

Supporting Information

Synthesis and Pharmacological Evaluation of [¹¹C]4-Methoxy-N-[2-(thiophen-2-yl)imidazo[1,2-a]pyridin-3-yl]benzamide as a Brain Penetrant PET Ligand selective for the δ -Subunit-Containing γ -Aminobutyric Acid Type A Receptors

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Contents

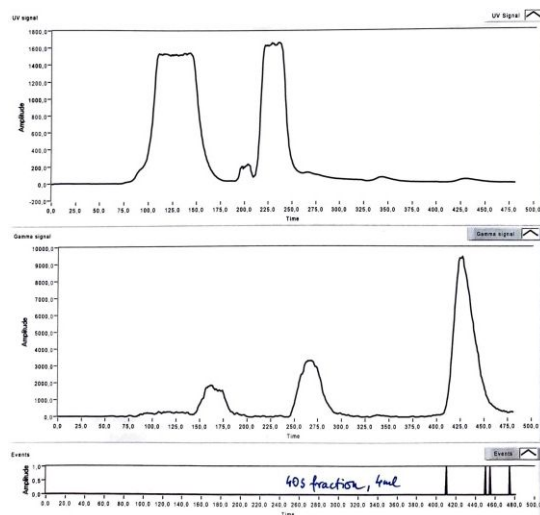
Results	2
<i>Selectivity profiling:</i>	2
<i>Radiolabeling of [¹¹C]DS2OMe ([¹¹C]1)</i>	2
<i>Distribution volumes and metabolism of [¹¹C]1</i>	3
<i>Radiometabolism in pigs</i>	4

Results

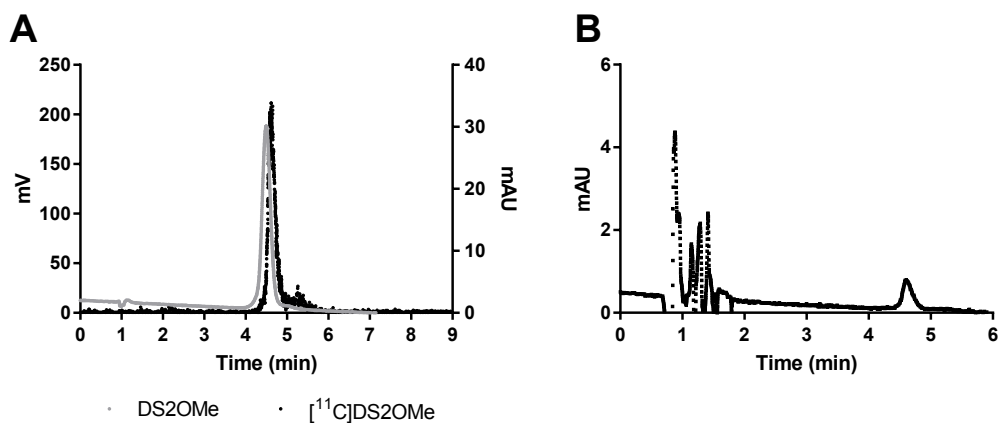
Selectivity profiling:

Supporting Table S1: In the table is included the targets for which DS2OMe showed less than 50% inhibition at 10 μ M in the National Institute of Mental Health's Psychoactive Drug Screening Program (NIMH-PDSP).

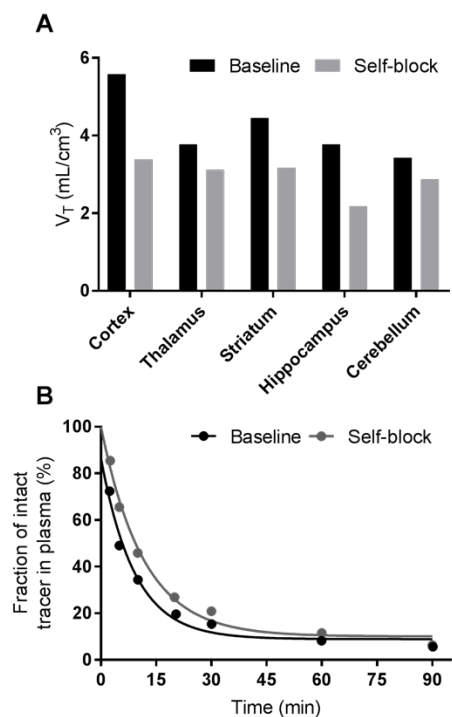
Receptors (<50% inhibition at 10 μ M)			
5-HT1A	Alpha1A	D4	M2
5-HT1B	Alpha1B	D5	M4
5-HT1D	Alpha1D	DAT	M5
5-HT1e	Alpha2A	DOR	MOR
5-HT2A	Alpha2B	H1	NET
5-HT2B	Beta1	H2	SERT
5-HT2C	Beta2	H3	Sigma 1
5-HT3	Beta3	H4	Sigma 2
5-HT5a	D1	KOR	
5-HT6	D2	M1	
5-HT7	D3	M3	



Supporting Figure S1: Semi-preparative HPLC chromatogram of radiolabeling of $[^{11}\text{C}]$ DS2OMe ($[^{11}\text{C}]$ 1).

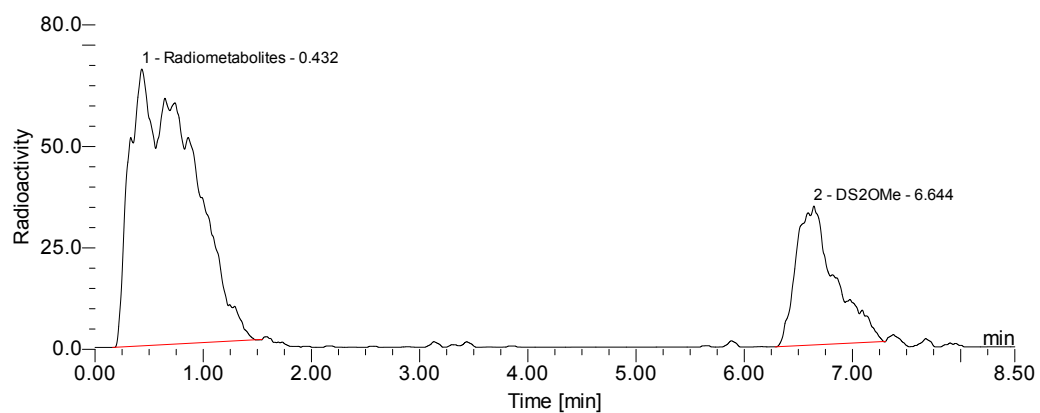


Supporting Figure S2: A) DS2OMe (**1**) (grey line) and [¹¹C]DS2OMe ([¹¹C]**1**)(black line) HPLC chromatograms. **B)** Product UV HPLC chromatogram



Supporting figure S3: A) Total distribution volumes (V_T) for the five indicated regions of interest at baseline (black) and after administration of 2.1 mg/kg unlabeled **1** (co-administrated with the tracer). **B)** HPLC analysis of [¹¹C]**1** in pig plasma as a function of time after intravenous injection of [¹¹C]**1** (baseline, black symbols) or after administration of 2.1 mg/kg unlabeled **1** (co-administrated with the tracer, self-block, grey symbols).

Radiometabolism in pigs



Supporting figure S4: Representative radio-chromatogram of pig plasma sample taken 20 min after injection with [^{11}C]DS2OMe.