=C1NC=CC2=C1C=CC=C2OCC(C3=CC=CC=C3)=O

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

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