

Table 1. Antimalarial activities and host toxicity (where known) of cationic ‘host defence’ and related peptides

Name of peptide or class	Source of original peptide(s)	IC ₅₀ /activity on erythrocytic stages, μM^a	Activity on insect stages ^b	Haemolytic activity	Host cytotoxicity, μM^c	References
Cecropins, SB-37	<i>Hyalophora cecropia</i>	~40 →300	0.05–1 $\mu\text{g}/\text{ml}$ cecropin (0.5- μl injection, >5 days after blood meal) reduced <i>Plasmodium cynomolgi</i> oocyst development in <i>Anopheles gambiae</i> .	None at concentrations tested		(26, 40, 41)
Shiva-1–3 (cecropin derivatives)	“	~20	$\geq 50 \mu\text{M}$ Shiva-3 (added at time 0) inhibited ookinete development in culture by 100%; 100 μM (added at 24 h) inhibited up to 54%. 75 μM inhibitory in blood meal (<i>Anopheles albimanus</i>) at 0 or 6 but not 12 h. 100 μM Shiva-1 blocked ookinete development in culture.	None at concentrations tested		(39, 40, 89)
Cecropin–melittin hybrids	<i>Hyalophora cecropia</i> / <i>Apis mellifera</i>	~10–50		None at 200 μM		(26, 36)
Magainins	<i>Xenopus laevis</i>	~80–100	0.04–0.4 $\mu\text{g}/\text{ml}$ magainin (0.5- μl injection, >5 days after blood meal) reduced <i>P. cynomolgi</i> oocyst development in <i>A. gambiae</i> .			(26, 36, 41)
Dermaseptin S3	<i>Phyllomedusa</i> spp.	0.26–1.5		None at 34 μM^d		(27)
Dermaseptin S4	“	0.27–2.2		At >8.5 μM^d		(27)
Dermaseptin	“	≥ 0.2 ; up to 100%		0–19% at 10		(28, 29)

S4 derivatives		inhibition at 10 μM		μM		
Lauryl-lysine oligomers	(Synthetic)	0.08–68		$\leq 26\%$ at 100 μM	37–800 (MDCK)	(30)
Defensins	<i>Aeschna cyanea</i> , <i>Phormia terranovae</i>		$>2.5 \mu\text{M}$ (1- μl injection, 4–7 days after blood meal) caused oocyst abnormality in <i>Aedes aegypti</i> . Sporozoites damaged by $\geq 1 \mu\text{M}$, 30 min.			(42)
Drosomycins	<i>Drosophila melanogaster</i>		Cultured ookinetes inhibited by drosomycin (15% at 10 μM , 76% at 20 μM), drosomycin 2 (29% at 20 μM)			(33)
AdDLP	<i>Anaeromyxobacter dehalogenans</i>	Killed by 10 μM	Cultured ookinetes inhibited $\sim 50\%$ by 20 μM .			(90)
Scorpine	<i>Pandinus imperator</i>	Trophozoites inhibited $>50\%$ by $\geq 0.1 \mu\text{M}$, 100% by $\geq 5 \mu\text{M}$ (40 h), 100% by $\geq 0.1 \mu\text{M}$ (88 h).	IC_{50} cultured ookinetes $\sim 0.7 \mu\text{M}$ (development), $\sim 10 \mu\text{M}$ (rosette formation); 15 μM inhibited cultured ookinetes 98% (time 0), $\leq 50\%$ (≥ 15 min.)	None at concentrations tested		(44, 91)
Gambicin	<i>A. gambiae</i>		Cultured ookinetes inhibited by $\geq 10 \mu\text{M}$.			(21)
Vida 1–3, P2WN, ILF	(Synthetic)		Cultured ookinetes inhibited by 50 μM at certain times (time-dependence different for different peptides). 50 μM in blood			(43)

			meal reduced no. oocysts/midgut (Vida 3, ILF most potent). 50 μ M Vida 3 or ILF (1- μ l injection, 4 days after blood meal) reduced no. oocysts/midgut.			
Psalmopeo- toxins I, II	<i>Psalmopoeus cambridgei</i>	1.12–1.56		None at 10 μ M	No effect up to 50 μ M (HeLa)	(31)
Psalmopeo- toxin I & II derivatives	“	\leq 62% inhibition at 20 μ g/ml				(32)
Gomesin	<i>Acantho- scurria gomesiana</i>	76–87	IC ₅₀ microgametocyte exflagellation 47 μ M. Ookinete formation in culture inhibited by \geq 12.5 μ M. Oocysts/midgut reduced ~53% at (estimated) 50 μ M, ~86% at (estimated) 100 μ M (<i>Anopheles stephensi</i> fed on injected mice). <i>P. falciparum</i> oocysts reduced ~56% at 50 μ M, 100% at 100 μ M (<i>A. stephensi</i> fed on stage V gametocytes).	40% at 100 μ M		(92, 93)
NK-2	<i>Sus scrofa</i>	6.2		None up to 10 μ M		(38)
TP10, PVEC	(Synthetic)	TP10 inhibitory at 30 μ M	<i>P. falciparum</i> oocysts reduced by 30 μ M TP10 or PVEC (<i>A. gambiae</i> fed on gametocytes)	5% at 30 μ M (TP10)		(94)
Histidine- rich peptides	“	0.1–17		HC ₅₀ ^e <5.6–716 μ M	Toxic in mid- μ M	(34)
Meucins	<i>Mesobuthus eupeus</i>	10 μ M inhibited trophozoites >90%	Cultured ookinetes inhibited 40% (meucin-24), 50% (meucin-25) by 20 μ M, 20% by 10 μ M (either).	None at 100 μ M	Little or no effect at 50 μ M	(95)

		at 48 h, 100% at 72 h but ineffective at 24 h			(GC-2)	
Catestatin & derivatives	<i>Homo sapiens</i> , <i>Bos taurus</i>	≥14; 1–88% inhibition at 20 μM				(35)

Blanks indicate not determined.

^a 50% inhibitory concentration (IC₅₀) on cultured, asexual *P. falciparum* unless otherwise stated. No more than two significant figures are shown. Care should be taken when comparing activities of peptides in different studies because of the different strains and assay methods used.

^b *P. berghei* unless otherwise stated.

^c IC₅₀ or equivalent on cultured mammalian cells (name of cell line if provided) unless otherwise stated.

^d In the presence of serum.

^e HC₅₀, 50% haemolytic concentration.