

1/14

Seq #16 = MBX-1245

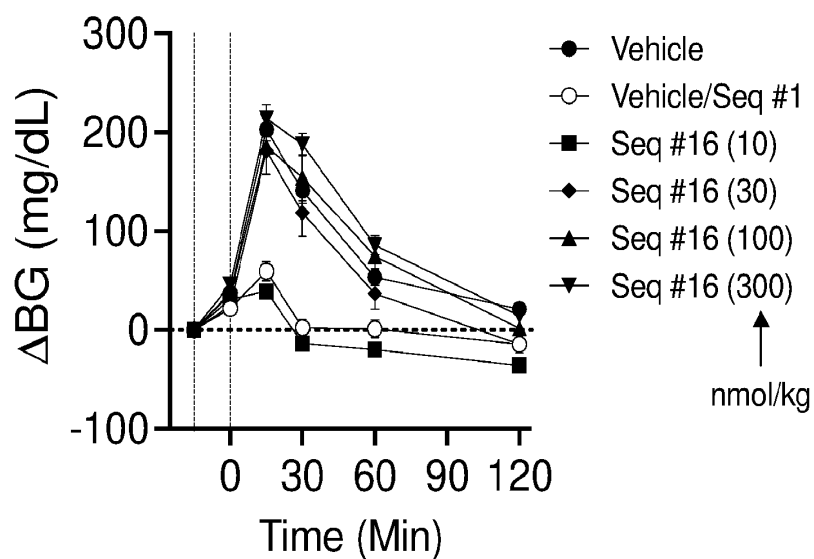


Fig. 1

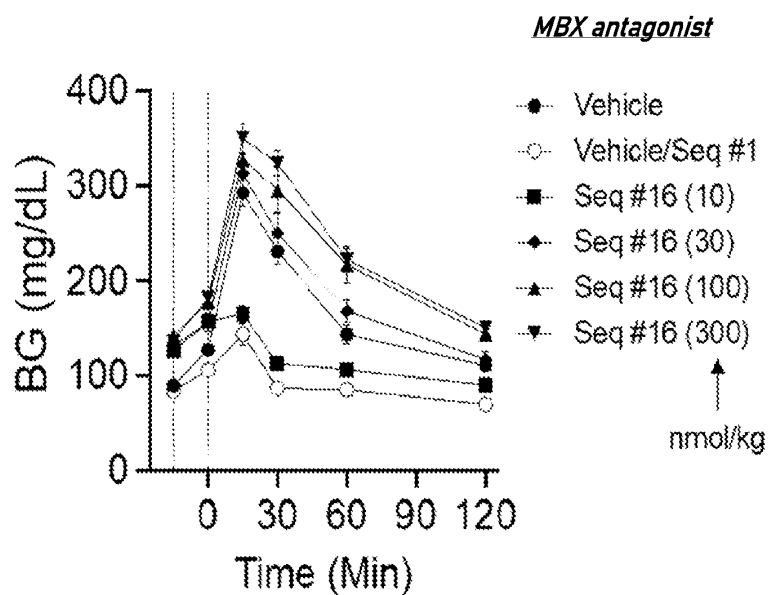


Fig. 2A

2/14

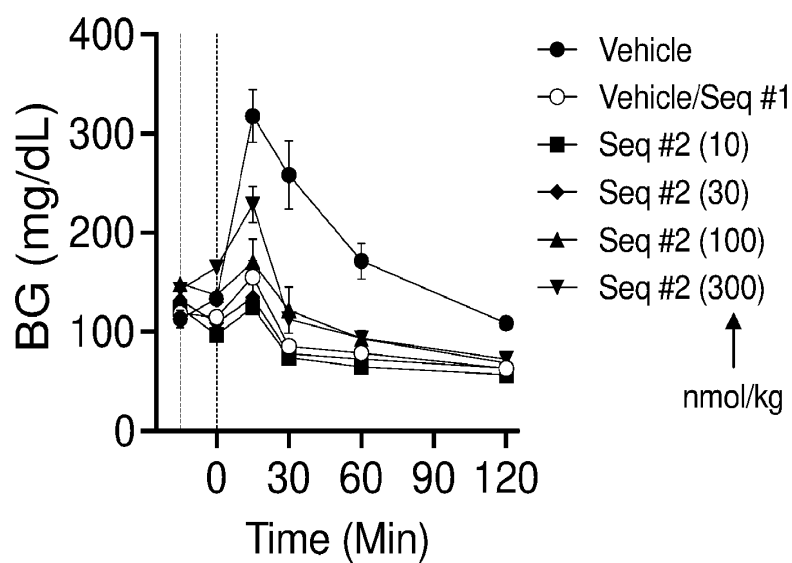
antagonist Ex-9-40

Fig. 2B

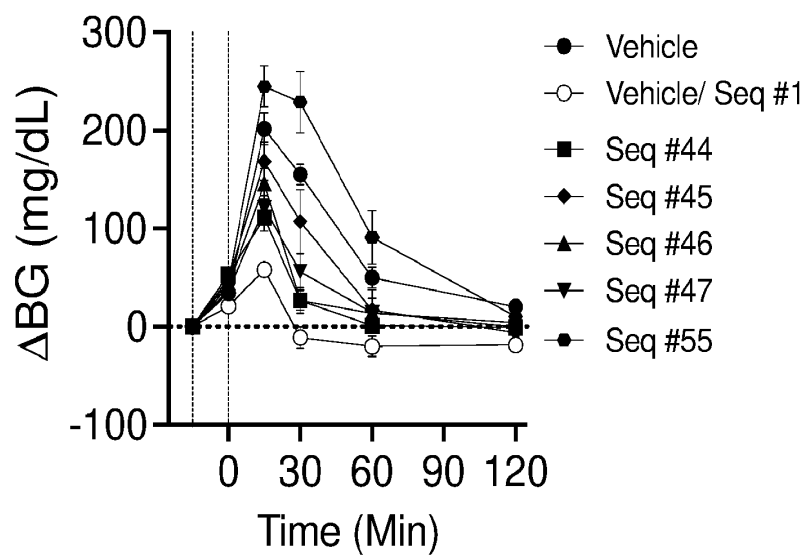


