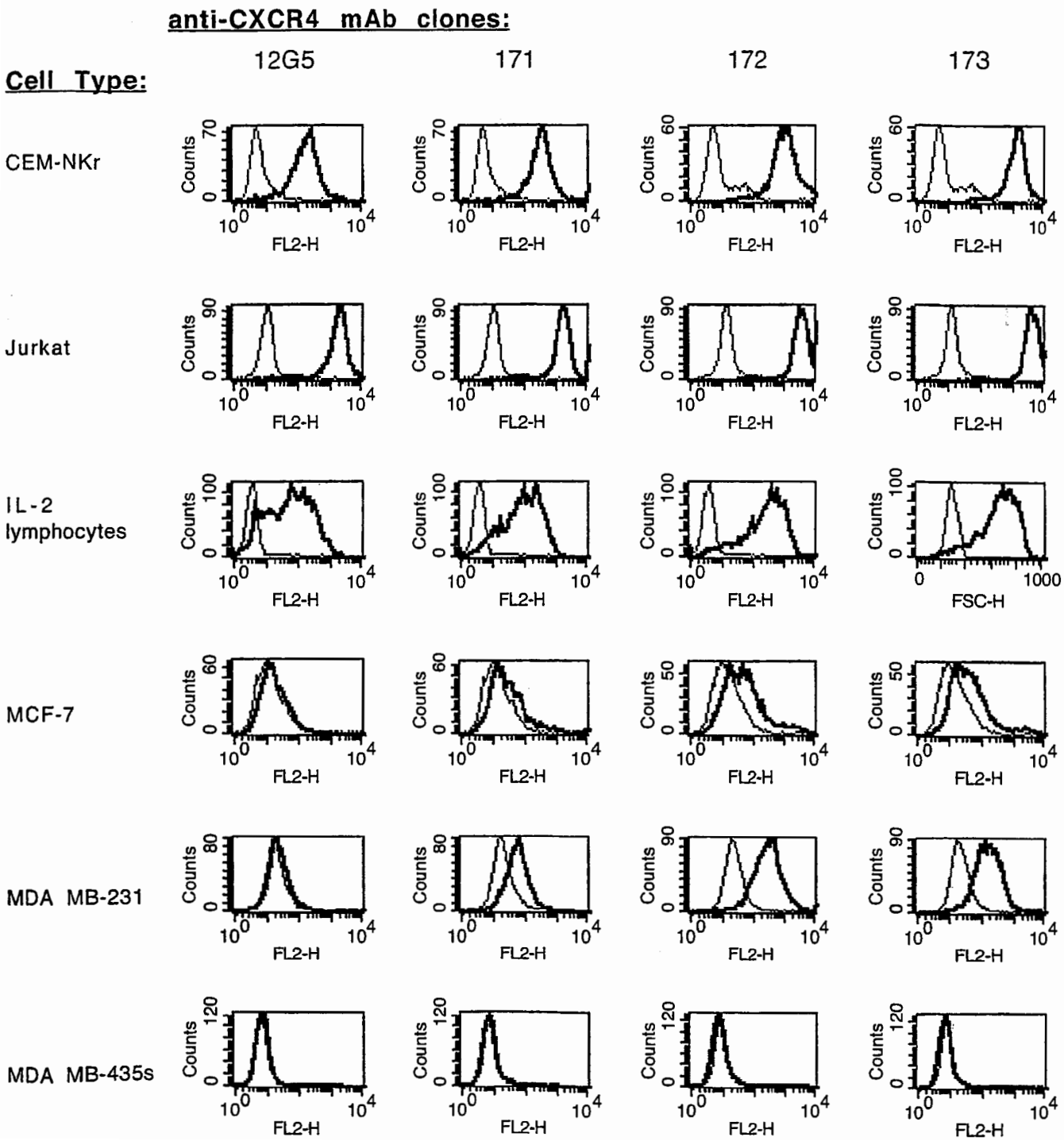
Figure 1


anti-CXCR4 mAb clones:
12G5
171
172
173
Cell Type:
CEM-NKr
Jurkat
IL-2 lymphocytes
MCF-7
MDA MB-231
MDA MB-435s
Counts
FL2-H
FSC-H
0
1000
10^0
10^4

