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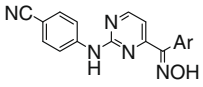
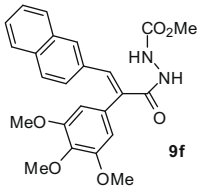
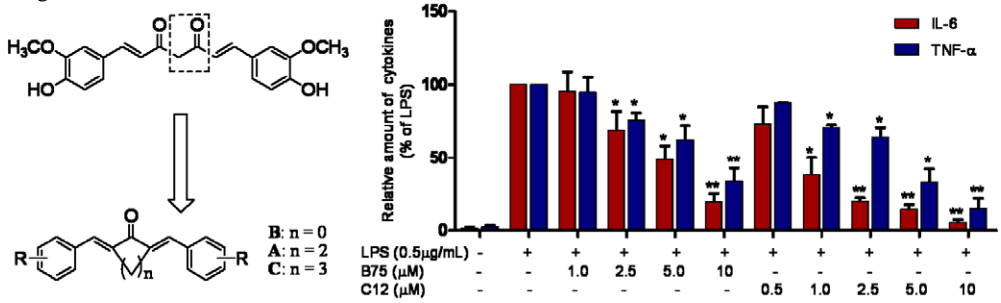
Contents

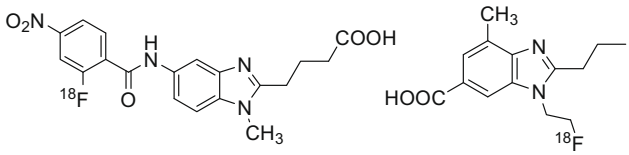
ARTICLES





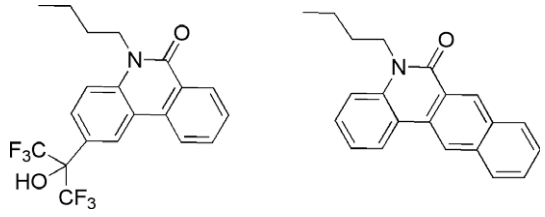
Hydrazide **9f** is highly cytotoxic against various tumor cells including cisplatin resistant cells. It binds to the colchicine binding site at the tubulin heterodimer and has a strong antitubulin potential.



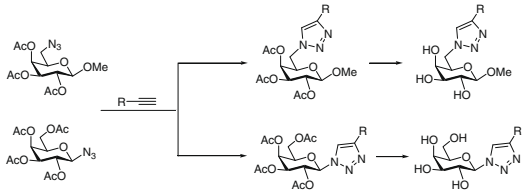


[^{18}F] FNBMBBA [^{18}F] FEMPBBA

Two [^{18}F] labeled benzimidazole derivatives was synthesised. [^{18}F] FEMPBBA has got an excellent result of biodistribution assay in S180 tumor bearing mice and has significant advantages in some aspects compared with L-[^{18}F] FET and [^{18}F]-FDG in the same animal model, especially in tumor/brain uptake ratio.

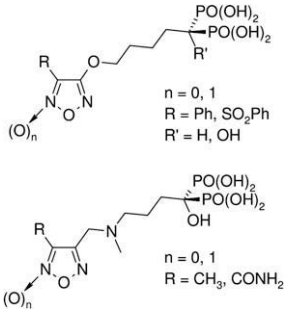


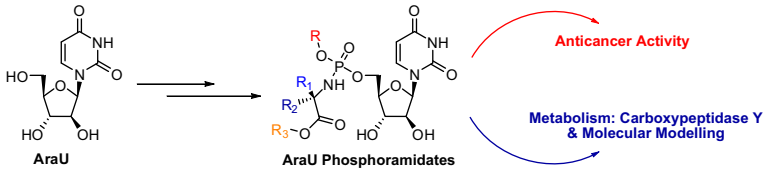
Substituted phenanthridinone skeleton was demonstrated to be useful as a scaffold to develop anti-HCV agents.



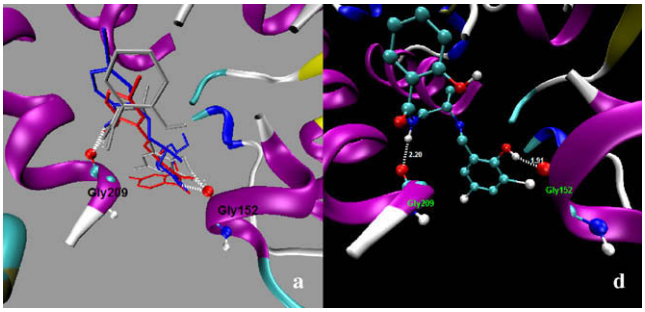
A 46-membered library of galactose-based triazoles was synthesized by 'click chemistry'. These compounds proved to be weak substrate analogue inhibitors of *Trypanosoma cruzi trans*-sialidase but some compounds showed selective trypanocidal activity whilst others showed selective toxicity towards mammalian cells.

A new series of N-BPs able to inhibit osteoclastogenesis on RAW 246.7 and PBMC cells is presented.
The most active compounds were tested for their ability to inhibit the mevalonate pathway.

