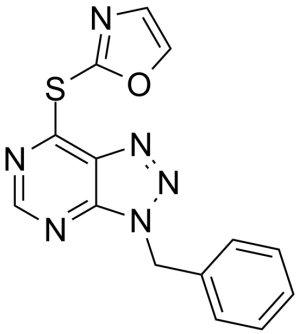
VAS 3947

Cat. No.:	HY-111447
CAS No.:	869853-70-3
Molecular Formula:	$C_{14}H_{10}N_6OS$
Molecular Weight:	310.33
Target:	NADPH Oxidase; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (322.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2224 mL	16.1119 mL	32.2238 mL
	5 mM	0.6445 mL	3.2224 mL	6.4448 mL
	10 mM	0.3222 mL	1.6112 mL	3.2224 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: ≥ 2.5 mg/mL (8.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.06 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VAS 3947, a specific NADPH oxidase (NOX) inhibitor, exerts a potent antiplatelet effect. VAS3947 induces apoptosis independently of anti-NOX activity, via UPR activation, mainly due to aggregation and misfolding of proteins[1][2].

In Vitro

VAS3947 consistently inhibits NADPH oxidase activity in low micromolar concentrations, and interferes neither with ROS detection nor with XOD or eNOS activities. VAS3947 (30 μM) does not interfere with xanthine/XOD-derived L012 signals, suggesting this compound is free of antioxidant or scavenging effects relevant to ROS detection. In CaCo-2 cell homogenates, VAS3947 completely blocks NADPH-dependent ROS production with an IC_{50} of 12 μM[1].

	VAS3947 triggers cell proliferation arrest and death independently of anti-NOX activity. The IC_{50} values of the different cell lines ranges from 2.6 ± 0.6 μM for the most sensitive cell line MV-4-11 to 4.9 μM for THP-1, the least sensitive[2]. VAS3947 decreases ROS levels. VAS3947 triggers endoplasmic reticulum (ER) stress and consequent unfolding protein response (UPR)[2]. VAS3947 attenuates platelet activation and thrombus formation via a NOX-independent pathway downstream of PKC[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	NADPH ⊠⊠⊠⊠⊠⊠⊠ MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wind S, et al. Comparative pharmacology of chemically distinct NADPH oxidase inhibitors. Br J Pharmacol. 2010;161(4):885-898.

[2]. El Dor M, et al. VAS3947 Induces UPR-Mediated Apoptosis through Cysteine Thiol Alkylation in AML Cell Lines. Int J Mol Sci. 2020;21(15):5470. Published 2020 Jul 31.

[3]. Lu WJ, et al. VAS2870 and VAS3947 attenuate platelet activation and thrombus formation via a NOX-independent pathway downstream of PKC. Sci Rep. 2019;9(1):18852. Published 2019 Dec 11.

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