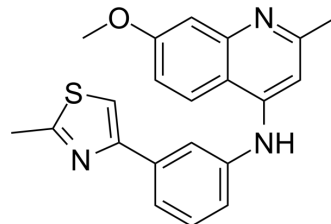


## HIF-1 $\alpha$ -IN-2

<b>Cat. No.:</b>	HY-115903
<b>CAS No.:</b>	2762315-06-8
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>19</sub> N <sub>3</sub> OS
<b>Molecular Weight:</b>	361.46
<b>Target:</b>	HIF/HIF Prolyl-Hydroxylase
<b>Pathway:</b>	Metabolic Enzyme/Protease
<b>Storage:</b>	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 25 mg/mL (69.16 mM); ultrasonic and warming and heat to 60°C																					
	<table border="1"> <thead> <tr> <th rowspan="2">Solvent</th> <th rowspan="2">Mass</th> <th colspan="3">Concentration</th> </tr> <tr> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td rowspan="3">Preparing Stock Solutions</td> <td>1 mM</td> <td>2.7666 mL</td> <td>13.8328 mL</td> <td>27.6656 mL</td> </tr> <tr> <td>5 mM</td> <td>0.5533 mL</td> <td>2.7666 mL</td> <td>5.5331 mL</td> </tr> <tr> <td>10 mM</td> <td>0.2767 mL</td> <td>1.3833 mL</td> <td>2.7666 mL</td> </tr> </tbody> </table>	Solvent	Mass	Concentration			1 mg	5 mg	10 mg	Preparing Stock Solutions	1 mM	2.7666 mL	13.8328 mL	27.6656 mL	5 mM	0.5533 mL	2.7666 mL	5.5331 mL	10 mM	0.2767 mL	1.3833 mL	2.7666 mL
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	Please refer to the solubility information to select the appropriate solvent.																					
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: <math>\geq</math> 2.5 mg/mL (6.92 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-<math>\beta</math>-CD in saline) Solubility: <math>\geq</math> 2.5 mg/mL (6.92 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: <math>\geq</math> 2.5 mg/mL (6.92 mM); Clear solution</li> </ol>																					

### BIOLOGICAL ACTIVITY

<b>Description</b>	HIF-1 $\alpha$ -IN-2 is an effective HIF-1 $\alpha$ inhibitor with anticancer potencies (IC <sub>50</sub> s of 28 nM and 15 nM in MDA-MB-231 and MiaPaCa-2 cells, respectively). HIF-1 $\alpha$ -IN-2 suppresses HIF-1 $\alpha$ expression by blocking transcription and protein translation <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 28 nM (HIF-1 $\alpha$ ) in MDA-MB-231, 15 nM (HIF-1 $\alpha$ ) in MiaPaCa-2 <sup>[1]</sup>
<b>In Vitro</b>	HIF-1 $\alpha$ -IN-2 (compound 7f) (5 $\mu$ M; 72h) suppresses the viability of MDA-MB-231 and MiaPaCa-2 cells with IC <sub>50</sub> s of 28 nM and 15 nM, respectively <sup>[1]</sup> . HIF-1 $\alpha$ -IN-2 (0-1 $\mu$ M; 72h) suppresses the expression of HIF-1 $\alpha$ and VEGF with dose-dependent effect <sup>[1]</sup> .

HIF-1 $\alpha$ -IN-2 (0.25, 0.5, and 1  $\mu$ M; 16-24h) can significantly inhibit the migration of MDA-MD-231 cells by 56% (0.25  $\mu$ M), 83% (0.5  $\mu$ M), and 85% (1  $\mu$ M), respectively, and also noted in MiaPaCa-2 cells, which demonstrates the unique anti-migration effect of HIF-1 $\alpha$ -IN-2<sup>[1]</sup>.

HIF-1 $\alpha$ -IN-2 (0-1  $\mu$ M; 72h) suppresses HIF-1 $\alpha$  mRNA levels in MDA-MB-231 cells under hypoxia<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Cell Viability Assay

Cell Line:	MDA-MB-231 cells, MiaPaCa-2 cells <sup>[1]</sup>
Concentration:	5 $\mu$ M
Incubation Time:	72 hours
Result:	Suppressed the viability of these two cell lines with IC <sub>50</sub> of 28 nM and 15 nM in MDA-MB-231 and MiaPaCa-2 cells, respectively.

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## REFERENCES

[1]. Wu YC, et al. Synthesis and evaluation of biarylquinoline derivatives as novel HIF-1 $\alpha$  inhibitors. *Bioorg Chem.* 2022;121:105681.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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