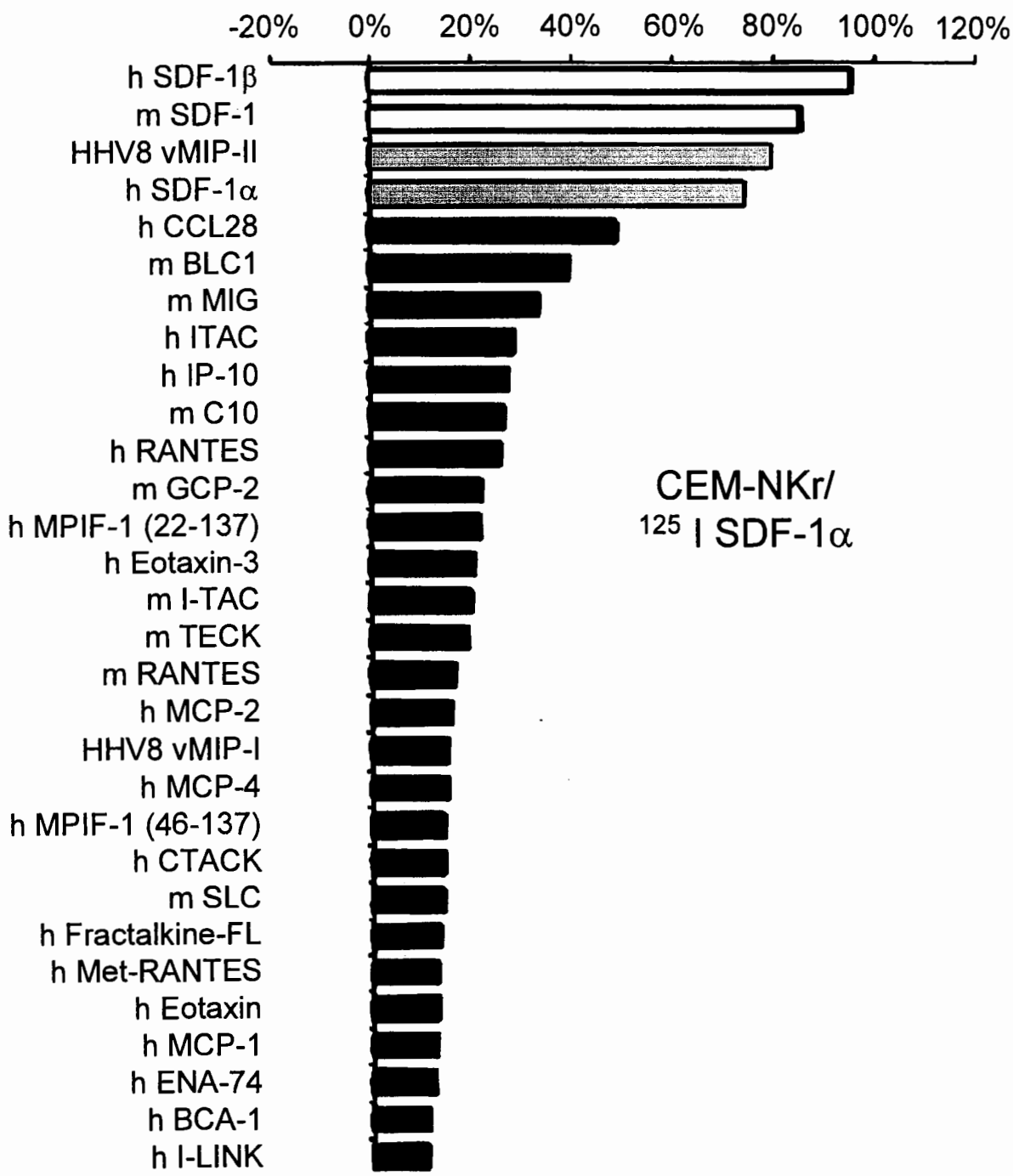

-20%
0%
20%
40%
60%
80%
100%
120%
h SDF-1β
m SDF-1
HHV8 vMIP-II
h SDF-1α
h CCL28
m BLC1
m MIG
h ITAC
h IP-10
m C10
h RANTES
m GCP-2
h MPIF-1 (22-137)
h Eotaxin-3
m I-TAC
m TECK
m RANTES
h MCP-2
HHV8 vMIP-I
h MCP-4
h MPIF-1 (46-137)
h CTACK
m SLC
h Fractalkine-FL
h Met-RANTES
h Eotaxin
h MCP-1
h ENA-74
h BCA-1
h I-LINK
CEM-NKr/
125 I SDF-1α

FIG. 2A

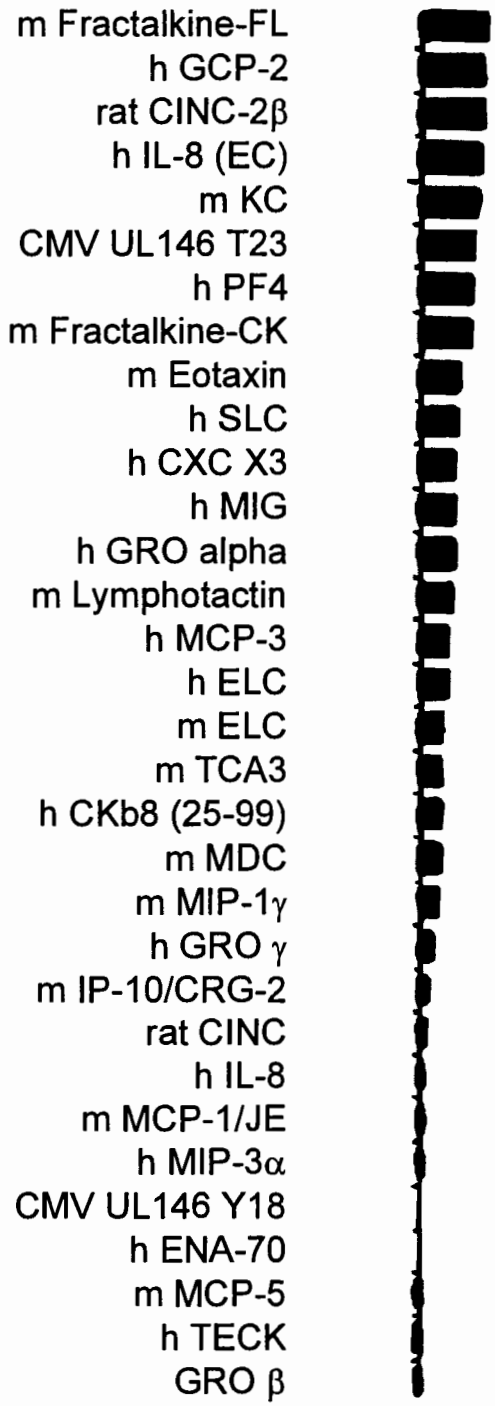

m Fractalkine-FL
h GCP-2
rat CINC-2β
h IL-8 (EC)
m KC
CMV UL146 T23
h PF4
m Fractalkine-CK
m Eotaxin
h SLC
h CXC X3
h MIG
h GRO alpha
m Lymphotactin
h MCP-3
h ELC
m ELC
m TCA3
h CKb8 (25-99)
m MDC
m MIP-1γ
h GRO γ
m IP-10/CRG-2
rat CINC
h IL-8
m MCP-1/JE
h MIP-3α
CMV UL146 Y18
h ENA-70
m MCP-5
h TECK
GRO β

