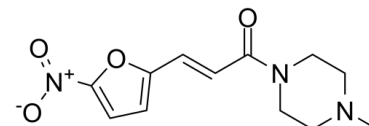


Data Sheet

Product Name:	NSC59984
Cat. No.:	CS-5382
CAS No.:	803647-40-7
Molecular Formula:	C ₁₂ H ₁₅ N ₃ O ₄
Molecular Weight:	265.27
Target:	MDM-2/p53
Pathway:	Apoptosis
Solubility:	DMSO : 33.33 mg/mL (125.65 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

NSC59984 induces mutant p53 protein degradation via MDM2 and the ubiquitin-proteasome pathway^[1]. NSC59984 acts by targeting GOF-mutant p53 and stimulates p73 to restore the p53 pathway signaling^[2]. **In Vitro:** NSC59984 specifically restores p53 pathway signaling in mutant p53-expressing human colorectal cancer cells. NSC59984 induces cell death in tumor cells but not normal cells with little or no genotoxicity. NSC59984 induces mutant p53 protein degradation through MDM2-mediated ubiquitination in cancer cells. NSC59984 restores p53 pathway signaling through activation of p73. NSC59984 induces p73-dependent cell apoptosis in cancer. The EC₅₀ of NSC59984 in most cancer cells is significantly lower than those of normal cells, with EC₅₀ of 8.38 μM for p53-null HCT116 cells^[1]. **In Vivo:** NSC59984 synergizes with CPT11 to induce cell death in mutant p53-expressing colorectal cancer cells and inhibits mutant p53-associated colon tumor xenograft growth in a p73-dependent manner^[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [1] Five hundred cells per well on 6-well plate were treated with NSC59984 for 3 days, then, cells were cultured with drug-free complete medium for 2 weeks with fresh medium changed every 3 days. Cells were fixed with 10% formalin and stained with 0.05% crystal violet at the end of 2 weeks period of cell culture. Animal administration [1] Five million DLD-1 and p73 knockdown DLD-1 cells were implanted subcutaneously in the opposite flanks in each CRL nude mouse (female, 4-6 weeks old). Treatment with NSC59984 (i.p. injection) was initiated when the tumor masses reached a size of 3 to 5 mm. NSC59984 (45 mg/kg) was injected by i.p. route every 5 days. Fifteen days after treatment, the mice were euthanized.

References:

- [1]. Zhang S, et al. Small-Molecule NSC59984 Restores p53 Pathway Signaling and Antitumor Effects against Colorectal Cancer via p73 Activation and Degradation of Mutant p53. *Cancer Res.* 2015 Sep 15;75(18):3842-52.
- [2]. Zhang S, et al. Small-Molecule NSC59984 Restores p53 Pathway Signaling and Antitumor Effects against Colorectal Cancer via p73 Activation and Degradation of Mutant p53. *Cancer Res.* 2015 Sep 15;75(18):3842-52.

CAIndexNames:

2-Propen-1-one, 1-(4-methyl-1-piperazinyl)-3-(5-nitro-2-furanyl)-

SMILES:

O=C(N1CCN(CC1)/C=C/C2=CC=C([N+](O-)=O)O2

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

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