NEUROMICS

# Trametinib Data Sheet

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| **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-trioxo-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-arthritic 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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