FIG. 2B

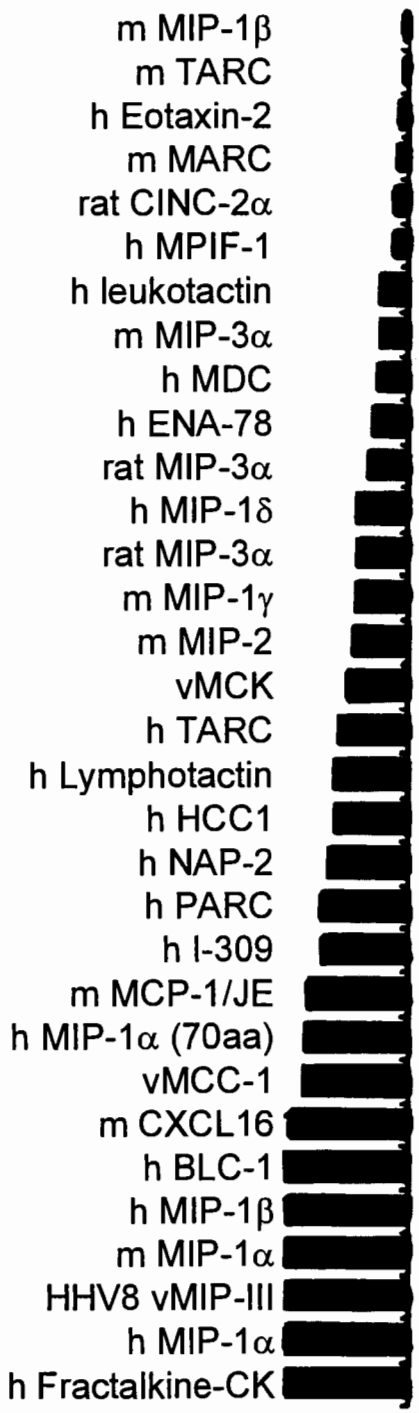

m MIP-1β
m TARC
h Eotaxin-2
m MARC
rat CINC-2α
h MPIF-1
h leukotactin
m MIP-3α
h MDC
h ENA-78
rat MIP-3α
h MIP-1δ
rat MIP-3α
m MIP-1γ
m MIP-2
vMCK
h TARC
h Lymphotactin
h HCC1
h NAP-2
h PARC
h I-309
m MCP-1/JE
h MIP-1α (70aa)
vMCC-1
m CXCL16
h BLC-1
h MIP-1β
m MIP-1α
HHV8 vMIP-III
h MIP-1α
h Fractalkine-CK

FIG. 2C

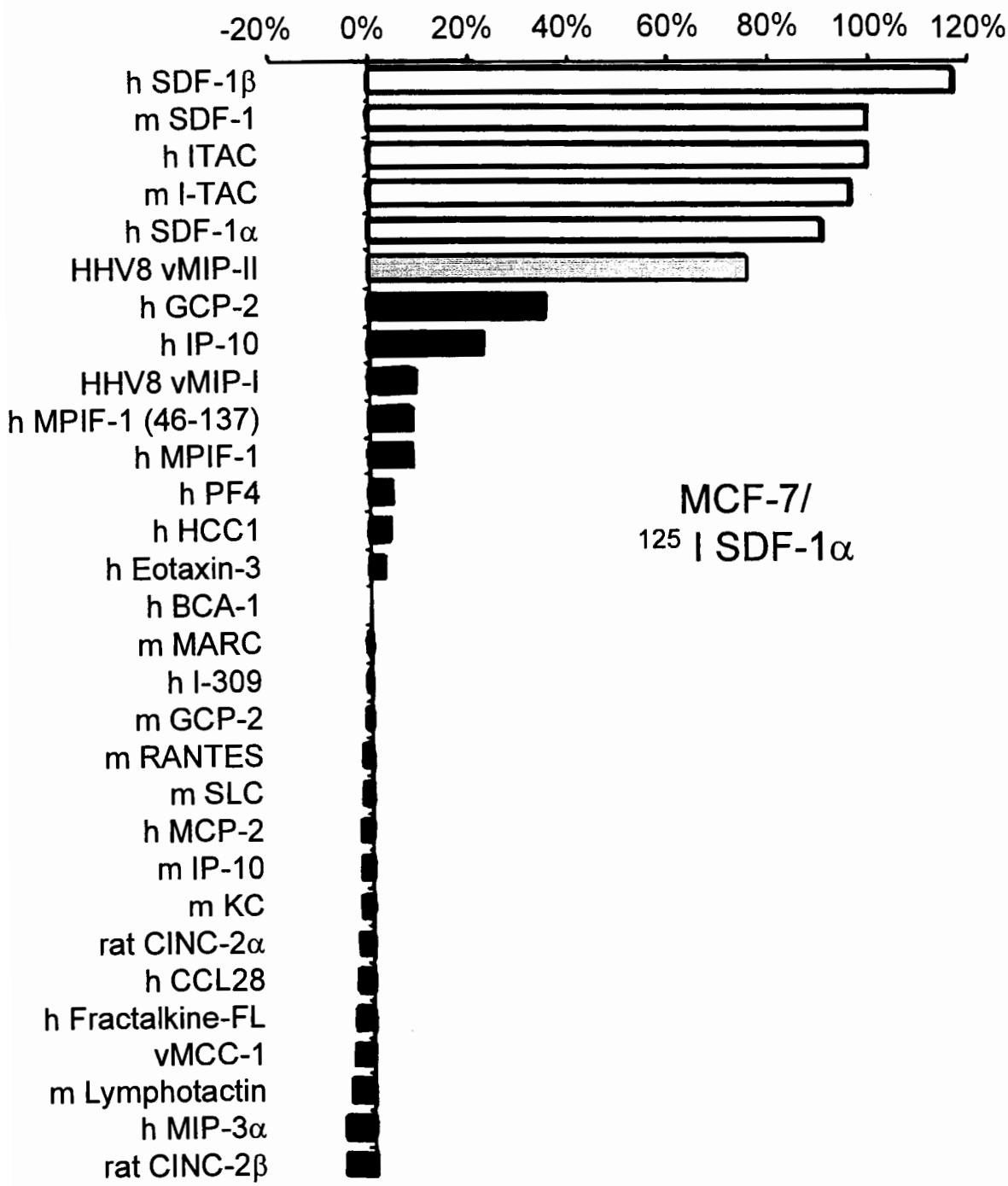

-20%
0%
20%
40%
60%
80%
100%
120%
h SDF-1β
m SDF-1
h ITAC
m I-TAC
h SDF-1α
HHV8 vMIP-II
h GCP-2
h IP-10
HHV8 vMIP-I
h MPIF-1 (46-137)
h MPIF-1
h PF4
h HCC1
h Eotaxin-3
h BCA-1
m MARC
h I-309
m GCP-2
m RANTES
m SLC
h MCP-2
m IP-10
m KC
rat CINC-2α
h CCL28
h Fractalkine-FL
vMCC-1
m Lymphotactin
h MIP-3α
rat CINC-2β
MCF-7/
125 I SDF-1α

