

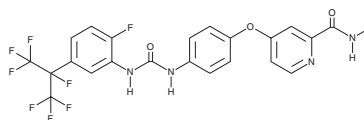
PRODUCT INFORMATION



APS6-45

Item No. 38417

CAS Registry No.: 2188236-41-9
Formal Name: 4-[4-[[[2-fluoro-5-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]amino]carbonyl]amino]phenoxy]-N-methyl-2-pyridinecarboxamide
MF: C₂₃H₁₆F₈N₄O₃
FW: 548.4
Purity: ≥98%
UV/Vis.: λ_{max}: 260 nm
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

APS6-45 is supplied as a solid. A stock solution may be made by dissolving the APS6-45 in the solvent of choice, which should be purged with an inert gas. APS6-45 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of APS6-45 in ethanol and DMSO is approximately 10 mg/ml and approximately 5 mg/ml in DMF.

Description

APS6-45 is an inhibitor of RET^{M918T} and a derivative of sorafenib (Item No. 10009644 | 35612).¹ It selectively binds to RET^{M918T} over Eph tyrosine kinase receptor A8 (EphA8), p38δ, JNK2, and c-Src (K_ds = 28, 410, 940, 1,500, and 1,700 nM, respectively), as well as a panel of over 60 kinases at 10 μM, but also binds to cyclin-dependent kinase 14 (Cdk14), MAP4K4, and protein tyrosine kinase 5 (PTK5; K_ds = 55, 130, and 140 nM, respectively). It inhibits TT medullary thyroid carcinoma cell colony formation when used at a concentration of 30 nM. APS6-45 (10 mg/kg per day) decreases tumor volume in a TT mouse xenograft model. It increases survival to adulthood in *Drosophila* expressing the lethal Ret^{M955T} mutation in a concentration-dependent manner. APS6-45 (100 μM) prevents the development of a rough-eye phenotype induced by Ret^{M955T} in *Drosophila*.

Reference

1. Shonoshita, M., Scopton, A.P., Ung, P.M.U., *et al.* A whole-animal platform to advance a clinical kinase inhibitor into new disease space. *Nat. Chem. Biol.* **14**(3), 291-298 (2018).

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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