

Data Sheet

Product Name:SW033291Cat. No.:CS-4513CAS No.:459147-39-8Molecular Formula: $C_{21}H_{20}N_2OS_3$

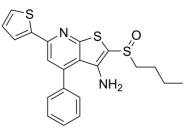
Molecular Weight: 412.59
Target: 15-PGDH

Pathway: Metabolic Enzyme/Protease

Solubility: Ethanol: 25 mg/mL (60.59 mM; Need ultrasonic); DMSO:

33.33 mg/mL (80.78 mM; ultrasonic and warming and heat to

70°C)



BIOLOGICAL ACTIVITY:

SW033291 is a potent and high-affinity inhibitor of **15-PGDH** with a **K**_i of 0.1 nM. SW033291 increases prostaglandin PGE2 levels in bone marrow and other tissues. SW033291 also promotes tissue regeneration^[1]. IC50 & Target: Ki: 0.1 nM (15-PGDH)^[1] *In Vitro:* Treating cells with SW033291 decreases cellular 15-PGDH enzyme activity by 85%. SW033291 inhibition of 15-PGDH was non-competitive versus PGE2 over concentrations up to 40 µM PGE2. Treatment of A549 cells with SW033291 increases PGE2 levels by 3.5-fold at 500 nM, with an **EC**₅₀ 50 at approximately 75 nM^[1]. *In Vivo:* SW033291 (10 mg/kg; intraperitoneal injection; twice daily; for 3 days; C57BL/6J mice) treatment for three consecutive days shows significant benefits, including a doubling of peripheral neutrophil counts, a 65% increase in marrow SKL cells, and a 71% increase in marrow SLAM cells^[1].

PROTOCOL (Extracted from published papers and Only for reference)

Enzyme assay [1] For initial characterization of inhibition of 15-PGDH enzyme activity by SW033291, reactions were assembled with experiment specific concentrations of 15-PGDH enzyme, and experiment specific concentrations of SW033291, plus 150 μM NAD(+) and 25 μM PGE2 in reaction buffer (50 mM Tris-HCl, pH7.5, 0.01% Tween 20). The reaction mix was incubated for 15 min at 25 °C in an Envision Reader (PerkinElmer). Enzyme activity was determined by following generation of NADH as assayed by recording fluorescence at Ex/Em=340 nM/485 nM every 30s for 3 minutes, commencing immediately after addition of PGE2 (43). IC50 values were calculated with GraphPad Prism 5 software using the sigmoidal dose-response function and plotted against SW033291 concentration. The linear increase in IC50 value with increasing enzyme concentration indicated a tightbinding inhibition with the dependence on 15-PGDH:SW033291 stoichiometry rather than absolute SW033291 concentration.

References:

[1]. Zhang Y, et al. TISSUE REGENERATION. Inhibition of the prostaglandin-degrading enzyme 15-PGDH potentiates tissue regeneration. Science. 2015 Jun 12;348(6240):aaa2340.

CAIndexNames:

Thieno[2,3-b]pyridin-3-amine, 2-(butylsulfinyl)-4-phenyl-6-(2-thienyl)-

SMILES:

NC1=C(S(CCCC)=O)SC2=NC(C3=CC=CS3)=CC(C4=CC=CC=C4)=C21

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

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