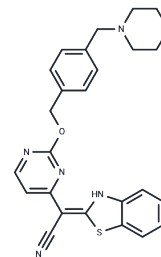


Bentamapimod

Chemical Properties

CAS No. :	848344-36-5
Formula:	C ₂₅ H ₂₃ N ₅ O ₂ S
Molecular Weight:	457.55
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Bentamapimod (AS 602801) is a novel, orally active inhibitor of JNK.
Targets(IC50)	JNK
In vitro	Bentamapimod treatment induces cell death and accordingly decreased the number of viable cells in all three cell lines in a dose-dependent manner, suggesting that Bentamapimod may have selective cytotoxic activity against neoplastic cells. Bentamapimod exhibits cytotoxicity against both serum-cultured non-stem cancer cells and cancer stem cells derived from human pancreatic cancer, non-small cell lung cancer, ovarian cancer and glioblastoma at concentrations that did not decrease the viability of normal human fibroblasts. Bentamapimod also inhibits the self-renewal and tumor-initiating capacity of cancer stem cells surviving Bentamapimod treatment[2].
In vivo	Treatment of nude mice bearing xenografts from women with endometriosis (BWE) with 30 mg/kg of AS 602801 (AS602801) resulted in a 29% reduction of lesions. In contrast, neither medroxyprogesterone acetate (MPA) nor progesterone (PR) alone led to regression of BWE lesions. However, a combination of 10 mg/kg AS 602801 with MPA achieved a 38% lesion regression. When applied to human endometrial organ cultures (from healthy women), AS 602801 or MPA decreased the release of matrix metalloproteinase-3 (MMP-3) into the culture medium. In BWE-established organ cultures, PR or MPA did not affect MMP-3 secretion, whereas AS 602801, either alone or in combination with MPA, effectively suppressed MMP-3 production. In an autologous rat endometriosis model, AS 602801 facilitated a 48% reduction in lesions, compared to an 84% reduction with the GnRH antagonist Antide. Additionally, AS 602801 diminished inflammatory cytokine levels in endometriotic lesions without altering cytokine levels in the ipsilateral horns. It also enhanced natural killer cell activity with no observed adverse effects on the uterus[3].
Cell Research	AS 602801 (AS602801) is dissolved in DMSO (10 mM) and stored, and then diluted with appropriate media before use[2]. PANC-1, A2780, and A549 human cancer cells and IMR90 human normal fibroblasts are treated without (control) or with the indicated concentrations of AS 602801 (2.5, 5, and 7.5 μ M) for 3 days. The number of viable cells (left panels) and the percentage of dead cells (right panels) are determined using trypan blue as a vital dye[2].

Solubility Information

Solubility	DMSO: 4.57 mg/mL (9.99 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1856 mL	10.9278 mL	21.8555 mL
5 mM	0.4371 mL	2.1856 mL	4.3711 mL
10 mM	0.2186 mL	1.0928 mL	2.1856 mL
50 mM	0.0437 mL	0.2186 mL	0.4371 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.

Reference

Messoussi A, et al. Recent progress in the design, study, and development of c-Jun N-terminal kinase inhibitors as anticancer agents. Chem Biol. 2014 Nov 20;21(11):1433-43.

Okada M, et al. The novel JNK inhibitor AS602801 inhibits cancer stem cells in vitro and in vivo. Oncotarget. 2016 May 10;7(19):27021-32.

Palmer SS, et al. Bentamapimod (JNK Inhibitor AS602801) Induces Regression of Endometriotic Lesions in Animal Models. Reprod Sci. 2016 Jan;23(1):11-23.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel: 781-999-4286 E_mail: info@targetmol.com Address: 36 Washington Street, Wellesley Hills, MA 02481