

Product Data Sheet

Product Name: PT 1
Cat. No.: GC17204

Chemical Properties

Cas. No. 331002-70-1

Chemical Name 2-chloro-5-((Z)-((Z)-5-((5-(4,5-dimethyl-2-nitrophenyl)furan-2-yl)methylene)-4-hydroxythiazol-2(5H)-ylidene)amino)benzoic acid

SMILES CC1=CC(C2=CC=C(O2)/C([H])=C(S/3)/C(O)=NC3=N\C4=CC(C(O)=O)=C(Cl)C=C4)=C(N(=O)=O)C=C1C

Formula $C_{23}H_{16}ClN_3O_6S$ M.Wt 497.91

Solubility DMF: 1 mg/ml, DMSO: 1 mg/ml, DMSO:PBS(pH 7.2) (1:4): 0.2 mg/ml, Ethanol: slightly 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

Background

PT 1 is a selective activator of AMPK with EC50 value of 0.3 μM for AMPK α1β1γ1 [1].

AMP-activated protein kinase (AMPK) is serine/threonine protein kinase that involved in cellular energy homeostasis and acts as an energy sensor. AMPK is a heterotrimer and increases ATP generation [1].

PT 1 is a selective AMPK activator. PT1 activated human AMPK α1394, AMPK α2398 and AMPK(α1β1γ1) with EC50 values of 8, 12 and 0.3 μM, respectively. PT1 exhibited maximum activity against AMPK(α1β1γ1) at concentration up to 5 μM. PT1 exhibited high selectivity for AMPK α catalytic subunit. PT1 activated truncated AMPK α1 subunit proteins including 313-335 aa with EC50 values of 8 μM, which was autoinhibitory domain. In HeLa cells without LKB1, PT1 induced AMPK and ACC phosphorylation, which were independent of LKB1. In human hepatoma HepG2 cells, PT1 dose-dependently reduced triacylglycerol and cholesterol content and induced AMPK and ACC phosphorylation [1]. In incubated mouse muscle, PT-1 increased γ1-containing AMPK activity and increased the AMPK-dependent phosphorylation of ULK1 on Ser555. However, in HEK293 cells expressing human γ1-, γ2- or γ3-AMPK, PT-1 activated them equally [2].

References:

[1]. Pang T, Zhang ZS, Gu M, et al. Small molecule antagonizes autoinhibition and activates AMP-activated protein kinase in cells. *J Biol Chem*, 2008, 283(23): 16051-16060.

[2]. Jensen TE, Ross FA, Kleinert M, et al. PT-1 selectively activates AMPK-γ1 complexes in mouse skeletal muscle, but activates all three γ subunit complexes in cultured human cells by inhibiting the respiratory chain. *Biochem J*, 2015, 467(3): 461-472.

Caution: Product has not been fully validated for medical applications. For research use only.

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