

PRODUCT INFORMATION

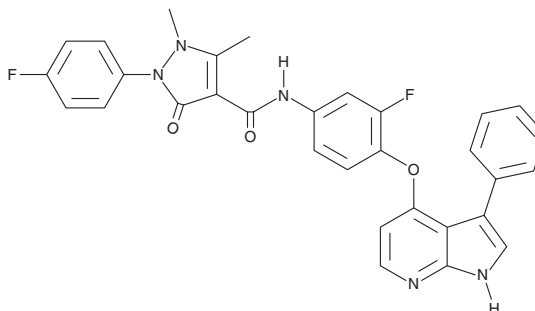


NPS 1034

Item No. 19627

CAS Registry No.: 1221713-92-3
Formal Name: 2-(4-fluorophenyl)-N-[3-fluoro-4-[(3-phenyl-1H-pyrrolo[2,3-b]pyridin-4-yl)oxy]phenyl]-2,3-dihydro-1,5-dimethyl-3-oxo-1H-pyrazole-4-carboxamide

MF: C₃₁H₂₃F₂N₅O₃
FW: 551.6
Purity: ≥95%
UV/Vis.: λ_{max}: 286 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

NPS 1034 is supplied as a crystalline solid. A stock solution may be made by dissolving the NPS 1034 in the solvent of choice, which should be purged with an inert gas. NPS 1034 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of NPS 1034 in these solvents is approximately 1, 25, and 20 mg/ml, respectively.

NPS 1034 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NPS 1034 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. NPS 1034 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NPS 1034 is a dual inhibitor of the receptor tyrosine kinase activities of MET (IC₅₀ = 48 nM) and AXL (IC₅₀ = 10.3 nM), receptors for hepatocyte growth factor and vitamin K-dependent proteins, respectively.¹ It synergistically inhibits cell proliferation when used in combination with gefitinib (Item No. 13166) or erlotinib (Item No. 10483) in NSCLC cells with acquired resistance to EGFR receptor tyrosine kinase inhibitors.¹ NPS 1034 inhibits autophosphorylation of numerous constitutively active mutant forms of MET (IC₅₀s <25 nM) and inhibits the invasion and migration of MET mutant-transfected cells.² It also inhibits growth of MKN45 xenograft tumors in mice treated orally with 30 mg/kg NPS 1034 for 25 days.²

References

1. Rho, J.K., Choi, Y.J., Kim, S.Y., *et al.* MET and AXL inhibitor NPS-1034 exerts efficacy against lung cancer cells resistant to EGFR kinase inhibitors because of MET or AXL activation. *Cancer Res.* **74(1)**, 253-262 (2014).
2. Shin, J.-S., Hong, S.-W., Moon, J.-H., *et al.* NPS-1034, a novel MET inhibitor, inhibits the activated MET receptor and its constitutively active mutants. *Invest New Drugs* **32(3)**, 389-399 (2014).

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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