FIG. 2D

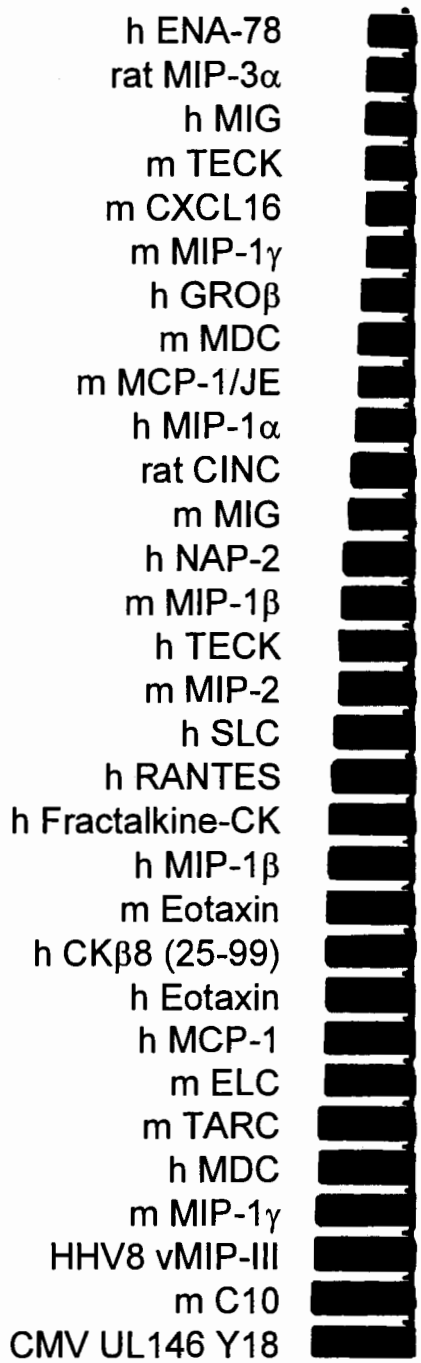

h ENA-78
rat MIP-3α
h MIG
m TECK
m CXCL16
m MIP-1γ
h GROβ
m MDC
m MCP-1/JE
h MIP-1α
rat CINC
m MIG
h NAP-2
m MIP-1β
h TECK
m MIP-2
h SLC
h RANTES
h Fractalkine-CK
h MIP-1β
m Eotaxin
h CKβ8 (25-99)
h Eotaxin
h MCP-1
m ELC
m TARC
h MDC
m MIP-1γ
HHV8 vMIP-III
m C10
CMV UL146 Y18

FIG. 2E

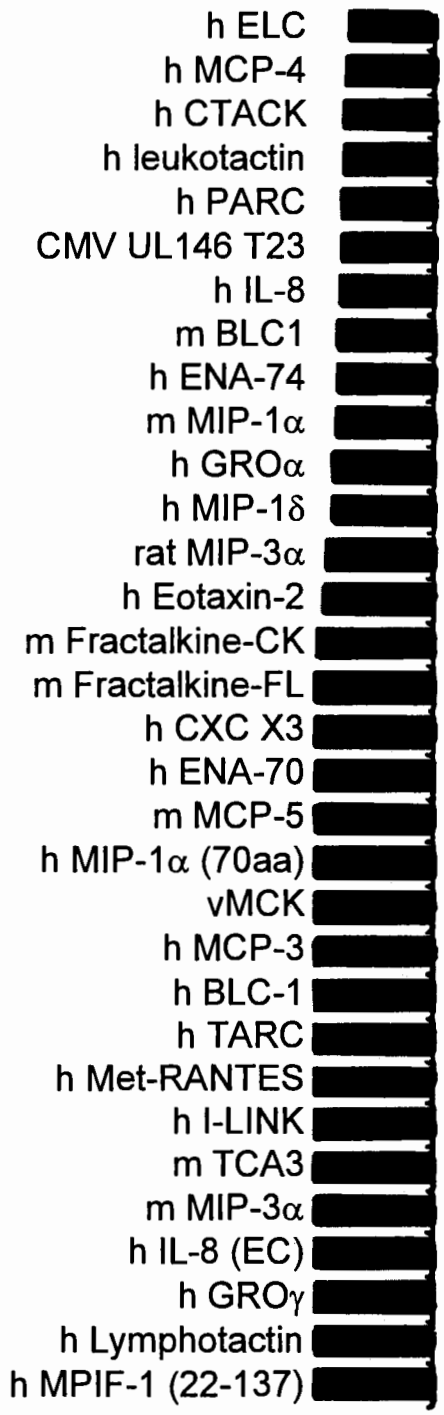

h ELC
h MCP-4
h CTACK
h leukotactin
h PARC
CMV UL146 T23
h IL-8
m BLC1
h ENA-74
m MIP-1α
h GROα
h MIP-1δ
rat MIP-3α
h Eotaxin-2
m Fractalkine-CK
m Fractalkine-FL
h CXC X3
h ENA-70
m MCP-5
h MIP-1α (70aa)
vMCK
h MCP-3
h BLC-1
h TARC
h Met-RANTES
h I-LINK
m TCA3
m MIP-3α
h IL-8 (EC)
h GROγ
h Lymphotactin
h MPIF-1 (22-137)

