

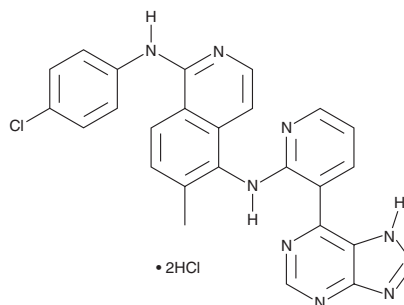
PRODUCT INFORMATION



B-RAF Inhibitor 1 (hydrochloride)

Item No. 26792

CAS Registry No.: 1191385-19-9
Formal Name: N¹-(4-chlorophenyl)-6-methyl-N⁵-[3-(9H-purin-6-yl)-2-pyridinyl]-1,5-isoquinolinediamine, dihydrochloride
MF: C₂₆H₁₉ClN₈ • 2HCl
FW: 551.9
Purity: ≥98%
UV/Vis.: λ_{max}: 288, 364 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

B-RAF inhibitor 1 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the B-RAF inhibitor 1 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. B-RAF inhibitor 1 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of B-RAF inhibitor 1 (hydrochloride) in these solvents is approximately 10 and 1 mg/ml, respectively.

B-RAF inhibitor 1 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, B-RAF inhibitor 1 (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. B-RAF inhibitor 1 (hydrochloride) has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

B-RAF inhibitor 1 is an inhibitor of Raf kinases (K_s = 0.3, 1, and 1 nM for C-RAF, B-RAF, and B-RAF^{V600E}, respectively).¹ It is selective for the B-RAF^{V600E} kinase domain over Lck, Tie2, KDR, and p38α (IC₅₀s = 83, 120, 1,000, and >1,600 nM, respectively).² B-RAF inhibitor 1 inhibits phosphorylation of ERK in A375 melanoma cells (IC₅₀ = 1.8 nM). It reduces tumor growth in an A375 SQ2 mouse xenograft model (ED₅₀ = 1.3 mg/kg per day) and induces 85% tumor regression when administered at a dose of 5 mg/kg per day for 14 days. B-RAF inhibitor 1 (5 and 10 mg/kg per day) increases tumor growth in a MIA PaCa-2 mouse xenograft model.¹

References

1. Carnahan, J., Beltran, P.J., Babij, C., *et al.* Selective and potent Raf inhibitors paradoxically stimulate normal cell proliferation and tumor growth. *Mol. Cancer Ther.* **9(8)**, 2399-2410 (2010).
2. Smith, A.L., DeMorin, F.F., Paras, N.A., *et al.* Selective inhibitors of the mutant B-Raf pathway: Discovery of a potent and orally bioavailable aminoisoquinoline. *J. Med. Chem.* **52(20)**, 6189-6192 (2009).

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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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM