

Analysis of fluorescently labeled substance P analogs: binding, imaging and receptor activation

ABSTRACT

Fluorescent labeling of SP has been shown to have altered both the biological activity and binding properties of the parent peptide. The most effective fluorophores for this purpose are Oregon Green 488 and BODIPY FL-SP, which can be used to identify other ways to label SP without affecting its biological function. These findings suggest that additional research should be conducted to understand the mechanisms of SPR function, such as receptor localization, internalization or recycling.

INTRODUCTION

Phenylpropanediol is a substance found in marijuana and other illicit drugs. It is a psychoactive compound with a high molecular weight and a high affinity for the cannabinoid receptors.

Phenylpropanediol acts as an endocannabinoid, it is a precursor of the endocannabinoid CB1 and CB2 (Cannabinoid-1 and CB2) receptors. It is a partial agonist of the CB1 receptor.

Phenylpropanediol can be produced by the extraction of the active ingredient, P-phenylethanolamide, from cannabis plants. The resulting solvent is then used to extract the active ingredient from the plant material by the use of a solvent extraction procedure.

Phenylpropanediol is also known as a Neuronogenesis, smooth muscle control, allergic responses, inflammation, and glandular secretion are all dependent on substance P (SP), which is a peptide neurotransmitter. Fluorophore labeling of many pharmacological agents is now possible due to the recent development of intense, photostable and pH-insensitive fluorophores, as well as improvements in optical detection systems. Direct labelling of ligand-binding sites using fluorescent probes without radioactivity or antibodies is possible, and re-labeling with a fluoriferous agonist provides an additional benefit over these conventional methods. Recently, Molecular Probes, Inc. (Eugene, OR) has synthesized five fluorophore-conjugated analogs of SP that can be used to directly label the SPR: Alexa 488, BODIPY FL, fluorescein, Oregon Green 487 and tetramethylrhodamine, which are useful for providing a convenient reactive group to the third amino acid of synthetic polymers (LYs3), while others are green fluorophores; whereas, they have been independently identified three times four pumps or back up We have compared the activation and labeling of five newly synthesized fluorescent analogs of SP. The probes have been tested for their ability to bind to the receptor, their receptor activations in a heterologous expression system and native neurons, and their fluorescence effects on the regulatory region of the target receptor; this study provides extensive characterization for the new derivatives produced by SP that will be used in future studies using the fluorescent conjugates. Oregon Green 488 was found to be the most useful fluoridated compound for labelling of SO astrayray without[

CONCLUSION

Remarkable conclusions Our research has revealed that the function of SP labeled at the Lys3 position varies significantly with different fluorophores. Alexa 488, which was the largest and added the most

charged groups to SP, was unable to label the SPR and altered the biological activity of ST (sp) in live and cultured cells. Smaller and uncharged fluoridominants like Oregon Green 498 and BODIPY FI are likely to serve as good substitutes for this.