Fig. 3

3/14

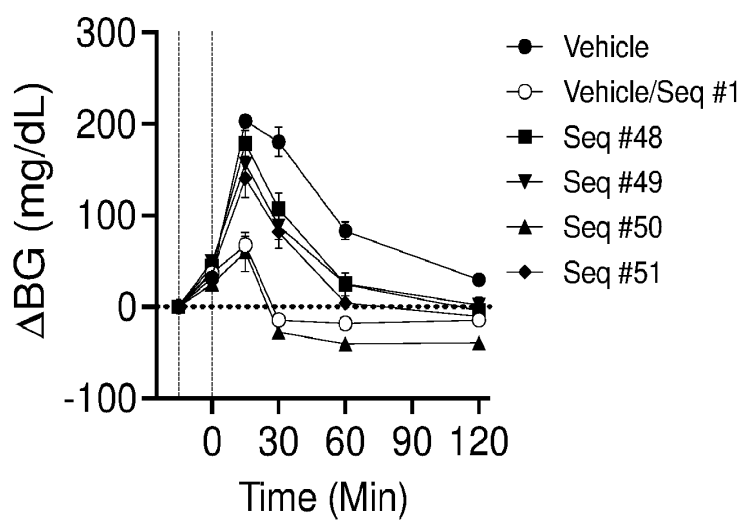


Fig. 4

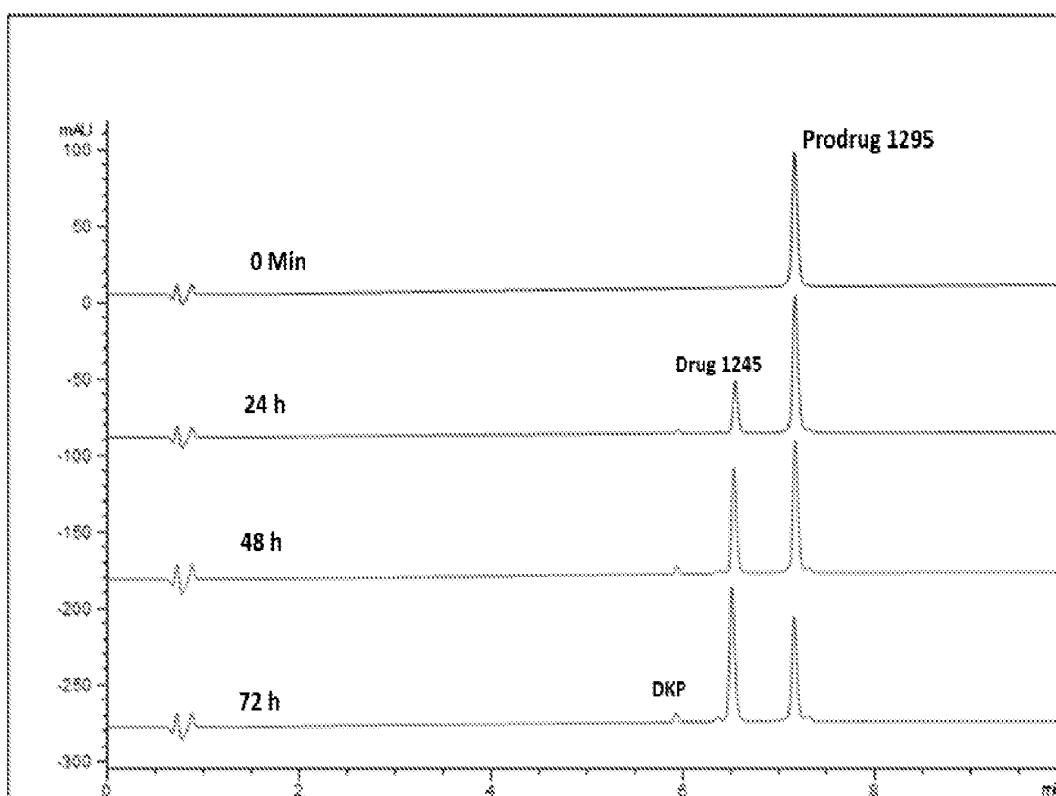


Fig. 5A

4/14

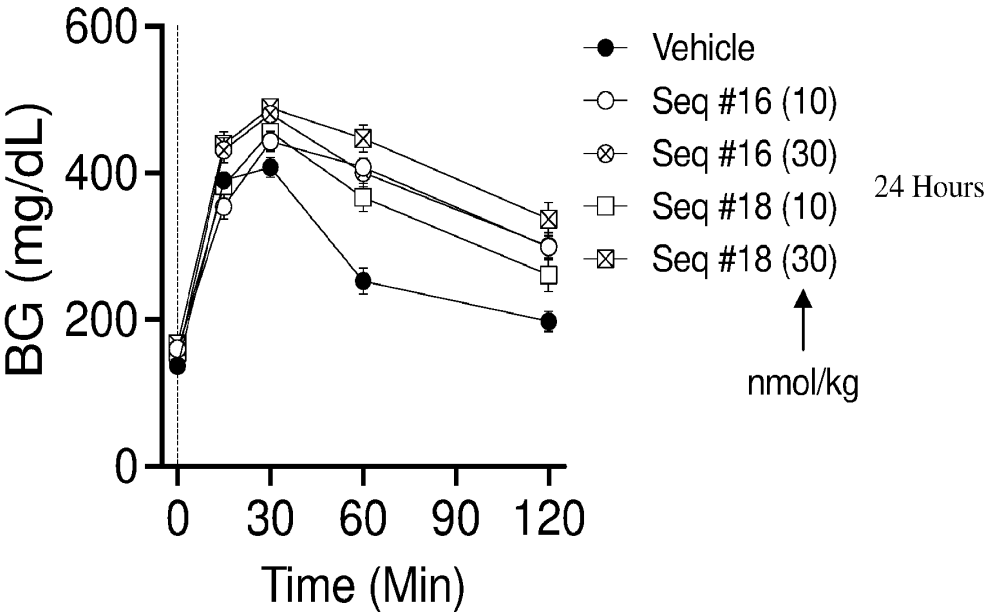


Fig. 5B

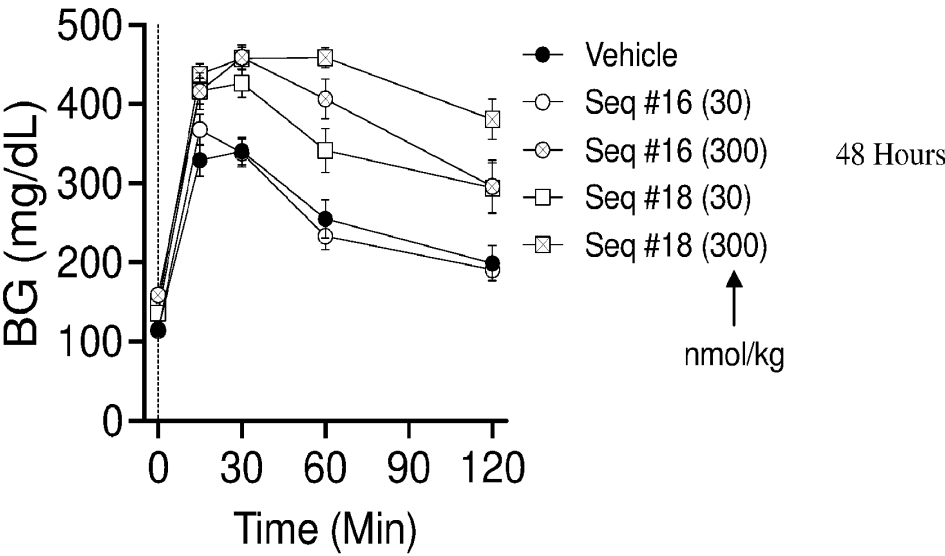


Fig. 5C

5/14

