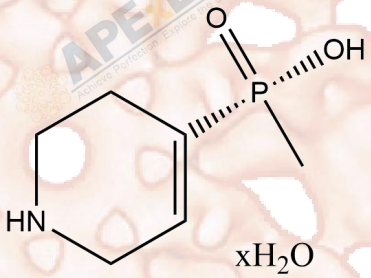
Product Data Sheet

TPMPA (hydrate)



Cat. No.: C8598
CAS No.: 182485-36-5
Formula: C6H12NO2P.XH2O
M.Wt: 161.1
Synonyms: /
Target: GABAA- ρ 1
Pathway: Ion Channel, Natural Products
Storage: Store at -20° C or below for 4 years.

Solvent & Solubility

In Vitro

Soluble in DMSO

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	6.2073 mL	31.0366 mL	62.0732 mL
5 mM	1.2415 mL	6.2073 mL	12.4146 mL
10 mM	0.6207 mL	3.1037 mL	6.2073 mL

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

Biological Activity

Shortsummary

TPMPA is a GABAA- ρ 1 (ρ 1 GABAC) receptor antagonist that shows strong selectivity for GABAA- ρ 1 over GABAA- ρ 2 (ρ 2 GABAC) receptors, being 8-fold more potent at the ρ 1 subtype. For instance, in Xenopus laevis oocytes, the binding constants (Kbs) are 2 μM for ρ 1 and 16 μM for ρ 2.

Beyond its primary antagonistic action, TPMPA has other notable effects. In rat hippocampal slices, it blocks the inhibitory effect of the GABAB agonist 3-APMPA on excitatory postsynaptic currents (EPSCs) with an EC50 value of 490 μM.

TPMPA also influences retinal function, as demonstrated by its ability to increase light sensitivity and the maximal response of rat retinal ganglion cells at a concentration of 100 μM, without altering their dynamic range.

	Furthermore, studies in sleeping rats show that TPMPA (50 μg, administered intracerebroventricularly) can increase waking time from 45% to 62% compared to a vehicle control. Correspondingly, it decreases both slow-wave and paradoxical sleep (REM) by 11% and 5.4%, respectively.
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Xenopus laevis oocytes
Preparation method:	Two to eight days after injection of the oocyte with mRNA, receptor activity was measured by twoelectrode voltage clamp recording. For receptor activation measurements, the indicated concentrations of drug were added to the buffer solution. For GABAA and GABAB containing oocytes, a washout period of 7 min was allowed to minimize desensitization.
Reacting conditions:	0.1-1000 μM
Applications:	TPMPA serves as a valid reference standard to evaluate the potency and selectivity of novel GABA_C-targeting compounds (e.g., cyclic phosphinic acids like 3-AMOHP, 3-GOHP) in the study, confirming its utility for benchmarking GABA_C receptor antagonism research.

In Vivo

Animal experiment

Animal models:	Guinea pigs (Cavia porcellus)
Dosage form:	Three TPMPA concentrations (dissolved in sterile saline) were used: 0.03% (30 μg per injection), 0.3%, and 1%. A saline injection group and a no-injection FDM group were included as controls.
Applications:	TPMPA targeted FDM by inhibiting myopic shifts, axial length (AL) elongation, and vitreous chamber depth (VCD) elongation—key pathological changes in myopia—without affecting anterior chamber depth (ACD) or lens thickness (LT).
Preparation method:	TPMPA [(1,2,5,6-tetrahydropyridin-4-yl)methylphosphinic acid], a selective GABAAOr receptor antagonist, was tested in 10-day-old pigmented guinea pigs with monocular form-deprivation myopia (FDM, induced via a translucent diffuser on one eye for 11 days). The deprived eye received daily subconjunctival injections (100 μL, 26-gauge needle) under 2% isoflurane anesthesia; the fellow eye and a normal control group (no FDM, no injections) served as references.
Other notes:	The technical data provided above is for reference only.

Product Citations

References

1.Gavande N, Yamamoto I, Salam NK, Ai TH, Burden PM, Johnston GA, Hanrahan JR, Chebib M. Novel Cyclic Phosphinic Acids as GABAC ρ Receptor Antagonists: Design, Synthesis, and Pharmacology. ACS Med Chem Lett. 2010 Oct 19;2(1):11-6. doi: 10.1021/ml1001344. PMID: 24900248; PMCID: PMC4018128.

2. Cheng, ZY., Wang, XP., Schmid, K.L. et al. Inhibition of form-deprivation myopia by a GABAAOr receptor antagonist, (1,2,5,6-tetrahydropyridin-4-yl) methylphosphinic acid (TPMPA), in guinea pigs. Graefes Arch Clin Exp Ophthalmol 252, 1939–1946 (2014). https://doi.org/10.1007/s00417-014-2765-5

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com