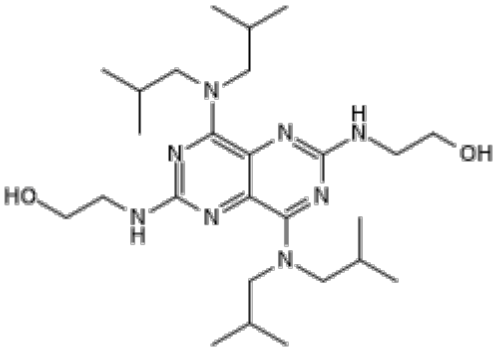
Product Data Sheet

Product Name: TC-T 6000
Cat. No.: GC50098

Chemical Properties

Cas. No.	949467-71-4		
SMILES	CC(C)CN(CC(C)C)C2=NC(NCCO)=NC1=C(N(CC(C)C)CC(C)C)N=C(NCCO)N=C12		
Formula	C26H48N8O2	M.Wt	504.71
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines PK15/hENT cells (PK15 cells stably expressing hENT4)

Product Data Sheet

Preparation Method	Cells were seeded at 5×10^3 cells were seeded in 96-well plates, treated with 10μM test TC-T 6000 and incubated at 37 °C in phenol-free MEM for 24h.
Reaction Conditions	10μM; 24h
Applications	TC-T 6000 shows no cytotoxicity against PK15/hENT4 cells.

Transporter inhibition assays [1]:

Preparation Method	Transport activity of cells transiently transfected with hENT4 was examined with [^{3}H] Adenosine. K15/hENT4 cells were incubated with transport buffer containing TC-T 6000 at pH 6.0 for 15min before addition of 0.2μM [^{3}H] Adenosine, and then further incubation for 2min. Uptake was terminated by rapidly aspirating the incubation mixture and washing cells three times with ice-cold PBS. The cells were solubilized overnight in 400μl of 5% Triton X-100 and 200μl of cell lysate was counted using a scintillation counter. Protein concentration in the cell lysate was determined using the BCA protein assay kit. The concentrations of test compounds that caused 50% inhibition of [^{3}H] Adenosine uptake (IC_{50}) were calculated using a nonlinear fitting method in the Prism 4 program.
Reaction Conditions	10μM; 15min
Applications	TC-T 6000 is a potent and selective human ENT4 (Balanced nucleoside transporter 4) inhibitor with an IC_{50} of 74.4nM.

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References:
[1]. Wang C, Lin W, et,al. Dipyridamole analogs as pharmacological inhibitors of equilibrative nucleoside transporters. Identification of novel potent and selective inhibitors of the Adenosine transporter function of human equilibrative nucleoside transporter 4 (hENT4). Biochem Pharmacol. 2013 Dec 1;86(11):1531-40. doi: 10.1016/j.bcp.2013.08.063. Epub 2013 Sep 7. PMID: 24021350; PMCID: PMC3866046.

Background

TC-T 6000, a Dipyridamole analog, is a potent and selective human ENT4 (Balanced nucleoside transporter 4) inhibitor with an IC_{50} of 74.4nM. Making it about 38 times more potent than Dipyridamole [1].

TC-T 6000(10μM; 24h) shows no cytotoxicity against PK15/hENT4 cells.

References:
[1]. Wang C, Lin W, et,al. Dipyridamole analogs as pharmacological inhibitors of equilibrative nucleoside transporters. Identification of novel potent and selective inhibitors of the adenosine transporter function of human equilibrative nucleoside transporter 4 (hENT4). Biochem Pharmacol. 2013 Dec 1;86(11):1531-40. doi: 10.1016/j.bcp.2013.08.063. Epub 2013 Sep 7. PMID: 24021350; PMCID: PMC3866046.