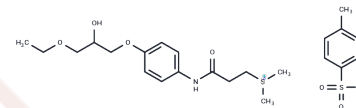


Suplatast (Tosilate)

Chemical Properties

CAS No. : 94055-76-2
 Formula: C₂₃H₃₃N₀S₂
 Molecular Weight: 499.64
 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	Suplatast Tosilate (IPD 1151T) is a novel capsular anti-asthmatic drug with an IC ₅₀ above 100 µM, inhibiting both IgE production and the synthesis of IL-4 and IL-5.
Targets(IC50)	IL Receptor, Interleukin
In vitro	Suplatast tosilate has an inhibitory effect on antibody production in isolated mouse splenic and human peripheral blood B cells with IC ₅₀ > 100 nM. Suplatast tosilate inhibits mouse and human cytokine production, IFN-γ, IL-2, IL-4, IL-5 and IL-10 with an IC ₅₀ > 100 nM. [1] The induction of Cryj1-dependent IgE synthesis mediated by SN-4 is suppressed in a concentration-dependent manner by Suplatast tosilate (1 and 10 µg/ml) in autologous B cells. IL-4 production, both stimulated by SN-4 with Cryj1 in the presence of autologous APC for 3 days and produced by PHA-stimulated PBMC from normal donors, are effectively inhibited in a concentration-dependent manner by Suplatast tosilate (1 and 10 µg/mL). [2] Suplatast tosilate significantly inhibits the expression of CD1a, CD80, and CD86 on immature dendritic cells (DCs) and of CD1a, CD80, CD83, and CD86 on mature DCs. Suplatast tosilate also significantly inhibits the secretion of CCL17, IL-12p70, and IL-12p40; however, the secretion of IL-10 is not affected. The proliferative responses of allogeneic CD4(+) T cells to Suplatast tosilate-treated DCs are suppressed. Moreover, Suplatast tosilate-treated DCs has an impaired capacity to stimulate CD4(+) T cells to produce IFN-gamma and IL-5. [4]
In vivo	Suplatast tosilate (100 mg/kg/100 µL) significantly reduces the number of total cells and eosinophils in BALF (around -40%) and almost completely inhibits the development of antigen-induced BHR. Histological findings confirm the reduction of submucosal cell infiltration in the lung, and disclose the marked inhibition of bronchial epithelial cell damage. Ovalbumin-specific IgE is slightly but significantly reduced. The levels of IL-4, IL-5 and IL-13 in BALF are significantly decreased in mice treated with Suplatast tosilate compared to those in untreated mice. [3]
Kinase Assay	ssay for cytokines: The cultures for cytokine production are set up at 37 °C as follows: the mixtures of SN-4 and autologous APC (each 1 × 10 ⁵ cells/well) are cultured for 3 days with 50 µg/mL of Cry j1 in a total volume of 0.2 mL in round-bottomed micro plates; PBMC (2 × 10 ⁵ cells/well) from normal donors are cultured for 24 hours with 10 µg/ml of PHA in a total volume of 0.2 mL in flat-bottomed, 96-well micro plates; and purified T cells (1 × 10 ⁵ cells/well) from normal donors are cultured in a total volume of 1 ml for 24 hours with anti-CD3 mAb that have been immobilized on flat-bottomed, 24-

Kinase Assay	well plates at a concentration of 5 µg/ml. Cytokines in the culture supernatants are quantitatively assayed by the following commercially available kits: IL-4 and IFN-γ. The sensitivity of the assay is 30 pg/mL for IL-4 and 1 U/mL for IFN-γ.
Cell Research	Allogeneic responder CD4+ T cells obtained from healthy subjects are purified from PBMCs by negative depletion of CD14+, CD16+, CD19+, CD56+, and CD8+ cells using magnetic cell separation system micro-beads and columns. After 7 days of culture with GM-CSF and IL-4, iDCs differentiated from monocytes in the presence or absence of Suplatast tosilate (10 and 100 mg/ mL) are co-cultured with purified 1×10 ⁵ allogeneic CD41 T cells at varying ratios of iDCs in 96-well round bottomed culture plates for 5 days. Cells are pulsed with 1 µCi of 3H-methylthymidine for the last 8 hours of the culture period. Incorporated radioactivity is counted with a liquid scintillation counter, and proliferative responses are expressed as the mean 3 H-methylthymidine incorporation (counts per minutes) of triplicate wells_SEM. Proliferation of DCs alone or CD4+ T cells alone is also assessed.(Only for Reference)

Solubility Information

Solubility	H2O: 50 mg/mL (100.07 mM),Sonication is recommended. DMSO: 65 mg/mL (130.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0014 mL	10.0072 mL	20.0144 mL
5 mM	0.4003 mL	2.0014 mL	4.0029 mL
10 mM	0.2001 mL	1.0007 mL	2.0014 mL
50 mM	0.040 mL	0.2001 mL	0.4003 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.

Reference

- Mimura T, et al. J Pharmacol Exp Ther, 2005, 314(1), 293-301.
- Yanagihara Y, et al. Jpn J Pharmacol, 1993, 61(1), 31-39.
- Zhao GD, et al. Int Arch Allergy Immunol, 2000, 121(2), 116-122.
- Tanaka A, et al. Clin Exp Allergy, 2007, 37(7), 1083-1089.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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