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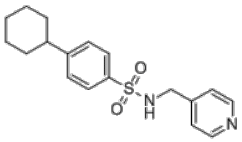
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PU.1 inhibitor A11
Cat. No.	:	PC-21942
CAS No.	:	873588-27-3
Molecular Formula	:	$C_{18}H_{22}N_2O_2S$
Molecular Weight	:	330.45
Target	:	Other Targets
Solubility	:	10 mM in DMSO



CAS: 873588-27-3

Biological Activity

PU.1 inhibitor A11 is a small molecule inhibitor of transcription factor PU.1 with EC50 of 2.5 nM in reporter assays, moderates the inflammatory response in human iPSC-derived microglia-like cells (iMGLs) by downregulating inflammatory PU.1-target gene expression without affecting hematopoiesis.
A11 is a potent inhibitor of Zymosan A bioparticle and myelin uptake in iMGLs (EC50 < 35 nM), moderates microglial activation in iMGLs.
A11 reduces PU.1-dependent expression by enabling MECP2-dependent repression at PU.1 motifs.
A11 reduces neuropathology and improves cognitive performance in mouse models of Alzheimer's disease (AD)-related neurodegeneration, tauopathy, and β-amyloid deposition, without affecting peripheral hematopoiesis or causing other side effects.
A11 stimulates the recruitment of MECP2, HDAC1, and other co-repressor molecules to PU.1 target genes, such as IL1B and CD14, without affecting PU.1 expression levels.
A11 represents a first-in-class molecule of drugs that converts PU.1 from a transcriptional activator to a transcriptional repressor, resulting in a controlled state of microglial inflammation.

References

Ralvenius WT, et al. J Exp Med. 2023 Nov 6;220(11):e20222105.

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