

Product Data Sheet

Product Name: NSC 663284

Cat. No.: GC14875

Chemical Properties

Cas. No. 383907-43-5

Chemical Name 6-chloro-7-((2-morpholinoethyl)amino)quinoline-5,8-dione

SMILES C1C=C(C(C2=NC=CC=C2C1=O)=O)NCCN3CCOCC3

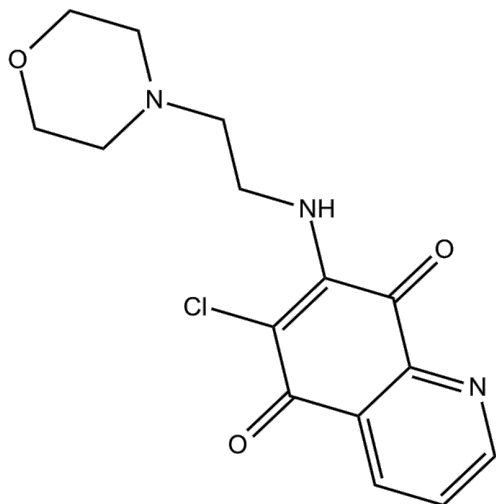
Formula $C_{15}H_{16}ClN_3O_3$ M.Wt 321.76

Solubility DMF: 20 mg/ml, DMSO: 10 mg/ml, Ethanol: 0.5 mg/ml, PBS (pH 7.2): 0.15 mg/ml
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.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

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

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Animal experiment:

Mice: C.B.-17 SCID mice bearing HT29 human colon carcinoma xenografts are stratified into the following groups of 9-10 animals: Control, vehicle control, positive control (gemcitabine, 50 mg/kg/dose i.v.), NSC 663284 at the following doses: 2, 3 or 5 mg/kg/dose i.v.. The mice are dosed every 4 days for 6 doses, and body weights and tumor volumes are recorded twice weekly. Tumors are measured with calipers, and tumor volumes are calculated. Mice are followed for 3 weeks following the completion of the dosing to monitor tumor regrowth. In a second study, C.B.-17 SCID mice bearing MDA-MB-435 human breast cancer xenografts are stratified to the same treatment groups, except that paclitaxel at 20 mg/kg i.v. every 7 days is used as the positive control[2].

References:

- [1]. Lazo JS, et al. Discovery and biological evaluation of a new family of potent inhibitors of the dual specificity protein phosphatase Cdc25. J Med Chem. 2001 Nov 22;44(24):4042-9.
- [2]. Guo J, et al. Pharmacology and antitumor activity of a quinolinedione Cdc25 phosphatase inhibitor DA3003-1 (NSC 663284). Anticancer Res. 2007 Sep-Oct;27(5A):3067-73.

Background

NSC 663284 is a potent, cell-permeable, and irreversible Cdc25 dual specificity phosphatase inhibitor, has an IC₅₀ for Cdc25B2 of 0.21 μ M. NSC 663284 exhibits mixed competitive kinetics against Cdc25A, Cdc25B(2), and Cdc25C with K_i values of 29, 95, and 89 nM, respectively[1].

NSC 663284 (3-100 μ M; 48 hours) has a mean IC₅₀ value in the NCI 60 Cell human tumor panel of 1.5 ± 0.6 μ M, has IC₅₀ values of 0.2 μ M in human breast cancer MDA-MB-435 and MDA-N cells, has an IC₅₀ value of 1.7 μ M in human breast MCF-7 cells in culture[1]. NSC 663284 has relative IC₅₀ values for Cdc25B2 (IC₅₀=0.21 μ M) are 20- and 450-fold lower than for VHR (IC₅₀=4.0 μ M) or PTP1B (IC₅₀>4.0 μ M), respectively[1].

NSC 663284 (intravenous injection; 2, 3, and 5mg/kg) inhibits the growth of subcutaneous human colon HT29 xenografts in SCID mice. After a single dose of 5 mg/kg, NSC 663284 is not detectable in plasma or tissues beyond 5 min. Following NSC 663284 treatment of tumor-bearing SCID mice,

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reduces glutathione concentrations in HT29 tumor are decreased to a greater extent and remained decreased for longer than the reduced glutathione concentrations in liver and kidneys[2].

References:

- [1]. Lazo JS, et al. Discovery and biological evaluation of a new family of potent inhibitors of the dual specificity protein phosphatase Cdc25. J Med Chem. 2001 Nov 22;44(24):4042-9.
- [2]. Guo J, et al. Pharmacology and antitumor activity of a quinolinedione Cdc25 phosphatase inhibitor DA3003-1 (NSC 663284). Anticancer Res. 2007 Sep-Oct;27(5A):3067-73.

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