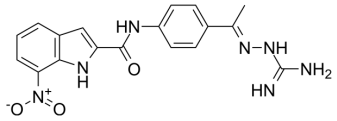
PV-1019

Cat. No.:	HY-125203
CAS No.:	1093793-05-5
Molecular Formula:	$C_{18}H_{17}N_7O_3$
Molecular Weight:	379.37
Target:	Checkpoint Kinase (Chk)
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PV-1019 (NSC 744039) is a potent, selective Chk2 inhibitor with an IC_{50} value of 24 nM. PV-1019 inhibits the Topotecan (HY-13768)-induced Chk2 autophosphorylation. PV-1019 inhibits IR-induced apoptosis[1].

IC_{50} & Target

Chk2
24 nM (IC_{50})

In Vitro

PV-1019 (NSC 744039; 0.1-100 μM; 48 h) has antiproliferative effect in human tumor cells[1].
PV-1019 inhibits Chk2 autophosphorylation and histone H1 phosphorylation with an IC_{50} value of 138 nM[1].
PV-1019 (1-50 μM; 1 h; OVCAR-5 cells) inhibits the Topotecan-induced Chk2 autophosphorylation with an IC_{50} value of 2.8 μM[1].
PV-1019 (1 μM; 16 h) abrogates IR-induced apoptosis in mouse thymocytes[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	human tumor cells
Concentration:	0.1-100 μM
Incubation Time:	48 hours
Result:	Inhibited cell growth in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	OVCAR-5 cells
Concentration:	1, 5, 10, and 25 μM
Incubation Time:	1 hours
Result:	Decreased the level of Chk2 autophosphorylation (Ser516) in a dose-dependent manner.

Cell Cycle Analysis[1]

Cell Line:	mouse thymocytes

Concentration:	1 μM
Incubation Time:	16 hours
Result:	Decreased in the sub-G1 fraction (56%).

REFERENCES

[1]. Jobson AG, et, al. Cellular inhibition of checkpoint kinase 2 (Chk2) and potentiation of camptothecins and radiation by the novel Chk2 inhibitor PV1019 [7-nitro-1H-indole-2-carboxylic acid {4-[1-(guanidinohydrazone)-ethyl]-phenyl}-amide]. J Pharmacol Exp Ther. 2009 Dec;331(3):816-26.

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