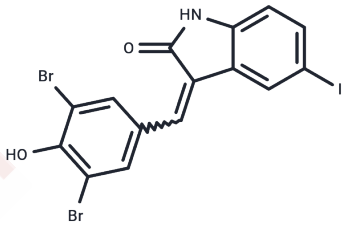
Data Sheet (Cat.No.T6525)

TargetMol

GW 5074

Chemical Properties



CAS No. : 220904-83-6

Formula: C15H8Br2INO2

Molecular Weight: 520.94

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GW 5074 (Raf1 Kinase Inhibitor I)(IC50=9 nM) is an effective and specific c-Raf inhibitor. It has no effect on the activities of JNK1/2/3, MEK1, MKK6/7, CDK1/2, c-Src, p38 MAP, VEGFR2 or c-Fms.
Targets(IC50)	Apoptosis,Raf
In vitro	GW5074 is a potent and specific inhibitor of c-Raf with IC50 of 9 nM while having no effect of MKK6, MKK7, p38 MAP kinase and cdks in vitro. However, treatment of neuronal cultures with GW5074 permits accumulation of activating modifications on c-Raf and also B-Raf. The inhibition of LK-induced apoptosis by GW5074 in cerebellar granule neurons is not MEK-ERK-dependent. GW5074 delays down-regulation of Akt activity but inhibits apoptosis by an Akt-independent mechanism. GW5074 affects Ras, nuclear factor-kappa B and c-jun. GW5074 inhibits cell death caused by neurotoxins in granule cells and other neuronal types. [1]
In vivo	GW5074 is protective in an in vivo experimental model of Huntington's disease. GW5074 (5 mg/Kg) completely prevented extensive bilateral striatal lesions induced by 3-NP in mice. [1] GW5074 completely abolishes chronic morphine-mediated AC superactivation I in CHO cells stably expressing the humanμ-opioid receptor. [2] GW5074 suppresses sidestream smoke-induced airway hyperresponsiveness in mice. [3]
Kinase Assay	Affinity determination: In general, in vitro kinase assays are performed using purified kinase and synthetic substrates under standard conditions using the Kinase Profiling service of Upstate Biotechnology. Briefly, for each assay 5-10 mU of purified kinase is used. For GSK3β, cdk1, cdk2, cdk3, cdk5, the kinase is incubated with 1 μM GW5074 in a buffer containing 8 mM MOPS, pH 7.2, 0.2 mM EDTA, 10 mM magnesium acetate and [c-33P-ATP] for 40 min at room temperature. Kinase activity is quantified by measuring 33P incorporation by spotting an aliquot on P30 filters, washing in 50 mM phosphoric acid and scintillation counting. The buffer composition for c-Raf, JNK1, JNK2, JNK3, MEK1, MKK6, MKK7 is 50 mM Tris pH 7.5, 0.1 mM EGTA, 10 mM magnesium acetate and [c- 33P-ATP]. The peptide substrates used are as follows: For c-Raf, 0.66 mg/mL MBP; for cdks, 0.1 mg/mL histone H1; for JNKs, 3 μM ATF2; for MEK1, 1 μM MAPK2; for MKK6, 1 μM of SAPK2a and for MKK7, 2 μM JNK1α.
Cell Research	HCA is diluted from 100-fold concentrated solutions that are adjusted to pH 7.5. To evaluate the effects of GW5074 on HCA-induced cytotoxicity, GW5074 is added at the time cortical neurons are exposed to HCA. Viability is assessed 24 h later.(Only for Reference)

Solubility Information

Solubility	DMSO: 83.33 mg/mL (159.96 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn oil: < 8.33 mg/mL (15.99 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: < 8.33 mg/mL (15.99 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% (20% SBE-β-CD in Saline): < 8.33 mg/mL (15.99 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Saline: < 8.33 mg/mL (15.99 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9196 mL	9.598 mL	19.1961 mL
5 mM	0.3839 mL	1.9196 mL	3.8392 mL
10 mM	0.192 mL	0.9598 mL	1.9196 mL
50 mM	0.0384 mL	0.192 mL	0.3839 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Chin PC, et al. J Neurochem, 2004, 90(3), 595-608.
Firpo M R.Elucidating the Underlying Mechanisms Polyamines Play on Coxsackievirus B3 Infection.Loyola University of Chicago Graduate School.2024
Yue X, et al. Eur J Pharmacol, 2006, 540(1-3), 57-59.
Lei Y, et al. Respir Res, 2008, 9(1), 71.