

## Osunprotafib

## Chemical Properties

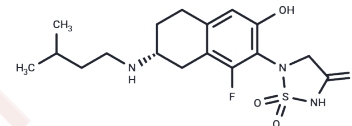
CAS No. : 2489404-97-7

Formula: C17H24FN3O4S

Molecular Weight: 385.45

Storage: Keep away from moisture, Store at low temperature  
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	Osunprotafib (ABBV-CLS-484) is a potent, orally bioavailable PTP1B/PTPN2 inhibitor in clinical trials for solid tumors. [1] Osunprotafib (ABBV-CLS-484) stimulates the tumor microenvironment and promotes natural killer cell and CD8 T cell function and enhances T cell anti-tumor immunity by enhancing JAK-STAT signaling and reducing T cell dysfunction. [2]
Targets(IC50)	STAT,JAK,Phosphatase
In vitro	Osunprotafib (ABBV-CLS-484) dose-dependently increased STAT5 phosphorylation to similar levels in whole blood from healthy donors and cancer patients; Osunprotafib (ABBV-CLS-484) increased IFN $\gamma$ -induced STAT1 phosphorylation and CXCL10 production in blood samples from healthy donors and cancer patients, suggesting that Osunprotafib (ABBV-CLS-484)-enhanced pathway engagement leads to enhanced downstream functional effects; Osunprotafib (ABBV-CLS-484) increased T cell activation and function in human whole blood, as indicated by dose-dependent increases in CD69 expression and IFN $\gamma$ and TNF production after TCR stimulation; Osunprotafib (ABBV-CLS-484) inhibition of PTPN2/N1 enhances human immune cell activation. [2]
In vivo	<p><b>METHODS:</b> Mice with systemic Ptpn2 deletion were administered oral doses of Osunprotafib (ABBV-CLS-484) at 3/10/100 mg/kg twice daily in an attempt to determine whether oral systemic inhibition of PTPN2/N1 could be a safe and effective immunotherapy</p> <p><b>RESULTS</b> Circulating chemokines, including CXCL10 and CXCL9, increased 2-3-fold at a dose of 10 mg kg<sup>-1</sup> twice daily; a dose of 100 mg/kg twice daily resulted in significant Systemic immune activation, manifested by significant increases in circulating cytotoxic granzyme B (GZMB) CD8 T cells and cytokines such as CXCL10 and CXCL9.</p> <p><b>METHODS:</b> Animals with tumors were evaluated at doses of 50, 100, and 150 mg/kg-1 once daily and significant changes in body condition score or body weight were observed.</p> <p><b>RESULTS</b> No significant changes in body weight were observed with Osunprotafib (ABBV-CLS-484), which was tolerated in naive rats at doses up to 300 mg kg<sup>-1</sup>/day for 28 days. The most notable finding was a dose-dependent infiltration of immune cells in the kidneys, joints and liver, and it was also found that Osunprotafib (ABBV-CLS-484) has a relatively short half-life, allowing for rapid discontinuation of treatment, and thus faster than antibody-based therapies. effectively resolve inflammatory conditions. [2]</p>

## Solubility Information

Solubility	DMSO: 19 mg/mL (49.29 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1.5 mg/mL (3.89 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	2.5944 mL	12.9719 mL	25.9437 mL
5 mM	0.5189 mL	2.5944 mL	5.1887 mL
10 mM	0.2594 mL	1.2972 mL	2.5944 mL
50 mM	0.0519 mL	0.2594 mL	0.5189 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

- Liang S, et al. A small molecule inhibitor of PTP1B and PTPN2 enhances T cell anti-tumor immunity. Nat Commun. 2023 Jul 27;14(1):4524.
- Baumgartner CK, et al. The PTPN2/PTPN1 inhibitor ABBV-CLS-484 unleashes potent anti-tumour immunity. Nature. 2023 Oct;622(7984):850-862.
- Christina K, et al. ABBV-CLS-484: An active site PTPN2/N1 inhibitor that augments the immune response and sensitizes tumors to immune-mediated killing. Cancer Res (2022) 82 (12\_Supplement): ND06.
- Baumgartner C K, et al. The PTPN2/PTPN1 inhibitor ABBV-CLS-484 unleashes potent anti-tumour immunity]]. Nature, 2023: 1-13.

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