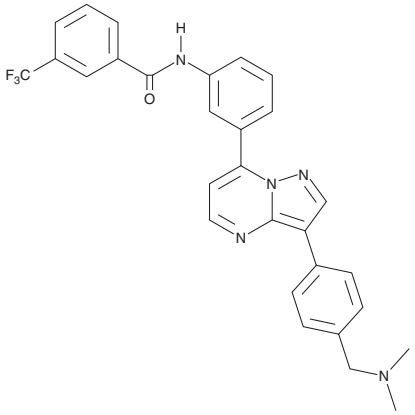
PRODUCT INFORMATION

B-RAF IN 1

Item No. 23434



CAS Registry No.:	950736-05-7
Formal Name:	N-[3-[3-[4-[(dimethylamino) methyl]phenyl]pyrazolo[1,5-a] pyrimidin-7-yl]phenyl]-3-(trifluoromethyl)-benzamide
Synonym:	B-RAF Inhibitor 1
MF:	$C_{29}H_{24}F_3N_5O$
FW:	515.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 269 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 IN 1 is supplied as a crystalline solid. A stock solution may be made by dissolving the B-RAF IN 1 in the solvent of choice. B-RAF IN 1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of B-RAF IN 1 in these solvents is approximately 1, 33, and 14 mg/ml, respectively.

Description

B-RAF IN 1 is an inhibitor of B-RAF (IC_{50} = 24 nM) that also inhibits C-Raf (IC_{50} = 25 nM).[1] It is selective over 13 other kinases, including PKCα, IKKβ, and PI3Kα, at concentrations greater than 2 µM, but does inhibit p38α and CAMKII (IC_{50}s = 216 and 822 nM, respectively). B-RAF IN 1 binds to B-RAF in the inactive conformation based on co-crystallization with the wild-type enzyme. It inhibits proliferation of WM 266-4 and HT29 cells with IC_{50} values of 920 and 780 nM, respectively.

Reference

1. Berger, D.M., Torres, N., Dutia, M., *et al.* Non-hinge-binding pyrazolo[1,5-α]pyrimidines as potent B-Raf kinase inhibitors. *Bioorg. Med. Chem. Lett.* **19(23)**, 6519-6523 (2009).

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