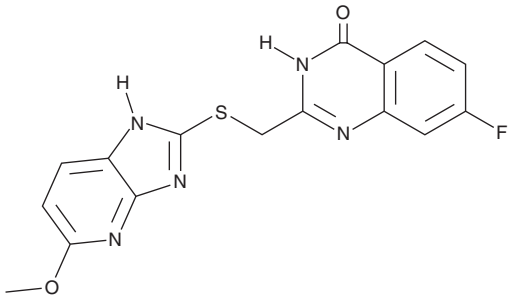
PRODUCT INFORMATION

ENPP1 Inhibitor 4e

Item No. 37687

Formal Name:	7-fluoro-2-(((5-methoxy-1H-imidazo[4,5-b]pyridin-2-yl)thio)methyl)quinazolin-4(3H)-one
Synonym:	cGAMP-compound 4e
MF:	$C_{16}H_{12}FN_5O_2S$
FW:	357.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 226, 309 nm
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

ENPP1 inhibitor 4e is supplied as a solid. A stock solution may be made by dissolving the ENPP1 inhibitor 4e in the solvent of choice, which should be purged with an inert gas. ENPP1 inhibitor 4e is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ENPP1 inhibitor 4e in these solvents is approximately 1 and 20 mg/ml, respectively. ENPP1 inhibitor 4e is slightly soluble in ethanol.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of ENPP1 inhibitor 4e can be prepared by directly dissolving the solid in aqueous buffers. The solubility of ENPP1 inhibitor 4e in PBS (pH 7.2) is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

ENPP1 inhibitor 4e is an inhibitor of ectonucleotide pyrophosphatase/phosphodiesterase family member 1 (ENPP1; IC_{50} = 0.188 µM), an enzyme that degrades the stimulator of interferon genes (STING) activator 2'3'-cGAMP (Item No. 19887).[1] It inhibits ENPP1 in MDA-MB-231 cells, which highly express ENPP1 (IC_{50} = 0.732 µM). ENPP1 inhibitor 4e is selectively cytotoxic to 4T1 murine metastatic breast cancer cells (IC_{50} = 2.99 µM) over non-cancerous L-02 and 293T cells at 50 µM. It increases serum levels of IFN-β in mice when administered at a dose of 0.5 mg/kg in combination with cGAMP when compared to mice administered only cGAMP or mice not receiving either compound, indicating that ENPP1 inhibitor 4e reduces the degradation of cGAMP.

Reference

1. Wang, X., Lu, X., Yan, D., *et al.* Development of novel ecto-nucleotide pyrophosphatase/phosphodiesterase 1 (ENPP1) inhibitors for tumor immunotherapy. *Int. J. Mol. Sci.* **23(13)**, 7104 (2022).

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