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

AIM

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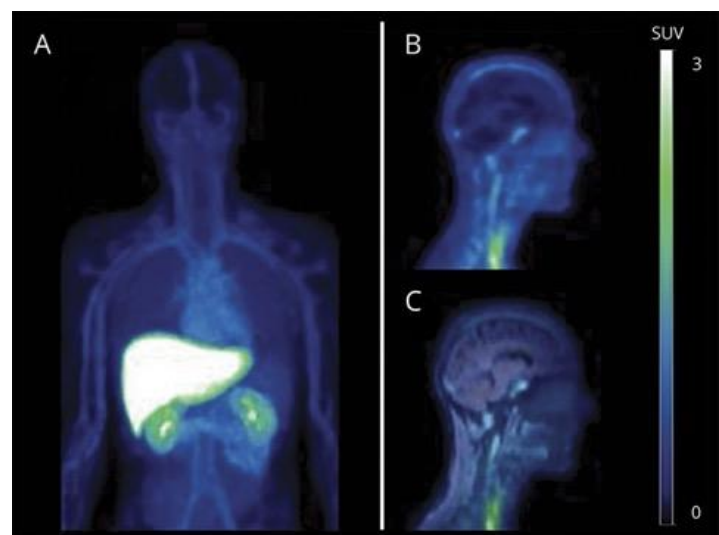
METHODS

- Custom radioisotopical 11C labelling of glyburide using an automated 2 step radiosynthesis

- Very low doses in healthy volunteers

- PET imaging after IV injection of [11C]-glyburide

- Kinetic modelling: blood-to-tissue distribution

Biodistribution of [11C]-glyburide: summed PET projection (A), brain PET (B), and PET-MRI fusion (C)

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

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and Innovative Therapies

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ophthalmic pathologies

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