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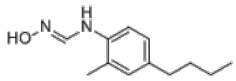
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	HET0016
Cat. No.	:	PC-35319
CAS No.	:	339068-25-6
Molecular Formula	:	$C_{12}H_{18}N_2O$
Molecular Weight	:	206.289
Target	:	Cytochrome P450 (CYPs)
Solubility	:	10 mM in DMSO



Biological Activity

HET0016 (HET-0016) is a potent, selective inhibitor of **CYP4A**, potently inhibits the formation of **20-HETE** with IC50 of 8.9 nM in human renal microsomes.
HET0016 inhibits 20-HETE formation in rat renal microsomes with IC50 of 35 nM, shows no significant inhibition of the formation of epoxyeicosatrienoic acids (IC50=2,800 nM).
HET0016 also inhibits the CYP2C9, CYP2D6 and CYP3A4-catalysed substrates oxidation at higher concentrations (IC50=3,300, 83,900 and 71,000 nM).
HET0016 reduces protein tyrosine and p42/p44 MAPK phosphorylation, significantly inhibits the U251 proliferation and phosphorylation of both the EGFR and p42/p44 MAPK induced by EGF.
HET0016 suppresses 9L gliosarcoma cell proliferation and tumor growth in rats.

References

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Guo M, et al. ***J Pharmacol Exp Ther.*** 2005 Nov;315(2):526-33.

Miyata N, et al. ***Br J Pharmacol.*** 2001 Jun;133(3):325-9.

Guo M, et al. ***J Pharmacol Exp Ther.*** 2006 Apr;317(1):97-108.

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