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MDPI

Supplementary Material

Antibacterial Activity and Pharmacokinetic Profile of a Promising Antibacterial Agent: 22-(2-Amino-phenylsulfanyl)-22-Deoxypleuromutilin

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Table S1. The colony numbers of MRSA after treatment with constant concentration of amphenmulin for 3, 6, 9 and 24 h.(Data were shown as $\log \overline{X} \pm$ SD).

Time(h)	3	6	9	24
blank	7.79±0.08	8.18±0.34	8.43±0.23	8.56±0.10
0.5×MIC	7.17±0.08	7.83±0.16	8.22±0.15	8.33±0.10
1×MIC	6.84±0.08	7.44±0.06	7.86±0.13	7.70±0.46
2×MIC	6.43±0.13	6.56±0.23	7.07±0.42	7.26±0.62
4×MIC	5.96±0.66	6.13±0.06	5.77±0.15	4.04±0.58
8×MIC	5.91±0.03	5.98±0.09	5.78±0.09	3.55±0.16
16×MIC	5.79±0.12	5.77±0.15	5.64±0.11	2.97±0.06
32×MIC	5.60±0.18	5.91±0.56	5.11±0.36	2.43±0.67

Table S2. The plasma concentration values (μg/mL) of amphenmulin in mice after intravenous administration at a dose of 10 mg/kg (n = 8).

Time(h)	1	2	3	4	5	6	7	8	$\overline{X}$ ± S.D
0.083	3.06	4.5	3.97	3.15	4.2	5.1	3.25	4.31	3.94±0.73
0.25	2.85	3.07	3.57	3.78	4.44	3.64	4.65	4.18	3.77±0.64
0.5	2.65	3.66	3.82	3.09	3.18	4.31	3.98	3.54	3.53±0.53
0.75	2.35	3.46	4.22	3.49	2.98	4.21	3.48	2.31	3.31±0.74
1	3.90	3.62	3.02	2.02	2.52	2.95	3.40	4.09	3.19±0.70
2	1.56	1.41	2.31	2.00	2.56	2.83	3.14	2.61	2.30±0.65
4	0.85	0.99	1.32	1.00	1.10	0.89	0.79	0.94	1.01±0.16
6	0.46	0.31	0.43	0.54	0.52	0.29	0.34	0.44	0.42±0.09
8	0.10	0.16	0.30	0.24	0.19	0.12	0.28	0.32	0.21±0.08
12	0.03	0.05	0.08	0.03	0.04	0.05	0.06	0.05	0.05±0.01
24	ND	ND	ND	ND	ND	ND	ND	ND	—

ND: No Detectable.

Table S3. The plasma concentration values (μg/mL) of amphenmulin in mice after intraperitoneal administration at a dose of 10 mg/kg (n = 8).

Time(h)	1	2	3	4	5	6	7	8	$\overline{X}$ ± S.D
0.083	0.94	0.70	0.61	0.48	0.79	1.48	0.68	1.14	0.85±0.32
0.25	0.98	1.39	1.10	0.89	1.15	2.26	1.20	0.78	1.22±0.46
0.5	0.81	1.39	1.54	0.58	0.89	1.48	0.54	0.61	0.98±0.42
0.75	0.42	0.40	0.71	1.01	0.92	1.32	0.62	0.51	0.74±0.33
1	0.29	0.57	0.44	0.69	0.58	0.91	0.83	0.31	0.58±0.24
2	0.25	0.29	0.12	0.60	0.42	0.51	0.32	0.17	0.34±0.16
4	0.08	0.05	0.06	0.31	0.12	0.42	0.15	0.25	0.19±0.12
6	0.05	0.11	0.09	0.04	0.11	0.31	0.08	0.21	0.12±0.09
8	0.04	0.07	0.06	0.12	0.02	0.16	0.05	0.06	0.07±0.04
12	0.02	0.03	0.01	0.04	0.01	0.05	0.02	0.01	0.03±0.01
24	ND	ND	ND	ND	ND	ND	ND	ND	—

ND: No Detectable.

Table S4. The plasma concentration values (μg/mL) of amphenmulin in mice after oral administration at a dose of 10 mg/kg (n = 8).

Time(h)	1	2	3	4	5	6	7	8	$\overline{X}$ ± S.D
0.25	0.06	0.09	0.12	0.11	0.20	0.06	0.07	0.04	0.09±0.05
0.5	0.34	0.28	0.48	0.38	0.32	0.11	0.29	0.31	0.31±0.11
1	0.51	0.45	0.37	0.81	0.57	0.24	0.38	0.61	0.49±0.16
2	0.26	0.41	0.11	0.56	0.69	0.12	0.25	0.45	0.36±0.21
3	0.21	0.11	0.52	0.41	0.23	0.08	0.09	0.18	0.22±0.15
4	0.15	0.13	0.07	0.31	0.15	0.05	0.06	0.12	0.15±0.08
6	0.08	0.05	0.07	0.15	0.07	0.07	0.06	0.07	0.08±0.03
8	0.05	0.04	0.02	0.12	0.04	0.03	0.05	0.06	0.05±0.02
12	0.01	0.01	0.02	0.04	0.03	0.01	0.02	0.01	0.02±0.01
24	ND	ND	ND	ND	ND	ND	ND	ND	—

ND: No Detectable.

Equipment and analysis conditions of pharmacokinetic studies

The analysis of plasma sample was carried out on the LC–MS/MS system, which consisted of a Agilent 1200 HPLC (California, USA) and a triple quadrupole tandem mass spectrometer API 4000 MS/MS (Massachusetts, USA) system equipped with an electrospray ionization source (ESI). All data were acquired using the Analyst data processing software (Analyst 1.5). The chromatographic separation was achieved on an Waters C18 (50 mm×2.1 mm, 3.5 μm) reversed-phase column (Massachusetts, USA) at room temperature. The flow rate was 0.25 mL/min. The sample injection volume was 5μL. The mobile phase was composed of solvent A (formic acid aqueous solution, 0.01%, v/v) and solvent B (acetonitrile), with a gradient change of 0.0 min, 15:85; 0.5 min, 95:5; 3.0 min, 95:5; 3.5 min, 15:85; 9.0 min, 15:85. The ESI source was set to positive ion mode, and working parameters for the mass spectrometry were finally set as follows: ion spray voltage, 4500 V; source temperature, 600°C; nebulizing gas, 55 psi; auxiliary gas, 40 psi; curtain gas, 20 psi and collision gas, 7 psi. Quantification was performed using multiple reaction monitoring mode with the following transitions: m/z 486.5→m/z 303.2 and m/z 486.5→m/z 184.1.