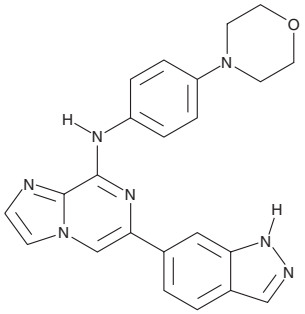
PRODUCT INFORMATION

GS-9973

Item No. 17653



CAS Registry No.:	1229208-44-9
Formal Name:	6-(1H-indazol-6-yl)-N-[4-(4-morpholinyl) phenyl]-imidazo[1,2-a]pyrazin-8-amine
MF:	$C_{23}H_{21}N_7O$
FW:	411.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 244, 328 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

GS-9973 is supplied as a crystalline solid. A stock solution may be made by dissolving the GS-9973 in the solvent of choice, which should be purged with an inert gas. GS-9973 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GS-9973 in these solvents is approximately 15 and 10 mg/ml, respectively.

GS-9973 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GS-9973 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GS-9973 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Spleen tyrosine kinase (Syk) is a non-receptor tyrosine kinase that upon phosphorylation binds to immunoreceptor tyrosine-based activation motifs of FcRγ chains and mediates downstream signaling related to platelet function and inflammation.[1] GS-9973 is a potent Syk inhibitor (IC_{50} = 7.7 nM) that demonstrates 10-35-fold selectivity for Syk over a panel of 359 nonmutant kinases.[2] In conjunction with the PI3Kδ inhibitor CAL-101 (Item No. 15279), it has been used to reduce chronic lymphocytic leukemia cell survival and to disrupt chemokine signaling at nanomolar concentrations.[3]

References

1. Singh, R., Masuda, E.S., and Payan, D.G. Discovery and development of spleen tyrosine kinase (SYK) inhibitors. *J. Med. Chem.* **55(8)**, 3614-3643 (2012).
2. Currie, K.S., Kropf, J.E., Lee, T., *et al.* Discovery of GS-9973, a selective and orally efficacious inhibitor of spleen tyrosine kinase. *J. Med. Chem.* **57(9)**, 3856-3873 (2014).
3. Burke, R.T., Meadows, S., Loriaux, M.M., *et al.* A potential therapeutic strategy for chronic lymphocytic leukemia by combining Idelalisib and GS-9973, a novel spleen tyrosine kinase (Syk) inhibitor. *Oncotarget* **5(4)**, 908-915 (2014).

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