Product Datasheet

GSK1120212

Catalog No. **BP-02460** · CAS **871700-17-3** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-10**

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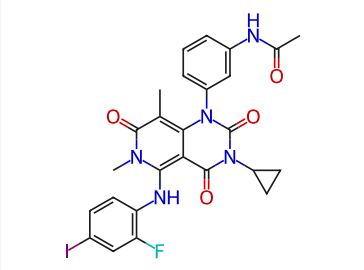
Target	Research area
MEK; Autophagy; Apoptosis	**Infection; Neurological Disease; Metabolic Disease; Inflammation/Immunology; Cancer**

Molecular formula	$C_{26}H_{23}FIN_5O_4$
Molecular weight	**615.3948**

STRUCTURE



DESCRIPTION

Trametinib (GSK1120212; JTP-74057) is an orally active MEK inhibitor that inhibits MEK1 and MEK2 with IC50s of about 2 nM. Trametinib activates autophagy and induces apoptosis, cross the blood-brain barrier (BBB), used in research related to subarachnoid hemorrhage (SAH) .

BIOLOGICAL ACTIVITY

In Vitro

Trametinib (GSK1120212;JTP-74057) (0.1-100 nM) blocks tumor necrosis factor-α and interleukin-6 production from peripheral blood mononuclear cells (PBMCs). Trametinib (JTP-74057) inhibits the growth of 9 out of 10 human colorectal cancer cell lines, and they shows cell-cycle arrest at the G1 phase after drug tratment.

The combination of GSK2118436 and Trametinib (GSK1120212) effectively inhibits cell growth, decreases ERK phosphorylation, decreases cyclin D1 protein, and increases p27(kip1) protein in the resistant clones.

In Vivo

Adjuvant-induced arthritis (AIA) and type II collageninduced arthritis (CIA) development are suppressed almost completely by 0.1 mg/kg of Trametinib (GSK1120212; JTP-74057) or 10 mg/kg of HWA486.

Trametinib (0.3 mg/kg, 1 mg/kg, p.o.) is effective in inhibiting the HT-29 xenograft growth in a nude mouse xenograft model.

In a female Sprague-Dawley rat model of experimental subarachnoid hemorrhage (SAH) induced by autologous blood injection into the prechiasmatic cistern, trametinib (0.5 mg/kg, intraperitoneal injection, administered at 3, 9, and 24 hours after SAH induction) regulates cerebrovascular contractile function, significantly reduces the contractile response of the basilar artery to endothelin ET-1, decreases the maximum contractile amplitude of the

middle cerebral artery to 5-CT, alleviates elevated intracranial pressure (ICP) in the subacute phase, improves neurological outcomes, and enhances overall health status without significant adverse effects.

SOLUBILITY

Soluble in DMSO

REFERENCES

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[2].Yamaguchi T, et al. Antitumor activities of JTP-74057 (GSK1120212), a novel MEK1/2 inhibitor, on colorectal cancer cell lines in vitro and in vivo. Int J Oncol, 2011, 39(1), 23-31.

[3].Abe H, et al. Discovery of a Highly Potent and Selective MEK Inhibitor: GSK1120212 (JTP-74057 DMSO Solvate). ACS Med Chem Lett. 2011 Feb 28;2(4):320-4.

[4].Liu H, et al. Identifying and Targeting Sporadic Oncogenic Genetic Aberrations in Mouse Models of Triple Negative Breast Cancer. Cancer Discov. 2018 Mar;8(3):354-369.

[5].Lai J, et al. Elimination of melanoma by sortase A-generated TCR-like antibody-drug conjugates (TL-ADCs) targeting intracellular melanoma antigen MART-1. Biomaterials. 2018 Sep;178:158-169.

[6].Bömers JP, et al. The MEK Inhibitor Trametinib Improves Outcomes following Subarachnoid Haemorrhage in Female Rats. Pharmaceuticals (Basel). 2022 Nov 22;15(12):1446.