Data Sheet (Cat.No.T212071)

TargetMol

PROTAC FAK degrader 3

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Keep away from direct sunlight
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	PROTACFAKdegrader 3 is a selective FAK PROTAC degrader (DC50 = 1.08 nM). It induces FAK degradation through the ubiquitin-proteasome system and its interaction with FAK and CRBN. By inhibiting FAK's non-catalytic activity, PROTACFAKdegrader 3 enhances MHC-I gene transcription and tumor cell surface expression, leading to increased antigen presentation and activation of cytotoxic CD8 T cells. Its ability to promote MHC-I expression and boost T cell activation strengthens its antitumor efficacy in vivo. PROTACFAKdegrader 3 is applicable to cancer research targeting FAK degradation, including studies on ovarian cancer and hepatocellular carcinoma.
Targets(IC50)	FAK,PROTACs
In vitro	PROTAC FAK degrader 3 (Compound D4) effectively induces the degradation of FAK in various cell lines such as PA-1, ID8, 4T1, H22, HEY, MDA-MB-231, and PLC/PRF5 within a concentration range of 0-1000 nM over 24 hours. In PA-1 cells, it achieves 89% degradation of FAK at 50 nM and 92% at 500 nM. At a concentration of 1 μM, PROTAC FAK degrader 3 inhibits FAK activity by 99% (IC50 = 0.44 nM), and also inhibits STK33 (92%), CLK4 (87%), and Fes (86%) kinase activities. The compound demonstrates antiproliferative effects against PA-1, HEY, and Huh-7 cells within concentrations of 0.01-100 μM over 72 hours. It also reduces colony formation, migration, and invasion in PA-1 cells at concentrations ranging from 0-3 μM for 1-7 days. Additionally, PROTAC FAK degrader 3 at 3 μM for 24 hours upregulates genes associated with tumor immunogenicity, antigen presentation (HLA-B, HLA-F, and B2M), and immunoproteasome (PSMB8 and PSMB9) in PA-1 cells. Furthermore, it enhances tumor cell surface antigen presentation and MHC-I expression in ID8, PA-1, H22, and PLC/PRF5 cells. When ID8 cells are co-cultured with activated mouse CD8 T cells, 3 μM of the compound for 72 hours promotes activation and proliferation of antigen-specific CD8 T cells.
In vivo	PROTAC FAK degrader 3 (Compound D4), administered at 15 mg/kg via intraperitoneal injection once daily for 8 days, enhances antitumor activity in the H22 tumor mouse model by promoting MHC-I expression and boosting T cell activation.

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