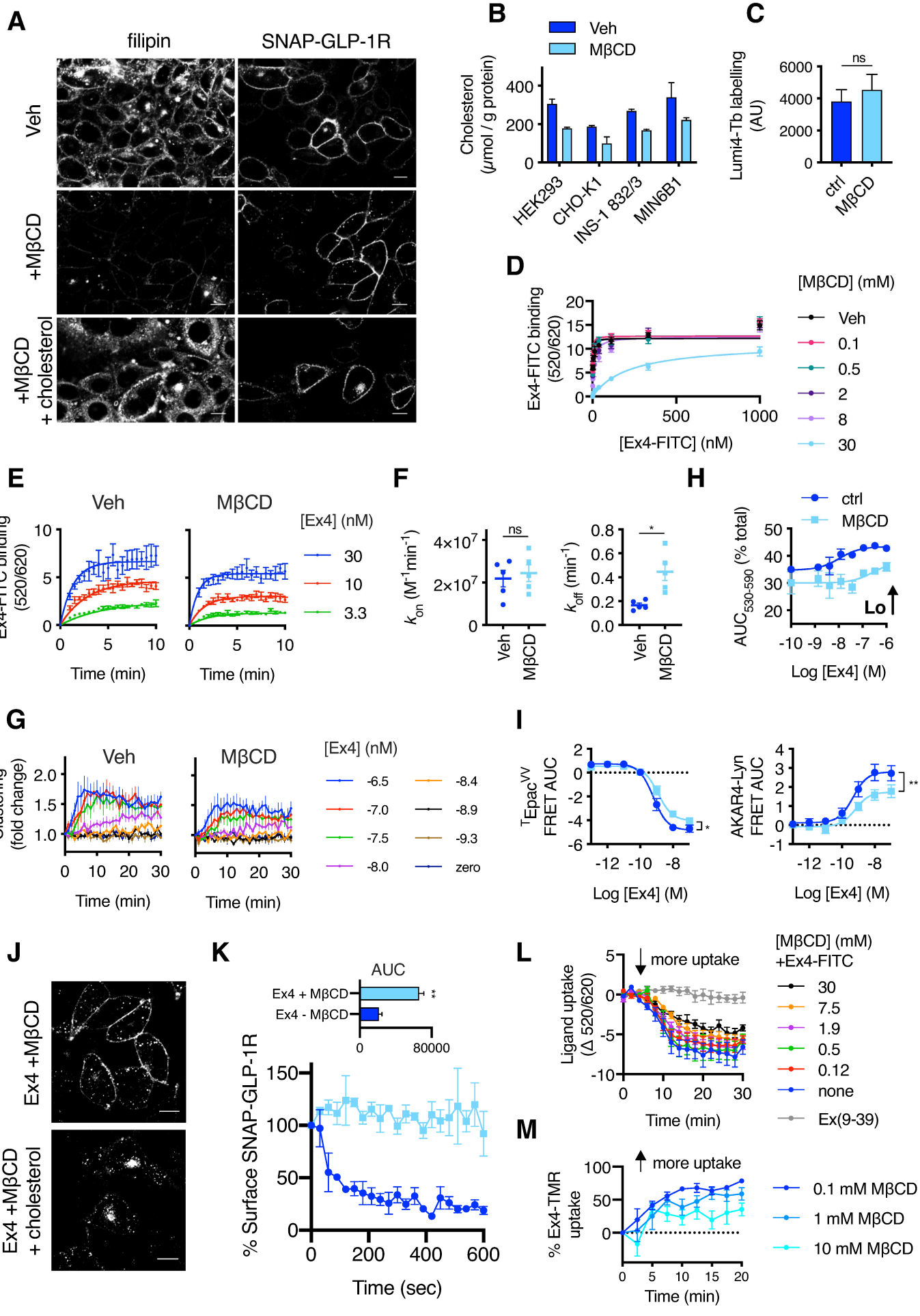
A
filipin
SNAP-GLP-1R
Veh
+MβCD
+MβCD + cholesterol
B
Veh
MβCD
Cholesterol (μmol / g protein)
HEK293
CHO-K1
INS-1 832/3
MIN6B1
C
Lumi4-Tb labelling (AU)
ns
ctrl
MβCD
D
[MβCD] (mM)
Ex4-FITC binding (520/620)
[Ex4-FITC] (nM)
Veh
0.1
0.5
2
8
30
E
Veh
MβCD
[Ex4] (nM)
Ex4-FITC binding (520/620)
Time (min)
30
10
3.3
F
ns
*
Veh
MβCD
H
ctrl
MβCD
Lo
Log [Ex4] (M)
G
Veh
MβCD
[Ex4] (nM)
Clustering (fold change)
Time (min)
-6.5
-7.0
-7.5
-8.0
-8.4
-8.9
-9.3
zero
I
FRET AUC
Log [Ex4] (M)
J
Ex4 +MβCD
Ex4 +MβCD + cholesterol
K
AUC
Ex4 + MβCD
Ex4 - MβCD
% Surface SNAP-GLP-1R
Time (sec)
L
more uptake
Ligand uptake (Δ 520/620)
Time (min)
[MβCD] (mM) +Ex4-FITC
30
7.5
1.9
0.5
0.12
none
Ex(9-39)
M
more uptake
% Ex4-TMR uptake
Time (min)
0.1 mM MβCD
1 mM MβCD
10 mM MβCD