



# SZABO SCANDIC

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### Zuschläge

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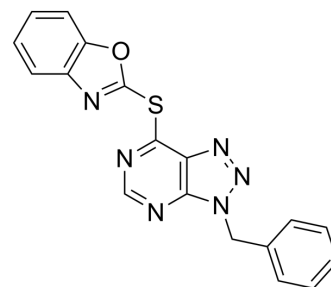
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## VAS2870

|                    |  |
|--------------------|--|
| Cat. No.:          | HY-12804   |
| CAS No.:           | 722456-31-7  |
| Molecular Formula: | C <sub>18</sub> H <sub>12</sub> N <sub>6</sub> O <sub>2</sub> S  |
| Molecular Weight:  | 360.39   |
| Target:            | NADPH Oxidase  |
| Pathway:           | Metabolic Enzyme/Protease  |
| Storage:           | <div> Powder -20°C 3 years </div> <div> 4°C 2 years </div> <div> In solvent -80°C 2 years </div> <div> -20°C 1 year </div> |



### SOLVENT & SOLUBILITY

|   |  |  |           |            |            |
|---|--|--|-----------|------------|------------|
| In Vitro  | DMSO : 83.3 mg/mL (231.14 mM; Need ultrasonic)   |  |           |            |            |
|   | Preparing Stock Solutions  | <div>Solvent Concentration</div> <div>Mass</div> | 1 mg      | 5 mg       | 10 mg      |
|   |  | 1 mM   | 2.7748 mL | 13.8739 mL | 27.7477 mL |
|   |  | 5 mM   | 0.5550 mL | 2.7748 mL  | 5.5495 mL  |
|   |  | 10 mM  | 0.2775 mL | 1.3874 mL  | 2.7748 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<br>Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution |  |           |            |            |
|   | 2. Add each solvent one by one: 10% DMSO >> 90% corn oil<br>Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution                            |  |           |            |            |

### BIOLOGICAL ACTIVITY

|                           |   |
|---------------------------|---|
| Description               | VAS2870 is a NADPH oxidase (NOX) inhibitor.   |
| IC <sub>50</sub> & Target | Target: NADPH oxidase <sup>[1]</sup>  |
| In Vitro                  | VAS2870 is effective to suppress PDGF-BB-dependent activation of NADPH oxidase and subsequent production of intracellular ROS. Furthermore, VAS2870 suppresses PDGF-BB-dependent chemotaxis, but not DNA synthesis. Preincubation with VAS2870 (10 and 20 μM) completely abolishes PDGF-mediated NADPH oxidase activation and ROS production. Preincubation with VAS2870 (0.1-20 μM) does not affect PDGF-induced cell cycle progression. However, it abolishes PDGF-dependent chemotaxis of VSMC in a concentration-dependent manner (100% inhibition at 10 μM) <sup>[1]</sup> . VAS2870 inhibits dose-dependently autocrine increase of cell number in FaO rat hepatoma cells, and almost completely blocked ROS production |

and thymidine incorporation when used at 25 mM. VAS2870 blocks serum-dependent cell growth of FaO rat hepatoma cells. VAS2870 inhibits proliferation of different human hepatocellular carcinoma (HCC) cell lines. VAS2870 pretreatment enhances TGF- $\beta$ -mediated apoptosis of FaO rat hepatoma cells<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

### Kinase Assay <sup>[1]</sup>

NADPH oxidase activity is measured by lucigenin-enhanced chemiluminescence in a 50 mM phosphate buffer (buffer A), pH 7.0, containing 1 mM EGTA, protease inhibitors, 150 mM sucrose, 5  $\mu$ M lucigenin, and 250  $\mu$ M NADPH as substrate. Quiescent cells are starved by serum deprivation for 24 h and treated as indicated, washed twice with ice-cold phosphate buffered saline (PBS), pH 7.4, and harvested. After low spin centrifugation, the pellet is re-suspended in ice-cold buffer A, lacking lucigenin and substrate. Then, the cells are lysed and total protein concentration is determined using a Bradford assay and adjusted to 1 mg/mL. 100  $\mu$ L aliquots of the protein sample are measured over 6 min in quadruplicates using NADPH (100  $\mu$ M) as substrate in a scintillation counter. Data are collected at 2 min intervals in order to measure relative changes in NADPH oxidase activity<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### Cell Assay <sup>[2]</sup>

To test autocrine growth, cells are serum deprived at 40% confluence and, when indicated, the NADPH oxidase inhibitors Apocynin (300  $\mu$ M) or VAS2870 are added 30 min before serum deprivation and maintained along the experiment. For TGF- $\beta$  experiments, cells at 70% confluence are serum deprived for 16 h and treated with 2 ng/mL TGF- $\beta$  in the presence or absence of the EGFR inhibitor AG1478 (20  $\mu$ M) or VAS2870 (25  $\mu$ M), which are added 30 min prior to TGF- $\beta$ <sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Redox Biol. 2023 Mar 22;62:102679.
- J Transl Med. 2023 Mar 25;21(1):218.
- Free Radic Biol Med. 2022 Feb 24;S0891-5849(22)00080-6.
- Free Radic Biol Med. 2022 Mar;181:166-179.
- World J Gastroenterol. 2023 Apr 21;29(15):2294-2309.

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## REFERENCES

[1]. ten Freyhaus H, et al. Novel Nox inhibitor VAS2870 attenuates PDGF-dependent smooth muscle cell chemotaxis, but not proliferation. Cardiovasc Res. 2006 Jul 15;71(2):331-41.

[2]. Sancho P, et al. The NADPH oxidase inhibitor VAS2870 impairs cell growth and enhances TGF- $\beta$ -induced apoptosis of liver tumor cells. Biochem Pharmacol. 2011 Apr 1;81(7):917-24.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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