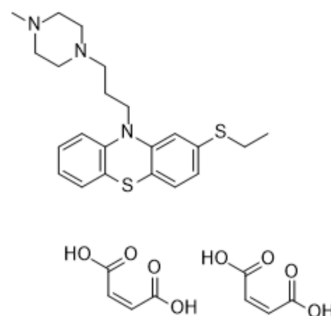


Thiethylperazine dimaleate

| | |
|---------------------------|--|
| Cat. No.: | HY-B1794A |
| CAS No.: | 1179-69-7 |
| Molecular Formula: | C ₃₀ H ₃₇ N ₃ O ₈ S ₂ |
| Molecular Weight: | 631.76 |
| Target: | Dopamine Receptor; Histamine Receptor; Bacterial; Amyloid-β |
| Pathway: | GPCR/G Protein; Neuronal Signaling; Immunology/Inflammation; Anti-infection |
| Storage: | 4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light) |



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (197.86 mM; ultrasonic and warming and heat to 60°C)

| Solvent | Mass | Concentration | | |
|---------------------------|-------|---------------|-----------|------------|
| | | 1 mg | 5 mg | 10 mg |
| Preparing Stock Solutions | 1 mM | 1.5829 mL | 7.9144 mL | 15.8288 mL |
| | 5 mM | 0.3166 mL | 1.5829 mL | 3.1658 mL |
| | 10 mM | 0.1583 mL | 0.7914 mL | 1.5829 mL |

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

BIOLOGICAL ACTIVITY

Description

Thiethylperazine dimaleate, a phenothiazine derivate, is an orally active and potent dopamine D₂-receptor and histamine H₁-receptor antagonist. Thiethylperazine dimaleate is also a selective ABCC1 activator that reduces amyloid-β (Aβ) load in mice. Thiethylperazine dimaleate has anti-emetic, antipsychotic and antimicrobial effects^{[1][2][3]}.

IC₅₀ & Target

D₂ Receptor

H₁ Receptor

In Vitro

Thiethylperazine could enhance the antibiotic (Vancomycin) activity at a concentration as low as 2 μg/mL. Thiethylperazine inhibits Vancomycin-sensitive *E. faecalis* ATCC 29212, Vancomycin-resistant *E. faecalis* ATCC 51299 and vancomycin-resistant *E. faecalis* (VREF) isolates with MIC values of 8 μg/mL, 16 μg/mL and 8 μg/mL, respectively^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Thiethylperazine (3 mg/kg; intramuscular injection; twice daily; for 30 days; young APP/PS1 mice) treatment significantly reduces Aβ₄₂ levels in APP/PS1 mice^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|-----------------|---|
| Animal Model: | Young A β precursor protein (APP ^{swe}) and mutant presenilin-1 (PS1) (APP/PS1) mice ^[2] |
| Dosage: | 3 mg/kg |
| Administration: | Intramuscular injection; twice daily; for 30 days |
| Result: | Significantly reduced A β 42 levels in APP/PS1 mice. |

REFERENCES

- [1]. Czeizel AE, et al. Case-control study of teratogenic potential of thiethylperazine, an anti-emetic drug. BJOG. 2003 May;110(5):497-9.
- [2]. Krohn M, et al. Cerebral amyloid- β proteostasis is regulated by the membrane transport protein ABCC1 in mice. J Clin Invest. 2011 Oct;121(10):3924-31.
- [3]. Rahbar M, et al. Enhancement of vancomycin activity by phenothiazines against vancomycin-resistant Enterococcus faecium in vitro. Basic Clin Pharmacol Toxicol. 2010 Aug;107(2):676-9.

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

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