

Product Data Sheet

Product Name: NI-57
Cat. No.: GC16763

Chemical Properties

Cas. No. 1883548-89-7

Chemical Name 4-cyano-N-(1,2-dihydro-1,3-dimethyl-2-oxo-6-quinoliny)-2-methoxy-benzenesulfonamide

SMILES COC1=CC(C#N)=CC=C1S(=O)(=O)NC2=CC=C(N(C)C(C(C)=C3)C(=O)C3=C2)C(=O)O

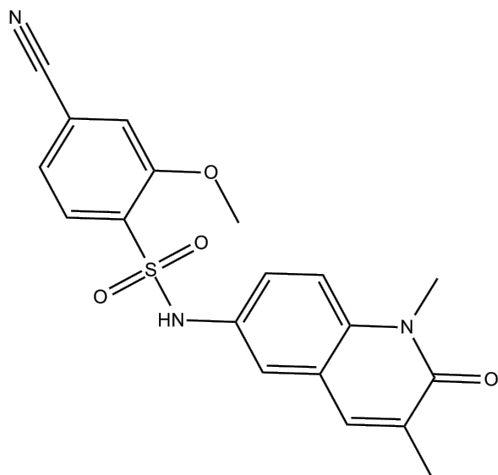
Formula $C_{19}H_{17}N_3O_4S$ M.Wt 383.4

Solubility $\leq 25\text{mg/ml}$ in DMSO; 30mg/ml in dimethyl formamide 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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**Kinase
experiment:**

All reagents are diluted in the recommended buffer (50 mMHEPES, 100 mM NaCl, 0.1% BSA; pH = 7.4) supplemented with 0.05% CHAPS and allowed to equilibrate to room temperature prior to addition to plates. 4 mL of HIS-tagged protein is added to low-volume 384-well plates, followed by 4 mL of either buffer, non-biotinylated peptide, solvent or compounds (NI-57, etc.). Plates are sealed and incubated at room temperature for 30 minutes, before the addition of 4 mL biotinylated peptide, resealing and incubation for a further 30 minutes. 4 mL of streptavidin-coated donor beads (25 µg/mL) and 4 µL of nickel chelate acceptor beads (25 µg/mL) are then added under low light conditions. Plates are foil sealed to protect from light, incubated at room temperature for 60 minutes and read on a PHERAstar FS plate reader using an AlphaScreen™ 680 excitation/570 emission filter set. IC₅₀s are calculated in GraphPad Prism 5. Results for compounds (NI-57, etc.) dissolved in DMSO are normalised against corresponding DMSO controls prior to IC₅₀ determination, which are given as the final concentration of compound in the 20 µL reaction volume[1].

References:

[1]. Igoe N, et al. Design of a Chemical Probe for the Bromodomain and Plant Homeodomain Finger-Containing (BRPF) Family of Proteins. J Med Chem. 2017 Aug 24;60(16):6998-7011.

Background

NI-57 is a potent inhibitor of the bromodomain of the BRPFs that binds to BRPF1B, BRPF2, and BRPF3 with K_d values of 31, 108, and 408 nM, respectively [1].

The bromodomain and PHD finger-containing protein 1, 2, 3 (BRPF1/2/3) are scaffolding subunit of the MOZ/MORF histone acetyltransferase complexes that links together KAT6A/B, ING5 and hEAF6 in the tetrameric assembly. BRPF1 (BR140 or Peregrin) is important in the maintenance of expression levels of Hox genes and the development of axial skeleton, multiple tissues and the hematopoietic system [2][3].

NI-57 is a potent inhibitor of the bromodomain of the BRPFs and acts as a chemical probe for the

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bromodomains of the BRPF family of proteins (BRPF1/2/3). As determined by isothermal titration calorimetry, NI-57 binds to BRPF1B, BRPF2, and BRPF3 with K_d values of 31, 108, and 408 nM, respectively. As measured by both biophysical and biochemical methods, NI-57 exhibited selective against other non-Class IV bromodomains, including the BETs. In the BRPF2 FRAP assay, NI-57 at 1 μ M prevented binding of full-length BRPF2 to chromatin [1].

References:

- [1]. <http://www.thesgc.org/chemical-probes/NI-57>
- [2]. Klein BJ, Lalonde ME, Ct   J, et al. Crosstalk between epigenetic readers regulates the MOZ/MORF HAT complexes. *Epigenetics*. 2014 Feb;9(2):186-93.
- [3]. Ullah M, Pelletier N, Xiao L, et al. Molecular architecture of quartet MOZ/MORF histone acetyltransferase complexes. *Mol Cell Biol*. 2008 Nov;28(22):6828-43.

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