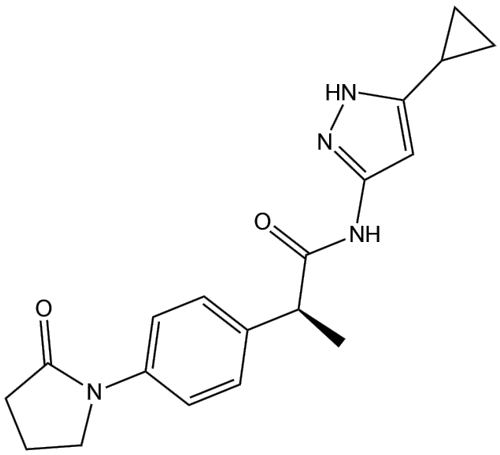
Product Data Sheet

Product Name: (S)-PHA533533
Cat. No.: GC27957

Chemical Properties

Cas. No.	437982-89-3		
Chemical Name	Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-α-methyl-4-(2-oxo-1-pyrrolidinyl)-, (αS)-		
SMILES	C[C@H](C(NC1=NNC(C2CC2)=C1)=O)C3=CC=C(N4CCCC4=O)C=C3		
Formula	$C_{19}H_{22}N_4O_2$	M.Wt	338.40
Solubility	DMSO : 100 mg/mL (295.51 mM; Need ultrasonic)	Storage	4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

(S)-PHA533533 is a CDK2 and CDK5 inhibitor with blood-brain barrier permeability, with IC_{50} values of 37 nM and 55 nM, respectively. (S)-PHA533533 effectively restores UBE3A expression by downregulating UBE3A-ATS and relieving the epigenetic silencing of paternal UBE3A in mature neurons. (S)-PHA533533 can be used for the research of Angelman syndrome[1].

Caution: Product has not been fully validated for medical applications. For research use only.
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In Vitro, (S)-PHA533533 (1 μM; 72 h) potently activates paternal Ube3a expression in primary cortical neurons from Ube3a$^{m+/pYFP}$ mice[1].
(S)-PHA533533 (72 h) activates paternal Ube3a expression in primary cortical neurons from Ube3am+/pYFP mice, with an EC_{50} of 0.54 μM, an E_{MAX} of 80.02% paternal UBE3A-YFP-positive neurons, and a CC_{50} of 2.98 μM[1].
(S)-PHA533533 (1 μM; 72 h) activates paternal Ube3a expression in primary cortical neurons derived from Ube3a$^{m+/pYFP}$ mice, and this process is independent of the inhibition of CDK2, CDK5 and TOP1[1].
(S)-PHA533533 (72 h) downregulates UBE3A-ATS and relieves the silencing of paternal UBE3A in forebrain cortical neurons derived from ASdel1-0ΔI-P human induced pluripotent stem cells, with an EC_{50} of 0.59 μM for UBE3A induction and an IC_{50} of 0.42 μM for UBE3A-ATS downregulation[1].
In Vivo, (S)-PHA533533 (2 mg/kg; i.p.; single injection) produces brain-wide unsilencing of paternal Ube3a, significantly increasing Ube3a mRNA and UBE3A protein levels in neurons of Angelman syndrome model mice[1].

References:
[1]. Vihma H, et al. Ube3a unsilencer for the potential treatment of Angelman syndrome. Nat Commun. 2024;15(1):5558. Published 2024 Jul 8.

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