# PRODUCT INFORMATION



## **Heptelidic Acid**

Item No. 14079

CAS Registry No.: 57710-57-3

Formal Name: (2'S,5aS,6R,9aS)-1,5a,6,7,8,9a-hexahydro-6-(1-

methylethyl)-1-oxo-spiro[2-benzoxepin-9(3H),2'-

oxirane]-4-carboxylic acid

Synonyms: Avocettin, BRN 5091359, FO-4443, Koningic Acid

MF:  $C_{15}H_{20}O_5$ FW: 280.3 **Purity:** ≥95% UV/Vis.:  $\lambda_{max}$ : 217 nm Supplied as: A solid -20°C Storage: Stability: ≥4 years

Special Conditions: Keep cool and dry

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



#### **Laboratory Procedures**

Heptelidic acid is supplied as a solid. A stock solution may be made by dissolving the heptelidic acid in the solvent of choice, which should be purged with an inert gas. Heptelidic acid is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of heptelidic acid in these solvents is approximately 30 mg/ml.

#### Description

Glyceraldehyde 3-phosphate dehydrogenase (GAPDH), a key enzyme in carbohydrate metabolism, reversibly catalyzes the conversion of GAP to 1,3-bisphosphoglycerate and NAD<sup>+</sup>. Heptelidic acid is a sesquiterpene lactone produced by the fungus T. koningii that was shown to have antibiotic activity against anaerobic bacteria such as Bacteroides. 1 It acts as an irreversible inhibitor of GAPDH that binds to the cysteine-149 residue at the active site of the enzyme ( $K_i = 1.6 \mu M$ ).<sup>2</sup> It can selectively induce apoptosis in high-glycolytic cancer cells by inhibiting the generation of ATP in the glycolytic pathway.<sup>3</sup> Heptelidic acid is also a selective and competitive inhibitor of mammalian DNA polymerases  $\beta$  and  $\lambda$  as well as terminal deoxynucleotidyl transferase in family X of DNA polymerases (K:s range from 5.2-9.5 μΜ).<sup>4</sup>

## References

- 1. Endo, A., Hasumi, K., Sakai, K., et al. Specific inhibition of glyceraldehyde-3-phosphate dehydrogenase by koningic acid (heptelidic acid). J. Antibiot. (Tokyo) 38(7), 920-925 (1985).
- Sakai, K., Hasumi, K., and Endo, A. Identification of koningic acid (heptelidic acid)-modified site in rabbit muscle glyceraldehyde-3-phosphate dehydrogenase. Biochim. Biophys. Acta. 1077(2), 192-196 (1991).
- Kumagai, S., Narasaki, R., and Hasumi, K. Glucose-dependent active ATP depletion by koningic acid kills high-glycolytic cells. Biochem. Bioph. Res. Commun. 365(2), 362-368 (2008).
- Yamaguchi, Y., Manita, D., Takeuchi, T., et al. Novel terpenoids, trichoderonic acids A and B isolated from Trichoderma virens, are selective inhibitors of family X DNA polymerases. Biosci. Biotechnol. Biochem. 74(4), 793-801 (2010).

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

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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