# CBR-470-1

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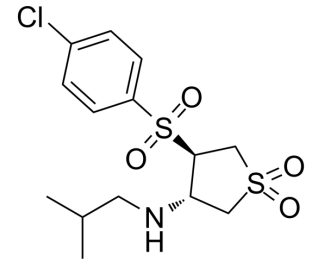
| **Cat. No.:** | HY-134205A |
| **CAS No.:** | 2416095-06-0 |
| **Molecular Formula:** | $C_{14}H_{20}ClNO_4S_2$ |
| **Molecular Weight:** | 366 |
| **Target:** | Keap1-Nrf2 |
| **Pathway:** | NF-κB |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



Relative stereochemistry

## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 200 mg/mL (546.45 mM; Need ultrasonic)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.7322 mL | 13.6612 mL | 27.3224 mL |
| | 5 mM | 0.5464 mL | 2.7322 mL | 5.4645 mL |
| | 10 mM | 0.2732 mL | 1.3661 mL | 2.7322 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (13.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (13.66 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (13.66 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

CBR-470-1 is an inhibitor of the glycolytic enzyme phosphoglycerate kinase 1 (PGK1). CBR-470-1 is also a non-covalent Nrf2 activator. CBR-470-1 protects SH-SY5Y neuronal cells against $MPP^+$-induced cytotoxicity through activation of the Keap1-Nrf2 cascade[1][2].

**$IC_{50}$ & Target**

Keap1-Nrf2[2]

**In Vitro**

CBR-470-1 (0.01-10 μM; 24 h) has an $EC_{50}$ of 962 nM in ARE-LUC reporter assay of IMR32 cells[1].

CBR-470-1 (0.5-20 μM; 1-24 h) results in a dose- and time-dependent accumulation of Nrf2 protein in IMR32 cells[1].
CBR-470-1 (10 μM; 4 h) activates Nrf2 signaling cascade in SH-SY5Y cells[2].
CBR-470-1 (10 μM; 2 h) inhibits $MPP^+$-induced oxidative injury in SH-SY5Y neuronal cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

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| Cell Line: | IMR32 cells |
| Concentration: | 0.5, 1, 5, 10, 20 μM |
| Incubation Time: | 1, 2, 4, 8, 24 h |
| Result: | Increased the Nrf2 protein in a dose- and time-dependent manner.<br>Increased both mRNA and protein levels of the Nrf2-responsive genes NQO1 and HMOX1. |

## REFERENCES


[1]. Bollong MJ, et, al. A metabolite-derived protein modification integrates glycolysis with KEAP1-NRF2 signalling. Nature. 2018 Oct;562(7728):600-604.

[2]. Zheng J, et, al. PGK1 inhibitor CBR-470-1 protects neuronal cells from MPP+. Aging (Albany NY). 2020 Jul 10;12(13):13388-13399.





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