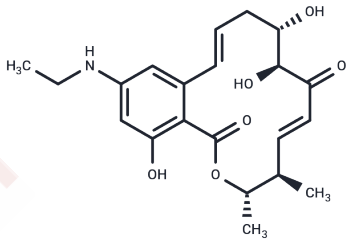
Data Sheet (Cat.No.T61755)

TargetMol

E6201

Chemical Properties



CAS No. : 603987-35-5

Formula: C21H27NO6

Molecular Weight: 389.44

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	E6201 (ER-806201) is a potent dual kinase inhibitor targeting MEK1 and FLT3, inhibiting their activities in an ATP-competitive manner. It effectively suppresses MEK1-induced ERK2 phosphorylation (IC50 = 5.2 nM), MKK4-induced JNK phosphorylation (IC50 = 91 nM), and MKK6-induced p38 MAPK phosphorylation (IC50 = 19 nM). E6201 exhibits anti-tumor and anti-psoriasis effects [1] [2].
Targets(IC50)	Others,FLT,MEK
In vitro	E6201 is distinguished as an inhibitor explicitly targeting the MEKK1 and MEK families, without impacting the MAPK family members, demonstrating selective inhibitory effects on MEKK1-induced phosphorylation of MEK1, MKK4, and MKK6 (IC50 values: 31, 522, and 65 nM, respectively). Notably, at a concentration of 10 μM, E6201 does not influence other proteins in the MAPK family such as ERK2, JNKs, and p38 MAPK. Its capability extends to thwarting LPS-induced TNF transcription (IC50: 50±14 nM) while barely affecting β-actin transcription up to concentrations of 3 μM. E6201 also shows inhibitory actions on specific receptor tyrosine kinases—including VEGFR2, PDGFR, the hepatocyte growth factor receptor, and EGFR (IC50 values: 350, 860, 1100, and 5400 nM, respectively)—and the nonreceptor tyrosine kinase Syk (IC50: 460 nM), while sparing ZAP-70, IKK, and PKC activity even at elevated concentrations of 10 μM and 100 μM, respectively. This compound further inhibits IL-2 production post-PHA-P activation (IC50: 18 nM), curtails proliferation of EGF-stimulated human keratinocytes (IC50: 160 nM), and suppresses IL-8 production in keratinocytes post-IL-1α or TNFα stimulation (IC50 values: 60 and 30 nM, respectively). Additionally, E6201 effectively inhibits production of TNFα, IL-1, IL-6, and IL-8 in human PBMCs (IC50 values: 20, 16, 52, and 53 nM, respectively), showcases potent inhibition of triple-negative breast cancer (TNBC) cell proliferation and colony formation in a dose-dependent manner, induces G1 phase cell cycle arrest, and triggers apoptosis in TNBC cells at 1 μM, substantiated by a significant reduction in phospho-ERK expression levels and sustained effects observed through Western Blot Analysis.
In vivo	Administering E6201 (30 mg/kg; via tail vein injection three times per week) demonstrated prominent inhibition of TNBC xenograft tumor growth and significantly reduced pERK and Ki-67 expression in xenograft tumor tissues [2]. The study utilized female Nod.Scid gamma mice, aged 4 to 6 weeks, implanted with MDA-MB-231-LM2 xenograft tumors [2]. Over a period of 17 days, the dosage and method of administration remained consistent. Compared to mice receiving a vehicle control, those

In vivo	treated with E6201 exhibited a 60% suppression in tumor growth.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5678 mL	12.8389 mL	25.6779 mL
5 mM	0.5136 mL	2.5678 mL	5.1356 mL
10 mM	0.2568 mL	1.2839 mL	2.5678 mL
50 mM	0.0514 mL	0.2568 mL	0.5136 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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