

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: C₂₇H₁₈F₄N₄O₃S
FW: 554.50

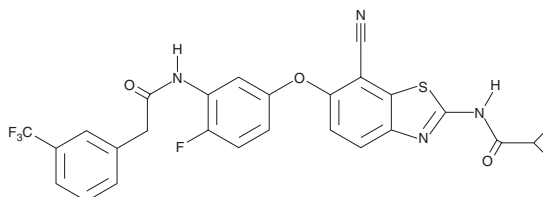
Purity: ≥98%

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 (IC₅₀s = 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 IC₅₀ value of 12 nM and downstream ERK phosphorylation with an IC₅₀ value of 16 nM.^{1,2}

References

- 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).
- 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).

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