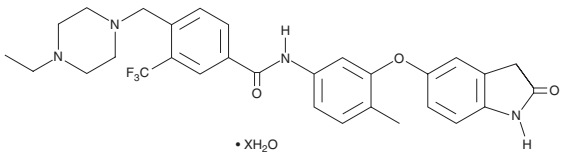
PRODUCT INFORMATION

DDR1-IN-1 (hydrate)

Item No. 18092

Formal Name: N-[3-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]-4-methylphenyl]-4-[(4-ethyl-1-piperazinyl)methyl]-3-(trifluoromethyl)-benzamide, hydrate
Synonym: Discoidin Domain Receptor 1-IN-1
MF: $C_{30}H_{31}F3N_4O_3 \bullet XH_2O$
FW: 552.6
Purity: ≥95%
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

DDR1-IN-1 (hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the DDR1-IN-1 (hydrate) in the solvent of choice. DDR1-IN-1 (hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of DDR1-IN-1 (hydrate) in ethanol is approximately 0.1 mg/ml and approximately 10 mg/ml in DMSO and DMF.

DDR1-IN-1 (hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, DDR1-IN-1 (hydrate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. DDR1-IN-1 (hydrate) has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The discoidin domain receptor (DDR) tyrosine kinases are activated by matrix collagens and are important for cell proliferation, differentiation, adhesion, migration, and invasion. DDR1-IN-1 binds to DDR1 and inhibits DDR1 autophosphorylation with an IC_{50} value of 105 nM and an EC_{50} value of 87 nM.[1,2] It demonstrates weaker inhibition for DDR2 (IC_{50} = 413 nM) and has a good selectivity profile against a panel of 451 kinases.[1] DDR-IN-1 has been shown to inhibit colorectal cancer cell lines when used in combination with the PI3K/mTOR inhibitor, GSK2126458 (Item No. 17377).[1]

References

1. Kim, H.-G., Tan, L., Weisberg, E.L., *et al.* Discovery of a potent and selective DDR1 receptor tyrosine kinase inhibitor. *ACS Chem Biol.* **8**, 2145-2150 (2013).
2. Canning, P., Tan, L., Chu, K., *et al.* Structural mechanisms determining inhibition of the collagen receptor DDR1 by selective and multi-targeted type II kinase inhibitors. *J. Mol. Biol.* **426(13)**, 2457-2470 (2014).

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