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Cofetuzumab pelidotin

Cat. No.: HY-P99829

CAS No.: 1869937-48-3

Target: Antibody-Drug Conjugates (ADCs); Microtubule/Tubulin

Pathway: Antibody-drug Conjugate/ADC Related; Cell Cycle/DNA Damage; Cytoskeleton

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Cofetuzumab pelidotin (PF-06647020) is a PTK7-targeting ADC comprising a humanized anti-PTK7 mAb (hu6M024, IgG1) joined to an auristatin microtubule inhibitor payload, auristatin-0101 (Aur0101; HY-12522), by a cleavable valine-citrulline (vc)-based linker. Cofetuzumab pelidotin has a DAR of 4. Cofetuzumab pelidotin binds to cell-surface PTK7 with an EC_{50} of 1153 pM by flow cytometry. Cofetuzumab pelidotin has the potential for solid tumors research[1][2][3].

In Vitro

Cofetuzumab pelidotin (PF-06647020) shows in vitro cytotoxic effects on PTK7 expressing cancer cell lines H446, H661 and OVCAR3 with EC_{50} values of 7.6, 27.5 and 105 ng/mL, respectively[1].

Cofetuzumab pelidotin (PF-06647020) (for 6 days) shows high potency and PTK7-specific cytotoxicity in a panel of cancer cell lines (A549, MDA-MB-468, KYSE-150, SKOV-3, PC9, NCI-H1975 cells) with IC_{50}s of 0-1100 nM[2].

Cofetuzumab pelidotin is less stable with a much shorter $T_{1/2}$ of less than 3 days[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Cofetuzumab pelidotin (PF-06647020; 3 mg/kg; Intraperitoneal injection twice a week for four cycles) induces striking in vivo anti-tumor effects on a subset of PDXs derived from NSCLC, OVCA and TNBC[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6- to 10-week-old NOD scid mice with NSCLC, OVCA and TNBC cells[1]
Dosage:	3 mg/kg
Administration:	Intraperitoneal injection twice a week for four cycles
Result:	Induced striking in vivo anti-tumor effects on a subset of patient–derived xenografts (PDXs) derived from NSCLC, OVCA and TNBC.

REFERENCES

[1]. Marc Damelin, et al. A PTK7-targeted antibody-drug conjugate reduces tumor-initiating cells and induces sustained tumor regressions. Sci Transl Med. 2017 Jan 11;9(372):eaag2611.

[2]. Chao Kong, et al. MTX-13, a Novel PTK7-Directed Antibody-Drug Conjugate with Widened Therapeutic Index Shows Sustained Tumor Regressions for a Broader Spectrum of PTK7-Positive Tumors. Mol Cancer Ther. 2023 Oct 2;22(10):1128-1143.

[3]. Masaru Katoh. Antibody-drug conjugate targeting protein tyrosine kinase 7, a receptor tyrosine kinase-like molecule involved in WNT and vascular endothelial growth factor signaling: effects on cancer stem cells, tumor microenvironment and whole-body homeostasis. nn Transl Med. 2017 Dec;5(23):462.

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