A method to determine the ability of drugs to diffuse through the blood-brain barrier

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Author(s) Seelig, A; Gottschlich, R; Devant, R M
Author(s) at UniBasel Seelig-Löffler, Anna ;
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A method has been devised for predicting the ability of drugs to cross the blood-brain barrier. The criteria depend on the amphiphilic properties of a drug as reflected in its surface activity. The assessment was made with various drugs that either penetrate or do not penetrate the blood-brain barrier. The surface activity of these drugs was quantified by their Gibbs adsorption isotherms in terms of three parameters: (i) the onset of surface activity, (ii) the critical micelle concentration, and (iii) the surface area requirement of the drug at the air/water interface. A calibration diagram is proposed in which the critical micelle concentration is plotted against the concentration required for the onset of surface activity. Three different regions are easily distinguished in this diagram: a region of very hydrophobic drugs which fail to enter the central nervous system because they remain adsorbed to the membrane, a central area of less hydrophobic drugs which can cross the blood-brain barrier, and a region of relatively hydrophilic drugs which do not cross the blood-brain barrier unless applied at high concentrations. This diagram can be used to predict reliably the central nervous system permeability of an unknown compound from a simple measurement of its Gibbs adsorption isotherm.
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