

Product Name: NSC59984

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties

Product Name: NSC59984

Cas No.: 803647-40-7

M.Wt: 265.27

Formula: C12H15N3O4

Chemical Name: (E)-1-(4-methylpiperazin-1-yl)-3-(5-nitrofuran-2-yl)prop-2-en-1-one

Canonical SMILES: CN1CCN(C(/C=C/C2=CC=C([N+]([O-])=O)O2)=O)CC1

Solubility: Soluble in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37° C

and shake it in the ultrasonic bath for a while. Stock solution can be

stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice

All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Apoptosis

Pathways: p53

Description:

NSC59984 is a promising lead compound for anti-cancer therapy that acts by targeting GOF mutant p53 and stimulates p73 to restore the p53 signaling pathway. The p53 is a tumour-suppressor protein which exerts antiproliferative effects, including growth arrestand apoptosis.

In vitro: In SW480 and DLD-1 cells, NSC59984 treatment for 3 hours dose-dependently increased the mRNA levels of p21, Noxa and Puma. NSC59984 was also found to increase p53-responsive

reporter activity in both SW480 in a dose-dependent manner. The EC50 values of NSC59984 against a panel of cancer cell lines were different, varying from 8.38 to 110.49 μ M. After incubation with 12 μ M NSC59984 for 8 hours, the level of γ H2AX, a marker of genotoxicity due to DNA double-strand breaks, increased in HCT116 cells. NSC59984 induced cell death in apanel of cancer cells but displayed little or no cytotoxicity towards normal cells. Noxa mRNA slightly increased in response to 25 μ M of NSC59984 in HCT116 and 12 μ M of NSC59984 in p53-null HCT116 cells [1].

In vivo: In nude mice bearing colon-tumor xenografts, NSC59984 (i.p. injection, 45mg/kg) did not cause an obvious change in mouse body weights and no overt toxic effects. NSC59984 treatment significantly repressed the DLD-1 xenograft tumor growth. Tumor weight measured at day 15 reduced by 34% in DLD-1 xenograft tumors. In p73 knock-down DLD-1 xenograft tumors, NSC59984 didn't suppress tumor growth. In p73 knock-down DLD-1 xenograft tumors, NSC59984 treatment reduced tumor weight by 18% [1].

Reference:

Zhang S, Zhou L, Hong B, et al. Small-molecule NSC59984 restores p53 pathway signaling and antitumor effects against colorectal cancer via p73 activation and degradation of mutant p53[J]. Cancer research, 2015, 75(18): 3842-3852.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

ApexBio Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com