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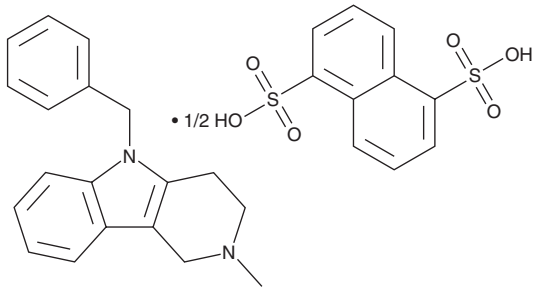
PRODUCT INFORMATION

Mebhydroline (1,5-naphthalenedisulfonate)

Item No. 40281

CAS Registry No.: 6153-33-9
Formal Name: 1,5-naphthalenedisulfonic acid, compd. with 2,3,4,5-tetrahydro-2-methyl-5-(phenylmethyl)-1H-pyrido[4,3-b]indole (1:2)
Synonym: Mebhydrolin napadisylate
MF: $C_{19}H_{20}N_2 \bullet 1/2C_{10}H_8O_6S_2$
FW: 420.5
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Mebhydroline (1,5-naphthalenedisulfonate) is supplied as a solid. A stock solution may be made by dissolving the mebhydroline (1,5-naphthalenedisulfonate) in the solvent of choice, which should be purged with an inert gas. Mebhydroline (1,5-naphthalenedisulfonate) is soluble in DMSO. Mebhydroline (1,5-naphthalenedisulfonate) is slightly soluble in acetonitrile.

Mebhydroline (1,5-naphthalenedisulfonate) is slightly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Mebhydroline is a histamine H_1 receptor antagonist (K_i = 7.6 nM).[1] It is selective for the histamine H_1 receptor over muscarinic acetylcholine receptors (mAChRs; K_i = 180 nM). Mebhydroline (10 µM) inhibits acid sphingomyelinase in H4 neuroglioma cells and binds to the ligand-binding domain of the farnesoid X receptor (FXR; K_d = 9.87 µM).[2,3] It inhibits transactivation of FXR induced by the FXR agonist GW 4064 (Item No. 10006611) in HEK293T cells expressing the human receptor in a concentration-dependent manner.[3] Mebhydroline binds to Zika virus RNA-dependent RNA polymerase (RdRp; K_d = 22.62 µM) and reduces viral replication in Zika-infected Vero cells (EC_{50} = 5.14 µM).[4] *In vivo*, mebhydroline (30 mg/kg) decreases blood glucose and plasma hemoglobin A1c (HbA1c) levels in *db/db* diabetic mice.[3]

References

1. Kubo, N., Shirakawa, S., Kuno, T., *et al.* Antimuscarinic effects of antihistamines: Quantitative evaluation by receptor-binding assay. *Jpn. J. Pharmacol.* **43(3)**, 277-282 (1987).
2. Kornhuber, J., Muehlbacher, M., Trapp, S., *et al.* Identification of novel functional inhibitors of acid sphingomyelinase. *PLoS One* **6(8)**, e23852 (2011).
3. Zhao, T., Wang, J., He, A., *et al.* Mebhydrolin ameliorates glucose homeostasis in type 2 diabetic mice by functioning as a selective FXR antagonist. **119:154771**, (2021).
4. Zhou, R., Li, Q., Yang, B., *et al.* Repurposing of the antihistamine mebhydrolin napadisylate for treatment of Zika virus infection. *Bioorg. Chem.* **128:106024**, (2022).

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