

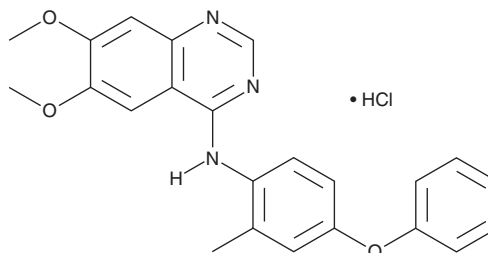
PRODUCT INFORMATION



APS-2-79 (hydrochloride)

Item No. 22137

CAS Registry No.: 2002381-31-7
Formal Name: 6,7-dimethoxy-N-(2-methyl-4-phenoxyphenyl)-4-quinazolinamine, monohydrochloride
MF: C₂₃H₂₁N₃O₃ • HCl
FW: 423.9
Purity: ≥95%
UV/Vis.: λ_{max}: 220, 252, 342 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

APS-2-79 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the APS-2-79 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. APS-2-79 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of APS-2-79 (hydrochloride) in these solvents is approximately 1, 25, and 10 mg/ml, respectively.

APS-2-79 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, APS-2-79 (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. APS-2-79 (hydrochloride) has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

APS-2-79 is an inhibitor of MAPK signaling dependent on kinase suppressor of Ras (KSR).¹ It inhibits ATP^{biotin} binding to KSR2 in KSR2-MEK1 complexes (IC₅₀ = 120 nM), stabilizes KSR2 in an inactive state, and reduces interactions between B-RAF and KSR2-MEK1 complexes.^{1,2} APS-2-79 (5 μM) inhibits KSR-stimulated phosphorylation of MEK and ERK in HEK293H cells.¹ It enhances the efficacy of the MEK inhibitor trametinib (Item No. 16292), further reducing cell viability in HCT116 and A549 cell lines containing K-Ras mutations, but not B-RAF mutant SK-MEL-239 and A375 cell lines, when used at a concentration of 1 μM.

References

1. Dhawan, N.S., Scopton, A.P., and Arvin, C.D. Small molecule stabilization of the KSR inactive state antagonizes oncogenic Ras signalling. *Nature* **537(7618)**, 112-116 (2016).
2. Neilsen, B.K., Frodyma, D.E., Lewis, R.E., et al. KSR as a therapeutic target for Ras-dependent cancers. *Expert Opin. Ther. Targets*. **21(5)**, 499-509 (2017).

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.

WARRANTY AND LIMITATION OF REMEDY

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