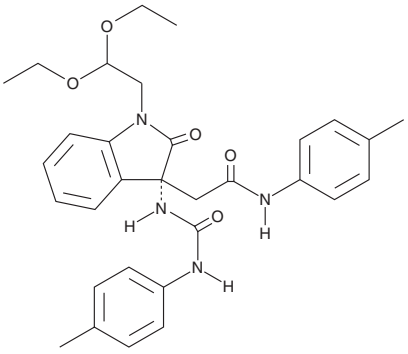
PRODUCT INFORMATION

AG-041R

Item No. 10010129

CAS Registry No.:	159883-95-1
Formal Name:	(3R)-1-(2,2-diethoxyethyl)-2,3-dihydro-N-(4-methylphenyl)-3-[[[(4-methylphenyl)amino]carbonyl]amino]-2-oxo-1H-indole-3-acetamide
MF:	$C_{31}H_{36}N_4O_5$
FW:	544.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 247 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

AG-041R is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-041R in an organic solvent purged with an inert gas. AG-041R is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AG-041R in ethanol is approximately 5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that 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 peptide hormone gastrin acts through G protein-coupled cholecystokinin-2 (CCK_2) receptors to regulate cell proliferation, differentiation, apoptosis, and gene expression of gastrointestinal cells and exerts trophic effects on a number of gastric cancer cell lines. AG-041R is a potent gastrin/CCK_2 receptor antagonist that exhibits selective binding for CCK_2 compared to CCK_1.[1] AG-041R inhibits gastrin-evoked secretion of pancreastatin (IC_{50} = 2.2 nM) as well as gastrin-induced histamine release and cell growth of *Mastomys* ECL carcinoid tumor cells.[1,2] AG-041R (1 µM) exhibits synergistic inhibitory effects on the cell viability of human gastric cancer cells when administered in combination with the selective COX-2 inhibitor NS-398 (Item No. 70590) at 10 µM.[3]

References

1. Chiba, T., Kinoshita, Y., Sawada, M., *et al.* The role of endogenous gastrin in the development of enterochromaffin-like cell carcinoid tumors in *Mastomys natalensis*: A study with the specific gastrin receptor antagonist AG-041R. *Yale Journal of Biology and Medicine* **71(3-4)**, 247-255 (1998).
2. Lindström, E., Björkqvist, M., and Håkanson, R. Pharmacological analysis of CCK2 receptor antagonists using isolated rat stomach ECL cells. *Br. J. Pharmacol.* **127(2)**, 530-536 (1999).
3. Sun, W.-H., Zhu, F., Chen, G.-S., *et al.* Blockade of cholecystokinin-2 receptor and cyclooxygenase-2 synergistically induces cell apoptosis, and inhibits the proliferation of human gastric cancer cells *in vitro*. *Cancer Lett* **263(2)**, 302-311 (2008).

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