

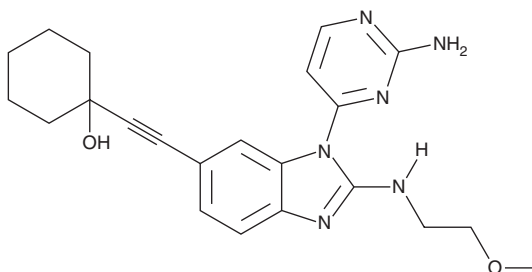
PRODUCT INFORMATION



GNE-2861

Item No. 29458

CAS Registry No.: 1394121-05-1
Formal Name: 1-[2-[1-(2-amino-4-pyrimidinyl)-2-[(2-methoxyethyl)amino]-1H-benzimidazol-6-yl]ethynyl]-cyclohexanol
MF: C₂₂H₂₆N₆O₂
FW: 406.5
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

GNE-2861 is supplied as a solid. A stock solution may be made by dissolving the GNE-2861 in the solvent of choice, which should be purged with an inert gas. GNE-2861 is soluble in organic solvents such as ethanol and DMSO. The solubility of GNE-2861 in these solvents is approximately 100 mM.

Description

GNE-2861 is an inhibitor of the group 2 p21-activated kinases (PAKs; IC₅₀s = 7.5, 126, and 36 nM for PAK4, -5, and -6, respectively).¹ It is selective for group 2 PAKs over group 1 PAKs (IC₅₀s = 5.42, 0.97, and >10 μM for PAK1, -2, and -3, respectively), as well as JAK3, KHS1, MAP4K4, and MINK1 at 1 μM. GNE-2861 (0.1-50 μM) inhibits cell migration and reduces cell viability of MDA-MB-436 and MCF-10A PIK3CA cells in a concentration-dependent manner. It sensitizes drug-resistant MCF-7/LCC2 breast cancer cells to tamoxifen (Item No. 13258).²

References

1. Staben, S.T., Feng, J.A., Lyle, K., *et al.* Back pocket flexibility provides group II p21-activated kinase (PAK) selectivity for type I 1/2 kinase inhibitors. *J. Med. Chem.* **57**(3), 1033-1045 (2014).
2. Zhuang, T., Zhu, J., Li, Z., *et al.* p21-activated kinase group II small compound inhibitor GNE-2861 perturbs estrogen receptor alpha signaling and restores tamoxifen-sensitivity in breast cancer cells. *Oncotarget* **6**(41), 43853-43868 (2015).

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.

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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