FIG. 2F

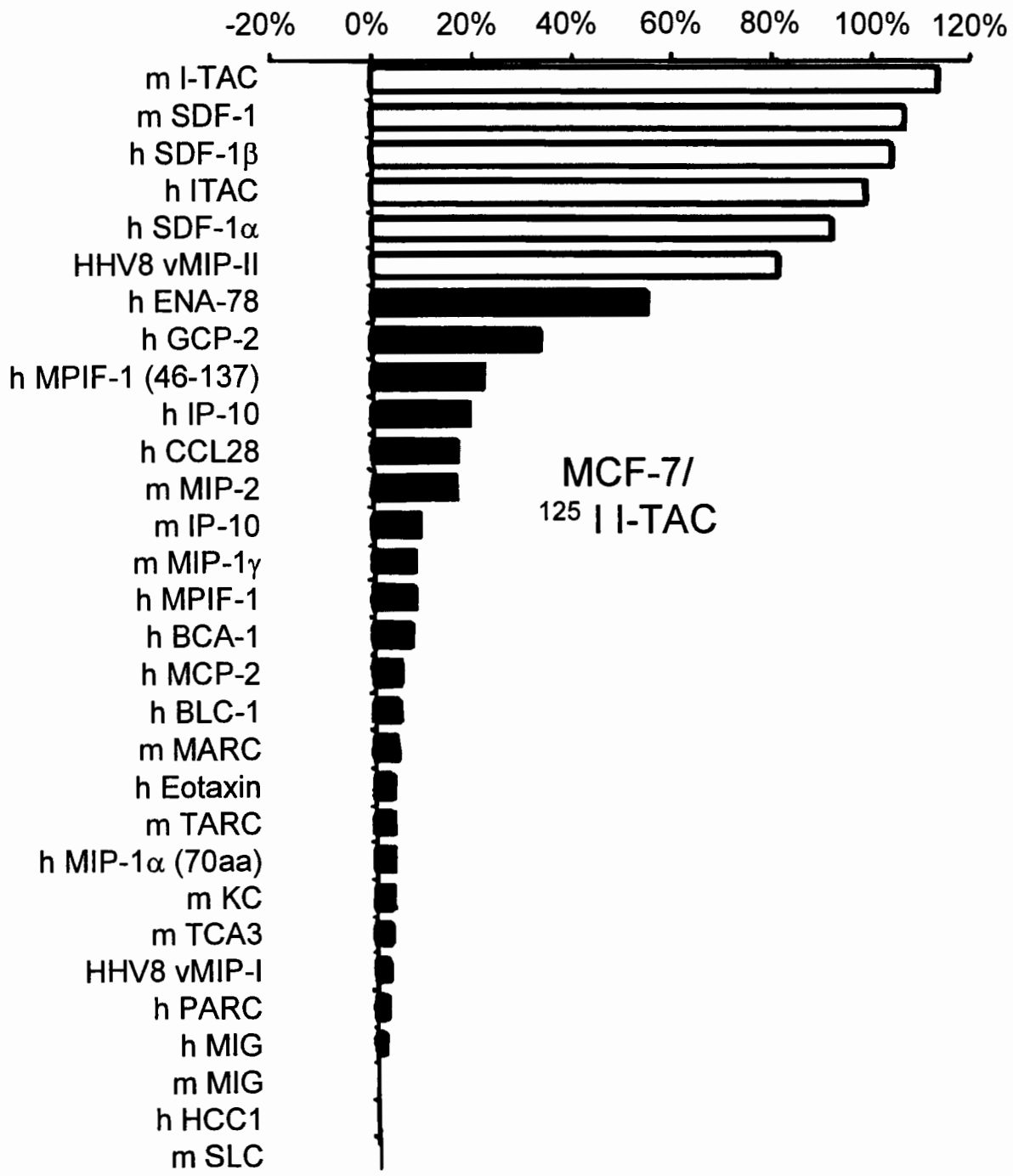

-20%
0%
20%
40%
60%
80%
100%
120%
m I-TAC
m SDF-1
h SDF-1β
h ITAC
h SDF-1α
HHV8 vMIP-II
h ENA-78
h GCP-2
h MPIF-1 (46-137)
h IP-10
h CCL28
m MIP-2
m IP-10
m MIP-1γ
h MPIF-1
h BCA-1
h MCP-2
h BLC-1
m MARC
h Eotaxin
m TARC
h MIP-1α (70aa)
m KC
m TCA3
HHV8 vMIP-I
h PARC
h MIG
m MIG
h HCC1
m SLC
MCF-7/
125 I I-TAC

FIG. 2G

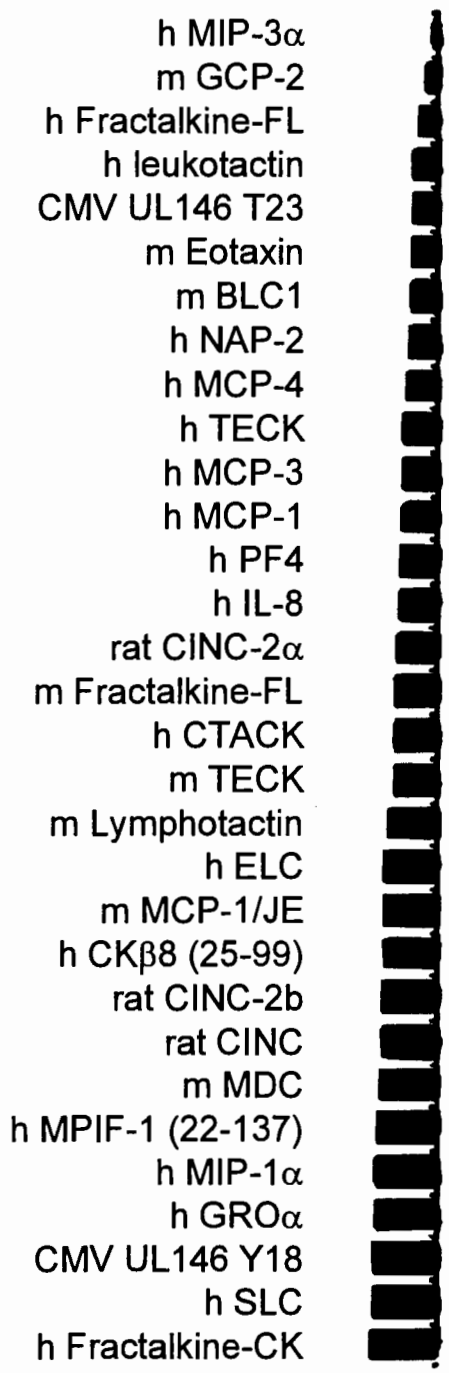

h MIP-3α
m GCP-2
h Fractalkine-FL
h leukotactin
CMV UL146 T23
m Eotaxin
m BLC1
h NAP-2
h MCP-4
h TECK
h MCP-3
h MCP-1
h PF4
h IL-8
rat CINC-2α
m Fractalkine-FL
h CTACK
m TECK
m Lymphotactin
h ELC
m MCP-1/JE
h CKβ8 (25-99)
rat CINC-2b
rat CINC
m MDC
h MPIF-1 (22-137)
h MIP-1α
h GROα
CMV UL146 Y18
h SLC
h Fractalkine-CK

