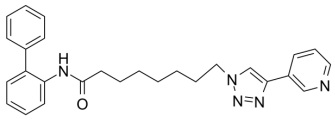
GPP78

Cat. No.:	HY-14374
CAS No.:	1202580-59-3
Molecular Formula:	$C_{27}H_{29}N_5O$
Molecular Weight:	439.55
Target:	NAMPT; Autophagy
Pathway:	Metabolic Enzyme/Protease; Autophagy
Storage:	Solution, -20°C, 2 years



BIOLOGICAL ACTIVITY

Description

GPP78 (CAY10618) is a potent Nampt inhibitor with an IC_{50} of 3.0 nM for nicotinamide adenine dinucleotide (NAD) depletion. GPP78 is cytotoxic to neuroblastoma cell line SH-SY5Y cells with an IC_{50} of 3.8 nM by inducing autophagy. GPP78 has anti-cancer and anti-inflammatory effects[1][2].

IC_{50} & Target

Nampt[1];
Autophagy[1]

In Vitro

GPP78 (Compound 8; 10 nM; 24-40 hours; SH-SY5Y cells) treatment with cells, punctate staining of LC3-II and the formation of autophagolysosomes are observable. LC3-II is membrane-bound and is present in autophagosomes[1].
GPP78 (Compound 8) inhibits the growth of most cell lines tested, with nanomolar potency (GI_{50}) in cell lines derived from leukemia, lung, CNS, colon, melanoma, ovarian, renal, and prostate cancers. GPP78 appears truly cytotoxic in melanoma cell lines, while in the others it is mainly cytostatic[1].

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

Western Blot Analysis[1]

Cell Line:	SH-SY5Y cells
Concentration:	10 nM
Incubation Time:	24 hours, 40 hours
Result:	Punctate staining of LC3-II and the formation of autophagolysosomes were observable.

In Vivo

GPP78 (10 mg/kg; intraperitoneal injection; daily; 1 hour or 6 hours after SCI; for 19 days; male adult CD1 mice) treatment reduces the severity of spinal cord trauma in SCI mice[2].

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Animal Model:	Male adult CD1 mice (25-30 g) with spinal cord injury (SCI)[2]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; daily; 1 hour or 6 hours after SCI; for 19 days

Result:	Significantly reduced the demyelination associated with SCI. And significantly ameliorated the functional deficits induced by SCI.

CUSTOMER VALIDATION

- Sci Signal. 2021 Jun 8;14(686):eabc7405.

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REFERENCES

[1]. Colombano G, et al. A novel potent nicotinamide phosphoribosyltransferase inhibitor synthesized via click chemistry. J Med Chem. 2010 Jan 28;53(2):616-23.

[2]. Esposito E, et al. The NAMPT inhibitor FK866 reverts the damage in spinal cord injury. J Neuroinflammation. 2012 Apr 10;9:66.

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