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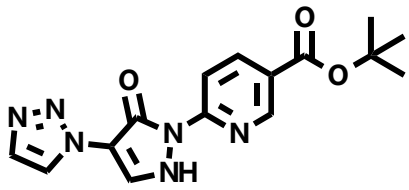
PHD2 Inhibitor – IOX4

Chemical Name: tert-butyl 6-(5-oxo-4-(1H-1,2,3-triazol-1-yl)-2,5-dihydro-1H-pyrazol-1-yl)nicotinate



Molecular Weight:	328.33
Formula:	$C_{15}H_{16}N_6O_3$
Purity:	≥98%
CAS#:	1154097-71-8
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

IOX4 is a potent and selective PHD2 inhibitor with IC_{50} ~1.6 nM. It inhibits PHD2 via binding to the 2OG binding site, displays >1,000-fold selectivity for PHD2 over other 2OG-dependent dioxygenases, including JMJD isoforms, FBXL11, JARID1C, BBOX1, FIH, and FTO. IOX4 is active in vivo, inhibiting prolyl hydroxylation and increasing HIF-1α levels in cells (IC_{50} ~5.6-11.7 μM) and inducing HIF-1α and HIF-2α expression in mice. The induction of HIF expression in mice occurs in the brain as well as in the liver, kidney, and heart, indicating that IOX4 penetrates the blood-brain barrier. IOX4 could be a useful tool compound for studies aimed at validating the upregulation of HIF for treatment of cerebral diseases including stroke.

How to Use:

In vitro: IOX4 was used at 10-50 μM final concentration in vitro and in cellular assays.

In vivo: IOX4 was dosed to mice by IP injection at 17.5-70 mg/kg.

Reference:

1. Chan MC, et al. Potent and Selective Triazole-Based Inhibitors of the Hypoxia-Inducible Factor Prolyl-Hydroxylases with Activity in the Murine Brain. (2015) PLoS One. 10(7):e0132004.