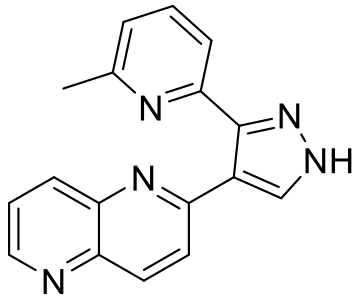
Product data sheet

MedKoo Cat#: 406816 Name: RepSox CAS#: 446859-33-2 Chemical Formula: $C_{17}H_{13}N_5$ Exact Mass: 287.1171 Molecular Weight: 287.33	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
RepSox, also known as SJN 2511, E 616452 and ALK5 inhibitor II, is a cell permeable, selective inhibitor of the TGF-β type 1 activin like kinase receptor ALK5

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	28.73	100
Ethanol	5.75	20

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.48 mL	17.4 mL	34.8 mL
5 mM	0.7 mL	3.48 mL	6.96 mL
10 mM	0.35 mL	1.74 mL	3.48 mL
50 mM	0.07 mL	0.35 mL	0.70 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. He D, Gao J, Zheng L, Liu S, Ye L, Lai H, Pan B, Pan W, Lou C, Chen Z, Fan S. TGF-β inhibitor RepSox suppresses osteosarcoma via the JNK/Smad3 signaling pathway. Int J Oncol. 2021 Nov;59(5):84. doi: 10.3892/ijo.2021.5264. Epub 2021 Sep 17. PMID: 34533199; PMCID: PMC8460063.
2. Jajosky AN, Coad JE, Vos JA, Martin KH, Senft JR, Wenger SL, Gibson LF. RepSox slows decay of CD34+ acute myeloid leukemia cells and decreases T cell immunoglobulin mucin-3 expression. Stem Cells Transl Med. 2014 Jul;3(7):836-48. doi: 10.5966/sctm.2013-0193. Epub 2014 May 22. PMID: 24855276; PMCID: PMC4073822.

In vivo study

1. Shi CJ, Lian JJ, Zhang BW, Cha JX, Hua QH, Pi XP, Hou YJ, Xie X, Zhang R. TGFβR-1/ALK5 inhibitor RepSox induces enteric glia-to-neuron transition and influences gastrointestinal mobility in adult mice. Acta Pharmacol Sin. 2023 Jan;44(1):92-104. doi: 10.1038/s41401-022-00932-4. Epub 2022 Jul 6. PMID: 35794374; PMCID: PMC9813375.
2. Guo Y, Zhu H, Li X, Ma C, Li Y, Sun T, Wang Y, Wang C, Guan W, Liu C. RepSox effectively promotes the induced differentiation of sheep fibroblasts into adipocytes via the inhibition of the TGF-β1/Smad pathway. Int J Mol Med. 2021 Aug;48(2):148. doi: 10.3892/ijmm.2021.4981. Epub 2021 Jun 16. PMID: 34132357; PMCID: PMC8208630.

7. Bioactivity

Product data sheet

Biological target:

RepSox acts as a potent, selective, reversible, and ATP-competitive inhibitor of TGF-β type I receptor (ALK5; IC50 = 23 nM, 4 nM and 18 nM for ALK5 binding, ALK5 auto-phosphorylation and TGF-β cellular assay in HepG2 cells, respectively). It minimally affects a panel of 9 closely related kinases, including p38 MAPK at IC50 >16 μM.

In vitro activity

Protein levels of molecules associated with the epithelial-mesenchymal transition phenotype, including E-cadherin, N-cadherin, Vimentin, matrix metalloproteinase (MMP)-2 and MMP-9, were reduced by RepSox treatment.

Reference: Int J Oncol. 2021 Nov;59(5):84. https://pubmed.ncbi.nlm.nih.gov/34533199/

In vivo activity

RepSox-induced neurons were Calbindin- and nNOS-positive and displayed typical neuronal electrophysiological properties. The administration of RepSox (3, 10 mg· kg-1 ·d-1, i.g.) for 2 weeks significantly promoted the conversion of enteric glial cells to neurons in the enteric nervous system and influenced gastrointestinal motility in adult mice.

Reference: Acta Pharmacol Sin. 2023 Jan;44(1):92-104. https://pubmed.ncbi.nlm.nih.gov/35794374/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.