PRODUCT INFORMATION



CHS-828

Item No. 11021

CAS Registry No.:	200484-11-3
Formal Name:	N-[6-(4-chlorophenoxy)hexyl]-N'-
	cyano-N"-4-pyridinyl-guanidine
Synonym:	GMX 1778
MF:	$C_{10}H_{22}CIN_5O$
FW:	371.9
Purity:	≥98%
UV/Vis.:	λ _{max} : 231, 258 nm
Supplied as:	A crystalline 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

CHS-828 is supplied as a crystalline solid. A stock solution may be made by dissolving the CHS-828 in the solvent of choice, which should be purged with an inert gas. CHS-828 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CHS-828 in ethanol is approximately 0.5 mg/ml and approximately 25 mg/ml in DMSO and DMF.

CHS-828 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CHS-828 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CHS-828 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CHS-828 is a nicotinamide phosphoribosyltransferase (Nampt) inhibitor ($IC_{50} = <25$ nM).¹ It selectively inhibits Nampt over nicotinamide/nicotinic acid mononucleotide adenylyltransferase 1 (NMN/NaMN adenylyltransferase 1) at 10 μM. CHS-828 (100 nM) reduces NAD⁺ levels in, and is cytotoxic to, HeLa cervical cancer cells. It decreases ATP levels in C666-1 nasopharyngeal and FaDu head and neck squamous cell carcinoma (HNSCC) cells in a time-dependent manner when used at concentrations of 10 and 20 nM, respectively.² CHS-828 (100 and 250 mg/kg per week) reduces tumor growth in MCF-7 breast cancer and NYH small cell lung cancer mouse xenograft models.³

References

- 1. Watson, M., Roulston, A., Bélec, L., et al. The small molecule GMX1778 is a potent inhibitor of NAD⁺ biosythesis: Strategy for enhanced therapy in nicotinic acid phophoribosyltransferase 1-deficient tumors. Mol. Cell. Biol. 29(21), 5872-88 (2009).
- 2. Kato, H., Ito, E., Alajez, N.M., et al. Efficacy of combining GMX1777 with radiation therapy for human head and neck carcinoma. Clin. Cancer Res. 16(3), 898-911 (2010).
- 3. Hjarnaa, P.J.V., Jonsson, E., Latini, S., et al. CHS 828, a novel pyridyl cyanoguanidine with potent antitumor activity in vitro and in vivo. Cancer Res. 59(22), 5751-5757 (1999).

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

SAFFTY DATA

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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