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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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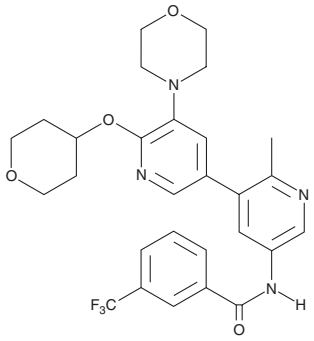
PRODUCT INFORMATION

RAF709

Item No. 23820



CAS Registry No.:	1628838-42-5
Formal Name:	N-[2-methyl-5'-(4-morpholinyl)-6'-[(tetrahydro-2H-pyran-4-yl)oxy][3,3'-bipyridin]-5-yl]-3-(trifluoromethyl)-benzamide
MF:	$C_{28}H_{29}F_3N_4O_4$
FW:	542.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 272, 301 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

RAF709 is supplied as a crystalline solid. A stock solution may be made by dissolving the RAF709 in the solvent of choice. RAF709 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of RAF709 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

RAF709 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, RAF709 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. RAF709 has a solubility of approximately 0.33 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

RAF709 is a potent inhibitor of B-RAF and C-RAF (IC_{50}s = 0.4 and 0.5 nM, respectively).[1] It is selective for RAF kinases exhibiting >99% inhibition of only B-RAF, B-RAF^{V600E}, and C-RAF in a panel of 456 kinases. However, DDR1, DDR2, FRK, and PDGFRβ are also inhibited by >80% at a concentration of 1 µM. RAF709 inhibits phosphorylation of MEK and ERK (EC_{50}s = 0.02 and 0.1 µM, respectively) with minimal paradoxical activation, stabilizes BRAF-CRAF dimers (EC_{50} = 0.8 µM), and reduces proliferation of Calu-6 RAS mutant cells (EC_{50} = 0.95 µM). In a Calu-6 mouse non-small cell lung cancer (NSCLC) xenograft model, RAF709 (10-200 mg/kg) reduces ERK phosphorylation and decreases tumor volume in a dose-dependent manner without affecting total body weight.

References

1. Nishiguchi, G.A., Rico, A., Tanner, H., *et al.* Design and discovery of N-(2-methyl-5'-morpholino-6'-((tetrahydro-2H-pyran-4-yl)oxy)-[3,3'-bipyridin]-5-yl)-3-(trifluoromethyl)benzamide (RAF709): A potent, selective, and efficacious RAF inhibitor targeting RAS mutant cancers. *J. Med. Chem.* **60(12)**, 4869-4881 (2017).

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