Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Trametinib (DMSO solvate)

Catalog No: tcsc0061

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
1187431-43-1

Formula:
$C_{28}H_{29}FIN_5O_5S$

Pathway:
MAPK/ERK Pathway

Target:
MEK

Purity / Grade:
>98%

Solubility:

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DMSO : 69 mg/mL (99.49 mM; Need ultrasonic)

Alternative Names:
GSK-1120212 DMSO solvate;Trametinib;JTP-74057;GSK1120212

Observed Molecular Weight:
693.53

Product Description

Trametinib DMSO solvate is a potent **MEK** inhibitor that specifically inhibits MEK1/2, with an $\mathbf{IC_{50}}$ value of about 2 nM.

IC50 & Target: IC50: 2 nM (MEK1/2)[1]

In Vitro: In BRAF mutant SK-MEL-28 cells and KRAS mutant HCT116 cells, Trametinib (GSK1120212) DMSO solvate causes dose-dependent inhibition of ERK1/2 phosphorylation as well as dose-dependent growth inhibition. In both SK-MEL-28 and HCT116 cells, Trametinib DMSO solvate inhibits 50% p-ERK1/2 at nearly equivalent concentrations (0.8 and 1.8 nM, respectively). However, as the slopes of the curves reflect, in SK-MEL-28 cells, Trametinib DMSO solvate inhibits 90% p-ERK1/2 at a lower concentration (3.4 nM) than in HCT116 (33.3 nM). Furthermore, in both cell lines, 50% growth inhibition is only achieved at concentrations Trametinib DMSO solvate that produces near complete ERK1/2 inhibition (85 and 90%, respectively)[2].

In Vivo: Trametinib (GSK1120212) DMSO solvate is evaluated in vivo in an A549 (KRAS mutant cell line) xenograft model, orally dosing daily for 21 days (qd×21). In this study, near complete tumor growth inhibition is observed at 5.0 and 2.5 mg/kg [92 and 87% tumor growth inhibition (TGI), respectively] and to a lesser degree at 0.5 and 0.1 mg/kg (62 and 58% TGI). Although 5 mg/kg is the maximally tolerated dose (MTD) in this study, 3 mg/kg is the typically observed MTD. Dose-dependent antitumor activity with Trametinib DMSO solvate treatment has been similarly reported for several other KRAS and BRAF mutant tumor models[2].