产品名称：Oltipraz
产品别名：吡噻硫酮

生物活性：

Description	Oltipraz has an inhibitory effect on HIF-1α activation in a time-dependent manner, completely abrogating HIF-1αinduction at ≥10 μM concentrations, the IC_{50} of Oltipraz for HIF-1α inhibition is 10 μM. Oltipraz is a potent Nrf2activator.
IC_{50} & Target	IC50: 10 μM (HIF-1α)[1]; Nrf2[4]
In Vitro	Oltipraz inhibits HIF-1α activity and HIF-1α-dependent tumor growth, which may result from a decrease in HIF-1α stability through S6K1 inhibition in combination with an H2O2-scavenging effect. Oltipraz treatment also inhibits HIF-1α activation stimulated by either hypoxia or CoCl2. Oltipraz is a cancer chemopreventive agent and has an inhibitory effect on angiogenesis and tumor growth. [1] Oltipraz is also a competitive inhibitor of this cytochrome P450, with an apparent Ki of 10 μM. [2]
In Vivo	In wild-type mice, hepatic levels of mRNA for all of the genes analyzed were significantly increased after Oltipraz treatment, with the highest increase (treated/control) for NQO1 mRNA levels (7.6-fold). The Northern blot analyses demonstrated that the observed increases in GST and NQO1 activities by Oltipraz in wild-type mice were preceded by significant elevations in RNA expression. Interestingly, mRNA levels of Nrf2 itself were increased more than 3-fold by Oltipraz treatment. [2]
Solvent&Solubility	***In Vitro:*** **DMSO： 6 mg/mL (26.51 mM;** Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 1 mg/mL (4.42 mM); Clear solution

此方案可获得 ≥ 1 mg/mL (4.42 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 1 mg/mL (4.42 mM); Clear solution

	此方案可获得 ≥ 1 mg/mL (4.42 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Lee WH, et al. Oltipraz and dithiolethione congeners inhibit hypoxia-inducible factor-1alpha activity through p70 ribosomal S6 kinase-1 inhibition and H2O2-scavenging effect. Mol Cancer Ther. 2009 Oct;8(10):2791-802. [2]. Lv S, et al. Glucagon-induced extracellular cAMP regulates hepatic lipid metabolism. J Endocrinol. 2017 Aug;234(2):73-87. [3]. Ramos-Gomez M, et al. Sensitivity to carcinogenesis is increased and chemoprotective efficacy of enzyme inducers is lost in nrf2 transcription factor-deficient mice. Proc Natl Acad Sci U S A. 2001 Mar 13;98(6):3410-5. [4]. Eba S, et al.The nuclear factor erythroid 2-related factor 2 activator oltipraz attenuates chronic hypoxia-induced cardiopulmonary alterations in mice.Am J Respir Cell Mol Biol. 2013 Aug;49(2):324-33.