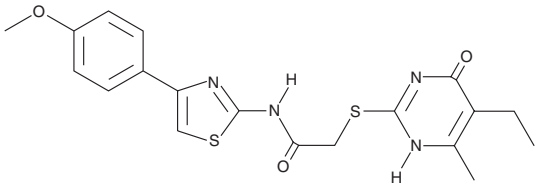
PRODUCT INFORMATION

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%
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 246, 274 nm
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

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

1. Namkung, W., Phuan, P.W., and Verkman, A.S. TMEM16A inhibitors reveal TMEM16A as a minor component of calcium-activated chloride channel conductance in airway and intestinal epithelial cells. *J. Biol. Chem.* **286(3)**, 2365-2374 (2011).
2. Bradley, E., Fedigan, S., Webb, T., *et al.* Pharmacological characterization of TMEM16A currents. *Channels* **8(4)**, 308-320 (2014).
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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