

PRODUCT INFORMATION

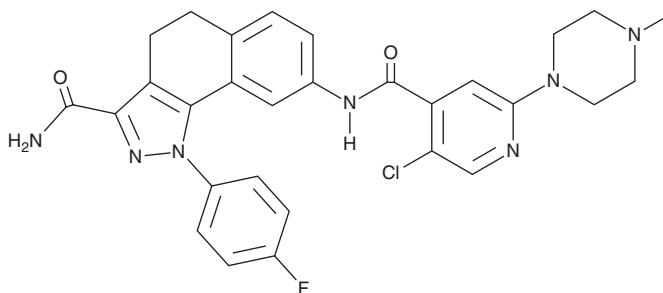


PHA-408

Item No. 41279

CAS Registry No.: 503555-55-3
Formal Name: 8-[[[5-chloro-2-(4-methyl-1-piperazinyl)-4-pyridinyl]carbonyl]amino]-1-(4-fluorophenyl)-4,5-dihydro-1H-benz[*g*]indazole-3-carboxamide

Synonym: PHA-767408
MF: C₂₉H₂₇ClFN₇O₂
FW: 560.0
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

PHA-408 is supplied as a solid. A stock solution may be made by dissolving the PHA-408 in the solvent of choice, which should be purged with an inert gas. PHA-408 is slightly soluble (0.1-1 mg/ml) in methanol and acetonitrile.

Description

PHA-408 is an inhibitor of I κ B kinase β (IKK β ; IC₅₀ = 0.04 μ M).¹ It is selective for IKK β over IKK α , Pim-1, Pim-2, Tie2, TrkA, and p70S6K (IC₅₀s = 0.6-28.4 μ M), as well as a panel of 26 kinases (IC₅₀s = >30 μ M for all). PHA-408 inhibits IL-1 β -induced production of prostaglandin E₂ (PGE₂; Item No. 14010) in synovial fibroblasts isolated from patients with rheumatoid arthritis (IC₅₀ = ~0.6 μ M). *In vivo*, PHA-408 (50 mg/kg) reduces serum LPS-induced TNF- α production in rats. It inhibits joint swelling and bone destruction in a rat model of streptococcal cell wall-induced arthritis when administered at a dose of 10 mg/kg. PHA-408 (15 and 45 mg/kg) inhibits LPS- or cigarette smoke-induced bronchoalveolar lavage fluid (BALF) neutrophil influx and reduces BALF levels of IL-6, TNF- α , and IL-1 β in rats.²

References

1. Mbalaviele, G., Sommers, C.D., Bonar, S.L., *et al.* A novel, highly selective, tight binding I κ B kinase-2 (IKK-2) inhibitor: A tool to correlate IKK-2 activity to the fate and functions of the components of the nuclear factor- κ B pathway in arthritis-relevant cells and animal models. *J. Pharmacol. Exp. Ther.* **329**(1), 14-25 (2009).
2. Rajendrasozhan, S., Hwang, J.-W., Yao, H., *et al.* Anti-inflammatory effect of a selective I κ B kinase-beta inhibitor in rat lung in response to LPS and cigarette smoke. *Pulm. Pharmacol. Ther.* **23**(3), 172-181 (2010).

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

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 07/26/2024

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