FIG. 2H

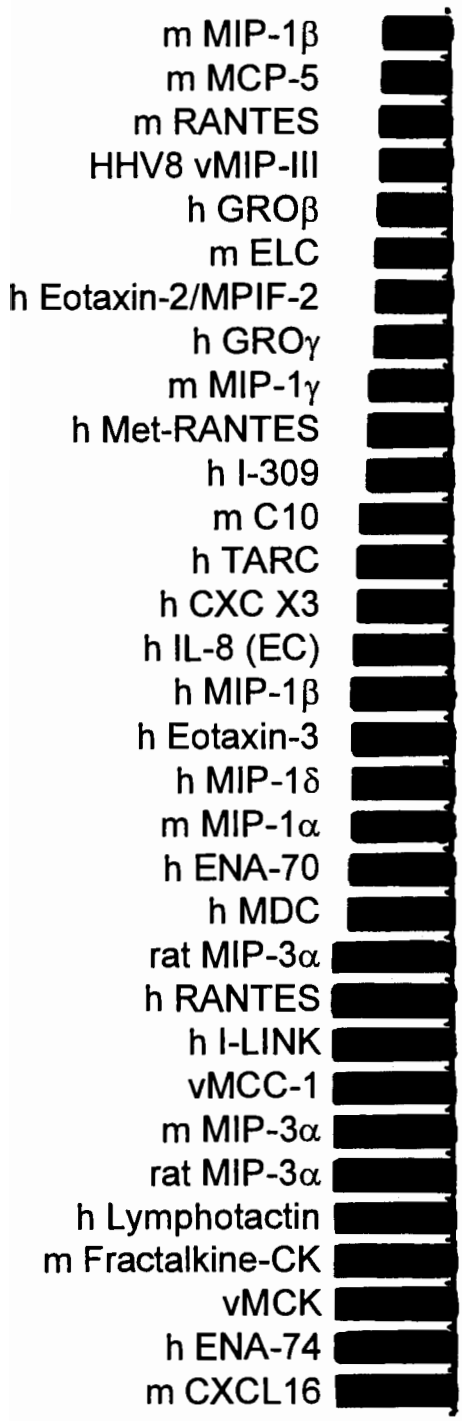

m MIP-1β
m MCP-5
m RANTES
HHV8 vMIP-III
h GROβ
m ELC
h Eotaxin-2/MPIF-2
h GROγ
m MIP-1γ
h Met-RANTES
h I-309
m C10
h TARC
h CXC X3
h IL-8 (EC)
h MIP-1β
h Eotaxin-3
h MIP-1δ
m MIP-1α
h ENA-70
h MDC
rat MIP-3α
h RANTES
h I-LINK
vMCC-1
m MIP-3α
rat MIP-3α
h Lymphotactin
m Fractalkine-CK
vMCK
h ENA-74
m CXCL16

