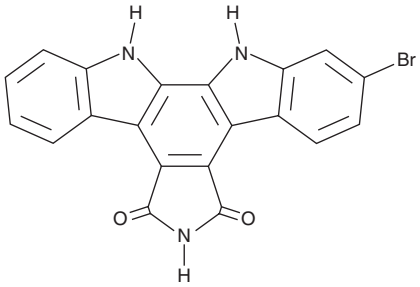
PRODUCT INFORMATION

Cdk4 Inhibitor

Item No. 17648

CAS Registry No.:	546102-60-7
Formal Name:	2-bromo-12,13-dihydro-5H-indolo[2,3-a] pyrrolo[3,4-c]carbazole-5,7(6H)-dione
Synonym:	Cyclin-dependent kinase 4 Inhibitor
MF:	$C_{20}H_{10}BrN_3O_2$
FW:	404.2
Purity:	≥90%
UV/Vis.:	λ_{max}: 236, 259, 271, 283, 318, 397 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

Cdk4 Inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the Cdk4 inhibitor in the solvent of choice, which should be purged with an inert gas. Cdk4 Inhibitor is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of Cdk4 inhibitor in ethanol is approximately 0.25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Cdk4 Inhibitor is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Cdk4 Inhibitor is a cell-permeable, asymmetrical indolocarbazole that exhibits antiproliferative activity by blocking cyclin D1/Cdk4 with an IC_{50} value of 0.8 µM.[1] It is selective for cyclin D1/Cdk4, demonstrating 7-fold and 28-fold weaker activity against cyclin E/Cdk2 and cyclin B/Cdk1, respectively, and little activity against calcium/calmodulin-dependent protein kinase II and protein kinase A.[1] This compound has been shown to inhibit HCT116 and NCI-H460 tumor cell growth (IC_{50}s < 3.0 µM) by blocking retinoblastoma protein phosphorylation and inducing G_1 cell cycle arrest.[1]

Reference

1. Zhu, G., Conner, S.E., Zhou, X., *et al*. Synthesis, structure-activity relationship, and biological studies of indolocarbazoles as potent cyclin D1-CDK4 inhibitors. *J. Med. Chem.* **46(11)**, 2027-2030 (2003).

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