Print Date: Sep 21st 2022

Certificate of Analysis

www.tocris.com

Product Name: **Trametinib** **Catalog No.: 7709** **Batch No.: 1**

CAS Number: 871700-17-3

IUPAC Name: *N*-[3-[3-Cyclopropyl-5-[(2-fluoro-4-iodophenyl)amino]-3,4,6,7-tetrahydro-6,8-dimethyl-2,4,7-trioxopyrido[4,3-*d*] pyrimidin-1(2*H*)-yl]phenyl]acetamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{26}H_{23}FIN_5O_4.¼H_2O$

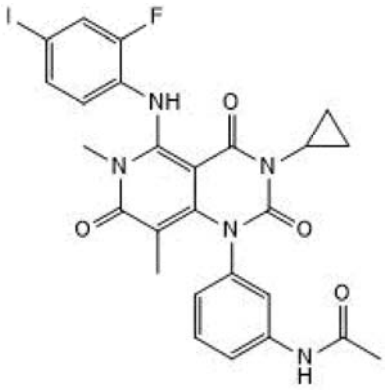
Batch Molecular Weight: 619.9

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.9% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	50.38	3.82	11.3
Found	50.18	3.83	11.11

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Description:

Trametinib is a potent and selective MEK1 and MEK2 inhibitor (IC_{50} = 0.92 and 1.8 nM, respectively, in cell-free assays). In vitro, it inhibits the growth of human colorectal cancer cell lines expressing either B-RAF or K-RAF (IC_{50} values range from 0.48 to 36 nM). In vivo, it suppresses tumor growth of HT-29 and COLO205 xenografts in nude mice. Trametinib induces apoptosis. This compound is orally bioavailable.

Physical and Chemical Properties:

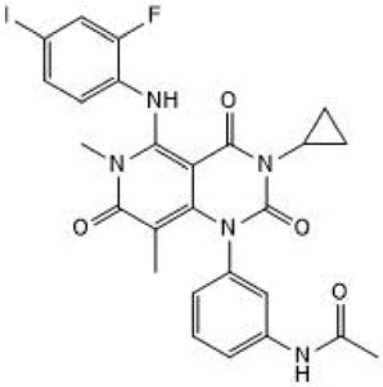
Batch Molecular Formula: $C_{26}H_{23}FIN_5O_4.¼H_2O$

Batch Molecular Weight: 619.9

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Kobelt *et al* (2021) The newly identified MEK1 tyrosine phosphorylation target MACC1 is druggable by approved MEK1 inhibitors to restrict colorectal cancer metastasis. Oncogene ***40*** 5286. PMID: 34247190.

Zhao *et al* (2021) Diverse alterations associated with resistance to KRAS(G12C) inhibition. Nature ***599*** 679. PMID: 34759319.

Yamaguchi *et al* (2011) Antitumor activities of JTP-74057 (GSK1120212), a novel MEK1/2 inhibitor, on colorectal cancer cell lines *in vitro* and *in vivo*. Int.J.Oncol. ***39*** 23. PMID: 21523318.