PRODUCT INFORMATION



Pimelic Diphenylamide 106

Item No. 13212

CAS Registry No.: 937039-45-7

Formal Name: N1-(2-aminophenyl)-N7-(4-

methylphenyl)-heptanediamide

Synonyms: TC-H 106,

Histone Deacetylase Inhibitor VII

MF: $C_{20}H_{25}N_3O_2$ FW: 339.4 **Purity:**

λ_{max}: 205, 243, 288 nm UV/Vis.: Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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

Laboratory Procedures

Pimelic diphenylamide 106 is supplied as a crystalline solid. A stock solution may be made by dissolving the pimelic diphenylamide 106 in the solvent of choice, which should be purged with an inert gas. Pimelic diphenylamide 106 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of pimelic diphenylamide 106 in ethanol is approximately 0.3 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Pimelic diphenylamide 106 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pimelic diphenylamide 106 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Pimelic diphenylamide 106 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Pimelic diphenylamide 106 is a slow, tight-binding inhibitor of class I histone deacetylases (HDACs).¹ Unlike the HDAC inhibitor suberoylanilide hydroamic acid, which has a fast-on/fast-off HDAC binding rate, pimelic diphenylamide 106 progressively binds HDACs and remains bound after wash-out. As a result, the IC₅₀ of pimelic diphenylamide 106 decreases over time. With prolonged preincubation (1-3 hours), pimelic diphenylamide inhibits the class I HDACs (IC $_{50}$ = 150, 760, 370, and 5,000 nM for HDAC1, 2, 3, and 8, respectively) but not the class II HDACs (IC $_{50}$ > 180 μ M for HDAC4, 5, and 7). Pimelic diphenylamide 106 and related benzamide HDAC inhibitors may have therapeutic value in Friedrich's ataxia¹⁻³ and Huntington's disease,4 in part due to their low animal toxicity.

References

- 1. Chou, C.J., Herman, D., and Gottesfeld, J.M. Pimelic diphenylamide 106 is a slow, tight-binding inhibitor of class I histone deacetylases. J. Biol. Chem. 283(51), 35402-35409 (2008).
- Rai, M., Soragni, E., Jenssen, K., et al. HDAC inhibitors correct frataxin deficiency in a Friedreich ataxia mouse model. PLoS One 3(4), (2008).
- Herman, D., Jenssen, K., Burnett, R., et al. Histone deacetylase inhibitors reverse gene silencing in Friedreich's ataxia. Nat. Chem. Biol. 2(10), 551-558 (2006).
- Thomas, E.A., Coppola, G., Desplats, P.A., et al. The HDAC inhibitor 4b ameliorates the disease phenotype and transcriptional abnormalities in Huntington's disease transgenic mice. Proc. Natl. Acad. Sci. USA **105(40)**, 15564-15569 (2008).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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