FIG. 2I

Figure 3

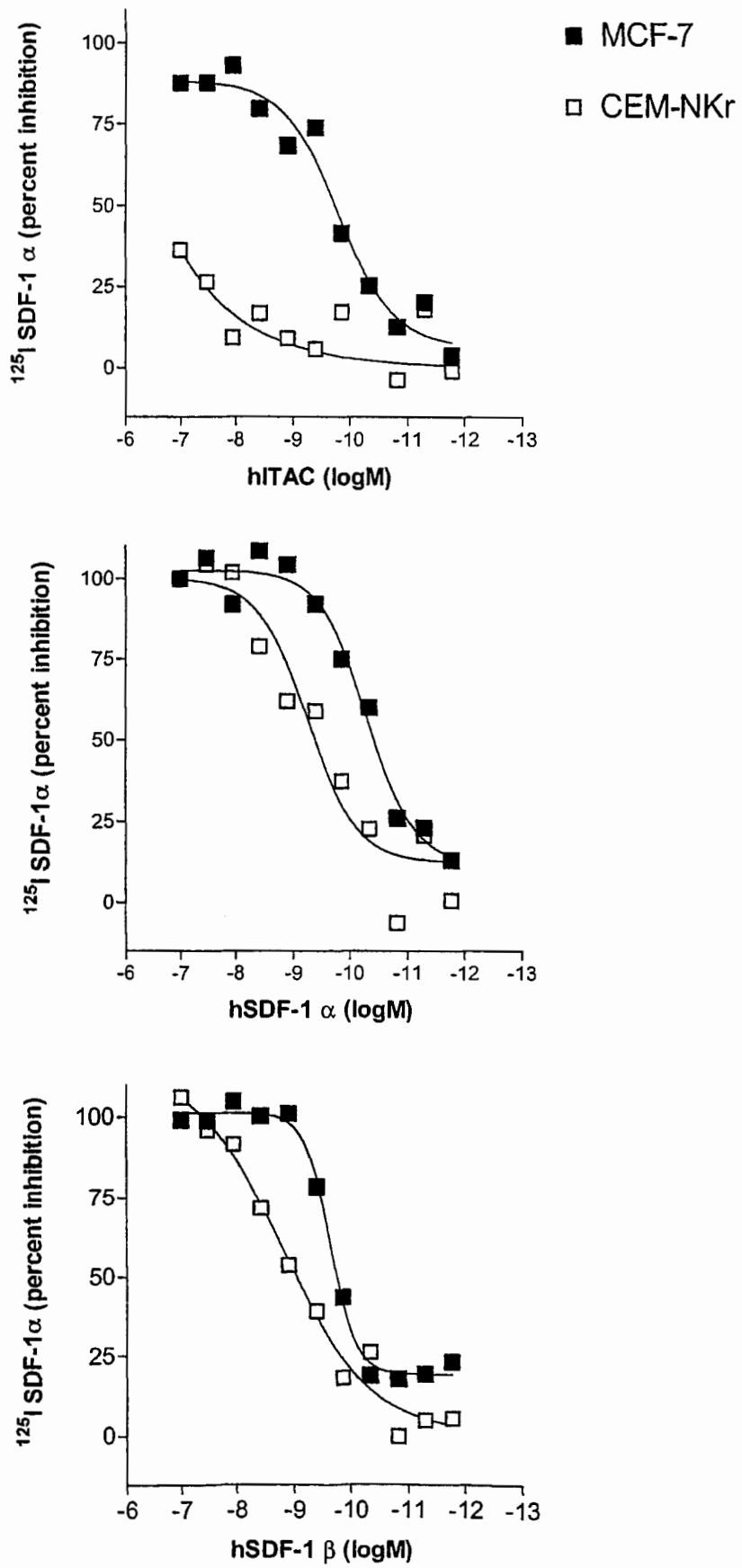

MCF-7
CEM-NKr
^{125}I SDF-1 α (percent inhibition)
100
75
50
25
0
-6 -7 -8 -9 -10 -11 -12 -13
hITAC (logM)
^{125}I SDF-1α (percent inhibition)
hSDF-1 α (logM)
^{125}I SDF-1α (percent inhibition)
hSDF-1 β (logM)

Figure 4

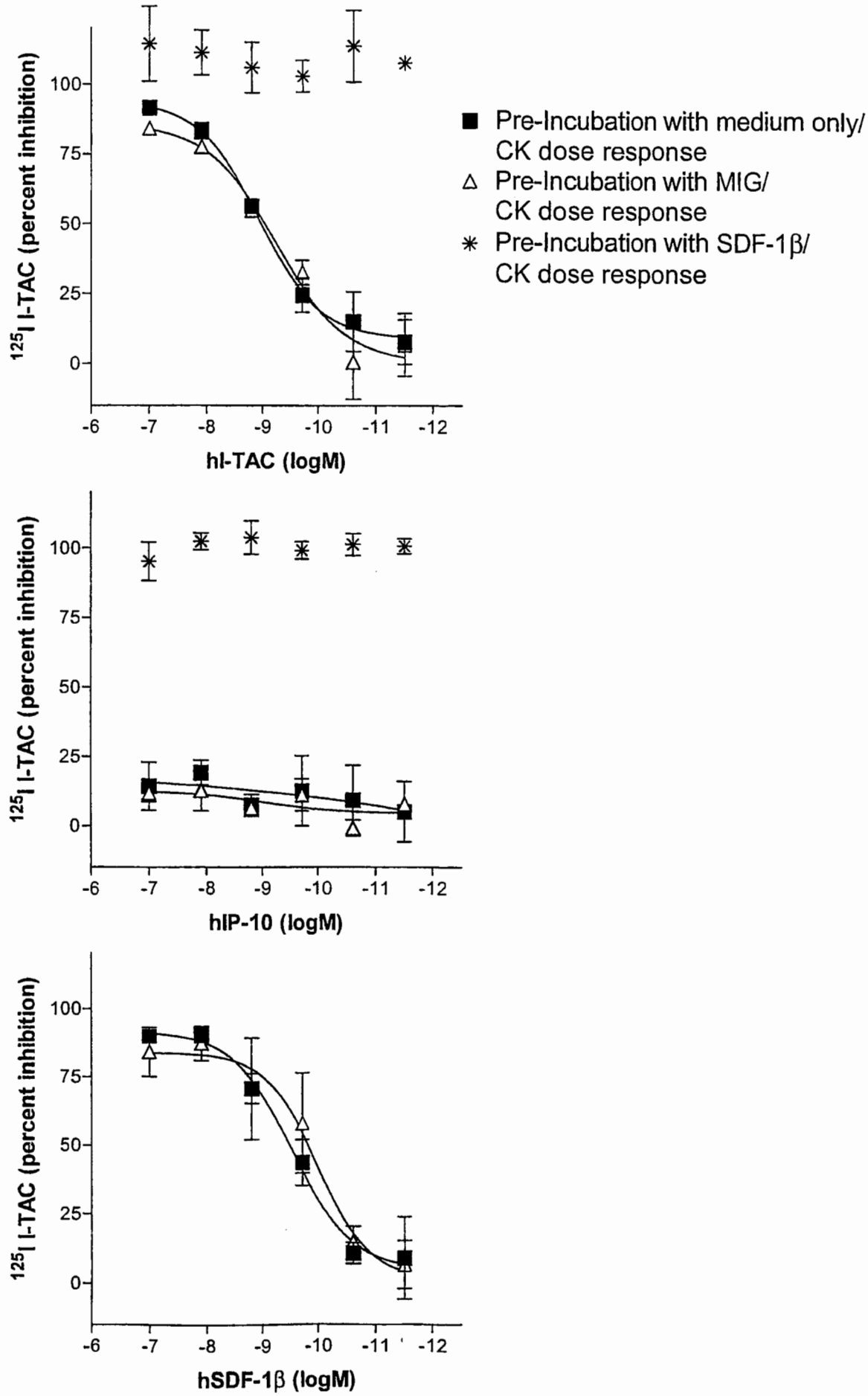
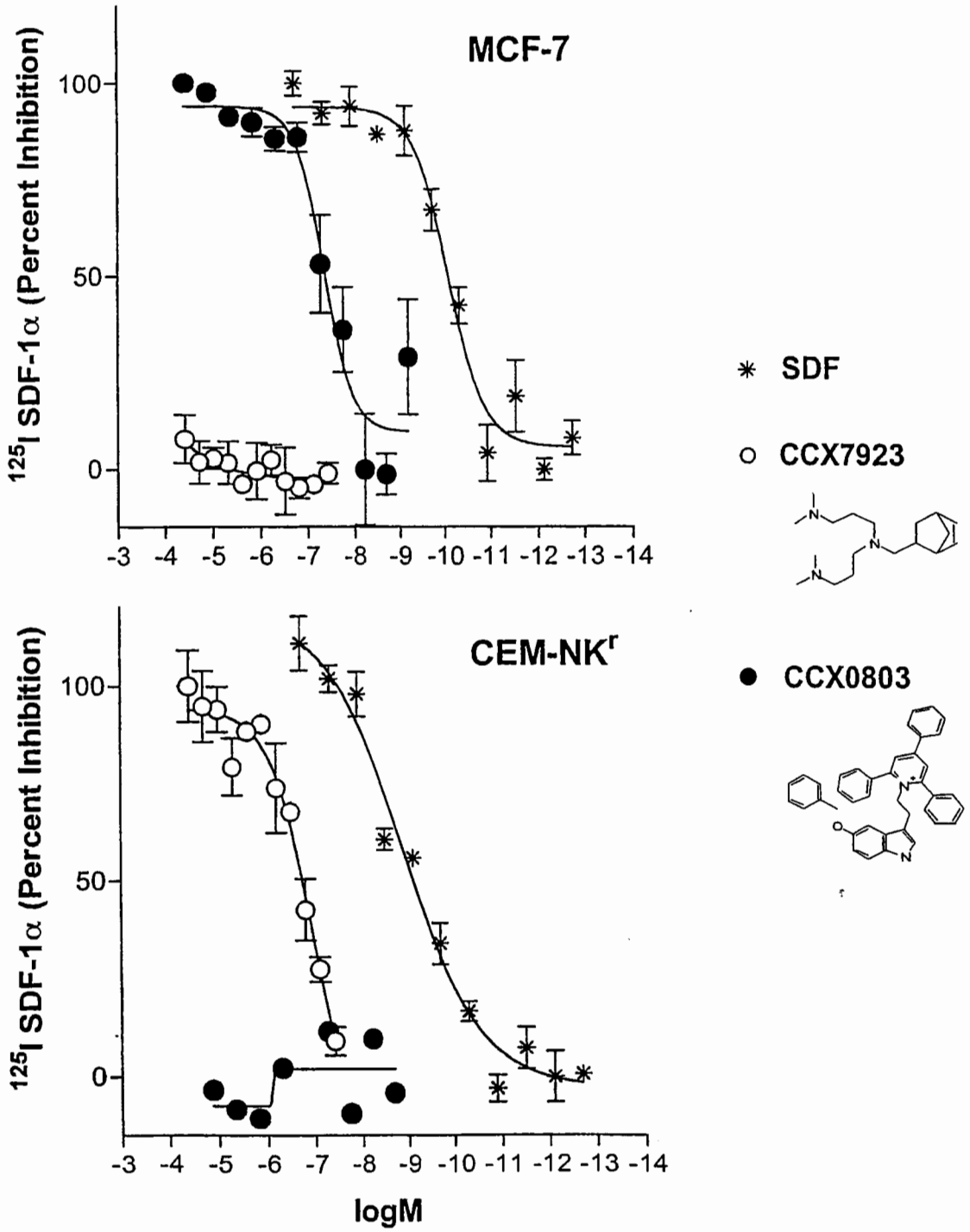

