β-Lactam TRPM8 antagonist RGM8-51 displays antinociceptive activity in different animal models

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Supporting Information

Table S1. Preliminary pharmacokinetic profile of **RGM8-51**.

Compound	Solubility (μM)[a] in simulated gastric fluid	Log D	Permeability A-B[b] (%)	Permeability B-A[b] (%)	Binding to proteins (%)	Metabolism $t_{1/2}$ (min)[c]	Metabolism Clint[c] (μL/min/mg)
RGM8-51	198.3	5.77	0	0	100	11.3	613.6
Warfarin					99		
Tamoxifen		4.64					
Terfenadine						7.0	971.9
Propanolol			70	74		>60	<115

Studies performed at Eurofins-Cerep following their standard assays (see experimental methods for details). One experiment in duplicate

[a] Aqueous solubility (μM). Values: 193.99, 202.64.

[b] CACO-2 cell monolayer. A-B, percentage of compound in the acceptor cell. Values 0.01-0.04

[c] Liver microsomes culture. Values: 11.6, 11.0.