

First participants enrolled in first-in-human Phase I clinical trial with nociceptin (NOP) receptor agonist

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Aachen, Germany, 22 October 2024 – Today, Grünenthal announced that the first participants have been enrolled in a first-in-human Phase I clinical trial for a nociceptin (NOP) receptor agonist. The trial will include 90 healthy volunteers and aims to demonstrate a favourable safety and tolerability profile and to confirm the pharmacokinetic characteristics of the compound after single and multiple ascending doses. The results of the trial are expected in Q3 2025.

“Grünenthal pioneered the research into NOP receptor agonists to deliver a unique and transformative first-in-class therapy option to millions of patients suffering from chronic pain,” says Gillian Burgess, Head of Research, Grünenthal. “With a unique mechanism of action for treating chronic pain, these molecules have the potential to deliver robust pain relief combined with an improved safety profile compared to the available standard of care.”

Pre-clinical data show that NOP receptor agonists have the potential to act as potent analgesics without abuse liability.^[1] Leveraging the clinical data Grünenthal obtained during the development of its NOP receptor programme, Grünenthal now brings forward a candidate that shows best-in-class potency and selectivity for the NOP receptor. These properties are predicted to provide robust pain relief in a broad range of chronic pain indications without the serious central nervous system related side effects associated with available opioids.

Grünenthal's R&D pipeline includes multiple programmes across different stages, targets, modalities, and mechanisms of action to deliver innovative treatment options for patients suffering from pain and related diseases. Recently, a Phase I clinical trial with a Glucocorticoid Receptor Modulator (GRM) has been completed. The compound is developed to provide patients with a therapy option for chronic inflammatory diseases. In addition, Grünenthal is running a Phase III clinical trial with Qutenza[®] (capsaicin) 8% topical system in post-surgical neuropathic pain, aiming to expand its label in the United States. A global Phase III programme investigating the efficacy, safety and tolerability of Resiniferatoxin in patients with painful osteoarthritis of the knee is currently ongoing.

About the NOP Receptor

The nociceptin (NOP) receptor is a G protein-coupled receptor whose natural ligand is the 17 amino acid neuropeptide known as nociceptin (N/OFQ).^[2] NOP Receptor agonists have been shown to act as potent analgesics without abuse liability in pre-clinical models.¹ Although the NOP Receptor shares some sequence identity (~60%) with the opioid receptors μ -OP (MOP), κ -OP (KOP), and δ -OP (DOP), they possess little or no affinity for opioid peptides or morphine-like compounds. Likewise, opioid receptors possess little affinity towards NOP's endogenous ligand nociceptin.^[3]

About Grünenthal

Grünenthal is a global leader in pain management and related diseases. As a science-based, fully integrated pharmaceutical company, we have a long track record of bringing innovative treatments and state-of-the-art technologies to patients worldwide. Our purpose is to change lives for the better – and innovation is our passion. We are focusing all our activities and efforts on working towards our vision of a World Free of Pain.

Grünenthal is headquartered in Aachen, Germany, and has affiliates in 27 countries across Europe, Latin America, and the U.S. Our products are available in approx. 100 countries. In 2023, Grünenthal employed around 4,400 people and achieved revenues of €1.8 billion.

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[1] Lin AP, Ko MC. The therapeutic potential of nociceptin/orphanin FQ receptor agonists as analgesics without abuse liability. *ACS Chem Neurosci*. 2013 Feb 20;4(2):214-24. doi: 10.1021/cn300124f. Epub 2012 Nov 6. PMID: 23421672; PMCID: PMC3582300.

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