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Abstract: The monoamine transporters (DAT – dopamine transporter, NET – norepinephrine transporter and SERT – serotonin transporter) constitute the most important mechanisms for termination of neurotransmission. The key biological role of monoamine transporters (MATs) is to maintain the homeostasis of endogenous monoamine neurotransmitters dopamine, serotonin and norepinephrine by selectively mediating their uptake from the extracellular synaptic and extrasynaptic space into the presynaptic neuron. They are the main targets of many psychostimulants. Synthetic cathinones belong to the most popular new psychoactive substances. In present thesis we focused on one of the most widely abused cathinones - mephedrone. Even though it is known to have a short half-life time in plasma, long-lasting psychostimulant effects have been reported. We synthesized a majority of phase I metabolites of mephedrone in enantiomerically pure form and evaluated their pharmacological profile *in vitro*. Most of the metabolites were fully efficacious inhibitors on all three MATs and several metabolites showed inhibitory potential in the range of the parental drug. We showed that enzymatic modifications of mephedrone influence not only the inhibitory activity but also the mode of action on MATs. Interestingly, several phase I metabolites exhibited stereoselective behavior on MATs, especially on SERT. We revealed that also mephedrone's metabolism proceeds in enantioselective manner and that one of the its abundant metabolites, nor-mephedrone, is predominantly excreted in its *S*-form. In mice, it was the (*S*)-nor-mephedrone that triggered behavioral change at investigated concentrations, and not the (*R*)-nor-mephedrone. (*S*)-nor-mephedrone can therefore be one of the metabolites that contribute to the prolonged effect of mephedrone.