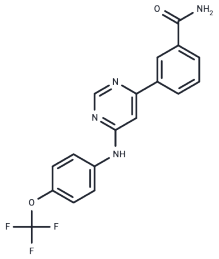
Data Sheet (Cat.No.T1817)

TargetMol

GNF-2

Chemical Properties



CAS No. : 778270-11-4

Formula: C18H13F3N4O2

Molecular Weight: 374.32

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GNF-2 is a highly selective non-ATP competitive inhibitor of Bcr-Abl.
Targets(IC50)	Bcr-Abl,SARS-CoV
In vivo	GNF-2, at a concentration of 1 μM, induces apoptosis in Ba/F3.p210 and Ba/F3.p210E255V cells and significantly reduces phosphorylated Stat5 levels in Ba/F3.p210 cells. It inhibits Bcr-abl tyrosine phosphorylation in a dose-dependent manner, with an IC50 value of 267 nM. Furthermore, 10 μM GNF-2 inhibits BCR-Abl-dependent cell proliferation, requiring the BCR and/or c-Abl SH3 and/or SH2 domains, and significantly suppresses CrkII tyrosine phosphorylation in a dose-dependent manner. GNF-2 demonstrates an inhibition effect on CrkII phosphorylation in cells expressing c-AblG2A, with an IC50 of 0.051 μM, and inhibits autophosphorylation and proliferation in cells expressing p210Bcr-Abl and its mutants, BafF3. When combined with GNF-5 (20 nM), GNF-2 (8 nM) shows a synergistic effect in inhibiting Abl64-515 kinase activity. It also exhibits dose-dependent inhibitory effects on the growth of Bcr-abl positive cells (IC50 values: 273 nM for K562 and 268 nM for SUP-B15) and Ba/F3.p210E255V and Ba/F3.p185Y253H cells, with IC50 values of 268 nM and 194 nM, respectively.
Kinase Assay	Binding assay: Recombinant proteins (100 nM for each construct) or immunoprecipitated proteins are diluted in kinase buffer (20 mM HEPES (pH 7.4), 50 mM KCl, 0.1% CHAPS, 30 mM MgCl2, 2 mM MnCl2, 1 mM DTT, and 1% glycerol). Aliquots of the diluted proteins are preincubated with either DMSO or compounds for 30 min at room temperature and then added to K-LISA PTK EAY reaction plates. The kinase reaction is initiated by adding 0.1 mM ATP and is allowed to proceed for 30 min at room temperature. The phosphorylation of GST-Abltide is monitored by SDS-PAGE and phosphorimaging analysis or autoradiography.
Cell Research	Cells (0.3-0.6 × 106 per mL) are plated in duplicate or triplicate in 96-well plates containing increasing GNF-2 concentrations (5 nM-10 μM). After incubation at 37 ℃ in 5% CO2 for 48 hours, the effect of GNF-2 on cell viability is determined by the MTT colorimetric dye reduction method. Inhibition of cell proliferation is calculated as a percentage of growth of DMSO-treated cells, and IC50 values are determined with Microsoft Excel XLfit3.(Only for Reference)

Solubility Information

Solubility	DMSO: 37.4 mg/mL (99.91 mM),Sonication is recommended. Ethanol: 18.7 mg/mL (49.96 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6715 mL	13.3576 mL	26.7151 mL
5 mM	0.5343 mL	2.6715 mL	5.343 mL
10 mM	0.2672 mL	1.3358 mL	2.6715 mL
50 mM	0.0534 mL	0.2672 mL	0.5343 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Adrián FJ, et al. Nat Chem Biol, 2006, 2(2), 95-102
Choi Y, et al. J Biol Chem, 2009, 284(42), 292005-292014.
Zhang J, et al. Nature, 2010, 463(7280), 501-506.
Fabbro D, et al. Biochim Biophys Acta, 2010, 1804(3), 454-462.