

PRODUCT INFORMATION

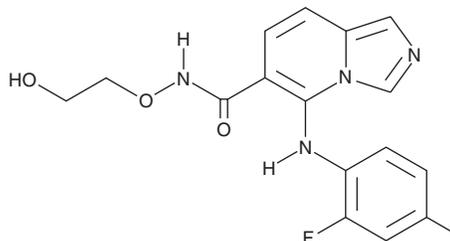


GDC-0623

Item No. 19479

CAS Registry No.: 1168091-68-6
Formal Name: 5-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)-imidazo[1,5-a]pyridine-6-carboxamide

MF: C₁₆H₁₄FIN₄O₃
FW: 456.2
Purity: ≥98%
UV/Vis.: λ_{max}: 282, 360 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

GDC-0623 is supplied as a crystalline solid. A stock solution may be made by dissolving the GDC-0623 in the solvent of choice, which should be purged with an inert gas. GDC-0623 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of GDC-0623 in ethanol is approximately 1 mg/ml and approximately 20 mg/ml in DMSO and dimethyl formamide.

GDC-0623 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GDC-0623 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GDC-0623 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GDC-0623 is a potent, ATP-uncompetitive inhibitor of MEK1 ($K_i = 0.13$ nM in the presence of ATP).¹ It inhibits the proliferation of A375 BRAF(V600E) and HCT116 KRAS(G13D)-mutant cancer cell lines with EC₅₀ values of 7 and 42 nM, respectively.¹ GDC-0623 inhibits ERK and BIM phosphorylation resulting in upregulation and stabilization of pro-apoptotic BIM protein in KRAS mutant and wild-type HCT116 cells and in KRAS mutant GW620 cells.²

References

1. Hatzivassiliou, G., Haling, J.R., Chen, H., *et al.* Mechanism of MEK inhibition determines efficacy in mutant KRAS- versus BRAF-driven cancers. *Nature* **501(7466)**, 232-236 (2013).
2. Zaanani, A., Okamoto, K., Kawakami, H., *et al.* The mutant KRAS gene up-regulates BCL-XL protein via STAT3 to confer apoptosis resistance that is reversed by BIM protein induction and BCL-XL antagonism. *J. Biol. Chem.* **290(39)**, 23838-23849 (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.

WARRANTY AND LIMITATION OF REMEDY

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