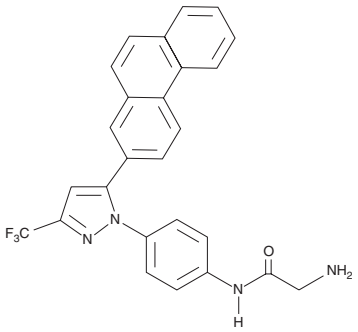
PRODUCT INFORMATION

OSU03012

Item No. 10008005

Sold under US Patent 7,576,116

CAS Registry No.:	742112-33-0
Formal Name:	2-amino-N-[4-[5-(2-phenanthrenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl] phenyl]-acetamide
MF:	$C_{26}H_{19}F_3N_4O$
FW:	460.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 266, 291 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

OSU03012 is supplied as a crystalline solid. A stock solution may be made by dissolving the OSU03012 in the solvent of choice. OSU03012 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of OSU03012 in ethanol is approximately 2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Description

Cyclooxygenase-2 (COX-2) appears to play a significant role in the development and progression of cancer and COX-2 inhibitors such as celecoxib exhibit anti-cancer activity.[1] OSU03012 is an analog of celecoxib that exhibits anti-cancer activity in a COX-2-independent manner *via* inhibition of the phosphatidyl inositol-3-kinase/Akt pathway.[2-4] It has an IC_{50} value of 5 µM for inhibition of 3-phosphoinositide-dependent kinase-1, and therefore Akt activation, with no measurable COX-2 inhibition up to 50 µM.[3] OSU03012 is a potent inhibitor of tumor cell growth with an average inhibitory concentration of 1.1 µM across a panel of 60 cancer cell lines.[3] It does not inhibit signal transduction through the mitogen-activated protein kinase (MAPK) pathway.[4] OSU03012 induces apoptosis of chronic lymphocytic leukemia cells independent of bcl-2 overexpression using both caspase-dependent and independent pathways.[2]

References

1. Subbaramaiah, K. and Dannenberg, A.J. Cyclooxygenase 2: A molecular target for cancer prevention and treatment. *Trends Parhamcol Sci.* **24(2)**, 96-102 (2003).
2. Johnson, A.J., Smith, L.L., Zhu, J., *et al.* A novel celecoxib derivative, OSU03012, induces cytotoxicity in primary CLL cells and transformed B-cell lymophoma cell line via a caspase- and Bcl-2-independent mechanism. *Blood* **105(6)**, 2504-2509 (2005).
3. Zhu, J., Huang, J.W., Tseng, P.H., *et al.* From the cyclooxygenase-2 inhibitor celecoxib to a novel class of 3-phosphoinositide-dependent protein kinase-1 inhibitors. *Cancer Res.* **64**, 4309-4318 (2004).
4. Kucab, J.E., Lee, C., Chen, C.S., *et al.* Celecoxib analogues disrupt Akt signaling, which is commonly activated in primary breast tumors. *Breast Cancer Res.* **7(5)**, R796-R807 (2004).

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