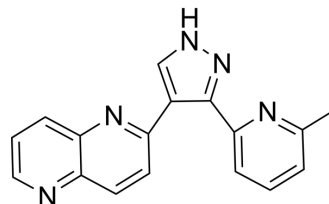


RepSox

Cat. No.:	HY-13012		
CAS No.:	446859-33-2		
Molecular Formula:	C ₁₇ H ₁₃ N ₅		
Molecular Weight:	287.32		
Target:	TGF-β Receptor; Organoid		
Pathway:	TGF-beta/Smad; Stem Cell/Wnt		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (116.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.4804 mL	17.4022 mL	34.8044 mL
	5 mM	0.6961 mL	3.4804 mL	6.9609 mL
	10 mM	0.3480 mL	1.7402 mL	3.4804 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 7.5 mg/mL (26.10 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 7.5 mg/mL (26.10 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: ≥ 1.67 mg/mL (5.81 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 1.67 mg/mL (5.81 mM); Clear solution
- Add each solvent one by one: 1% DMSO >> 99% saline
Solubility: ≥ 0.33 mg/mL (1.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RepSox (E-616452) is a potent and selective transforming growth factor-beta receptor I/activin like kinase 5 (TGF-β-RI/ALK5) inhibitor. RepSox inhibits ALK5 autophosphorylation with an IC₅₀ value of 4 nM. RepSox can be used for the research of obesity and associated metabolic diseases such as type 2 diabetes^{[1][2]}.

IC₅₀ & Target	ALK5 4 nM (IC ₅₀)																								
In Vitro	<p>RepSox (compound 19) inhibits ALK5 autophosphorylation with an IC₅₀ value of 4 nM^[1]. RepSox (0-10 μM; 0-8 days) induces adipogenesis from mouse embryonic fibroblasts (MEFs) in fibroblast culture medium^[2]. RepSox (0-10 μM; 0-8 days) promotes the differentiation of the brown fat precursor cells and induce browning of the white fat precursor cells^[2]. RepSox(0-10 μM; 0-8 days) induces brown adipogenesis in primary mouse fibroblasts and fat precursor cells^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Mouse embryonic fibroblasts (MEFs)</td> </tr> <tr> <td>Concentration:</td> <td>3 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>0-8 days</td> </tr> <tr> <td>Result:</td> <td>Showed upregulation of UCP1 protein levels.</td> </tr> </table> <p>Immunofluorescence^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MEFs</td> </tr> <tr> <td>Concentration:</td> <td>3 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>0-8 days</td> </tr> <tr> <td>Result:</td> <td>Increased the number of mitochondria in MEF-derived adipocytes and significantly increased UCP1 levels.</td> </tr> </table> <p>RT-PCR^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MEFs</td> </tr> <tr> <td>Concentration:</td> <td>3 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>0-8 days</td> </tr> <tr> <td>Result:</td> <td>Induced the activation of a network of genes controlling adipogenesis, energy expenditure, and the thermogenic program in MEFs.</td> </tr> </table>	Cell Line:	Mouse embryonic fibroblasts (MEFs)	Concentration:	3 μM	Incubation Time:	0-8 days	Result:	Showed upregulation of UCP1 protein levels.	Cell Line:	MEFs	Concentration:	3 μM	Incubation Time:	0-8 days	Result:	Increased the number of mitochondria in MEF-derived adipocytes and significantly increased UCP1 levels.	Cell Line:	MEFs	Concentration:	3 μM	Incubation Time:	0-8 days	Result:	Induced the activation of a network of genes controlling adipogenesis, energy expenditure, and the thermogenic program in MEFs.
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CUSTOMER VALIDATION

- Mil Med Res. 2020 Nov 1;7(1):52.
- Mil Med Res. 2020 Sep 6;7(1):42.
- Adv Sci (Weinh). 2023 Apr 29;e2301309.
- Biomaterials. 2018 Dec 6;193:30-46.
- Sci Adv. 2021 Apr 14;7(16):eabb2213.

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REFERENCES

[1]. Wan-Zhi Tu, et al. RepSox, a small molecule inhibitor of the TGF β receptor, induces brown adipogenesis and browning of white adipocytes. Acta Pharmacol Sin. 2019 Dec;40(12):1523-1531.

[2]. Gellibert F, et al. Identification of 1,5-naphthyridine derivatives as a novel series of potent and selective TGF-beta type I receptor inhibitors. J Med Chem. 2004 Aug 26;47(18):4494-506.

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

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