PD173955

Cat. No.: HY-10395

CAS No.: 260415-63-2

Molecular Formula: $C_{21}H_{16}Cl_2N_4OS$

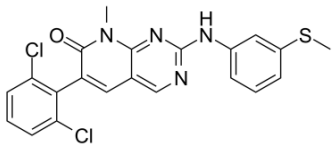
Molecular Weight: 443.35

Target: Bcr-Abl; Src; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (56.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2556 mL	11.2778 mL	22.5555 mL
	5 mM	0.4511 mL	2.2556 mL	4.5111 mL
	10 mM	0.2256 mL	1.1278 mL	2.2556 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PD173955 is src family-selective tyrosine kinase inhibitor with IC50 of ~22 nM for Src, Yes and Abl kinase; less potent for FGFR α and no activity on InsR and PKC.IC50 value: 22 nMTarget: Src kinase inhibitorin vitro: PD173955 inhibits the growth of MDA-MB-468 and MCF-7 breast cancer cells with IC50s of 500 nM and 1 μM, respectively, with an accumulation of suspended cells. Cells treated with PD173955 show a near complete redistribution to the G2-M phase of the cell cycle in comparison with control cells, and quantitation of mitotic indices by immunofluorescence microscopy shows an accompanying accumulation of mitotic cells.PD173955 shows antimitotic activity in breast cancer cells with high or low src and yes kinase activities, the antimitotic activity of PD173955 is independent of cell type or malignant transformation [1]. PD173955 inhibits both the active and inactive forms of Abl. By contrast, Imatinib only inhibits the active form of the enzyme. In addition, the Ki for inhibition of Abl by PD173955 is very low, making it a more potent inhibitor of Abl and a more effective inhibitor of cancer cell proliferation than Imatinib [2]. PD173955, a Src family-specific tyrosine kinase inhibitor, increases the susceptibility of HT29 cells to anoikis in a dose- and time-dependent manner [3].

CUSTOMER VALIDATION

- Technical University of Munich. 24.01.2018.

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REFERENCES

[1]. Moasser MM, et al. Inhibition of Src kinases by a selective tyrosine kinase inhibitor causes mitotic arrest. Cancer Res. 1999 Dec 15;59(24):6145-52.

[2]. Kraus GA, et al. New effective inhibitors of the Abelson kinase. Bioorg Med Chem. 2010 Sep 1;18(17):6316-21.

[3]. Windham TC, et al. Src activation regulates anoikis in human colon tumor cell lines. Oncogene. 2002 Nov 7;21(51):7797-807.

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