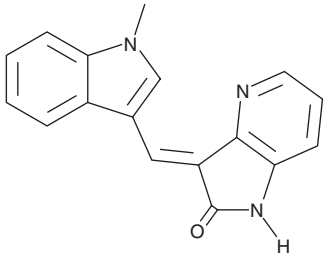
PRODUCT INFORMATION

GW 441756

Item No. 16915

CAS Registry No.: 504433-23-2
Formal Name: 1,3-dihydro-3-[(1-methyl-1H-indol-3-yl)methylene]-2H-pyrrolo[3,2-b]pyridin-2-one
MF: $C_{17}H_{13}N_3O$
FW: 275.3
Purity: ≥95%
UV/Vis.: λ_{max}: 285, 375, 470, 500 nm
Supplied as: A crystalline 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

GW 441756 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 441756 in the solvent of choice, which should be purged with an inert gas. GW 441756 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GW 441756 in these solvents is approximately 5 and 1 mg/ml, respectively.

GW 441756 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

The high affinity nerve growth factor (NGF) receptor, also known as NGF tyrosine kinase receptor A (TrkA), is involved in the development and maturation of the nervous system. Aberrant expression is associated with certain forms of cancer and congenital insensitivity to pain with anhidrosis.[1,2] GW 441756 is a potent inhibitor of TrkA (IC_{50} = 2 nM).[3] It displays >100-fold selectivity over a panel of related kinases.[3] GW 441756 has been used to clarify the role of TrkA in regulating gene expression in neuroblastoma cells and, more recently, in amyloid-β protein precursor cleavage in neuroglioma cells.[4,5]

References

1. Fujimoto, M., Kitazawa, R., Maeda, S., *et al.* Methylation adjacent to negatively regulating AP-1 site reactivates TrkA gene expression during cancer progression. *Oncogene* **24(32)**, 5108-5118 (2005).
2. Mardy, S., Miura, Y., Endo, F., *et al.* Congenital insensitivity to pain with anhidrosis: Novel mutations in the TRKA (NTRK1) gene encoding a high-affinity receptor for nerve growth factor. *Am. J. Hum. Genet.* **64(6)**, 1570-1579 (1999).
3. Wood, E.R., Kuyper, L., Petrov, K.G., *et al.* Discovery and *in vitro* evaluation of potent TrkA kinase inhibitors: Oxindole and aza-oxindoles. *Bioorg. Med. Chem. Lett.* **14**, 953-957 (2004).
4. Jung, E.-J., Lee, S.-Y., and Kim, C.W. Proteomic analysis of novel targets associated with TrkA-mediated tyrosine phosphorylation signaling pathways in SK-N-MC neuroblastoma cells. *Proteomics* **13(2)**, 355-367 (2013).
5. Zhang, Q., Descamps, O., Hart, M.J., *et al.* Paradoxical effect of TrkA inhibition in Alzheimer's disease models. *J. Alzheimers Dis.* **40(3)**, 605-617 (2014).

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