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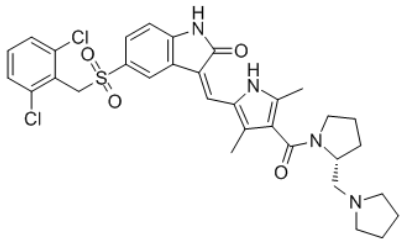
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PHA-665752
Cat. No.	:	PC-42814
CAS No.	:	477575-56-7
Molecular Formula	:	$C_{32}H_{34}Cl_2N_4O_4S$
Molecular Weight	:	641.6078
Target	:	c-Met (HGFR)
Solubility	:	10 mM in DMSO



Biological Activity

PHA-665752 is a potent, selective, ATP-competitive **c-Met** inhibitor with Ki/IC50 of 4/9 nM, inhibits c-Met RTK autophosphorylation in A549 cells with IC50 of 45 nM.
PHA-665752 exhibits >50-fold selectivity for c-Met against a panel of kinases with exceptions of Ron and VEGFR2 (IC50=68 and 200 nM).
PHA-665752 inhibits HGF-stimulated or constitutive phosphorylation of mediators of downstream signal transduction of c-Met, including Gab-1, ERK, Akt, STAT3, PLCγ, and FAK in multiple tumor cell lines.
PHA-665752 inhibits c-Met phosphorylation and tumor growth in vivo.

References

Smolen GA, et al. ***Proc Natl Acad Sci U S A.*** 2006 Feb 14;103(7):2316-21.

Ma PC, et al. ***Clin Cancer Res.*** 2005 Mar 15;11(6):2312-9.

Hov H, et al. ***Clin Cancer Res.*** 2004 Oct 1;10(19):6686-94.

Christensen JG, et al. ***Cancer Res.*** 2003 Nov 1;63(21):7345-55.

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