

IOX2 Catalog No: tcsc0988

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

ES

Specifications

CAS No:

931398-72-0

Formula:

 $C_{19}H_{16}N_2O_5$

Pathway: Metabolic Enzyme/Protease

Target: HIF/HIF Prolyl-Hydroxylase

Purity / Grade:

Solubility:

10 mM in DMSO

Observed Molecular Weight:

352.34

Product Description

IOX2 is a specific prolyl hydroxylase-2 (PHD2) inhibitor with IC₅₀

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of 22 nM.

IC50 & Target: IC50: 22 nM (PHD2)^[1]

In Vitro: IOX2 is at least 2-5000 fold selective, as judged by IC_{50} values, for PHD2 over the KDMs and Factor Inhibiting HIF(FIH)^[1]. IOX2 significantly upregulates the transcription of VEGF-A and BNIP3 in normal human epidermal keratinocytes (NHEK) and normal human dermal fibroblasts (NHDF) when grown under normoxia and hypoxia. IOX2 efficiently promotes HIF-1 α stability, nuclear translocation, and target gene expression in keratinocytes and fibroblasts. In addition, IOX2 significantly upregulates biosynthesis and transcription of VEGF-A and BNIP3 in sulfur mustard (SM)-exposed NHEK and NHDF grown under hypoxia. These results suggest that application of IOX2 is useful for restoring of SM-affected HIF-1 α stability and signaling activity in keratinocytes and fibroblasts^[2].

In Vivo: To investigate the utility of IOX2 as in vivo functional probes, IOX2 is tested to upregulate HIF signaling in a whole organism, that is, transgenic zebrafish (*Danio rerio*). Because the expression of the PHD3 encoding gene is regulated by HIF in humans and zebrafish, PHD3 levels are a readout of HIF activity. A zebrafish hypoxia reporter line is generated expressing GFP with the phd3 promoter elements. Transgenic wild-type embryos at 3 days postfertilization treated with compounds (10 μ M) for 2 days displayed clear increase in phd3:EGFP expression in the liver, relative to controls. Significant increases in GFP levels are observed with IOX2^[1].



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