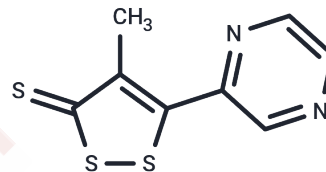


Oltipraz

Chemical Properties

CAS No. :	64224-21-1
Formula:	C ₈ H ₆ N ₂ S ₃
Molecular Weight:	226.34
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	Oltipraz (RP 35972) is a synthetic dithiolethione with potential chemopreventive and anti-angiogenic properties. Oltipraz induces phase II detoxification enzymes, such as glutathione S transferase (GST) and NAD(P)H: quinone oxidoreductase 1 (NQO1). The induction of detoxification enzymes enhances the detoxification of certain cancer-causing agents, thereby enhancing their elimination and preventing carcinogen-induced DNA damages. Although the exact mechanism through which the anti-angiogenesis effect remains to be fully elucidated, oltipraz maybe able to modulate the expression of a number of angiogenic factors, thereby blocking the sustained and focal neovascularization in multiple tumor cell types.
Targets(IC50)	HIF/HIF Prolyl-Hydroxylase,HIV Protease,Reverse Transcriptase,Nrf2,HIF,Parasite
In vitro	Oltipraz, as a chemoprotective agent, induces Phase II detoxification enzyme activity in a Nrf2-dependent manner. [1] In human HT29 colon cancer cells, oltipraz inhibits the induction of HIF-1 α by insulin, hypoxia or CoCl ₂ by significantly accelerating degradation of HIF-1 α protein. [2]
In vivo	Oltipraz (500 mg/kg, p.o.) significantly reduces multiplicity of gastric neoplasia in wild-type mice by 55%, but has no effect on tumor burden in nrf2-deficient mice. [1] In BALB/c nude mice transplanted with HCT116 cells, Oltipraz (200 mg/kg, p.o.) inhibits tumor growth and angiogenesis via inhibition of HIF-1 α . [2] In rats on a CDAA diet, Oltipraz attenuate the progression of nonalcoholic steatohepatitis-related fibrosis. [3]
Kinase Assay	The Src and Abl kinase assays: The Src kinase activity is measured in an ELISA format. Src (3 units/reaction), reaction buffer (50 mM Tris-HCl pH 7.5, 10 mM MgCl ₂ , 0.1 mM EGTA, 0.5 mM Na ₃ VO ₄) and cdc2 substrate peptide are added to various concentration of Bosutinib and incubated at 30 °C for 10 minutes. The reaction is started by the addition of ATP to a final concentration of 100 μ M, incubated at 30 °C for 1 hour and stopped by addition of EDTA. Instructions from the manufacturer are followed for subsequent steps. The Abl kinase assay is performed in a DELFIA solid phase europium-based detection assay format. Biotinylated peptide (2 μ M) is bound to streptavidin-coated microtitration plates for 1.5 hours in 1 mg/mL ovalbumin in PBS. The plates are washed for 1 hour with PBS/0.1% Tween 80, followed by a PBS wash. The kinase reaction is incubated for 1 hour at 30°C. Abl kinase (10 units) is mixed with 50 mM Tris-HCl (pH 7.5), 10 mM MgCl ₂ , 80 μ M EGTA, 100 μ M ATP, 0.5 mM Na ₃ VO ₄ , 1% DMSO, 1 mM HEPES (pH 7.0), 200 μ g/mL ovalbumin and various concentration of Bosutinib. The reaction is stopped with EDTA at a final concentration of 50 mM. The reaction is

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Kinase Assay	monitored with Eu-labeled phosphotyrosine antibody and DELFIA enhancement solution.
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Solubility Information

Solubility	DMSO: 15.29 mg/mL (67.55 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (4.42 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.4181 mL	22.0907 mL	44.1813 mL
5 mM	0.8836 mL	4.4181 mL	8.8363 mL
10 mM	0.4418 mL	2.2091 mL	4.4181 mL
50 mM	0.0884 mL	0.4418 mL	0.8836 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

Ramos-Gomez M, et al. Proc Natl Acad Sci U S A. 2001, 98(6), 3410-3415..

SIRT7-mediated NRF2 deacetylation promotes antioxidant response and protects against chemodrug-induced liver injury

Lee WH, et al. Mol Cancer Ther. 2009, 8(10), 2791-2802.

Shimozono R, et al. Mol Pharmacol. 2013, 84(1), 62-70.

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