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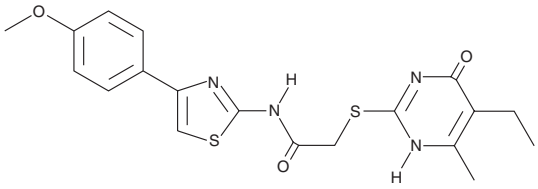
PRODUCT INFORMATION

T16A(inh)-A01

Item No. 18518

CAS Registry No.: 552309-42-9
Formal Name: 2-[(5-ethyl-1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl)thio]-N-[4-(4-methoxyphenyl)-2-thiazolyl]-acetamide
MF: $C_{19}H_{20}N_4O_3S_2$
FW: 416.5
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 246, 274 nm



Laboratory Procedures

For long term storage, we suggest that T16A(inh)-A01 be stored as supplied at -20°C. It should be stable for at least two years.

T16A(inh)-A01 is supplied as a crystalline solid. A stock solution may be made by dissolving the T16A(inh)-A01 in the solvent of choice. T16A(inh)-A01 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of T16A(inh)-A01 in these solvents is approximately 5 and 10 mg/ml, respectively.

T16A(inh)-A01 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, T16A(inh)-A01 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. T16A(inh)-A01 has a solubility of approximately 0.1 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Transmembrane protein 16A (TMEM16A, also known as anoctamin-1) is a calcium-activated chloride channel (CaCC) with roles in transepithelial anion transport and smooth muscle contraction. T16A(inh)-A01 is an aminophenylthiazole that inhibits transient TMEM16A-mediated chloride currents with an IC_{50} value of ~1 µM.[1,2] Its inhibitory effects are independent of voltage and do not prolong the rate of TMEM16A current deactivation.[2] T16A(inh)-A01 blocks CaCC activity in vascular smooth muscle cells and relaxes mouse and human blood vessels.[3] It also inhibits the proliferation of pancreatic cancer and squamous carcinoma cells in culture.[4,5]

References

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3. Davis, A.J., Shi, J., Pritchard, H.A.T., *et al*. Potent vasorelaxant activity of the TMEM16A inhibitor T16Ainh-A01. *Br. J. Pharmacol.* **168**, 773-784 (2013).
4. Mazzone, A., Eisenman, S.T., Strege, P.R., *et al*. Inhibition of cell proliferation by a selective inhibitor of the Ca^{2+} activated Cl^- channel, Ano1. *Biochem. Biophys. Res. Commun.* **427(2)**, 248-253 (2012).
5. Duvvuri, U., Shiwarski, D.J., Xiao, D., *et al*. TMEM16A induces MAPK and contributes directly to tumorigenesis and cancer progression. *Cancer Res.* **72(13)**, 3270-3281 (2012).

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