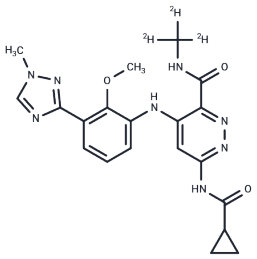
Data Sheet (Cat.No.T14687)

TargetMol

Deucravacitinib

Chemical Properties



CAS No. : 1609392-27-9

Formula: C20H19D3N8O3

Molecular Weight: 425.46

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	Deucravacitinib is a highly selective, orally bioavailable, allosteric TYK2 inhibitor for the treatment of autoimmune diseases. It blocks receptor-mediated Tyk2 activation by stabilizing the regulatory JH2 domain, inhibiting IL-12/23 and type I IFN pathways. It selectively binds to the TYK2 pseudokinase (JH2) domain with an IC50 of 1.0 nM.
Targets(IC50)	IFNAR,Interleukin,JAK,Tyrosine Kinases
In vitro	**METHODS**: The mean daily percent inhibition of TYK2 was simulated by Deucravacitinib (BMS-986165) (6 mg/12 mg once daily) at clinically relevant concentrations. **RESULTS** Deucravacitinib (BMS-986165) had minimal effects on IL-2-induced STAT5 phosphorylation (JAK 1/3) and TPO-induced STAT3 phosphorylation (JAK 2/2). [3]
In vivo	**METHODS**: When mirdametinib was used in combination with Deucravacitinib (BMS-986165) (40 μM) in JW23.3 cells, cell growth was observed. **RESULTS** Both drugs synergistically inhibited cell proliferation and increased cell apoptosis compared to either drug alone. [4]

Solubility Information

Solubility	DMSO: 84.2 mg/mL (197.9 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: 3.3 mg/mL (7.76 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3504 mL	11.752 mL	23.504 mL
5 mM	0.4701 mL	2.3504 mL	4.7008 mL
10 mM	0.235 mL	1.1752 mL	2.3504 mL
50 mM	0.047 mL	0.235 mL	0.4701 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

Wrobleski ST,et al. Highly Selective Inhibition of Tyrosine Kinase 2 (TYK2) for the Treatment of Autoimmune Diseases: Discovery of the Allosteric Inhibitor BMS-986165 J Med Chem. 2019 Oct 24;62(20):8973-8995.
Catlett I, et al. SAT0226 A first-in-human, study of BMS-986165, a selective, potent, allosteric small molecule inhibitor of tyrosine kinase Annals of the Rheumatic Diseases 2017;76:859.
Chimalakonda A, et al. Selectivity Profile of the Tyrosine Kinase 2 Inhibitor Deucravacitinib Compared with Janus Kinase 1/2/3 Inhibitors. Dermatol Ther (Heidelb). 2021 Oct;11(5):1763-1776.
Borcherding DC, et al. MEK Inhibition Synergizes with TYK2 Inhibitors in NF1-Associated Malignant Peripheral Nerve Sheath Tumors. Clin Cancer Res. 2023 Apr 14;29(8):1592-1604.

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