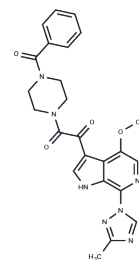


Temsavir

Chemical Properties

CAS No. :	701213-36-7
Formula:	C ₂₄ H ₂₃ N ₇ O ₄
Molecular Weight:	473.48
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Temsavir (BMS626529) is a novel attachment inhibitor that targets HIV-1 gp120 and prevents its binding to CD4+ T cells.
Targets(IC50)	HIV Protease
In vitro	Temsavir has half-maximal effective concentration (EC ₅₀) values of 10 nM against the vast majority of viral isolates. Temsavir exhibits an average EC ₅₀ against LAI virus of 0.7 ±0.4 nM. Temsavir exhibits an EC ₅₀ of 0.01 nM against the most susceptible virus and an EC ₅₀ of >2,000 nM against the least susceptible virus. The cytotoxicity profile of Temsavir is examined in several cell types from different human tissues. CC ₅₀ values of >200 µM are observed in MT-2 (T lymphocytes), HEK293 (kidney), HEp-2 (larynx), HepG2 (liver), HeLa (cervix), HCT116 (colorectal), MCF-7 (breast), SK-N-MC (neuroepithelium), HOS (bone), H292 (lung), and MDBK (bovine kidney) cells measured after 3 or 6 days in culture. CC ₅₀ values of 105 and 192 µM are obtained in the T-cell line PM1 and in PBMCs, respectively, following 6 days in culture. These results show that Temsavir exhibits low cytotoxicity in cell culture[1]. Temsavir exhibits a broad spectrum of antiviral activity against a panel of clinical isolates, with a 50% inhibitory concentration (IC ₅₀) ranging from subnanomolar levels to >0.1 µM[2].
Kinase Assay	Micro BioSpin 6 columns are used to measure the binding of [3H]BMS-488043 or [3H]BMS-626529 to gp120. Binding solutions (30 µL) containing 25 mM Tris-HCl (pH 7.5), 125 mM NaCl, 50 nM gp120JRFL, and serial dilutions of [3H]BMS-488043 or [3H]BMS-626529 are allowed to equilibrate and then adsorbed to a MicroBioSpin 6 column. The column is centrifuged (~14,000 rpm) for 5 min, the eluent is collected, and radioactivity is determined with a scintillation counter. To measure dissociative kinetics, 150 nM [3H]BMS-626529 or 90 nM [3H]BMS-488043 is incubated with 60 nM gp120 at ambient temperature for 1 h to achieve equilibrium binding, and then a large molar excess (14-fold) of soluble CD4 protein is added to drive dissociation. Aliquots are taken at the indicated time intervals, adsorbed to a spin column, and centrifuged, and the radioactivity in the eluent is quantitated. Comparison of the tritium signal from parallel samples with and without the soluble CD4 challenge allowed for the determination of the percent compound bound[1].
Cell Research	Cytotoxicity assays are performed in the presence of serially diluted BMS-626529 for up to 6 days, and cell viability is quantitated using an XTT assay. To determine CC ₅₀ values (concentration of drug required to kill 50% of cells), laboratory-adapted peripheral blood mononuclear cells (PBMCs) are initially plated at a density of 0.1×10 ⁶ cells/mL. In

the absence of compounds, the cell densities typically reach 1×10^6 to 1.2×10^6 /mL after 6 days[1].

Solubility Information

Solubility	DMSO: 8.57 mg/mL (18.1 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	2.112 mL	10.5601 mL	21.1202 mL
5 mM	0.4224 mL	2.112 mL	4.224 mL
10 mM	0.2112 mL	1.056 mL	2.112 mL
50 mM	0.0422 mL	0.2112 mL	0.4224 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

Nowicka-Sans B, et al. In vitro antiviral characteristics of HIV-1 attachment inhibitor BMS-626529, the active component of the prodrug BMS-663068. *Antimicrobial Agents and Chemotherapy* (2012), 56(7), 3498-3507.
 Nettles RE, et al. Pharmacodynamics, safety, and pharmacokinetics of BMS-663068, an oral HIV-1 attachment inhibitor in HIV-1-infected subjects. *J Infect Dis.* 2012 Oct 1;206(7):1002-11.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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