Figitumumab

Cat. No.: HY-P99197

CAS No.: 943453-46-1

Target: IGF-1R

Pathway: Protein Tyrosine Kinase/RTK

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

BIOLOGICAL ACTIVITY

Description

Figitumumab (CP-751871) is a potent and fully human monoclonal anti–insulin-like growth factor 1 receptor (IGF1R) antibody. Figitumumab prevents IGF1 from binding to IGF1R with an IC_{50} of 1.8 nM[1].

IC_{50} & Target

IC_{50}: 1.8 nM (IGF1R)[1]

In Vitro

Figitumumab (CP-751871) (152 pM-10 μM; 3 days) inhibits cancer cell proliferation[1].
Figitumumab (1 μg/mL; 1 min or 24 h) induces the down-regulation of IGF-1R[2].
Figitumumab inhibits IGF1-induced autophosphorylation of IGF1R with an IC_{50} of 0.42 nM, and indirectly inhibits AKT activation[2].
Figitumumab recognizes the IGF-1R/IR heterodimer complex[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Breast, colon, lung small cell, and non–small cell cancer lines
Concentration:	152 pM-10 μM
Incubation Time:	3 days
Result:	15 cell lines (NCIH441, NCIH526, SW403, CACO2, SW48, NCIH524, SKCO1, SNUC1, LS1034, COLO205, MDAMB361, NCIH508, LS513, MCF7, NCIH378) were highly sensitive to the drug at IC_{50} values ⊠ 100 nM.

Western Blot Analysis[2]

Cell Line:	3T3/IGF-1R cell
Concentration:	1 μg/mL
Incubation Time:	1 min or 24 h
Result:	Blocked IGF-I- or IGF-II-induced autophosphorylation of the IGF-1R.

In Vivo

Figitumumab (CP-751871) (31-125 μg/mouse; i.p.; once) induces the down-regulation of tumor associated IGF-1R in mice[2].
Figitumumab (62.5-500 μg/mouse; i.p.; once) inhibits the growth of s.c. xenografts derived from colon (Colo-205), breast

(MCF7), and lung (H460) cancer cell lines in mice[2].

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

Animal Model:	Female athymic mice (CD-1 nu/nu) bearing NIH3T3/IGF-1R tumors[2]
Dosage:	31 to 125 μg per mouse
Administration:	Intraperitoneal injection, once
Result:	Resulted in a serum C_{max} between 12 and 24 hours. At 24 hours, there was a dose-dependent reduction of IGF-1R protein in tumors, with 50% reduction observed at a serum concentration of 15 μg/mL. Resulted in a down-regulation of IGF-1R from the tumor. The half-life in an athymic mouse was determined to be 4 to 6 days by longer-term studies.

Animal Model:	Female athymic mice (CD-1 nu/nu), human Colo-205 tumor xenograft model[2]
Dosage:	62.5 μg or 250 μg per mouse
Administration:	Intraperitoneal injection, once
Result:	Inhibited the tumor growth.

REFERENCES

[1]. Pavlicek A, et al. Molecular predictors of sensitivity to the insulin-like growth factor 1 receptor inhibitor Figitumumab (CP-751,871). Mol Cancer Ther. 2013 Dec;12(12):2929-39.

[2]. Cohen BD, et al. Combination therapy enhances the inhibition of tumor growth with the fully human anti-type 1 insulin-like growth factor receptor monoclonal antibody CP-751,871. Clin Cancer Res. 2005 Mar 1;11(5):2063-73.

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