



Trametinib

Data Sheet

Catalog Number:	MC11123	Product Type:	Small Molecule
Bio-Activity:	MEK inhibitor	CAS #:	871700-17-3
Research Categories:	Cancer, inflammation, infectious disease	Chemical Name:	N-{3-[3-Cyclopropyl-5-(2-fluoro-4-iodophenylamino)-6,8-dimethyl-2,4,7-trioxa-3,4,6,7-tetrahydro-2H-pyrido[4,3-d]pyrimidin-1-yl]phenyl}acetamide
Solubility:	Soluble in DMSO (up to 20 mg/ml with warming)	Molecular Formula:	C26H23FIN5O4
Purity:	> 98%	Molecular Weight:	615.4
Format:	Powder	Ship Temp:	Ambient
Storage:	-20°C		

Application Notes

Description/Data:

Trametinib is a highly potent (IC₅₀ uMEK1 = 0.7 nM, pp-MEK1 = 14.9 nM) [1], and selective MEK inhibitor displaying selective inhibition of proliferation in various BRAF mutant cancer cell lines (IC₅₀ ACHN = 9.8 nM; IC₅₀ HT-29 = 0.57 nM) [2]. It is approved for use against unresectable or metastatic BRAF-mutant melanoma alone or in combination with Dabrafenib. Trametinib can limit outgrowth of tumors without directly inhibiting tumor cell proliferation via abrogation of cytokine-driven expansion of monocytic myeloid-derived suppressor cells (mMDSC) through a mechanism involving CD8+ T cells [3,4]. Trametinib also displays potent anti-arthritis effects [5].

References:

- 1) Gilmartin et al. (2011), GSK1120212 (JTP-74057) is an Inhibitor of MEK Activity and Activation with Favorable Pharmacokinetic Properties for Sustained In Vivo Pathway Inhibition; Clin.Cancer Res. 17 989
- 2) Abe et al. (2011), Discovery of a Highly Potent and Selective MEK Inhibitor: GSK1120212 (JTP-74057 DMSO solvate); ACS Med.Chem.Lett. 2 320
- 3) Allegrezza et al. (2016), Trametinib Drives T-cell-Dependent Control of KRAS Tumors by Inhibiting Pathological Myelopoiesis; Cancer Res. 76 6253

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4) Vella et al. (2014), MEK inhibition, alone or in combination with BRAF inhibition, affects multiple functions of isolated normal human lymphocytes and dendritic cells; *Cancer Immunol. Res.* 2 351

5) Yamaguchi et al. (2012), Suppressive effect of an orally active MEK1/2 inhibitor in two different animal models for rheumatoid arthritis: a comparison with leflunomide; *Inflamm. Res.* 61 445

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