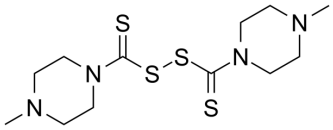
EWP 815

Cat. No.:	HY-148001
CAS No.:	20231-01-0
Molecular Formula:	$C_{12}H_{22}N_4S_4$
Molecular Weight:	350.59
Target:	Phosphatase; Dopamine β-hydroxylase
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (142.62 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8523 mL	14.2617 mL	28.5233 mL
	5 mM	0.5705 mL	2.8523 mL	5.7047 mL
	10 mM	0.2852 mL	1.4262 mL	2.8523 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EWP 815 is a disulfiram analogue, is a potent inhibitor of Ins(1,4)P_2 phosphatase and Ins(1,4,5)P_3 5-phosphatase. EWP 815 also inhibits enzyme dopamine β-hydroxylase activity[1][2].

IC_{50} & Target

Ins(1,4)P2 phosphatase; Ins(1,4,5)P3 5-phosphatase[1]; dopamine β-hydroxylase[2]

In Vitro

EWP 815 inhibits thyrotropin-releasing hormone (TRH; 0.03 mM)-stimulated inositol phospholipid breakdown without affecting basal breakdown rates in prelabelled GH_3 cells with IC_{50}s of 83 mM (soluble enzymes) and 71 mM (particulate enzymes), respectively[1].

EWP 815 (30 μM; 1 h) exerts higher inhibition on Ins(1,4)P_2 phosphatase rather than Ins(1,4,5)P_3 5-phosphatase with the mean [^{3}H]Ins(1,4)P_2/mean [^{3}H]InsP recovery ratio of 2.6[1].

EWP 815 (6, 8 μM; 10 min) inhibits dephosphorylation of [^{3}H]Ins(1,4,5)P_3 5-phosphatase in particulate and soluble fractions

	with IC_{50}s of 6 μM and 8 μM, respectively[1]. EWP 815 (3-300 μM; 30 min) suppresses thyrotropin-releasing hormone (TRH)-stimulated (100 nM) inositol phospholipid production by 30% (100 μM) and 1.8% (300 μM), respectively, without affecting Ins(1,4,5)P_3 binding[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	EWP 815 (50 mg/kg; i.p.; 30 min before killed) inhibits the enzyme dopamine β-hydroxylase activity in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female mice (20 g)[2]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; 30 min before killed
Result:	Intraperitoneal injection; 30 min before killedInhibited β-hydroxylase of ^{3}H-α-methyldopamine. Reduced the amount of ^{3}H-α-Me-NA by 12%.

REFERENCES

[1]. Fowler CJ, et al. Inhibition of inositol 1,4,5-trisphosphate 5-phosphatase by micromolar concentrations of disulfiram and its analogues. Biochem J. 1993 Feb 1;289 (Pt 3)(Pt 3):853-9.

[2]. Carlsson A, et al. On the beta-hydroxylation of (+-)-alpha-methyldopamine in vivo. Eur J Pharmacol. 1968 Dec;5(1):85-92.

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