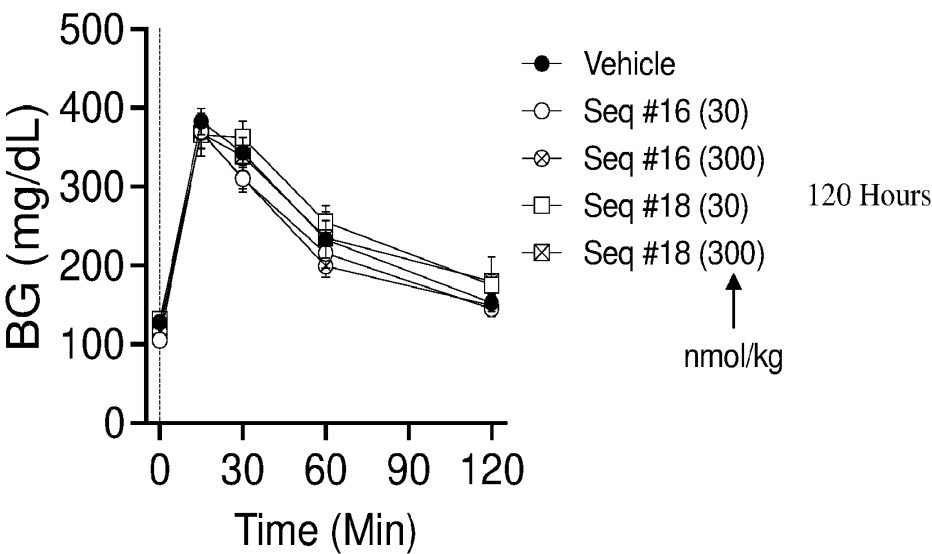


Fig. 5D

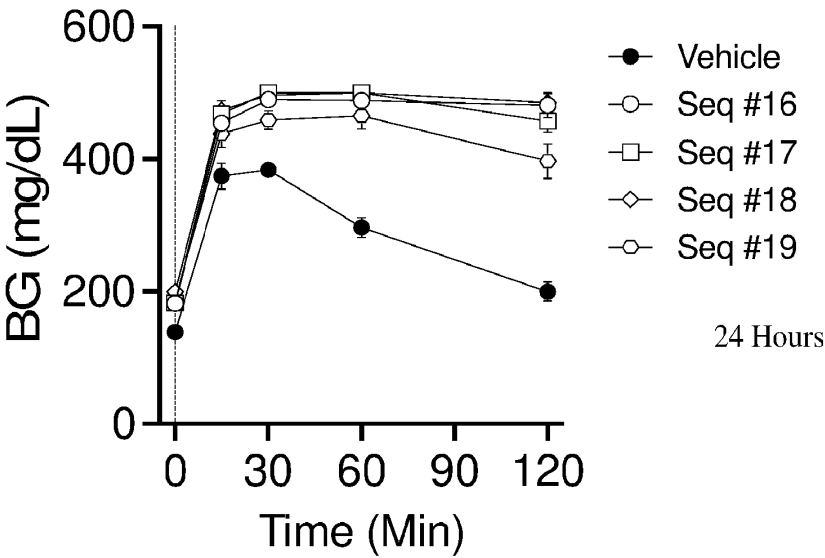


Fig. 6A

6/14

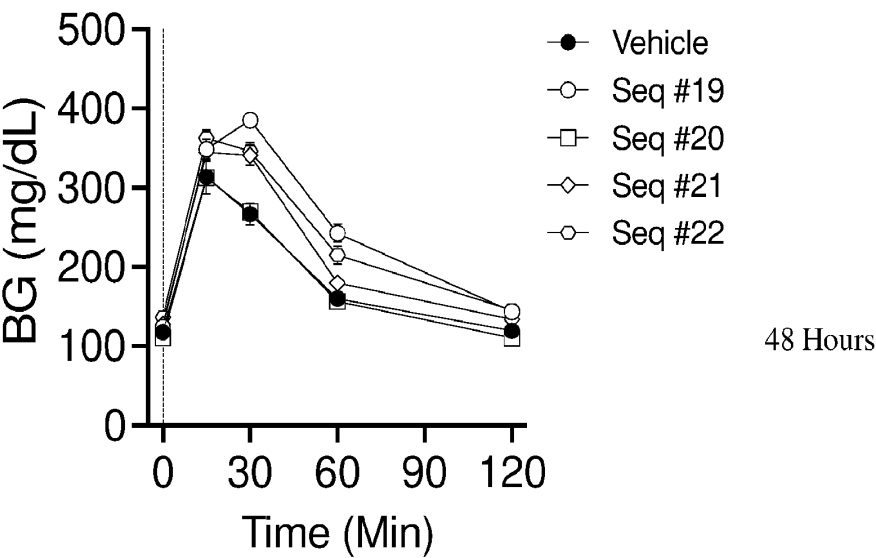


Fig. 6B

7/14

N-Terminal Fatty Acylated GLP-1 Antagonists

MBX 1391: XZGDVSSYLEEQAVREFIEWLVKGGPSSGAPPPSB-amide
MBX 1401: X—DVSRYLEEQAVREFIEWLVRCGPSSGAPPPSO-acid
MBX 1402: X—EVSRYLEEQAVREFIEWLVRCGPSSGAPPPSO-acid
MBX 1403: X—DLSKQMEEEAVRLFIEWLVKGGPSSGAPPPSO-acid
MBX 1404: X—DVSSYLEEQAVREFIAWLVKGGPSSGAPPPSO-amide
MBX 1406: X—DVSSYLEEQAVREFIAWLVKGGPSSGAPPPSO-acid
MBX 1407: X—DVSSYLEEQAVREFIAWLVRCGPSSGAPPPSO-acid
MBX 1408: X—DLSKQMEEEAVRLFIEWLVKGGPSSGAPPPSO-amide
MBX 1416: X—DVSSYLEEQAVREFIAWLVRCGPSSGAPPPS-acid

X=C18-diacid Z=rE-miniPEG O=K(C18-diacid) S=K(miniPEG-rE-C16-monoacid)

