

PRODUCT INFORMATION



(S)-PHA-533533

Item No. 45337

CAS Registry No.: 437982-89-3

Formal Name: N-(5-cyclopropyl-1H-pyrazol-3-yl)-αS-methyl-4-(2-oxo-1-pyrrolidinyl)-benzeneacetamide

MF: C₁₉H₂₂N₄O₂

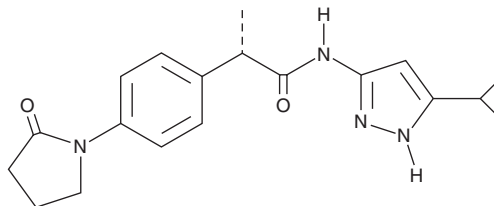
FW: 338.4

Purity: ≥98%

Supplied as: A solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(S)-PHA-533533 is supplied as a solid. A stock solution may be made by dissolving the (S)-PHA-533533 in the solvent of choice, which should be purged with an inert gas. (S)-PHA-533533 is slightly soluble (0.1-1 mg/ml) in DMSO and acetonitrile:water (1:1).

Description

(S)-PHA-533533 is an inhibitor of cyclin-dependent kinase 2 (Cdk2)/cyclin A, Cdk2/cyclin E, Cdk5/p25, and Cdk1/cyclin B complexes and glycogen synthase kinase 3β (GSK3β; IC₅₀s = 37, 55, 65, 208, and 732 nM, respectively, in cell-free assays).¹ It selectively inhibits these complexes and GSK3β over the Cdk4/cyclin D1 complex and a panel of 29 other kinases at 10 μM. (S)-PHA-533533 inhibits the growth of A2780 ovarian, HT-29 human colon, and HCT116 colorectal cancer cells (IC₅₀s = 744, 639, and 1,354 nM, respectively) and induces cell cycle arrest at the G₀/G₁ phase in HT-29 cells. It reduces tumor growth in an A2780 mouse xenograft model when administered at a dose of 7.5 mg/kg. (S)-PHA-533533 also restores the expression of paternal *UBE3A* mRNA in a mouse model of Angelman syndrome.²

References

1. Pevarello, P., Brasca, M.G., Orsini, P., *et al.* 3-Aminopyrazole inhibitors of CDK2/cyclin A as antitumor agents. 2. Lead optimization. *J. Med. Chem.* **48**(8), 2944-2956 (2005).
2. Vihma, H., Li, K., Welton-Arndt, A., *et al.* *Ube3a* unsilencer for the potential treatment of Angelman syndrome. *Nat. Commun.* **15**(1), 5558 (2024).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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