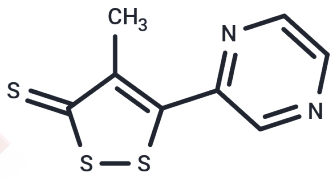
# Data Sheet (Cat.No.T0153)

TargetMol

## Oltipraz

### Chemical Properties




CAS No. : 64224-21-1

Formula: C8H6N2S3

Molecular Weight: 226.34

Appearance: no data available

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

### Biological Description

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|---|---|
| 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 |
| 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-1α by insulin, hypoxia or CoCl2 by significantly accelerating degradation of HIF-1α 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-1α. [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 MgCl2, 0.1 mM EGTA, 0.5 mM Na3VO4) 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 μM, 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 μM) 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 MgCl2, 80 μM EGTA, 100 μM ATP, 0.5 mM Na3VO4, 1% DMSO, 1 mM HEPES (pH 7.0), 200 μg/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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| | monitored with Eu-labeled phosphotyrosine antibody and DELFIA enhancement solution. |

## Solubility Information

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| Solubility | DMSO: 15 mg/mL (66.27 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

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.

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.