MedChemExpress

GSK3-IN-3

| Cat. No.: | $\mathrm{HY}-153089$ |
| :--- | :--- |
| CAS No.: | $331963-27-0$ |
| Molecular Formula: | $\mathrm{C}_{24} \mathrm{H}_{35} \mathrm{~N}_{3} \mathrm{O}_{4}$ |
| Molecular Weight: | 429.55 |
| Target: | GSK-3; Mitophagy |
| Pathway: | PI3K/Akt/mTOR; Stem Cell/Wnt; Autophagy |
| Storage: | Powder |
|  | In solvent |
|  |  |
|  |  |
|  |  |
|  | $-80^{\circ} \mathrm{C}$ |



## SOLVENT \& SOLUBILITY

In Vitro
DMSO : $4.17 \mathrm{mg} / \mathrm{mL}$ ( 9.71 mM ; ultrasonic and warming and heat to $60^{\circ} \mathrm{C}$ )

|  | Solvent Mass | 1 mg | 5 mg | 10 mg |
| :---: | :---: | :---: | :---: | :---: |
| Preparing <br> Stock Solutions | 1 mM | 2.3280 mL | 11.6401 mL | 23.2802 mL |
|  | 5 mM | 0.4656 mL | 2.3280 mL | 4.6560 mL |
|  | 10 mM | --- | --- | --- |

Please refer to the solubility information to select the appropriate solvent.

## BIOLOGICAL ACTIVITY

Description
$\mathrm{IC}_{50}$ \& Target

In Vitro

GSK3-IN-3 is a mitophagy inducer, inducing Parkin-dependent mitophagy. GSK3-IN-3 is also a GSK-3 inhibitor with an $\mathrm{IC}_{50}$ value of $3.01 \mu \mathrm{M}$. GSK3-IN-3 is non-ATP nor substrate competitive and is neuroprotective against 6-OHDA ${ }^{[1][2][3]}$.

IC50: $3.01 \mu \mathrm{M}\left(\right.$ GSK-3) ${ }^{[3]}$

GSK3-IN-3 (VP07) ( $25 \mu \mathrm{M}$; 24 h ) induces mitophagy in Parkin-expressing U2OS-iMLS cells with restricted potency ${ }^{[1]}$. GSK3-IN-3 (1.56-25 $\mu \mathrm{M}$; 24 h ) results in mitochondria fission with mitochondrial morphology change in U2OS-iMLS-Parkin cells ${ }^{[1]}$.

GSK3-IN-3 (VP0.7) ( $5 \mu \mathrm{M}, 10 \mu \mathrm{M}$; ) shows neuroprotection against 6-OHDA albeit in a Parkinson's disease in vitro cellular model in SH-SY5Y cells ${ }^{[2]}$.

MCE has not independently confirmed the accuracy of these methods. They are for reference only. Immunofluorescence ${ }^{[1]}$

| Cell Line: | Parkin-expressing U2OS-iMLS cells |
| :--- | :--- |
| Concentration: | $1.56 \mu \mathrm{M}, 3.12 \mu \mathrm{M}, 6.25 \mu \mathrm{M}, 12.5 \mu \mathrm{M}$, and $25 \mu \mathrm{M} ;$ |

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| Incubation Time: | 24 hours |
| :--- | :--- |
| Result: | Induced a mitochondrial morphology change from a filament-shaped network to a more <br> round-shaped network. |
| Cell Viability Assay ${ }^{[2]}$ |  |
| Cell Line: | SH-SY5Y cells |
| Concentration: | $0.5 \mu \mathrm{M}, 1 \mu \mathrm{M}, 3 \mu \mathrm{M}, 5 \mu \mathrm{M}$, and $10 \mu \mathrm{M}$ |
| Incubation Time: | 16 hours; with $35 \mu \mathrm{M} 6-\mathrm{OHDA}$ |
| Result: | Inhibited cell growth with an IC50 value of $2.57 \mu \mathrm{M}$. |

## REFERENCES

[1]. Maestro I, et al. Phenotypic Assay Leads to Discovery of Mitophagy Inducers with Therapeutic Potential for Parkinson's Disease. ACS Chem Neurosci. 2021 Dec 15;12(24):4512-4523.
[2]. Morales-García JA, et al. Glycogen synthase kinase-3 inhibitors as potent therapeutic agents for the treatment of Parkinson disease. ACS Chem Neurosci. 2013 Feb 20;4(2):350-60.
[3]. Palomo V, et al. Exploring the binding sites of glycogen synthase kinase 3. Identification and characterization of allosteric modulation cavities. J Med Chem. 2011 Dec 22;54(24):8461-70.

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

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