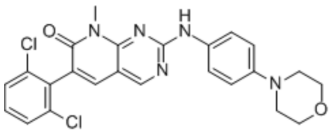
PD173952

Cat. No.:	HY-122113
CAS No.:	305820-75-1
Molecular Formula:	$C_{24}H_{21}Cl_2N_5O_2$
Molecular Weight:	482.36
Target:	Src; Bcr-Abl; Apoptosis; Wee1
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis; Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PD173952 is a tyrosine kinases inhibitor with IC_{50}s of 0.3, 1.7 and 6.6 nM against Lyn, Abl and Csk, respectively. PD173952 is also a potent Myt1 kinase inhibitor with a K_i of 8.1 nM. PD173952 induces apoptosis[1][2].

IC_{50} & Target

Lyn	Abl	Csk	Myt1
0.3 nM (IC_{50})	1.7 nM (IC_{50})	6.6 nM (IC_{50})	8.1 nM (Ki)

In Vitro

PD173952 (0-1000 nM; 12 h) inhibits tyrosine phosphorylation of $p210^{Bcr\text{-}Abl}$ and CrkL in K562 cells in a concentration-dependent manner[1].

PD173952 (0.5 μM; 1-4 days) inhibits K562 cell viability[1].

PD173952 (0.5 μM; 24 and 48 h) induces apoptosis of K562 and MEG-01 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	K562 cells
Concentration:	0, 25, 50, 100, 200, 500 and 1000 nM
Incubation Time:	12 h
Result:	Inhibited tyrosine phosphorylation of $p210^{Bcr\text{-}Abl}$ and CrkL.

Cell Viability Assay[1]

Cell Line:	K562 cells
Concentration:	0.5 μM
Incubation Time:	1-4 days
Result:	Caused cell death in a time-dependent manner.

Western Blot Analysis[1]

Cell Line:	K562 and MEG-01 cells

Concentration:	0.5 μM
Incubation Time:	24 and 48 h
Result:	85-kDa PARP fragment was detected.

REFERENCES

[1]. Dorsey JF, et al. Interleukin-3 protects Bcr-Abl-transformed hematopoietic progenitor cells from apoptosis induced by Bcr-Abl tyrosine kinase inhibitors. Leukemia. 2002 Sep;16(9):1589-95.

[2]. Wichapong K, et al. Application of docking and QM/MM-GBSA rescoring to screen for novel Myt1 kinase inhibitors. J Chem Inf Model. 2014 Mar 24;54(3):881-93.

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