125I I-TAC (percent inhibition)
100
75
50
25
0
-6
-7
-8
-9
-10
-11
-12
hI-TAC (logM)
hIP-10 (logM)
hSDF-1β (logM)
Pre-Incubation with medium only/ CK dose response
Pre-Incubation with MIG/ CK dose response
Pre-Incubation with SDF-1β/ CK dose response

Figure 5



Ligand Affinities:

	MCF-7	CEM-NKr
SDF-1α IC_{50} (nM)	0.08	1.30
CCX7923 IC_{50} (nM)	*	106
CCX0803 IC_{50} (nM)	46	*

*** ligand does not compete with ^{125}I SDF-1α for the CXCR4 form on this cell type**

Figure 6

	Clone #1	Clone #2	Clone #3	Clone #4	Clone #5
HEK293-CCR1	+++	++	+++	+	+++
THP-1	++	+	+	++	+
Monocytes	++	-	+	-	-
Neutrophils	++	++	-	-	++
Immature DC	++	+	+	-	+
NSO-CCR1	+	+	+	++	++

Legend:

-	no reactivity
+	weak reactivity
++	moderate reactivity
+++	strong reactivity

Figure 7

^{125} I MIP-1 α Binding Summary

	HEK293-CCR1	THP-1	Monocytes	Neutrophils	NSO-CCR1
CKβ8(1-99)	64 nM	6.3 nM	10.3 nM	n/a	3.9 nM
CKβ8(25-99)	1.34 nM	0.27 nM	0.25 nM	n/a	0.02 nM
Leukotactin	< 0.2 nM	< 0.2 nM	< 0.2 nM	n/a	0.02 nM
MIP1 α	0.54 nM	0.17 nM	0.27 nM	n/a	0.15 nM

Note: ^{125} I MIP1 α does not bind to neutrophils

Figure 8

	THP-1			Monocytes			Neutrophils			Immature DC		
	1 nM	10 nM	100 nM	1 nM	10 nM	100 nM	1 nM	10 nM	100 nM	1 nM	10 nM	100 nM
CKβ8(1-99)												
CKβ8(25-99)	nt	nt	nt							nt	nt	nt
Leukotactin												
MIP1 α												
mMIP1 γ												

Notes: Color represents the Migration Index.

1. Black: Index<1.5; gray: Index at 1.5 -4.9; White: Index at 5.0-9.9
2. Leukotactin is very potent CCR1 agonist. It can induce CCR1-mediated cell migration at sub-nM concentrations.

Figure 9

	HEK293-CCR1			THP-1			Monocytes			Neutrophils			Immature DC		
	1 nM	10 nM	100 nM	1 nM	10 nM	100 nM	1 nM	10 nM	100 nM	1 nM	10 nM	100 nM	1 nM	10 nM	100 nM
CKβ8(1-99)	+	++	+++	+	++	+++	-	+	++	-	-	-			++
CKβ8(25-99)	++	+++	+++	++	+++	+++	+	++	++	-	-	weak			++
Leukotactin	++	+++	+++	+	+++	+++	+	++	++	-	weak	+		++	++
MIP1 α	++	+++	+++	+	++	+++	+	++	++	-	-	-		++	++
mMIP1 γ	-	++	+++	-	+	++	-	+	++	-	-	-			++

Legend:
- \- no reactivity
- \+ weak reactivity
- ++ moderate reactivity
- +++ strong reactivity

Figure 10

	Clone #1	Clone #2	Clone #3	Clone #4	Clone #5
HEK-293 CCR1	+++	++	+++	+	+++
Jurkat CCR1	++	-	+	-	-

Legend:

- \- no reactivity
- \+ weak reactivity
- ++ moderate reactivity
- +++ strong reactivity