

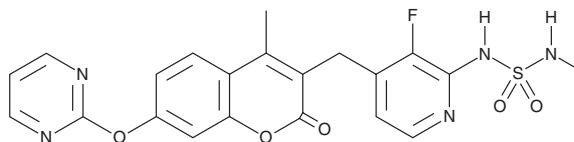
# PRODUCT INFORMATION



Ro 5126766

Item No. 29197

**CAS Registry No.:** 946128-88-7  
**Formal Name:** N-[3-fluoro-4-[[4-methyl-2-oxo-7-(2-pyrimidinyl)oxy]-2H-1-benzopyran-3-yl]methyl]-2-pyridinyl]-N'-methyl-sulfamide  
**Synonyms:** Avutometinib, CH5126766, VS-6766  
**MF:** C<sub>21</sub>H<sub>18</sub>FN<sub>5</sub>O<sub>5</sub>S  
**FW:** 471.5  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 278, 316 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

Ro 5126766 is supplied as a crystalline solid. A stock solution may be made by dissolving the Ro 5126766 in the solvent of choice, which should be purged with an inert gas. Ro 5126766 is soluble in the organic solvent DMSO.

## Description

Ro 5126766 is a dual inhibitor of MEK1 (IC<sub>50</sub> = 160 nM) and Raf kinases (IC<sub>50</sub>s = 56, 19, and 8.2 nM for C-RAF, B-RAF, and B-RAF<sup>V600E</sup>, respectively).<sup>1</sup> It is selective for these kinases over a panel of 254 additional kinases at 10 μM. Ro 5126766 (1 μM) decreases MEK and ERK phosphorylation in a panel of seven cancer cell lines expressing mutant K-Ras<sup>G13D</sup>, K-Ras<sup>Q61K</sup>, K-Ras<sup>G12D</sup>, H-Ras<sup>G12D</sup>, or B-RAF<sup>V600E</sup> at 1 μM. It inhibits proliferation of SK-MEL-28, SK-MEL-2, MIA PaCa-2, SW480, and HCT116 cancer cells (IC<sub>50</sub>s = 65, 28, 40, 46, and 277 nM, respectively) but not A549, HCT15, or PC3 cancer cells (IC<sub>50</sub>s = >1,000 nM for all).<sup>2</sup> Oral administration of Ro 5126766 (25 mg/kg four times per day) reduces tumor growth in an HCT116 mouse xenograft model.<sup>1</sup>

## References

1. Ishii, N., Harada, N., Joseph, E.W., *et al.* Enhanced inhibition of ERK signaling by a novel allosteric MEK inhibitor, CH5126766, that suppresses feedback reactivation of RAF activity. *Cancer Res.* **73**(13), 4050-4060 (2013).
2. Wada, M., Horinaka, M., Yamazaki, T., *et al.* The dual RAF/MEK inhibitor CH5126766/RO5126766 may be a potential therapy for RAS-mutated tumor cells. *PLoS ONE* **9**(11), e113217 (2014).

### 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

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 06/09/2023

## 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