

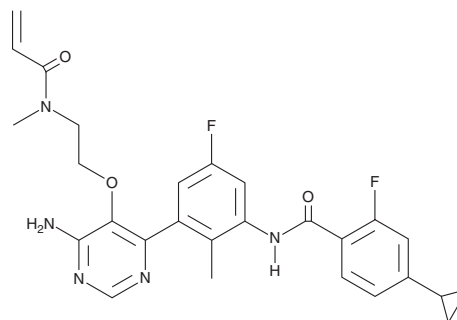
PRODUCT INFORMATION



Remibrutinib

Item No. 44962

CAS Registry No.: 1787294-07-8
Formal Name: N-[3-[6-amino-5-[2-[methyl(1-oxo-2-propen-1-yl)amino]ethoxy]-4-pyrimidinyl]-5-fluoro-2-methylphenyl]-4-cyclopropyl-2-fluoro-benzamide
Synonym: LOU064
MF: C₂₇H₂₇F₂N₅O₃
FW: 507.5
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Remibrutinib is supplied as a solid. A stock solution may be made by dissolving the remibrutinib in the solvent of choice, which should be purged with an inert gas. Remibrutinib is soluble (≥10 mg/ml) in DMSO.

Description

Remibrutinib is a covalent inhibitor of Bruton's tyrosine kinase (BTK; IC₅₀ = 1.3 nM).¹ It selectively binds to BTK over bone marrow tyrosine kinase on chromosome X (BMX) and Tec (K_ds = 0.63, 540, and 110 nM, respectively), as well as IL-2-inducible T cell kinase (Itk), EGFR, HER2, HER4, and JAK3 (K_ds = >10,000 nM for all). Remibrutinib is also selective for BTK over a panel of 456 kinases at 1 μM and a panel of 85 receptors, transporters, enzymes, and ion channels at 10 μM. It inhibits anti-IgM-induced activation of primary human B cells (IC₅₀ = 18 nM). Remibrutinib (3 mg/kg) inhibits the formation of IgM antibodies against sheep red blood cells in mice. It also decreases paw swelling in a rat model of collagen-induced arthritis. Formulations containing remibrutinib have been used in the treatment of chronic spontaneous urticaria.

Reference

1. Angst, D., Gessier, F., Janser, P., *et al.* Discovery of LOU064 (remibrutinib), a potent and highly selective covalent inhibitor of Bruton's tyrosine kinase. *J. Med. Chem.* **63**(10), 5102-5118 (2020).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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