

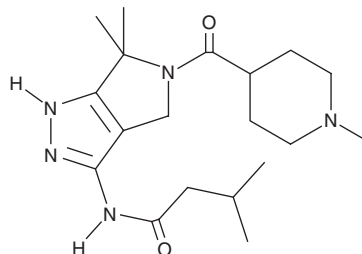
PRODUCT INFORMATION



PHA-793887

Item No. 18388

CAS Registry No.: 718630-59-2
Formal Name: 3-methyl-N-[1,4,5,6-tetrahydro-6,6-dimethyl-5-[(1-methyl-4-piperidiny)carbonyl]pyrrolo[3,4-c]pyrazol-3-yl]-butanamide
MF: C₁₉H₃₁N₅O₂
FW: 361.5
Purity: ≥95%
UV/Vis.: λ_{max}: 235 nm
Supplied as: A crystalline 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

PHA-793887 is supplied as a crystalline solid. A stock solution may be made by dissolving the PHA-793887 in the solvent of choice, which should be purged with an inert gas. PHA-793887 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of PHA-793887 in these solvents is approximately 30 mg/ml.

PHA-793887 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PHA-793887 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. PHA-793887 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PHA-793887 is an inhibitor of cyclin-dependent kinases (CDKs; IC₅₀s = 8, 8, 5, and 10 nM for Cdk2/cyclin A, Cdk2/cyclin E, Cdk5/p25, and Cdk7/cyclin H, respectively).¹ It is selective for these CDKs over Cdk1/cyclin B, Cdk4/cyclin D1, Cdk9/cyclin T1, and glycogen synthase kinase 3β (GSK3β; IC₅₀s = 60, 62, 138, and 79 nM, respectively), as well as a panel of 36 other kinases at 10 μM. PHA-793887 inhibits the proliferation of A2780 ovarian, HCT116 colon, and BxPC-3 pancreatic cancer cells (IC₅₀s = 88, 163, and 3,444 nM, respectively). It inhibits reactivation of latent HIV-1 induced by prostratin (Item No. 10272), panobinostat (Item No. 13280), or JQ-1 in 24ST1NLESG cells (IC₅₀s = 0.004, 0.0082, and 0.016 μM, respectively).² PHA-793887 (20 mg/kg) reduces tumor growth and increases survival in HL-60 and K562 leukemia mouse xenograft models.³

References

1. Brasca, M.G., Albanese, C., Alzani, R., *et al.* Optimization of 6,6-dimethyl pyrrolo[3,4-c]pyrazoles: Identification of PHA-793887, a potent CDK inhibitor suitable for intravenous dosing. *Bioor. Med. Chem.* **18(5)**, 1844-1853 (2010).
2. Vargas, B., Giacobbi, N.S., Sanyal, A., *et al.* Inhibitors of signaling pathways that block reversal of HIV-1 latency. *Antimicrob. Agents Chemother.* **63(2)**, e01744-18 (2019).
2. Alzani, R., Pedrini, O., Albanese, C., *et al.* Therapeutic efficacy of the pan-cdk inhibitor PHA-793887 *in vitro* and *in vivo* in engraftment and high-burden leukemia models. *Exp. Hematol.* **38**, 259-269 (2010).

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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