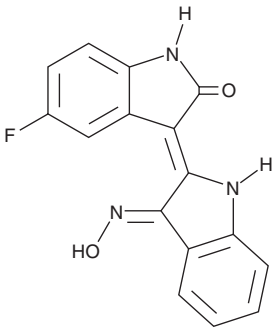
PRODUCT INFORMATION

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:	$C_{16}H_{10}FN_3O_2$
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; IC_{50} = 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 (IC_{50}s = >10 µM for all) but does inhibit DRAK2 (IC_{50} = 0.62 µM), as well as VEGFR2 and Aurora A (IC_{50}s = 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 IC_{50} 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 (IC_{50} = 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* (ID_{50} = 0.52 µM) with a toxic dose value (TD_{50}) 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).

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