

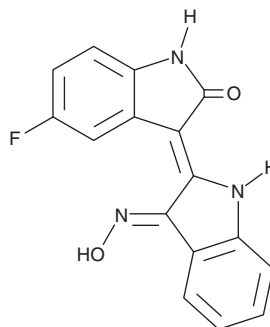
PRODUCT INFORMATION



PD 082106

Item No. 29719

CAS Registry No.: 861214-33-7
Formal Name: 3-[1,3-dihydro-3-(hydroxyimino)-2H-indol-2-ylidene]-5-fluoro-1,3-dihydro-2H-indol-2-one
Synonyms: 5'-FIO, 5'-Fluoroindirubinoxime
MF: C₁₆H₁₀FN₃O₂
FW: 295.3
Purity: ≥95%
UV/Vis.: λ_{max}: 280, 290 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

PD 082106 is supplied as a crystalline solid. A stock solution may be made by dissolving the PD 082106 in the solvent of choice, which should be purged with an inert gas. PD 082106 is soluble in the organic solvent DMSO.

Description

PD 082106 is an inhibitor of FMS-related tyrosine kinase 3 (FLT3; IC₅₀ = 0.015 μM, respectively) and a derivative of indirubin (Item No. 14155).¹ It is selective for FLT3 over Met, Ron, EGFR, and the insulin receptor (IC₅₀s = >10 μM for all) but does inhibit DRAK2 (IC₅₀ = 0.62 μM), as well as VEGFR2 and Aurora A (IC₅₀s = 1.53 and 1.27 μM, respectively).^{1,2} PD 082106 inhibits proliferation of A549 lung, SNU-638 stomach, HT-1080 fibrosarcoma, HL-60 leukemia, and MCF-7 breast cancer cells with IC₅₀ values of 13, 2.1, 3.4, 89, and 9 μM, respectively, but does not inhibit proliferation of Col 2 colon cancer cells.³ It also inhibits proliferation of (IC₅₀ = 5.1 μM), and induces apoptosis in, K-Ras-transformed RK3D rat kidney epithelial (RK3E-*ras*) cells and reduces tumor growth in RK3E-*ras* flank and oral tumor models.⁴ PD 082106 is active against the parasite *T. gondii* (ID₅₀ = 0.52 μM) with a toxic dose value (TD₅₀) of 61 μM.⁵

References

1. Choi, S.-J., Lee, J.-E., Jeong, S.-Y., *et al.* 5,5'-substituted indirubin-3'-oxime derivatives as potent cyclin-dependent kinase inhibitors with anticancer activity. *J. Med. Chem.* **53**(9), 3696-3706 (2010).
2. Jung, M.E., Byun, B.J., Kim, H.-M., *et al.* Discovery of indirubin derivatives as new class of DRAK2 inhibitors from high throughput screening. *Bioorg. Med. Chem. Lett.* **26**(11), 2719-2723 (2016).
3. Moon, M.J., Lee, S.K., Lee, J.-W., *et al.* Synthesis and structure-activity relationships of novel indirubin derivatives as potent anti-proliferative agents with CDK2 inhibitory activities. *Bioorg. Med. Chem.* **14**(1), 237-246 (2006).
4. Kim, S.-A., Kim, Y.-C., Kim, S.-W., *et al.* Antitumor activity of novel indirubin derivatives in rat tumor model. *Clin. Cancer Res.* **13**(1), 253-259 (2007).
5. Krivogorsky, B., Grundt, P., Yolken, R., *et al.* Inhibition of *Toxoplasma gondii* by indirubin and tryptanthrin analogs. *Antimicrob. Agents Chemother.* **52**(12), 4466-4469 (2008).

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