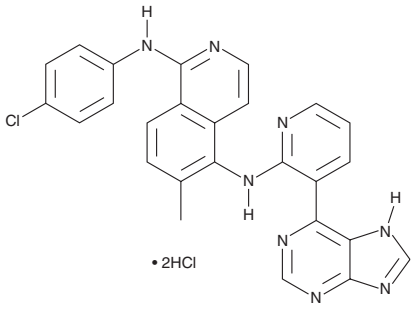
PRODUCT INFORMATION

B-RAF Inhibitor 1 (hydrochloride)

Item No. 26792

CAS Registry No.:	1191385-19-9
Formal Name:	N^1-(4-chlorophenyl)-6-methyl-N^5-[3-(9H-purin-6-yl)-2-pyridinyl]-1,5-isoquinolinediamine, dihydrochloride
MF:	$C_{26}H_{19}ClN_8 \bullet 2HCl$
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_is = 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 (IC_{50}s = 83, 120, 1,000, and >1,600 nM, respectively).[2] B-RAF inhibitor 1 inhibits phosphorylation of ERK in A375 melanoma cells (IC_{50} = 1.8 nM). It reduces tumor growth in an A375 SQ2 mouse xenograft model (ED_{50} = 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).

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