

AG-CN2-0118

10-Dec-2024

Heptelidic acid

[Koningic acid; Avocettin; FO-4443; BRN 5091359; HPA]

AG-CN2-0118-C250	250 µg
AG-CN2-0118-M001	1 mg
Formula	C ₁₅ H ₂₀ O ₅
MW	280.3
CAS	57710-57-3 (74310-84-2 deleted)

Handling / Storage

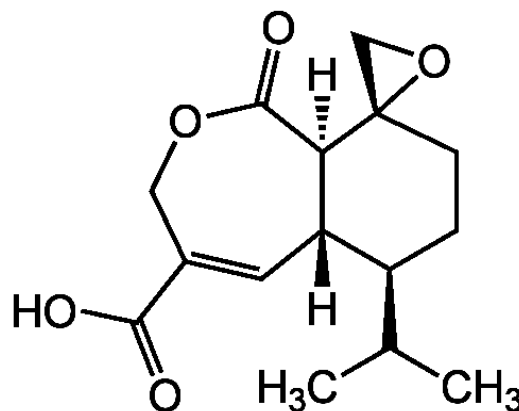
Shipping	AMBIENT
Short Term Storage	+4°C
Long Term Storage	-20°C

Keep cool and dry.

Use / Stability

Stable for at least 3 years after receipt when stored at -20°C.

MSDS available at www.adipogen.com or upon request.



Product Specifications

Source/Host	Isolated from <i>Trichoderma</i> sp.
Purity	≥95% (HPLC)
Appearance	White solid.
Solubility	Soluble in DMSO (10mg/ml), ethanol (1mg/ml), methanol (1mg/ml) or water (1mg/ml).

Product Description

- Antibiotic [1, 2].
- Potent selective glyceraldehyde 3-phosphate dehydrogenase (GAPDH) inhibitor. Binds to the essential Cys149 residue in the catalytic site of GAPDH [3-7, 10, 11].
- Anticancer compound [8]. Selectively kills high-glycolytic cancer cells through glucose-dependent active ATP deprivation [12].
- Antimalarial [9].
- Apoptosis inhibitor. DNA fragmentation and caspase-3 activation inhibitor [13,16].

WARNING: Intended for research use only. This product is not intended or approved for human, diagnostics, therapeutic or veterinary use. Use of this product for human or animal testing is extremely hazardous and may result in disease, severe injury, or death. **MATERIAL SAFETY DATA:** Review the complete Material Safety Data Sheet before use.

North/South America:

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- Selective and competitive inhibitor of mammalian DNA polymerases beta, lambda and terminal deoxynucleotidyl transferase (TdT) in family X of pols [14].
- Reduces lactate secretion and causes reductions in overall protein synthesis and production of IFN- α and TNF.

Product Specific References

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2. Structure of heptelidic acid, a new sesquiterpene antibiotic from fungi: Y. Itoh, et al.; J. Antibiot. (Tokyo) **33**, 525 (1980)
3. Specific inhibition of glyceraldehyde-3-phosphate dehydrogenase by koningic acid (heptelidic acid): A. Endo, et al.; J. Antibiot. (Tokyo) **38**, 920 (1985)
4. Inactivation of rabbit muscle glyceraldehyde-3-phosphate dehydrogenase by koningic acid: K. Sakai, et al.; Biochim. Biophys. Acta **952**, 297 (1988)
5. Identification of koningic acid (heptelidic acid)-modified site in rabbit muscle glyceraldehyde-3-phosphate dehydrogenase: K. Sakai, et al.; Biochim. Biophys. Acta **1077**, 192 (1991)
6. Koningic acid (heptelidic acid) inhibition of glyceraldehyde-3-phosphate dehydrogenases from various sources: M. Kato, et al.; Biochim. Biophys. Acta **1120**, 113 (1992)
7. Glyceraldehyde-3-phosphate dehydrogenase is required for the transport of nitric oxide in platelets: B. McDonald, et al.; PNAS **90**, 11122 (1993)
8. Antitumor activity of heptelidic acid chlorohydrin: J. Kawashima, et al.; J. Antibiot. (Tokyo) **47**, 1562 (1994)
9. Antimalarial activity of radicicol, heptelidic acid and other fungal metabolites: Y. Tanaka, et al.; J. Antibiot. (Tokyo) **51**, 153 (1998)
10. Glyceraldehyde-3-phosphate dehydrogenase activity as an independent modifier of methylglyoxal levels in diabetes: P.J. Beisswenger, et al.; Biochim. Biophys. Acta **1637**, 98 (2003)
11. D-Glyceraldehyde causes production of intracellular peroxide in pancreatic islets, oxidative stress, and defective beta cell function via non-mitochondrial pathways: H. Takahashi, et al.; J. Biol. Chem. **279**, 37316 (2004)
12. Glucose-dependent active ATP depletion by koningic acid kills high-glycolytic cells: S. Kumagai, et al.; BBRC **365**, 362 (2008)
13. Heptelidic acid, a sesquiterpene lactone, inhibits Etoposide-induced apoptosis in human leukemia U937 cells: J.H. Kim & C.H. Lee; J. Microbiol. Biotechnol. **19**, 787 (2009)
14. Novel terpenoids, trichoderonic acids A and B isolated from Trichoderma virens, are selective inhibitors of family X DNA polymerases: Y. Yamaguchi, et al.; Biosci. Biotechnol. Biochem. **74**, 793 (2010)
15. Rapid effector function of memory CD8+ T cells requires an immediate-early glycolytic switch: P. M. Gubser, et al.; Nat. Immunol. **14**, 1064 (2013)

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16. The immune-metabolic basis of effector memory CD4⁺ T cell function under hypoxic conditions: S. Dimeloe, et al.; J. Immunol. **196**, 106 (2016)
17. ATP produced by anaerobic glycolysis is essential for enucleation of human erythroblasts: T. Goto, et al.; Exp. Hematol. **72**, 14 (2019)
18. Probiotic *Aspergillus oryzae* produces anti-tumor mediator and exerts anti-tumor effects in pancreatic cancer through the p38 MAPK signaling pathway: H. Konishi, et al.; Nat. Sci. Rep. **11**, 11070 (2021)
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20. Probiotic-derived heptelidic acid exerts antitumor effects on extraintestinal melanoma through glyceraldehyde-3-phosphate dehydrogenase activity control: S. Isozaki, et al.; BMC Microbiol. **22**, 110 (2022)

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