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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

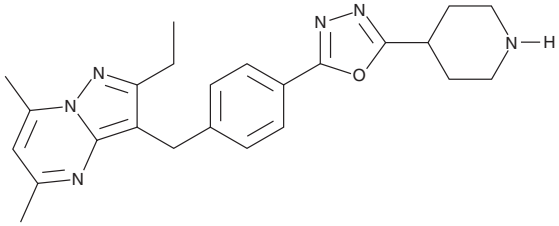
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

NE52-QQ57

Item No. 40647

CAS Registry No.:	1401728-56-0
Formal Name:	2-ethyl-5,7-dimethyl-3-[[4-[5-(4-piperidinyl)-1,3,4-oxadiazol-2-yl]phenyl]methyl]-pyrazolo[1,5-a]pyrimidine
MF:	$C_{24}H_{28}N_6O$
FW:	416.5
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

NE52-QQ57 is supplied as a solid. A stock solution may be made by dissolving the NE52-QQ57 in the solvent of choice, which should be purged with an inert gas. NE52-QQ57 is slightly soluble (0.1-1 mg/ml) in ethanol and DMSO.

Aqueous solutions of NE52-QQ57 can be prepared by directly dissolving the solid in aqueous buffers. NE52-QQ57 is slightly soluble (0.1-1 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

NE52-QQ57 is an antagonist of the G protein-coupled receptor 4 (GPR4; IC_{50} = 0.04 µM).[1] It is selective for GPR4 over human-ether-a-go-go (hERG) and histamine H_3 receptor (IC_{50}s = 19 and >30 µM, respectively). NE52-QQ57 (2 µM) decreases the IL-33-induced increases in IL-17, IFN-γ, TNF-α, NF-κB, matrix metalloproteinase-2 (MMP-2), MMP-9, and COX-2 levels in, and prostaglandin E_2 (PGE_2; Item No. 10410) secretion by, HMC-1 mast cells.[2] It reduces IL-33-induced increases in mitochondrial reactive oxygen species (ROS) in the same cells. NE52-QQ57 (30 mg/kg twice per day) increases colon length and reduces fecal blood levels and incidence of diarrhea, lymph node volumes, and spleen weight, as well as reduces distal and mid colon inflammation and leukocyte infiltration levels, in a mouse model of ulcerative colitis induced by dextran sodium sulfate (DSS).[3] It increases the mechanical paw withdrawal threshold in a rat model of inflammatory pain induced by complete Freud's adjuvant (CFA) when administered at doses of 3, 10, or 30 mg/kg.[1]

References

1. Velcicky, J., Miltz, W., Oberhauser, B., *et al.* Development of selective, orally active GPR4 antagonists with modulatory effects on nociception, inflammation, and angiogenesis. *J. Med. Chem.* **60(9)**, 3672-3683 (2017).
2. Li, J., Chen, K., and Zhao, Z. The protective effects of NE 52-QQ57 against interleukin-33-induced inflammatory response in activated synovial mast cells. *J. Biochem. Mol. Toxicol.* **36(8)**, e23116 (2022).
3. Sanderlin, E.J., Marie, M., Velcicky, J., *et al.* Pharmacological inhibition of GPR4 remediates intestinal inflammation in a mouse colitis model. *Eur. J. Pharmacol.* **852**, 218-230 (2019).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM