

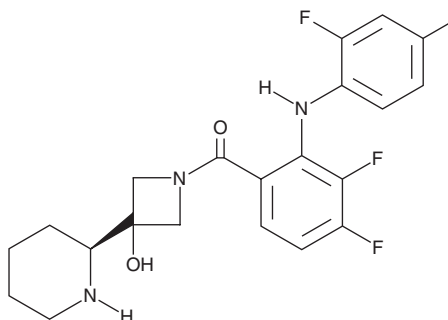
PRODUCT INFORMATION



Cobimetinib

Item No. 19563

CAS Registry No.: 934660-93-2
Formal Name: [3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]
[3-hydroxy-3-(2S)-2-piperidinyl-1-azetidiny]-methanone
Synonyms: GDC-0973, RG-7420, XL518
MF: C₂₁H₂₁F₃IN₃O₂
FW: 531.3
Purity: ≥98%
UV/Vis.: λ_{max}: 240, 276 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

Cobimetinib is supplied as a crystalline solid. A stock solution may be made by dissolving the cobimetinib in the solvent of choice, which should be purged with an inert gas. Cobimetinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of cobimetinib in these solvents is approximately 11, 25, and 33 mg/ml, respectively.

Description

Cobimetinib is a potent, orally available inhibitor of MEK1 (IC₅₀ = 4.2 nM).^{1,2} It shows more than 100-fold selectivity for MEK when tested against a panel of more than 100 serine-threonine and tyrosine kinases. Cobimetinib induces differentiation and apoptosis in cancer cell lines and is more effective when combined with additional inhibitors, like PLX4032 (Item No. 10618).²⁻⁴

References

1. Akinleye, A., Furgan, M., Mukhi, N., *et al.* MEK and the inhibitors: From bench to bedside. *J. Hematol. Oncol.* **6**, 27 (2013).
2. Hoefflich, K. P., Merchang, M., Orr, C., *et al.* Intermittent administration of MEK inhibitor GDC-0973 plus PI3K inhibitor GDC-0941 triggers robust apoptosis and tumor growth inhibition. *Cancer Res.* **72**(1), 210-219 (2012).
3. Medina, T. M. and Lewis, K. D. The evolution of combined molecular targeted therapies to advance the therapeutic efficacy in melanoma: A highlight of vemurafenib and cobimetinib. *Oncol. Targets Ther.* **9**, 3739-3752 (2016).
4. Sing, A., Ruan, Y., Tippett, T., *et al.* Targeted inhibition of MEK1 by cobimetinib leads to differentiation and apoptosis in neuroblastoma cells. *J. Exp. Clin. Cancer Res.* **34**(1), 104 (2015).

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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