Product Data Sheet

Product Name: Pembrolizumab
Cat. No.: GC19531

Chemical Properties

Cas. No.	1374853-91-4	
Formula	M.Wt	146266.23
Solubility	Storage	Store at -80°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.	
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.	
Structure	Pembrolizumab	

Protocol

Cell experiment [1]:

Cell lines	Peripheral blood mononuclear cells (PBMC)
Preparation Method	PBMC were isolated from fresh whole blood. PBMC were suspended at 2 x 10^6 cells/well in 2 ml complete medium (RPMI-1640 supplemented with 2 mM L-glutamine, 10% FCS and 1% penicillin/streptomycin); 24-well non-treated culture plates were precoated with plate-bound 2 µg/ml anti-CD3 antibody and 2 µg/ml anti-CD28 antibody for 2.5 h at 37℃.

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Reaction Conditions	PBMC were either plated as‘non-activated’ in non-coated wells or ‘activated’ in precoated wells. Plated cells were then treated with anti-PD-1 monoclonal antibody, pembrolizumab, at 2 μg/ml and were incubated for 24 h in a humidified incubator at 37℃ and 5% CO^2.
Applications	Pembrolizumab treatment could reduce PD-1 expression significantly in $CD4^+$ T cells in non-activated and activated PBMC. Pembrolizumab treatment resulted in a significant reduction in PD-1 expression in both $CD4^+CD25^+$ T cells and $CD4^+CD25^-$ T cells.

Animal experiment [2]:

Animal models	NSG mice; Humanized NSG mice
Preparation Method	Humanized NSG mice were generated by intravenous injection of 105 human $CD34^+$ ($hCD34^+$) HPSCs into 3-week-old female NSG mice, 4 h post-140 cGy total body irradiation using the RS-2000 irradiator. Humanized NSG mice that had over 25% $hCD45^+$ cells in the peripheral blood were considered as engrafted and humanized. Then patient-derived tumors were finely minced and loaded into 1-cc syringes with 14-gauge needles. Depending on the tumor model, 20–40 μl of homogenized tumor tissue was inoculated subcutaneously at the right flank of mice while under anesthesia.
Dosage form	10 mg/kg i.p. for the first dose, followed by 5 mg/kg, i.p. dosage on day 5, 10, 15, 20, and 25.

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Applications	Pembrolizumab treatment significantly decreased $PD^{-}1^{+}$ cell detection in $hCD45^{+}$ cells in tumor models. But the percentage of $PD^{-}L1^{+}$ cells in hCD45+ or $hCD45^{-}$ cell populations was not affected by pembrolizumab treatment. Moreover, pembrolizumab treatment obviously delayed TNBC CDX growth compared with the vehicle control group and inhibited tumor growth in both TNBC and NSCLC PDX Onco-Humanized NSG models.

References:

[1]. Toor SM, et al. In-vitro effect of pembrolizumab on different T regulatory cell subsets. Clin Exp Immunol. 2018 Feb;191(2):189-197.

[2]. Wang M, et al. Humanized mice in studying efficacy and mechanisms of PD-1-targeted cancer immunotherapy. FASEB J. 2018 Mar;32(3):1537-1549.

Background

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Background

Pembrolizumab, an anti–programmed death-1 monoclonal antibody, has demonstrated clinically significant anti-tumor activity with acceptable safety in patients with advanced solid cancers and was approved by the U.S. FDA for the treatment of advanced melanoma, NSCLC, head and neck squamous cell cancer, and other malignant tumors.[1]

In vitro study demonstrated that pembrolizumab had a greater effect on PD-1 expression in CD4+CD25- T cells which expressed most of the PD-1, and that are comprised of non-Treg and/or non-activated T cells. However, pembrolizumab did not affect the expression levels of Treg-related markers, including cytotoxic T lymphocyte antigen-4 (CTLA-4), CD15s, latency-associated peptide (LAP) and Ki-67 as well as the levels of FoxP3+/-Helios+/- Treg subsets in both cohorts.[2]

In vivo study of pembrolizumab indicated that in Onco-Humanized NSG mice, pembrolizumab could inhibit tumor growth, not only in CDX but also in various PDX tumor models. Results showed that the efficacy of pembrolizumab is dependent on the engraftment of an adaptive human immune system in Onco-Humanized NSG mice, specifically hCD8+ T cells. Furthermore, pembrolizumab increased both CD4+ and CD8+ T-cell numbers in the blood of the 2 NSCLC Onco-Humanized NSG models but decreased both CD4+ and CD8+ T-cell numbers in the blood of the TNBC Onco-Humanized NSG model.[3]

References:
[1]. Mo DC, et al. Safety and efficacy of pembrolizumab plus lenvatinib versus pembrolizumab and lenvatinib monotherapies in cancers: A systematic review. Int Immunopharmacol. 2021 Feb;91:107281.
[2]. Toor SM, et al. In-vitro effect of pembrolizumab on different T regulatory cell subsets. Clin Exp Immunol. 2018 Feb;191(2):189-197.
[3]. Wang M, et al. Humanized mice in studying efficacy and mechanisms of PD-1-targeted cancer immunotherapy. FASEB J. 2018 Mar;32(3):1537-1549.

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