Fig 7

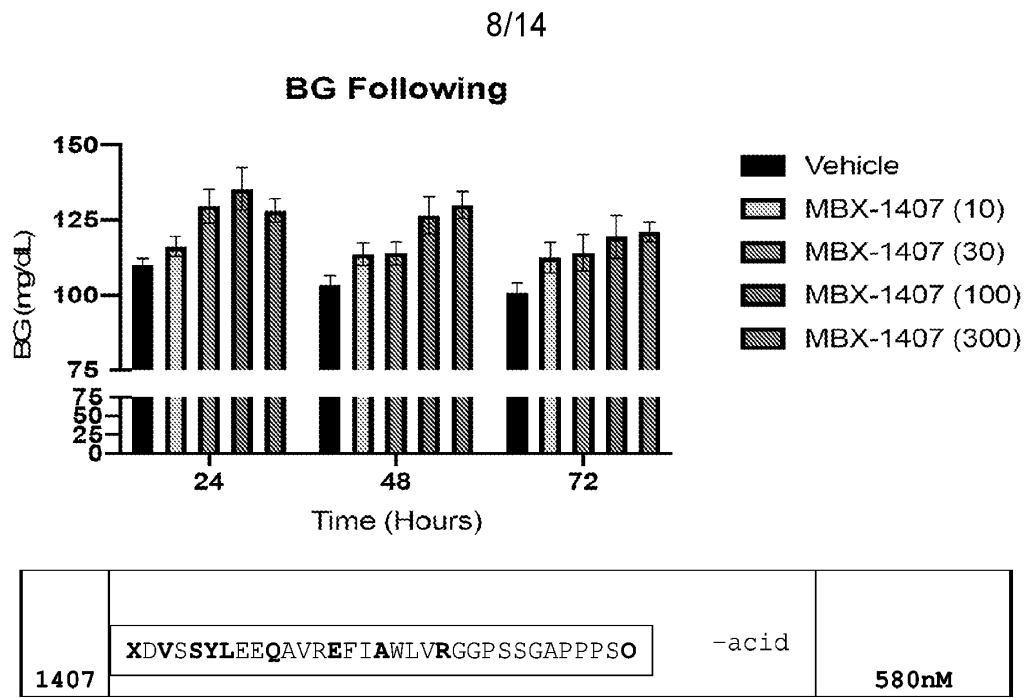
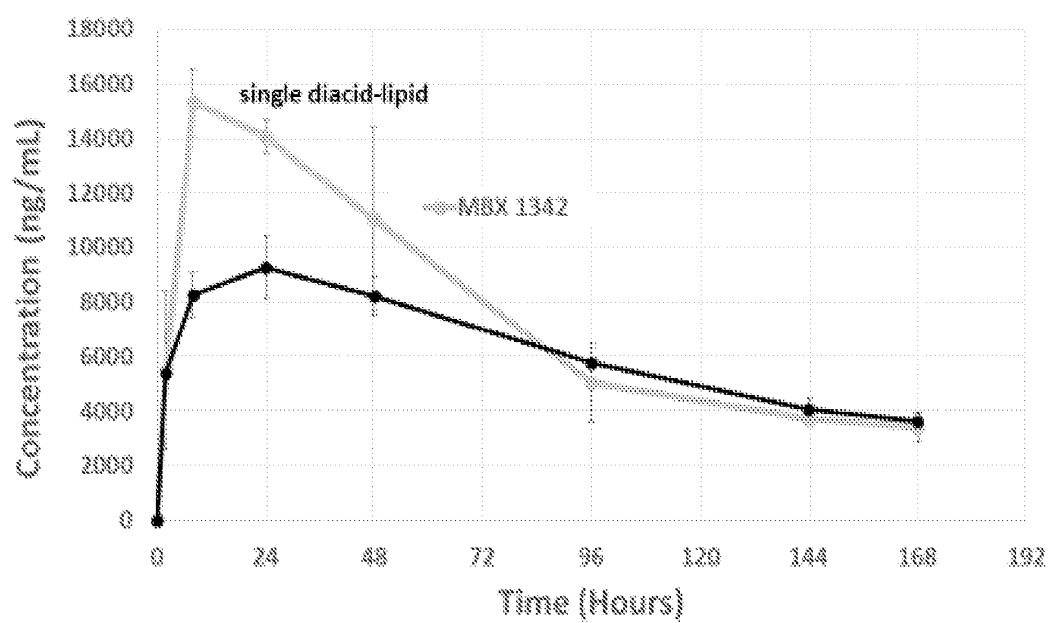


Fig. 8

9/14

**Fig 9**

		IC ₅₀ nM
1342	DLSKQMEEEAVRLFIEWLKNGGPSSGAPPPSO~amide	41
1373	K (X) G DLSKQMEEEAVRLFIEWLKNGGPSSGAPPPS~amide	14
1416	X DVSSYLEEQAVREFIAWLVRGGPSSGAPPPS~acid	36
1417	ZK (X) GDVSSYLEEQAVREFIAWLVRGGPSSGAPPPS~acid	80
1418	Z DVSSYLEEQAVREFIAWLVRGGPSSGAPPPSO~acid	67

Z=pyroglutamine X=C18-diacid O=X (C18~diacid)

