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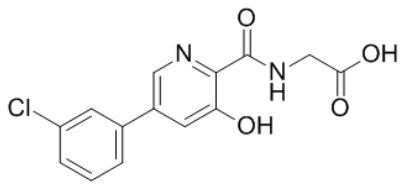
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Vadadustat
Cat. No.	:	PC-42346
CAS No.	:	1000025-07-9
Molecular Formula	:	$C_{14}H_{11}ClN_2O_4$
Molecular Weight	:	306.70114
Target	:	HIF/HIF Prolyl-hydroxylase
Solubility	:	DMSO: ≥ 33 mg/mL



Biological Activity

Vadadustat (PG-1016548, AKB-6548) is a novel, potent, orally active **HIF prolyl-4-hydroxylase (HIF-PHD)** inhibitor with pKi of for PHD1, PHD2, and PHD3, respecitvely.
Vadadustat is competitive with 2-OG and not strongly affected by local iron levels.
In Hep 3B cells, Vadadustat treatment increased HIF-1α with a half-maximal EC50 of 44 μM and 67 μM over 6 and 24 hours, respectively, and increased HIF-2α with an EC50 of 51 μM and 54 μM over 6 and 24 hours, respectively.
In the HUVEC line, vadadustat treatment increased HIF-1α with an EC50 of 25 μM and 71 μM over 6 and 24 hours, respectively, and increased HIF-2α with an EC50 of 21 μM and 38 μM over 6 and 24 hours, respectively.
At concentrations above 3 μM, vadadustat significantly increased EPO secretion by Hep 3B cells, reaching greater levels of EPO release at 30 μM, but not VEGF secretion.
Vadadustat is in development for the treatment of anemia in both nondialysis-dependent (NDD) and dialysis-dependent CKD.
Vadadustat (PG-1016548, AKB-6548) induces endogenous erythropoietin synthesis and enhances iron mobilization.

References

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3. Martin ER, et al. ***Am J Nephrol.*** 2017;45(5):380-388.
4, Anna Zuk, et al. ***J Pharmacol Exp Ther.*** 2022 Oct;383(1):11-24.

E-mail: tech@probechem.com