

Editorial

# Excited about *Receptors*

Stephen Safe 

Department of Veterinary Physiology and Pharmacology, College of Veterinary Medicine, Texas A&M University, College Station, TX 77843, USA; ssafe@cvm.tamu.edu

Receptors are widely expressed in human tissues and play a key role in maintaining cellular homeostasis and in pathophysiology, and they are important drug targets for the treatment of human diseases. It is estimated that receptors represent at least 5% of the human genome, and many of their functions and mechanisms of action remain to be discovered. Individual receptors are classified into several groups which share some common features; however, the classification criteria are highly variable. Cell surface/membrane receptors and intracellular receptors are two broad categories that describe the location of the receptor. The sub-classes of receptors within these categories are based on their molecular structure and activation pathways and include the cell surface, ligand-gated ion channel and kinase-linked receptors and the intracellular receptors. The major class of internal receptors is the nuclear receptor (NR) superfamily of 48 structurally related receptors, and also the aryl hydrocarbon receptor (AhR), which, unlike the NRs, is a basic helix–loop–helix protein which acts primarily as a heterodimer with the AhR nuclear translocator protein (Arnt). This brief introduction to receptors outlines a diverse family of gene products (e.g., receptoromes) which fall within the scope of this journal, and articles regarding their endogenous functions and their role in various diseases will form an essential part of the new journal *Receptors* (ISSN: 2813-2564) [1]. These articles will include research papers regarding individual and classes of receptors and timely review articles concerning various sub-topics within this expanding field.

Although some receptors and their mutant forms exhibit constitutive activity, the vast majority of receptors receive “stimulus signals” to initiate the activation of downstream pathways and genes leading to specific responses. Stimulus signals include temperature, pressure, light and chemicals, and receptors activated by chemicals (chemoreceptors) bind both endogenous and synthetic ligands. The development of small-molecule and polypeptide receptor ligands is a major bedrock activity of the pharmaceutical industry. Attracting research manuscripts regarding stimuli that activate receptors will also be a major emphasis of this journal, and it is anticipated that these manuscripts will include studies regarding individual receptor ligands and high-throughput receptor screening assays to detect new and more efficacious receptor ligands for clinical applications. A summary of various receptor ligands currently marketed by pharmaceutical companies pointed out that from 2011 to 2015, the aggregate sales of drugs targeting G-protein coupled receptors (GPCRs) amounted to USD 917 billion [2]. Receptor ligands are potentially lucrative pharmaceutical agents, but also, studies regarding their structure-binding activation/inactivation pathways are also intriguing and will be welcome in the journal *Receptors*. Research papers that concern selective receptor modulators (SRMs), ligand interactions with multiple binding sites on the same receptor, ligand binding to more than one receptor and ligand-induced interactions between different receptors are also welcome and can form part of comprehensive reviews.

We are excited about the launch of the journal *Receptors*, which will be highly topical and cover both basic and translational aspects of receptors and their ligands in depth. We encourage authors to propose special topics and review editions of the journal and will attempt to provide fair and timely reviews of submitted manuscripts and rapid publication after acceptance. We look forward to reviewing your manuscripts and the launch of this journal.



**Citation:** Safe, S. Excited about *Receptors*. *Receptors* **2022**, *1*, 1–2. <https://doi.org/10.3390/receptors1010001>

Received: 10 May 2022

Accepted: 10 May 2022

Published: 13 May 2022

**Publisher's Note:** MDPI stays neutral with regard to jurisdictional claims in published maps and institutional affiliations.



**Copyright:** © 2022 by the author. Licensee MDPI, Basel, Switzerland. This article is an open access article distributed under the terms and conditions of the Creative Commons Attribution (CC BY) license (<https://creativecommons.org/licenses/by/4.0/>).

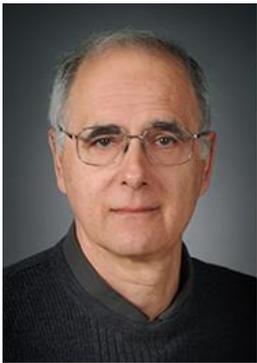
**Funding:** Funding was provided by the Syd Kyle Chair endowment and the National Institutes of Health (P30 ES029067).

**Conflicts of Interest:** The author declares no conflict of interest.

## References

1. *Receptors* Home Page. Available online: <https://www.mdpi.com/journal/receptors> (accessed on 9 May 2022).
2. Oprea, T.I.; Bologa, C.G.; Brunak, S.; Campbell, A.; Gan, G.N.; Gaulton, A.; Gomez, S.M.; Guha, R.; Hersey, A.; Holmes, J.; et al. Unexplored therapeutic opportunities in the human genome. *Nat. Rev. Drug Discov.* **2018**, *17*, 317–332. [[CrossRef](#)] [[PubMed](#)]

## Short Biography of Author



**Prof. Dr. Stephen H. Safe** is a Distinguished Professor at the Texas A&M's Department of Veterinary Physiology and Pharmacology. He obtained his DPhil from Oxford University in 1965. He carried out his postdoctoral research at Oxford University and Harvard University from 1966 to 1968. He has pioneered research in the toxicological field. His laboratory was one of the earliest implementers of cell and biology techniques to investigate mechanisms of chemical toxicity. His research on receptors initially focused on toxic ligands that bound and activated the arylhydrocarbon receptor (AhR) and he went on to study selective AhR modulators that are beneficial or repurposed for the treatment of various diseases, including cancer. He has also carried out research on PPAR $\gamma$  and its ligands and on the molecular biology of estrogen receptor alpha (ESR1), its activation and targeting. Most of his current research is focused on the development and applications of ligands for two orphan nuclear receptors, NR4A1 (Nur77) and NR4A2 (Nurr1). He has received many awards in recognition of his teaching and research. He has published five books and over 800 peer-reviewed scientific papers in leading journals.