Mipsagargin

Cat. No.:	HY-16215
CAS No.:	1245732-48-2
Molecular Formula:	$C_{66}H_{100}N_6O_{27}$
Molecular Weight:	1409.52
Sequence:	Asp-{Ggu}-{Ggu}-{Ggu}-{Ggu}
Sequence Shortening:	D{Ggu}-{Ggu}-{Ggu}-{Ggu}
Target:	Drug-Linker Conjugates for ADC
Pathway:	Antibody-drug Conjugate/ADC Related
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Mipsagargin (G-202) is a novel thapsigargin-based targeted proagent consisting of a prostate-specific membrane antigen (PSMA)-specific peptide coupled to an analog of the potent sarcoplasmic/endoplasmic reticulum calcium adenosine triphosphatase (SERCA) pump inhibitor Thapsigargin (HY-13433). Mipsagargin is activated by PSMA-mediated cleavage of an inert masking peptide. Mipsagargin has the potential for refractory, advanced or metastatic solid tumours research[1][2][3].
IC_{50} & Target	Traditional Cytotoxic Agents
In Vitro	Mipsagargin (G-202) is against the PSMA-nonproducing TSU cells (IC_{50}=191 nM) and is 57-fold higher than that for the PSMA-producing LNCaP cells (IC_{50}=5351 nM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mipsagargin (G-202; 56 mg/kg; 2 daily; 49 days) alone is able to produce significant (>50%) tumor regression. This regression is stabilized when combined with daily dosing with the oral HDAC4 inhibitor, Tasquinimod (HY-10528)[1]. Mipsagargin (56 mg/kg/day; for 3 consecutive days) produces -50% average regression of LNCaP xenografts in intact mice over a 30-day period. Significant antitumor effects are also observed against MDA-PCa2b and CWR22R-H out to ≥30 days after a single 3-day course of Mipsagargin[2]. Mipsagargin (67 mg/kg; IV) HaS a half-life of 4.9 hours in BALB/c mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MCF-7 human breast cancers growing in mice[1]
Dosage:	56 mg/kg
Administration:	IV; 2 daily; 49 days
Result:	Alone was able to produce significant (>50%) tumor regression. This regression was stabilized when combined with daily dosing with the oral HDAC4 inhibitor, Tasquinimod (10 mg/kg/d; oral).

Animal Model:	BALB/c mice[2]
Dosage:	67 mg/kg (Pharmacokinetic Analysis)
Administration:	IV; a single dose
Result:	Had a half-life of 4.9 hours.

REFERENCES

[1]. John T Isaacs, et al. Mipsagargin: The Beginning-Not the End-of Thapsigargin Prodrug-Based Cancer Therapeutics. Molecules. 2021 Dec 9;26(24):7469.

[2]. Samuel R Denmeade, et al. Engineering a prostate-specific membrane antigen-activated tumor endothelial cell prodrug for cancer therapy.

[3]. D Mahalingam, et al. Mipsagargin, a novel thapsigargin-based PSMA-activated prodrug: results of a first-in-man phase I clinical trial in patients with refractory, advanced or metastatic solid tumours. Br J Cancer. 2016 Apr 26;114(9):986-94.

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