Fig. 10

11/14

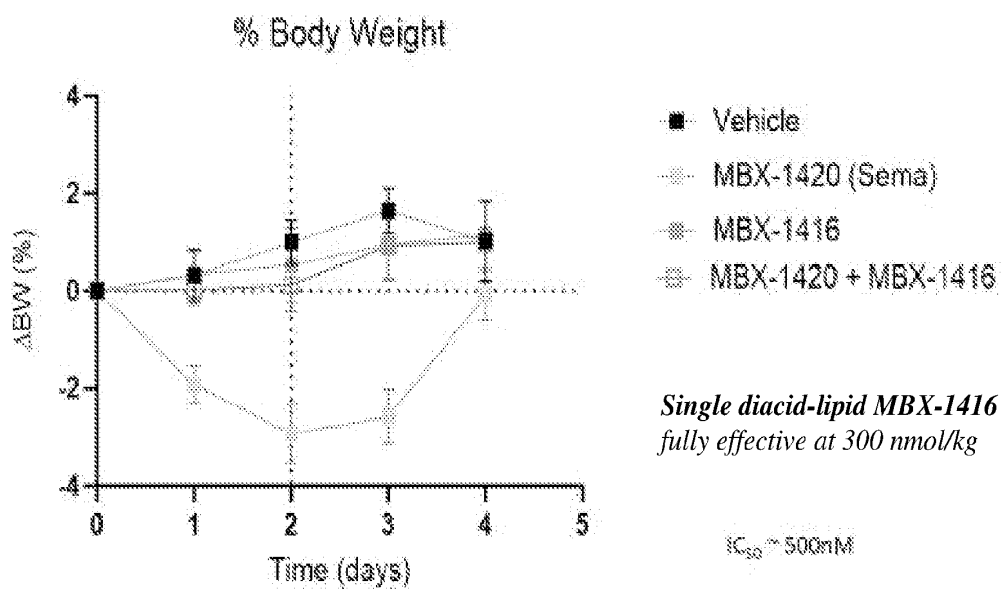
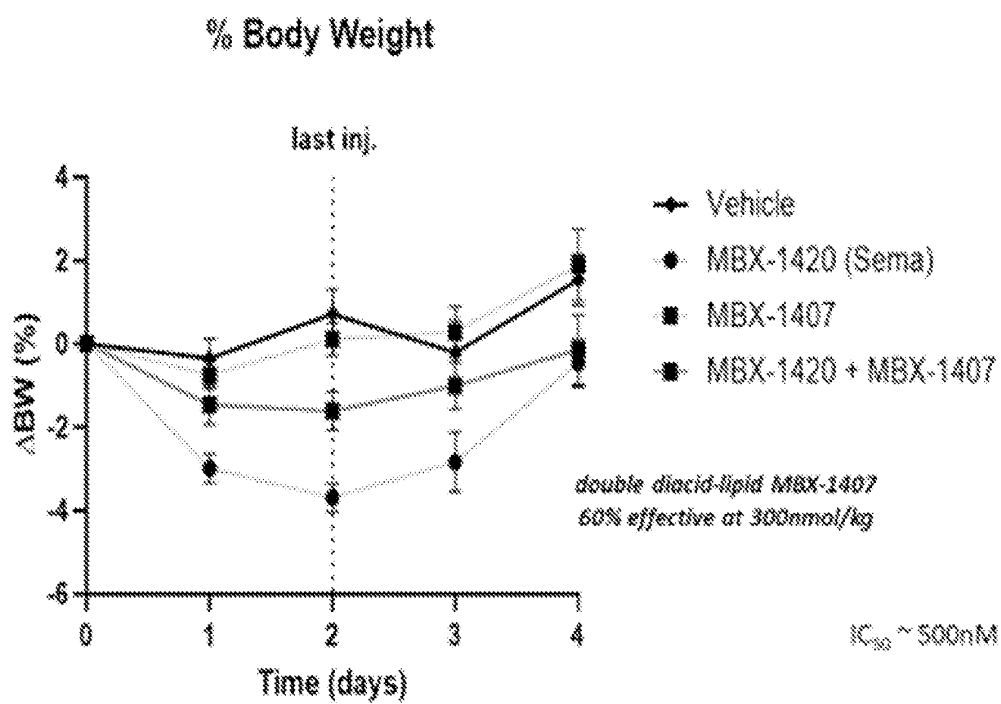


