PRODUCT INFORMATION



CPI-455

Item No. 22127

CAS Registry No.: 1628208-23-0

Formal Name: 4,7-dihydro-6-(1-methylethyl)-

7-oxo-5-phenyl-pyrazolo[1,5-a]

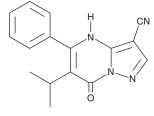
pyrimidine-3-carbonitrile

MF: $C_{16}H_{14}N_4O$ 278.3 FW: **Purity:** ≥98%

 λ_{max} : 239, 280, 322 nm UV/Vis.: Supplied as: A crystalline solid

Storage: Stability: ≥4 years

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



Laboratory Procedures

CPI-455 is supplied as a crystalline solid. A stock solution may be made by dissolving the CPI-455 in the solvent of choice. CPI-455 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of CPI-455 in these solvents is approximately 5 mg/ml in ethanol and approximately 30 mg/ml in DMSO and DMF.

CPI-455 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CPI-455 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CPI-455 has a solubility of approximately 0.05 mg/ml in a 1:20 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CPI-455 is an inhibitor of the lysine demethylase 5 family $(KDM5_{A-D})$. CPI-455 binds to the demethylase active site and selectively inhibits $KDM5_{A-D}$ ($IC_{50}s = 2-10$ nM) over KDM2-4, 6, and 7 family members (IC₅₀s = 1 to >25 μ M). It increases histone H3K4me2/3 methylation in vitro and decreases the number of drug-tolerant cells in PC9 non-small cell lung, M14 melanoma, and SKBR3 breast cancer cell populations. CPI-455 also reduces growth of glioblastoma cells resistant to temozolomide (TMZ; Item No. 14163) in a dose-dependent manner.²

References

- 1. Vinogradova, M., Gehling, V.S., Gustafson, A., et al. An inhibitor of KDM5 demethylases reduces survival of drug-tolerant cancer cells. Nat. Chem. Biol. 12(7), 531-538 (2016).
- 2. Banelli, B., Daga, A., Forlani, A., et al. Small molecules targeting histone demethylase genes (KDMs) inhibit growth of temozolomide-resistant glioblastoma cells. Oncotarget 8(21), 34896-34910 (2017).

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

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.

WARRANTY AND LIMITATION OF REMEDY

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