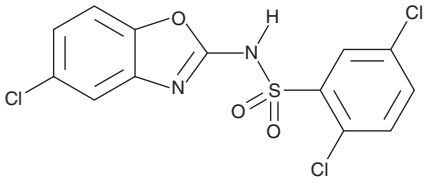
PRODUCT INFORMATION

Fructose-1,6-bisphosphatase-1 Inhibitor

Item No. 18860

CAS Registry No.: 883973-99-7
Formal Name: 2,5-dichloro-N-(5-chloro-2-benzoxazolyl)-benzenesulfonamide
Synonyms: F1,6BPase-1 Inhibitor, FBP1 Inhibitor, FBPase-1 Inhibitor
MF: $C_{13}H_7Cl_3N_2O_3S$
FW: 377.6
Purity: ≥98%
UV/Vis.: λ_{max}: 204, 287 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Fructose-1,6-bisphosphatase-1 (FBPase-1) inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the FBPase-1 inhibitor in the solvent of choice, which should be purged with an inert gas. FBPase-1 inhibitor is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of FBPase-1 inhibitor in these solvents is approximately 14 and 20 mg/ml, respectively.

FBPase-1 inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, FBPase-1 inhibitor should first be dissolved in DMF and then diluted with the aqueous buffer of choice. FBPase-1 inhibitor has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

FBPase-1 is an enzyme that catalyzes the conversion of fructose-1,6-bisphosphate to fructose-6-phosphate, which is one of the rate-limiting steps in gluconeogenesis. Excess hepatic FBPase-1 activity contributes to hyperglycemia in patients. Thus, the development of specific FBPase-1 inhibitors is of great clinical interest for the treatment of patients with type 2 diabetes. FBPase-1 inhibitor is a cell-permeable benzoxazolo-sulfonamide compound that blocks human FBPase-1 enzymatic activity with an IC_{50} value of 3.4 µM (K_i = 1.1 µM) by competing at the AMP allosteric binding site.[1,2] It has been shown to block glucose production in rat hepatoma cells that are starved of nutrients with an IC_{50} value of 6.6 µM.[1,2]

References

1. Lai, C., Gum, R.J., Daly, M., *et al.* Benzoxazole benzenesulfonamides as allosteric inhibitors of fructose-1,6-bisphosphatase. *Bioorg. Med. Chem. Lett.* **16(7)**, 1807-1810 (2006).
2. von Geldern, T.W., Lai, C., Gum, R.J., *et al.* Benzoxazole benzenesulfonamides are novel allosteric inhibitors of fructose-1,6-bisphosphatase with a distinct binding mode. *Bioorg. Med. Chem. Lett.* **16(7)**, 1811-1815 (2006).

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