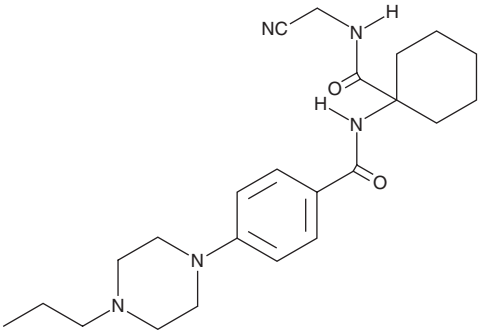
PRODUCT INFORMATION

Balicatib

Item No. 17995

CAS Registry No.:	354813-19-7
Formal Name:	N-[1-[[(cyanomethyl)amino] carbonyl]cyclohexyl]-4-(4-propyl-1-piperazinyl)-benzamide
Synonym:	AAE581
MF:	$C_{23}H_{33}N_5O_2$
FW:	411.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 202, 297 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

Balicatib is supplied as a crystalline solid. A stock solution may be made by dissolving the balicatib in the solvent of choice, which should be purged with an inert gas. Balicatib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of balicatib in these solvents is approximately 0.5, 10, and 25 mg/ml, respectively.

Balicatib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, balicatib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Balicatib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Balicatib is a potent inhibitor of cathepsin K (IC_{50}s = 1.4, 56, and 480 nM for human, rat, and mouse forms, respectively).[1,2] It is at least 100-fold selective for cathepsin K over cathepsins B, L, and S.[2] Balicatib has a basic lipophilic nature, resulting in lysosomal trapping and increased selectivity for lysosomal cathepsin K.[1,2]

References

1. Desmarais, S., Black, W.C., Oballa, R., *et al.* Effect of cathepsin k inhibitor basicity on *in vivo* off-target activities. *Mol. Pharmacol.* **73(1)**, 147-156 (2008).
2. Falgueyret, J.-P., Desmarais, S., Oballa, R., *et al.* Lysosomotropism of basic cathepsin K inhibitors contributes to increased cellular potencies against off-target cathepsins and reduced functional selectivity. *J. Med. Chem.* **48(24)**, 7535-7543 (2005).

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