**Product Specification Sheet**

**Product Name:** GSK1120212 (Trametinib)

**Catalog Number:** C4112

**Technical Information:**
- **Chemical Formula:** C26H23FIN5O4
- **CAS #:** 871700-17-3
- **Molecular Weight:** 615.39
- **Purity:** > 98%
- **Appearance:** White solid
- **Solubility:** Soluble in DMSO up to 10 mM
- **Chemical Name:** N-(3-(3-cyclopentyl-5-(2-fluoro-4-iodophenylamino)-6,8-dimethyl-2,4,7-trioxo-3,4,6,7-tetrahydropyrido[4,3-d]pyrimidin-1(2H)-yl)phenyl)acetamide
- **Storage:** Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
- **Shelf Life:** In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

**Handling:**
- To make 10 mM stock solution, add 0.162mL of DMSO for each mg of GSK1120212 (Trametinib)
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

**Biological Activity:**
Trametinib (GSK1120212) is an orally-available, tetrahydropyridopyrimidine-based, allosteric inhibitor of MEK1 and MEK2, with an IC50 of 1.6 and 3.4 nM when using B-Raf as its activator. [1]
It is highly selective over broad inhibitory panel of 98 kinases at 10 uM. In vitro, Trametinib strongly inhibits human colorectal cancer cell lines known to have a constitutively active B-Raf or Ras mutation; in particular, IC50 inhibition values of HT-29, COLO205, HCT116, LS-174T, and SW620 are 0.48, 0.52, 5.7, 4.1, and 2.3 nM, respectively. [1]

Trametinib prevents Raf-dependent MEK phosphorylation (S217 for MEK1), resulting in prolonged p-Erk1/2 inhibition. [2] In a broad panel of cancer cell lines, Trametinib was shown to inhibit the MEK1/2-dependent activating dual phosphorylation of ERK1/2 on both T202 and Y204.

Trametinib has been shown to work in combination with other B-Raf inhibitors, overcoming resistant lines mediated by NRAS or MEK mutations. [3]

**Reference:**

**To reorder:** [http://www.cellagentech.com/GSK1120212-Trametinib/](http://www.cellagentech.com/GSK1120212-Trametinib/)

**For Technical Support:** technical@cellagentech.com

*Chemicals are sold for research use only, not for clinical or diagnostic use.*