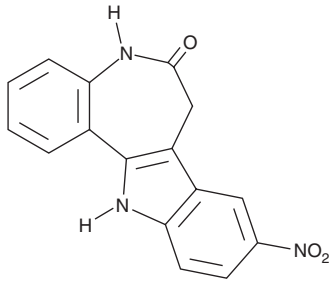
PRODUCT INFORMATION

Alsterpaullone

Item No. 14428

CAS Registry No.:	237430-03-4
Formal Name:	7,12-dihydro-9-nitro-indolo[3,2-d][1]benzazepin-6(5H)-one
Synonyms:	9-Nitropaullone, NSC 705701
MF:	$C_{16}H_{11}N_3O_3$
FW:	293.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 223, 245, 297 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Alsterpaullone is supplied as a crystalline solid. A stock solution may be made by dissolving the alsterpaullone in the solvent of choice. Alsterpaullone is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of alsterpaullone in these solvents is approximately 10 and 3 mg/ml, respectively.

Alsterpaullone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, alsterpaullone should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Alsterpaullone 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.

Description

Alsterpaullone is a derivative of kenpaullone (Item No. 10010239), an ATP-competitive inhibitor of several cyclin-dependent kinases (CDKs) as well as glycogen synthase kinase 3β (GSK3β). With slightly improved potency over kenpaullone, alsterpaullone selectively inhibits Cdk1/cyclin B, Cdk2/cyclin A, Cdk2/cyclin E, Cdk5/p25, and GSK3α/β with IC_{50} values of 35, 15, 200, 40, and 4 nM, respectively.[1,2] Alsterpaullone has been used to inhibit the cytosolic degradation of β-catenin to alter the canonical Wnt signaling pathway in primary axis patterning, to reduce tau phosphorylation in an effort to modify neuropathological events associated with Alzheimer's disease, and to alter cell proliferation or protein expression in various diseases.[3-5]

References

1. Leost, M., Schultz, C., Link, A., *et al.* Paullones are potent inhibitors of glycogen synthase kinase-3β and cyclin-dependent kinase 5/p25. *Eur. J. Biochem.* **267(19)**, 5983-5994 (2000).
2. Bain, J., McLauchlan, H., Elliot, M., *et al.* The specificities of protein kinase inhibitors: An update. *Biochem. J.* **371 (Pt. 1)**, 199-204 (2003).
3. Trevino, M., Stefanik, D.J., Rodriguez, R., *et al.* Induction of canonical Wnt signaling by alsterpaullone is sufficient for oral tissue fate during regeneration and embryogenesis in *Nematostella vectensis*. *Dev. Dyn.* **240(12)**, 2673-2679 (2011).
4. Selenica, M.L., Jensen, H.S., Larsen, A.K., *et al.* Efficacy of small-molecule glycogen synthase kinase-3 inhibitors in the postnatal rat model of tau hyperphosphorylation. *Br. J. Pharmacol.* **152(6)**, 959-979 (2007).
5. Makhortova, N.R., Hayhurst, M., Cerqueira, A., *et al.* A screen for regulators of survival of motor neuron protein levels. Nat. Chem. Biol. 7(8), 544-552 (2011).

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