



Assessment of drug penetration in the brain using drug radiolabeling and PET imaging

AIM

Assessing the brain distribution of glyburide in humans, an approved anti-diabetes drug, to be repurposed for CNS therapy

METHODS

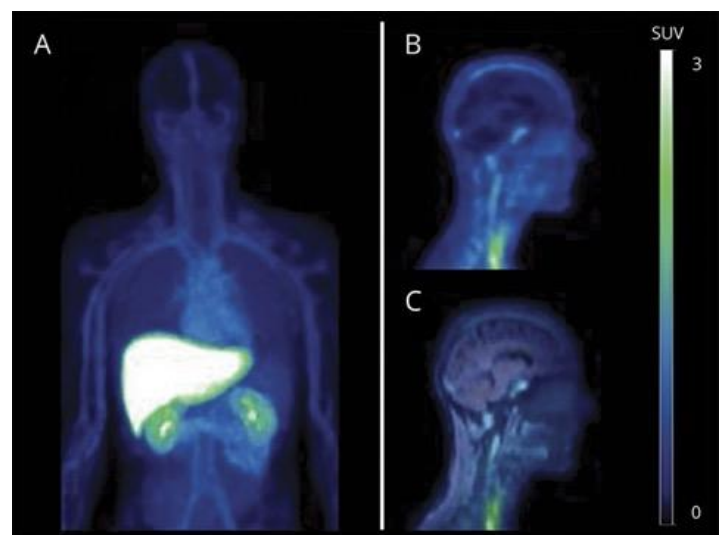
- Custom radioisotopical ^{11}C labelling of glyburide using an automated 2 step radiosynthesis
- Very low doses in healthy volunteers
- PET imaging after IV injection of ^{11}C -glyburide
- Kinetic modelling: blood-to-tissue distribution

RESULTS

- No toxicity, no side-effect
- Negligible brain penetration of glyburide in healthy humans

CONCLUSION

- Changes in BBB structure and function will be required for targeted delivery of glyburide to the brain tissue



Biodistribution of ^{11}C -glyburide: summed PET projection (A), brain PET (B), and PET-MRI fusion (C)