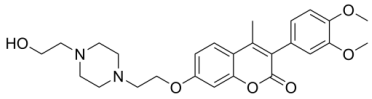
Data Sheet

Product Name:	TM-1
Cat. No.:	CS-0134248
CAS No.:	921099-13-0
Molecular Formula:	$C_{26}H_{32}N_2O_6$
Molecular Weight:	468.54
Target:	PDHK
Pathway:	Metabolic Enzyme/Protease
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

TM-1 is a potent inhibitor of **pyruvate dehydrogenase kinase** (**PDHK1**). TM-1 inhibits **PDHK1** and **PDHK2** with **IC_{50}**s of 2.97 μM and 5.2 μM, respectively. TM-1 blocks pyruvate dehydrogenase complex (PDHC) phosphorylation, and inhibits cell proliferation[1].
IC50 & Target: IC50: 2.97 μM (PDK1), 5.2 μM (PDK2)[1] ***In Vitro:*** TM-1 (0-10 μM) inhibits PDHK1 activity with the inhibition rate of 80.5% (dosage at 10 μM) and an IC_{50} value of 2.97 μM[1].
TM-1 (0-2.1 μM; 12 h) shows anti-osteosarcoma activity and inhibits MG-63 cells with an EC_{50} value of 14.5 μM[1].
TM-1 (3, 6, 12 μM; 24 h) decreases PDHC phosphorylation of both Ser293 and Ser232 sites in a dose-dependent manner[1].

References:

[1]. Fang A, et al. Identification of pyruvate dehydrogenase kinase 1 inhibitors with anti-osteosarcoma activity. Bioorg Med Chem Lett. 2017 Dec 15;27(24):5450-5453.

CAIndexNames:

2H-1-Benzopyran-2-one, 3-(3,4-dimethoxyphenyl)-7-[2-[4-(2-hydroxyethyl)-1-piperazinyl]ethoxy]-4-methyl-

SMILES:

O=C1C(C2=CC=C(OC)C(OC)=C2)=C(C)C3=CC=C(OCCN4CCN(CCO)CC4)C=C3O1

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