# Inhibitors

# **Product** Data Sheet

# **Amikacin**

Cat. No.: HY-B0509A CAS No.: 37517-28-5 Molecular Formula:  $C_{22}H_{43}N_5O_{13}$ 

Molecular Weight: 585.6

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Powder -20°C Storage: 3 years

2 years

In solvent -80°C 6 months

> -20°C 1 month

$$H_2N$$
 OH  $H_2N$   $H_2N$ 

### **SOLVENT & SOLUBILITY**

In Vitro

H<sub>2</sub>O: 100 mg/mL (170.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	
	1 mM	1.7077 mL	8.5383 mL	17.0765 mL	
	5 mM	0.3415 mL	1.7077 mL	3.4153 mL	
	10 mM	0.1708 mL	0.8538 mL	1.7077 mL	

Please refer to the solubility information to select the appropriate solvent.

## **BIOLOGICAL ACTIVITY**

Description Amikacin (BAY 41-6551) is a semisynthetic kanamycin analog that is active against most Gram-negative bacteria, including gentamicin- and tobramycin-resistant strains. Significant inhibitory effect. Amikacin is ototoxic and nephrotoxic. Amikacin

can be used in bacteriostatic, anti-cancer and analgesic studies<sup>[1][2][3][4][5]</sup>.

IC<sub>50</sub> & Target Aminoglycoside **TXNIP** 

Amikacin (30 µg, 0-24 h) has antibacterial activity, with a MIC<sub>50</sub> value of 512 µg/mL against clinically isolated E. coli, and has In Vitro a synergistic effect with imipenem (HY-B1369A), and the antibacterial effect is better when used in combination<sup>[1]</sup>.

Amikacin (250 μg/mL, 0-24 h) inhibits the migration and invasion of human breast cancer cell line MDA-MB-231 cells by upregulating the expression of TXNIP, indicating its anti-tumor potential [2].

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

Western Blot Analysis<sup>[2]</sup>

Cell Line: human breast cancer cell line MDA-MB-231 cells

Concentration:	250 μg/mL
Incubation Time:	0-24 h
Result:	Upregulated the expression of TXNIP to 4.87 times.

### In Vivo

Amikacin (single 30 mg/kg, s.c. or i.p.) has an analgesic effect in mice and has a synergistic effect when combined with morphine, but the analgesic effect of Amikacin can be reversed by Naloxone (HY-17417A)<sup>[3]</sup>.

Amikacin (500 mg/kg/day for 8 days, s.c.) damages calpain activity in rat cochlea, promotes the degradation of sensory cells and neurons, and then leads to ototoxicity  $^{[4]}$ .

Amikacin (100 and 500 mg/kg/day for 10 days, s.c.) is nephrotoxic and its continued accumulation in rats can lead to kidney damage<sup>[5]</sup>.

Pharmacokinetic Analysis in SD rats<sup>[5]</sup>

Route	Dose (mg/kg)	K <sub>a</sub> (h <sup>-1</sup> )	$K_{e1} (h^{-1})$	t <sub>1/2</sub> (h)	V (liter/kg)	AUC <sub>0-∞</sub> (mg·h/mL)
S.C.	100	1.20	6.77	0.10	0.28	53.0
s.c.	500	1.40	1.39	0.50	0.55	649.7

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

## **CUSTOMER VALIDATION**

- Nat Commun. 2022 Mar 2;13(1):1116.
- Int J Antimicrob Agents. 2018 Aug;52(2):269-271.
- J Antimicrob Chemother. 2020 Sep 1;75(9):2609-2615.
- J Antimicrob Chemother. 2020 Jul 1;75(7):1850-1858.
- Appl Microbiol Biotechnol. 2022 Apr;106(7):2689-2702.

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### REFERENCES

- [1]. Farhan SM, et al. In Vitro and In Vivo Effect of Amikacin and Imipenem Combinations against Multidrug-Resistant E. coli. Trop Med Infect Dis. 2022 Oct 2;7(10):281.
- [2]. Wang YH, et al. Amikacin Suppresses Human Breast Cancer Cell MDA-MB-231 Migration and Invasion. Toxics. 2020 Nov 20;8(4):108.
- [3]. Atamer-Simsek S, et al. Antinociceptive effect of amikacin and its interaction with morphine and naloxone. Pharmacol Res. 2000 Mar;41(3):355-60.
- $[4]. \ Ladrech \ S, et \ al. \ Calpain \ activity \ in \ the \ amikacin-damaged \ rat \ cochlea. \ J \ Comp \ Neurol. \ 2004 \ Sep \ 13;477(2):149-60.$
- [5]. Chan K, et al. Characterization of Amikacin Drug Exposure and Nephrotoxicity in an Animal Model. Antimicrob Agents Chemother. 2020 Aug 20;64(9):e00859-20.

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