



Voltage Sensor Probes (VSPs) as an Efficient Tool to Screen for Inhibitors of Voltage-Gated Sodium Channels

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Auteur	Said-Hassane, Charifat [1], Coquerel, Quentin [2], Le Ray, Anne-Marie [3], Bréard, Dimitri [4], Siegler, Benjamin [5], Legros, Christian [6], Richomme, Pascal [7]
Pays	Autriche
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Mots-clés	Alkaloids [8], voltage sensor probes [9], Voltage-gated sodium channel [10]
Résumé en anglais	<p>Voltage-gated sodium channels (Nav) represent a therapeutically validated group of targets for the development of antiepileptic drugs, analgesics and antiarrhythmics [1]. However most of the existing drugs acting as Nav blockers suffer from multiple side effects, but the existence of a multigene family of Nav [2] suggests that the identification of new compounds that selectively block Nav isoforms might have better therapeutic efficiency and reduced side effects. Due to their molecular interference with numerous ion channels, alkaloids represent a group of natural products of particular interest. This is the reason why we have evaluated the efficiency of an in-house method to screen a library of isoquinoline alkaloids formerly isolated in our laboratory. Mammalian GH3 cells constitutively expressing Nav where used in conjunction with Voltage Sensor Probes (VSPs), the signals being read on a fluorescence plate reader. Thanks to this technique, we were able to precisely detect Nav channels activators or blockers. Among 62 compounds tested, 5 isoquinolines appeared as potent Nav channels inhibitors.</p> <p>References:</p> <ol style="list-style-type: none">1. Salat, K. et al. (2014) EOID 23:1093-11042. Yu, F.H. et al (2003) Genome Biol. 4:
URL de la notice	http://okina.univ-angers.fr/publications/ua15064 [11]

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