

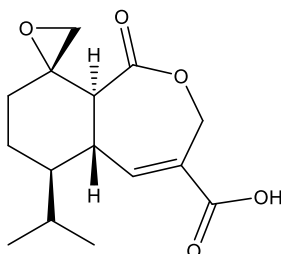
Catalog # 10-3326

Koningic acid

CAS# 74310-84-2

(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
Heptelidic acid; BRN5091359; Avocettin

Lot # X107845



Koningic acid (KA) is a potent and selective inhibitor of glyceraldehyde-3-phosphate dehydrogenase (GAPDH).¹ Inhibition is irreversible and proceeds via nucleophilic attack of an active site cysteine on the epoxide moiety², $K_i = 1.1 \mu\text{M}$ for rabbit muscle GAPDH³. KA can selectively kill high-glycolytic cancer cells via glucose dependent ATP depletion.⁴ Has been used in a predictive model for selective targeting of the Warburg effect, the most prominent hallmark of cancer cell metabolism.⁵

- 1) Endo *et al.* (1985), *Specific inhibition of glyceraldehyde-3-phosphate dehydrogenase by koningic acid (heptelidic acid)*; J. Antibiot., **38** 920
- 2) Sakai *et al.* (1991), *Identification of koningic acid (heptelidic acid)-modified site in rabbit muscle glyceraldehyde-3-phosphate dehydrogenase*; Biochim. Biophys. Acta, **1077** 192
- 3) Sakai *et al.* (1988), *Inactivation of rabbit muscle glyceraldehyde-3-phosphate dehydrogenase by koningic acid*; Biochim. Biophys. Acta, **952** 297
- 4) Kumagai *et al.* (2008), *Glucose-dependent active ATP depletion by koningic acid kills high-glycolytic cells*; Biochem. Biophys. Res. Commun. **365** 362
- 5) Liberti *et al.* (2017), *A Predictive Model for Selective Targeting of the Warburg Effect through GAPDH Inhibition with a Natural Product*; Cell Metab., **26** 648

PHYSICAL DATA

Molecular Weight:	280.32
Molecular Formula:	C ₁₅ H ₂₀ O ₅
Purity:	95% by HPLC
	NMR: (Conforms)
Solubility:	Water (up to 1 mg/ml) or DMSO
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in distilled water or DMSO may be stored at -20°C for up to 1 month.

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