

# Produktinformation



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# Product Information



## ADAMTS-5 Inhibitor

Item No. 17188

CAS Registry No.: 929634-33-3

Formal Name: 5-[[5-[[(4-chlorophenyl)methyl]thio]-1-

> methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl] methylene]-2-thioxo-4-thiazolidinone

Synonym: A Disintegrin and Metalloproteinase with

Thrombospondin Motifs 5 Inhibitor

MF:  $C_{16}H_{11}ClF_3N_3OS_3$ 

449.9 FW: ≥95% **Purity:** 

Stability: ≥2 years at -20°C Supplied as: A crystalline solid UV/Vis.:  $\lambda_{max}$ : 225, 280, 363 nm

## **Laboratory Procedures**

For long term storage, we suggest that ADAMTS-5 inhibitor be stored as supplied at -20°C. It should be stable for at least two years.

ADAMTS-5 inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the ADAMTS-5 inhibitor in the solvent of choice. ADAMTS-5 inhibitor is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of ADAMTS-5 inhibitor in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

ADAMTS-5 inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ADAMTS-5 inhibitor should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ADAMTS-5 inhibitor has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

A disintegrin and metalloproteinase with thrombospondin motifs 5 (ADAMTS-5) is an aggrecanase in that it cleaves aggrecan, a major proteoglycan in cartilage. While it has key roles in cartilage destruction associated with osteoarthritis, ADAMTS-5 is also involved in other pathways, including neurological processes. 1,2 ADAMTS-5 Inhibitor is an inhibitor of ADAMTS-5 (aggrecanase-2;  $IC_{50} = 1.1 \mu M$ ) with 40-fold selectivity over ADAMTS-4 (aggrecanase-1).<sup>3,4</sup>

## References

- 1. Bondeson, J., Wainwright, S., Hughes, C., et al. The regulation of the ADAMTS4 and ADAMTS5 aggrecanases in osteoarthritis: A review. Clin. Exp. Rheumatol. 26(1), 139-145 (2008).
- Lemarchant, S., Pruvost, M., Montaner, J., et al. ADAMTS proteoglycanases in the physiological and pathological central nervous system. J. Neuroinflammation 10, 1-8 (2013).
- Bursavich, M.G., Gilbert, A.M., Lombardi, S., et al. Synthesis and evaluation of aryl thioxothiazolidinone inhibitors of ADAMTS-5 (Aggrecanase-2). Bioorg. Med. Chem. Lett. 17, 1185-1188 (2007).
- Gilbert, A.M., Bursavich, M.G., Lombardi, S., et al. 5-((1H-pyrazol-4-yl)methylene)-2-thioxothiazolidin-4-one inhibitors of ADAMTS-5. Bioorg. Med. Chem. Lett. 17, 1189-1192 (2007).

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