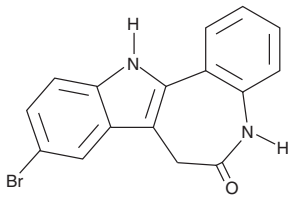
PRODUCT INFORMATION

Kenpaullone

Item No. 10010239

CAS Registry No.:	142273-20-9
Formal Name:	9-bromo-7,12-dihydro-indolo[3,2-d][1] benzazepin-6(5H)-one
Synonyms:	9-Bromopaullone, NSC 664704
MF:	$C_{16}H_{11}BrN_2O$
FW:	327.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 230, 320 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Kenpaullone is supplied as a crystalline solid. A stock solution may be made by dissolving the kenpaullone in an organic solvent purged with an inert gas. Kenpaullone is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of kenpaullone in DMSO is approximately 10 mg/ml and approximately 3 mg/ml in DMF.

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

The development of selective, cell-permeable protein kinase inhibitors for the treatment of cancer, inflammation, and other diseases, is a major focus of drug development efforts. Kenpaullone is an ATP-competitive inhibitor of several cyclin-dependent kinases (CDKs) as well as glycogen synthase kinase 3b (GSK3b).[1-3] It inhibits GSK3β with an IC_{50} value of 0.23 µM and Cdk1/cyclin B, Cdk2/cyclin A, Cdk5/p25, and lymphocyte kinase with IC_{50} values of 0.4, 0.68, 0.85, and 0.47 µM, respectively.[1,2]

References

1. Zaharevitz, D.W., Gussio, R., Leost, M., *et al.* Discovery and initial characterization of the paullones, a novel class of small-molecule inhibitors of cyclin-dependent kinases. *Cancer Res.* **59(11)**, 2566-2569 (1999).
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. LeClerc, S., Garnier, M., Hoessel, R., *et al.* Indirubins inhibit glycogen synthase kinase-3b and CDK5/P25, two protein kinases involved in abnormal tau phosphorylation in Alzheimer's disease. A property common to most cyclin-dependent kinase inhibitors? *J. Biol. Chem.* **276(1)**, 251-260 (2001).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM