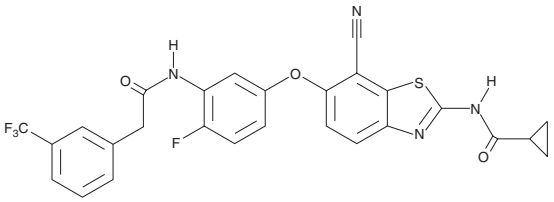
PRODUCT INFORMATION

TAK-632

Item No. 16285

CAS Registry No.: 1228591-30-7
Formal Name: N-[5-[[7-cyano-2-[(cyclopropylcarbonyl)amino]-6-benzothiazolyl]oxy]-2-fluorophenyl]-3-(trifluoromethyl)-benzeneacetamide
MF: $C_{27}H_{18}F_4N_4O_3S$
FW: 554.50
Purity: ≥98%
UV/Vis.: λ_{max}: 238, 260, 282, 326 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

TAK-632 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-632 in the solvent of choice, which should be purged with an inert gas. TAK-632 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TAK-632 in ethanol is approximately 0.5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

TAK-632 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TAK-632 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TAK-632 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

TAK-632 is a slow off-rate inhibitor of Raf kinases (IC_{50}s = 8.3, 2.4, and 1.4 nM for wild type B-Raf, mutant B-Raf^{V600E}, and c-Raf, respectively).[1] It demonstrates 14-1,200-fold selectivity for Raf over a panel of 26 different kinases.[1] TAK-632 shows significant antiproliferative activity against mutated B-Raf or mutated N-Ras cancer cell lines and xenograft models, inhibiting MEK phosphorylation with an IC_{50} value of 12 nM and downstream ERK phosphorylation with an IC_{50} value of 16 nM.[1,2]

References

1. Okaniwa, M., Hirose, M., Arita, T., *et al.* Discovery of a selective kinase inhibitor (TAK-632) targeting pan-RAF inhibition: Design, synthesis, and biological evaluation of C-7-substituted 1,3-benzothiazole derivatives. *J. Med. Chem.* **56(16)**, 6478-6494 (2013).
2. Nakamura, A., Arita, T., Tsuchiya, S., *et al.* Antitumor activity of the selective pan-RAF inhibitor TAK-632 in BRAF inhibitor-resistant melanoma. *Cancer Res.* **73(23)**, 7043-7055 (2013).

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