Fig 11A

12/14

**Fig 11B**

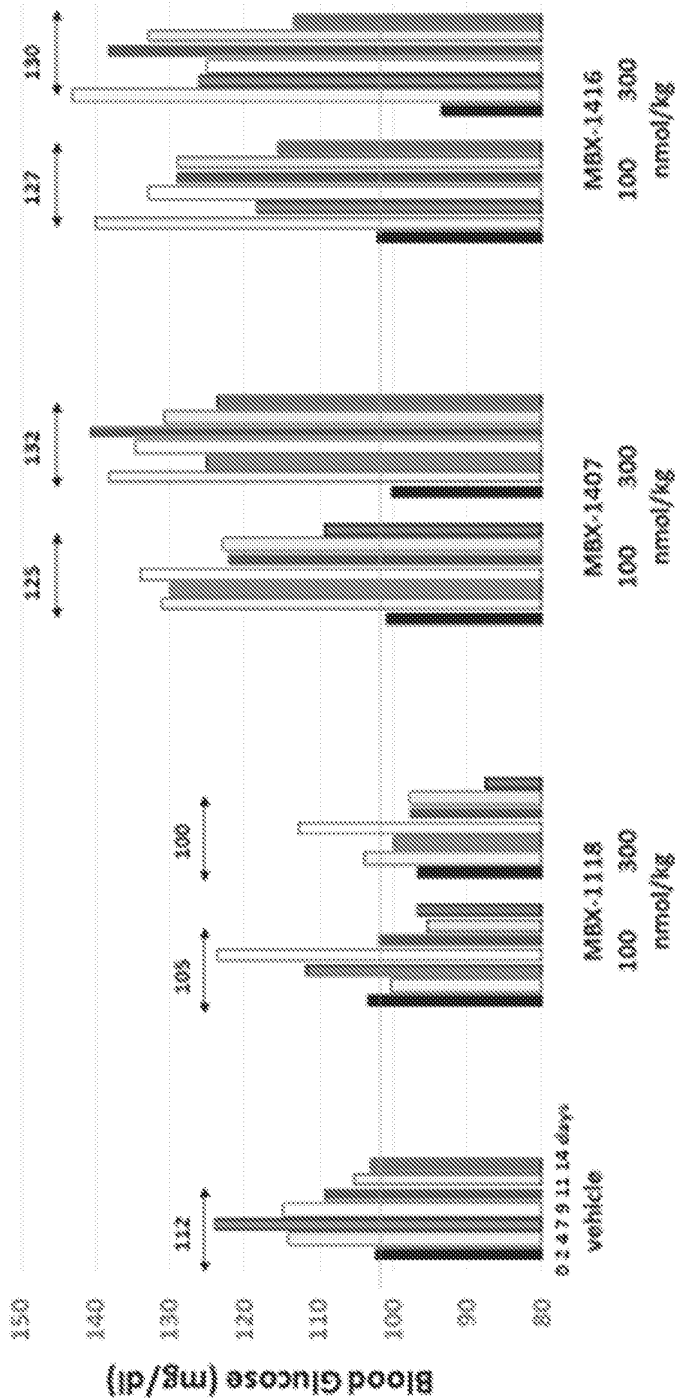


Fig 12

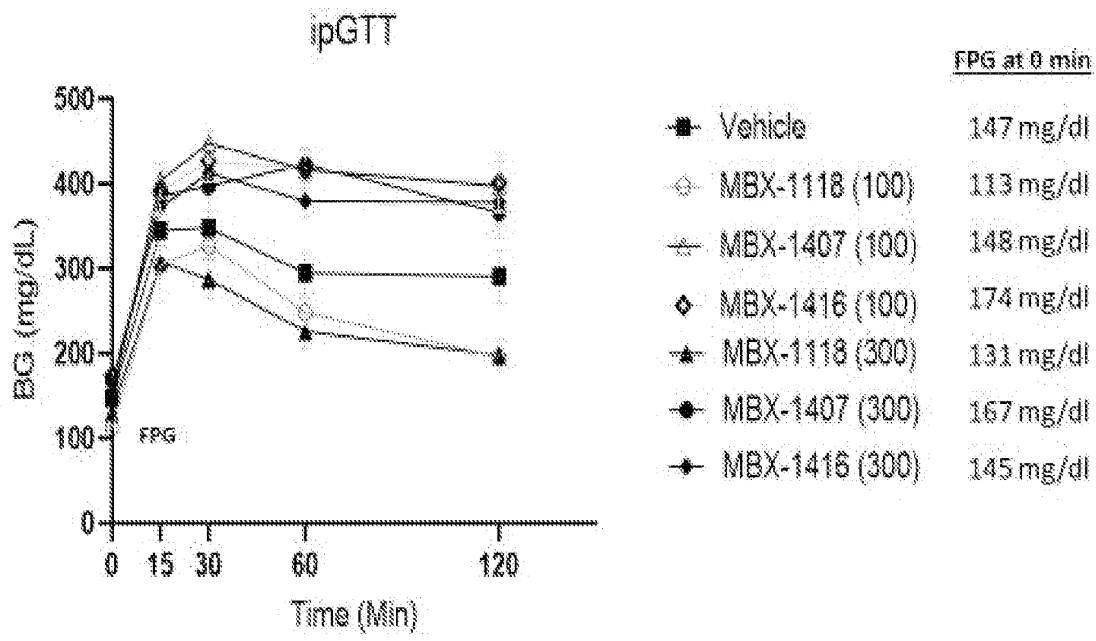


Fig 13