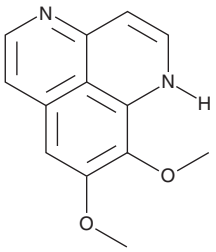
PRODUCT INFORMATION

Aaptamine

Item No. 24297

CAS Registry No.:	85547-22-4
Formal Name:	8,9-dimethoxy-1H-benzo[de][1,6] naphthyridine
MF:	$C_{13}H_{12}N_2O_2$
FW:	228.3
Purity:	≥95%
Supplied as:	A solid
Storage:	-80°C
Stability:	≥2 years



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

Laboratory Procedures

Aaptamine is supplied as a solid. A stock solution may be made by dissolving the aaptamine in the solvent of choice. Aaptamine is soluble in organic solvents such as ethanol and DMSO, which should be purged with an inert gas.

Description

Aaptamine is a marine sponge alkaloid originally isolated from *A. aaptos* with diverse biological activities, including antiproliferative and antidepressant properties.[1-4] It is an agonist of δ- and μ-opioid receptors (EC_{50}s = 5.1 and 10.1 μM, respectively, in HEK293 cells expressing human recombinant receptors) and a competitive antagonist of α-adrenergic receptors (α-ARs; pA_2s = 4.88 and 5.43, respectively, in isolated rabbit aorta and renal artery).[1,4] Aaptamine inhibits growth of HeLa cervical cancer (IC_{50} = 15 μg/ml) and K562 leukemia (GI_{50} = 10 μM) cells and induces cell cycle arrest in the G_2/M phase in K562 leukemia and MG63 osteosarcoma cells.[2,3,5] It is a proteasome inhibitor that inhibits chymotrypsin-, caspase-, and trypsin-like activity in a partially purified rat liver proteasome preparation (IC_{50}s = 1.6, 2.7, and 18 μg/ml, respectively) and dose-dependently activates p21 independent of p53 in MG63 cells when used at concentrations ranging from 20 to 50 μM.[2,5] Aaptamine (40 mg/kg, i.p.) decreases immobility time in the forced swim test in mice, indicating antidepressant-like activity.[4]

References

1. Ohizumi, Y., Kajiwara, A., Nakamura, H., *et al.* α-Adrenoceptor blocking action of aaptamine, a novel marine natural product, in vascular smooth muscle. *J. Pharm. Pharmacol.* **36(11),** 785-786 (1984).
2. Tsukamoto, S., Yamanokuchi, R., Yoshitomi, M., *et al.* Aaptamine, an alkaloid from the sponge *Aaptos suberitoides*, functions as a proteasome inhibitor. *Bioorg. Med. Chem. Lett.* **20(11),** 3341-3343 (2010).
3. Jin, M., Zhao, W., Zhang, Y., *et al.* Antiproliferative effect of aaptamine on human chronic myeloid leukemia K562 cells. *Int. J. Mol. Sci.* **12(11),** 7352-7359 (2011).
4. Johnson, T.A., Milan-Lobo, L., Che, T., *et al.* Identification of the first marine-derived opioid receptor "balanced" agonist with a signaling profile that resembles the endorphins. *ACS Chem. Neurosci.* **8(3),** 473-485 (2017).
5. Aoki, S., Kong, D., Suna, H., *et al.* Aaptamine, a spongean alkaloid, activates p21 promoter in a p53-independent manner. *Biochem. Biophys. Res. Commun.* **342(1),** 101-106 (2006).

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