

Product Data Sheet

Product Name: NN3201
Cat. No.: GC78798

Chemical Properties

Cas. No.

Formula

M.Wt

Solubility

Storage Store at -80°C, protect from light

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



Background

NN3201 is a c-Kit -targeting antibody-drug conjugate (ADC) with high affinity ($K_D = 0.19 \text{ pM}$). NN3201 is composed of 4-(3-Tosyl-2-(tosylmethyl)propanoyl)benzoic acid-glu(PEG24-Me)-val-cit-NH-benzyloxyformic acid-MMAE and an anti-c-Kit human monoclonal antibody NN2101. NN3201 rapidly internalizes and inhibits stem cell factor (SCF)-driven signaling, thereby delivering its payload to induce cell cycle arrest and apoptosis. NN3201 exhibits no Fc-mediated effector functions antibody-dependent cell-mediated cytotoxicity (ADCC)/complement-dependent cytotoxicity (CDC) due to reduced FcγR binding. NN3201 exhibits significant c-Kit-dependent anti-tumor efficacies in various tumor models. NN3201 can be used in small cell lung cancer (SCLC) and gastrointestinal

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

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stromal tumor (GIST) and acute myeloid leukemia (AML) research [1][2].

In Vivo, NN3201 (0.5-10 mg/kg, i.v., Q10D x 3 or Q1W x 3) exhibits significant c-Kit-dependent anti-tumor efficacies in various GIST and SCLC tumor mice models^[1].

In Vitro, NN3201 (1 µg/mL, 1 h) exhibits dose-dependent binding to c-Kit-high and c-Kit-medium cell lines, which saturated at 315 pM, with no binding observed to c-Kit-low or negative cell lines^[1]. NN3201 (1 µg/mL, 0.5-24 h) internalizes rapidly (within 30 minutes) and continuously in c-Kit-positive GIST-T1 cells, with the signal increasing for up to 24 hours, but its internalization was c-Kit-dependent^[1]. NN3201 (3-7 days) demonstrates potent, c-Kit-dependent cytotoxicity mediated by its MMAE payload in a panel of positive cell lines, with GI50 values of 0.09 nM (GIST-T1), 0.69 nM (GIST-430), 0.12 nM (GIST-430/654), and 17.06 nM (NCI-H1048)^[1]. NN3201 (0.01-1 µg/mL, 1 h) dose-dependently decreases the SCF-mediated phosphorylation on c-Kit (Y719, Y568/570), Erk1/2, and Akt (S473) in NCI-H1048 cells, while only partially suppressing phosphorylation (pY568/570 c-Kit and pErk1/2) in GIST-430/654 cells^[1]. NN3201 (1 µg/mL, 1-3 days) induces c-Kit degradation and signaling inhibition through continuous internalization, which triggered apoptosis, demonstrated by the increase in cleaved caspase-3 and caspase-7^[1]. NN3201 (5 µg/mL, 24-48 h) induces cell cycle arrest in the G2/M and sub-G1 phases, which is attributed to the microtubule-disrupting action of its released MMAE payload^[1]. NN3201 (4 µg/mL, 7 days) triggers a potent bystander effect, mediated by free MMAE released from NN3201-treated GIST-430/654 cells, which killed neighboring MCF7-GFP⁺ cancer cells with negligible c-Kit expression^[1].

References:

- [1]. Kim C, et al. Preclinical Antitumor Efficacy of a Novel Anti-c-Kit Antibody-Drug Conjugate, NN3201, in c-Kit-Positive Tumors. Mol Cancer Ther. 2025 Sep 24:OF1-OF14.
- [2]. Ko H-J, et al. In vivo efficacy and preclinical safety profile of NN3201, an anti-cKIT antibody-drug conjugate, for treating SCLC and AML. Cancer Res. 2023 April 4;83(7_Supplement):2648.

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