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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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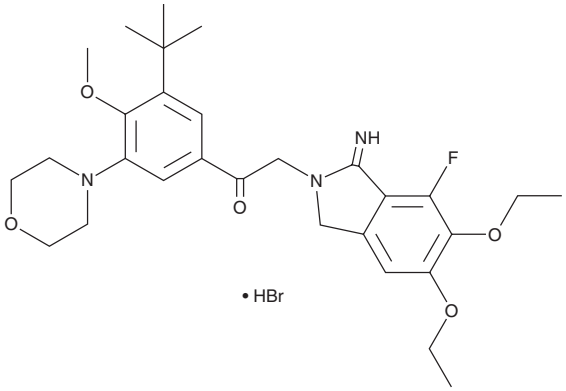
PRODUCT INFORMATION

Atopaxar (hydrobromide)

Item No. 41395

CAS Registry No.:	474550-69-1
Formal Name:	2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]-ethanone, monohydrobromide
Synonyms:	E5555, ER 172594-06
MF:	$C_{29}H_{38}FN_3O_5$ • HBr
FW:	608.5
Purity:	≥95%
Supplied as:	A 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

Atopaxar (hydrobromide) is supplied as a solid. A stock solution may be made by dissolving the atopaxar (hydrobromide) in the solvent of choice, which should be purged with an inert gas. Atopaxar (hydrobromide) is sparingly soluble (1-10 mg/ml) in DMSO.

Atopaxar (hydrobromide) is slightly soluble (0.1-1 mg/ml) in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure 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

Atopaxar is an antagonist of proteinase-activated receptor 1 (PAR1; IC_{50} = 0.019 µM).[1] It selectively inhibits thrombin- or TRAP-6 amide-induced aggregation of isolated human platelet-rich plasma (IC_{50}s = 0.064 and 0.09 µM, respectively) over ADP-, PAR4-AP-, U-46619-, or collagen-induced aggregation of isolated human platelet-rich plasma at 10 µM. Atopaxar (10 µM) inhibits IL-6-induced phosphorylation of STAT3 in A549 non-small cell lung cancer (NSCLC) cells and transactivation of STAT3 in a reporter assay using A549 cells (IC_{50} = 5.901 µM).[2] It reduces granzyme B- and IL-1β-induced cytotoxicity in human neurons derived from induced pluripotent stem cells (iPSCs) when used at a concentration of 1 µM.[3] Atopaxar (30 and 100 mg/kg) increases the time to occlusion of the femoral artery but does not affect bleeding time in a guinea pig model of photochemically induced thrombosis.[1]

References

1. Kogushi, M., Matsuoka, T., Kawata, T., *et al.* The novel and orally active thrombin receptor antagonist E5555 (Atopaxar) inhibits arterial thrombosis without affecting bleeding time in guinea pigs. *Eur. J. Pharmacol.* **657(1-3)**, 131-137 (2011).
2. Sun, J., Du, Y., Zhang, X., *et al.* Discovery and evaluation of Atopaxar hydrobromide, a novel JAK1 and JAK2 inhibitor, selectively induces apoptosis of cancer cells with constitutively activated STAT3. *Invest. New Drugs* **38(4)**, 1003-1011 (2020).
3. Lee, P.R., Johnson, T.P., Gnanapavan, S., *et al.* Protease-activated receptor-1 activation by granzyme B causes neurotoxicity that is augmented by interleukin-1β. *J. Neuroinflammation* **14(1)**, 131 (2017).

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