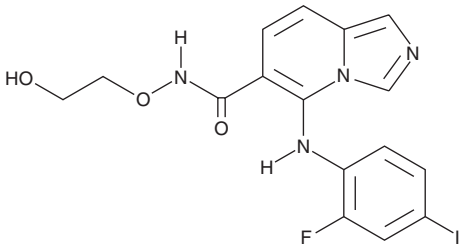
PRODUCT INFORMATION

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:	$C_{16}H_{14}FIN_4O_3$
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 EC_{50} 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. Zaanan, 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).

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