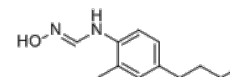


Product Name : HET0016
Cat. No. : PC-35319
CAS No. : 339068-25-6
Molecular Formula : C₁₂H₁₈N₂O
Molecular Weight : 206.289
Target : Cytochrome P450 (CYPs)
Solubility : 10 mM in DMSO



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

Sato M, et al. *Bioorg Med Chem Lett*. 2001 Dec 3;11(23):2993-5.

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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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