

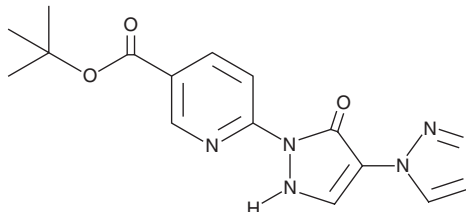
# PRODUCT INFORMATION



## IOX4

Item No. 18181

CAS Registry No.: 1154097-71-8  
Formal Name: 1,1-dimethylethyl ester  
6-[2,5-dihydro-5-oxo-4-(1H-1,2,3-triazol-1-yl)-1H-pyrazol-1-yl]-3-pyridinecarboxylic acid  
MF:  $C_{15}H_{16}N_6O_3$   
FW: 328.3  
Purity:  $\geq 98\%$   
UV/Vis.:  $\lambda_{max}$ : 256, 291 nm  
Supplied as: A crystalline solid  
Storage:  $-20^{\circ}\text{C}$   
Stability:  $\geq 2$  years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

IOX4 is supplied as a crystalline solid. A stock solution may be made by dissolving the IOX4 in the solvent of choice, which should be purged with an inert gas. IOX4 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of IOX4 in these solvents is approximately 1, 20, and 25 mg/ml, respectively.

IOX4 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, IOX4 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. IOX4 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

IOX4 is a potent inhibitor of hypoxia-inducible factor prolyl hydroxylase 2 (HIF-PH2;  $IC_{50} = 1.6$  nM).<sup>1</sup> It 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-1 $\alpha$  levels in cells ( $IC_{50}$  values range from 5.6 to 11.7  $\mu\text{M}$ ) and inducing HIF-1 $\alpha$  and HIF-2 $\alpha$  expression in mice.<sup>1</sup> 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.<sup>1</sup>

### Reference

1. Chan, M.C., Atasoylu, O., Hodson, E., *et al.* Potent and selective triazole-based inhibitors of the hypoxia-inducible factor prolyl-hydroxylases with activity in the murine brain. *PLoS One* **10(7)**, 1-17 (2015).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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