

Product Data Sheet

Product Name: PD-L1/HDAC6-IN-1 TFA

Cat. No.: GC79370

Chemical Properties

Cas. No.

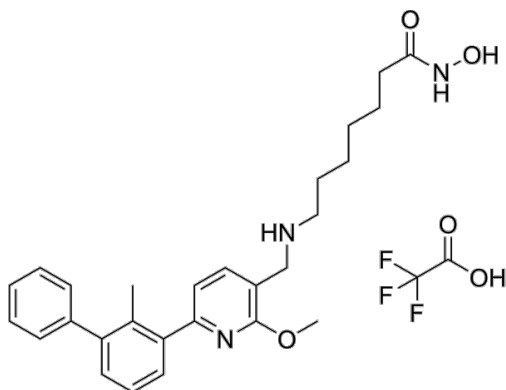
Formula $C_{29}H_{34}F_3N_3O_5$ M.Wt 561.59

Solubility DMSO: 100 mg/mL (178.07 mM; Need ultrasonic) Storage Store at -20°C, away from moisture

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Background

PD-L1/HDAC6-IN-1 TFA is an orally active dual inhibitor of PD-L1 and HDAC6, with IC₅₀ values of 26.8 nM and 78 nM, respectively. PD-L1/HDAC6-IN-1 TFA binds to human and murine PD-L1 proteins with high affinity, while it reduces STAT3 phosphorylation and downregulates PD-L1 expression by inhibiting HDAC6, thus blocking the PD-1/PD-L1 interaction. PD-L1/HDAC6-IN-1 TFA exhibits potent anti-tumor activity in a mouse melanoma model. PD-L1/HDAC6-IN-1 is suitable for research on tumor immune regulation related to melanoma [1].

In Vivo, PD-L1/HDAC6-IN-1 (HP29) (20 mg/kg; i.p., once daily for 14 days) TFA significantly suppresses tumor growth with a tumor growth inhibition (TGI) rate of 65.5% in the B16-F10 melanoma model, induces no obvious body weight loss or systemic toxicity, markedly elevates the percentages of tumor-infiltrating CD3+CD4+ and CD3+CD8+ lymphocytes, and significantly reduces PD-L1 protein expression while increasing acetylated α -tubulin levels in tumor tissues [1].

In Vitro, PD-L1/HDAC6-IN-1 (HP29) (0.1-2.0 μ M; 12 h) TFA upregulates the level of acetylated α -tubulin in B16-F10 cells and Jurkat cells [1]. PD-L1/HDAC6-IN-1 (0.1-2.0 μ M; 24 h) TFA downregulates the expression of p-STAT3 and PD-L1 in B16-F10 cells [1]. PD-L1/HDAC6-IN-1 TFA shows negligible cytotoxicity against B16-F10, HepG2, MCF-7 and Jurkat cells [1]. PD-L1/HDAC6-IN-1 (0.01-10 μ M; 48 h) TFA shows negligible cytotoxicity against HepG2 cells and Jurkat T cells, while dose-dependently increasing the mortality of HepG2 cells co-cultured with Jurkat T cells with an IC₅₀ of 3.4 μ M [1]. PD-L1/HDAC6-IN-1 TFA dose-dependently activates luciferase activity in PD-1/PD-L1 NFAT reporter assay (EC₅₀ = 3.15 μ M) [1]. PD-L1/HDAC6-IN-1 TFA binds to human PD-L1 and murine PD-L1 with KD values of 179 nM and 73 nM in the ITC assay, and 464 nM and 55.3 nM in the SPR assay, respectively [1].

References:

[1]. Hu Z, et al. Discovery of Novel and Highly Potent Dual PD-L1/Histone Deacetylase 6 Inhibitors with Favorable Pharmacokinetics for Cancer Immunotherapy. J Med Chem. 2025;68(5):5426-5454.

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