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)-	
Synonyms:	benzenesulfonamide F1,6BPase-1 Inhibitor, FBP1 Inhibitor, FBPase-1 Inhibitor	
MF: FW:	C ₁₃ H ₇ Cl ₃ N ₂ O ₃ S 377.6	
Purity:	≥98%	ö)
UV/Vis.:	λ _{max} : 204, 287 nm	CÍ
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 μ M (K_i = 1.1 μ M) 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 IC₅₀ value of 6.6 μ M.^{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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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