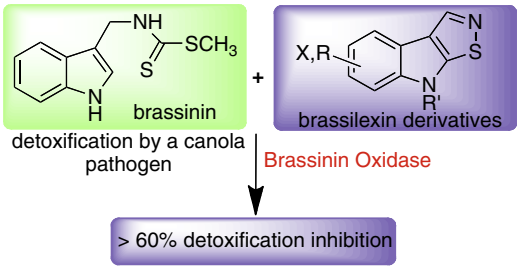




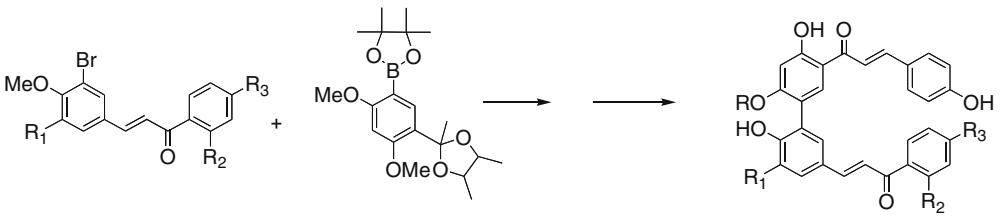
Herein, we describe the design, synthesis and anticancer activity of a series of AraU phosphoramidates. In addition, we report on the metabolism of these phosphoramidates by carboxypeptidase Y and molecular modelling studies investigating the possible cleavage of the P–N bond. Cell extract studies complement the modelling study.



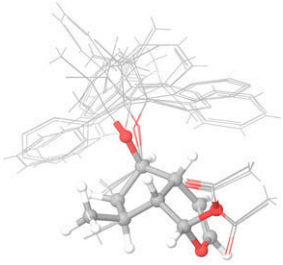
We described here the design, synthesis, molecular modeling, and biological evaluation of a series of peptide and Schiff bases (PSB) small molecules, inhibitors of *Escherichia coli* β-Ketoacyl-acyl carrier protein synthase III (ecKAS III). The initial lead compound was reported by us previously, we continued to carry out structure–activity relationship studies and optimize the lead structure to potent inhibitors in this research. The results demonstrated that both *N*-(2-(3,5-dichloro-2-hydroxybenzylideneamino)propyl)-2-hydroxybenzamide (**1f**) and 2-hydroxy-*N*-(2-(2-hydroxy-5-iodobenzylideneamino)propyl)-4-methylbenzamide (**3e**) were posses good ecKAS III inhibitory activity and well binding affinities by bonding Gly152/Gly209 of ecKAS III and fit into the mouth of the substrate tunnel, and can be as potential antibiotics agent, displaying minimal inhibitory concentration values in the range 0.20–3.13 μg/mL and 0.39–3.13 μg/mL against various bacteria.

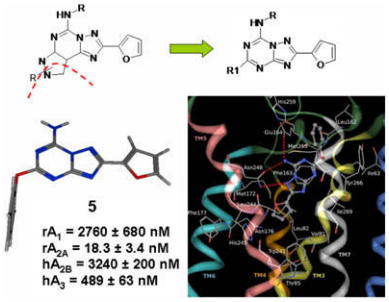


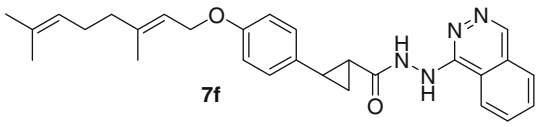
Brassinin oxidase, a unique detoxifying enzyme produced by the plant pathogen *Leptosphaeria maculans*, mediates the detoxification of the phytoalexin brassinin. Evaluation of different derivatives of the phytoalexins brassilexin and wasalexins A and B revealed 6-Cl-brassilexin to be the best competitive inhibitor discovered to date (K_i = 31 μM).

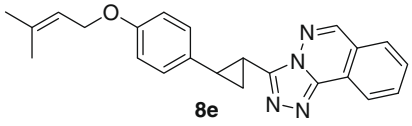


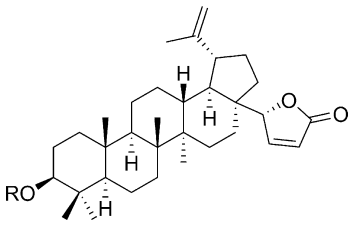
The total syntheses of natural product rhuschalcone VI and eight analogs employing the Suzuki–Miyaura reaction are reported together with antiprotozoal activities and cytotoxicity data for some of them.

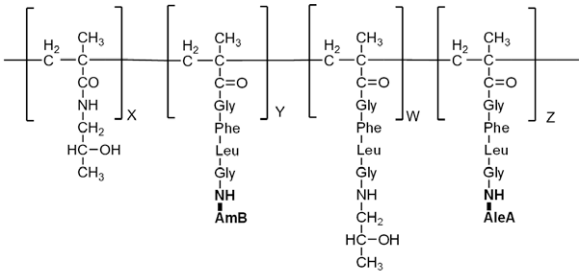




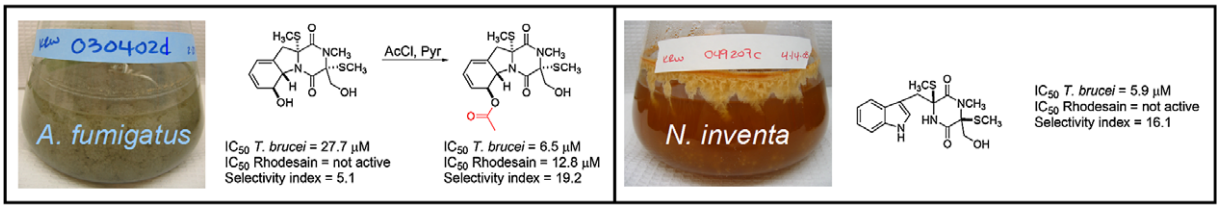


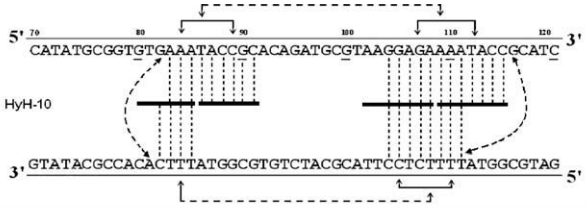




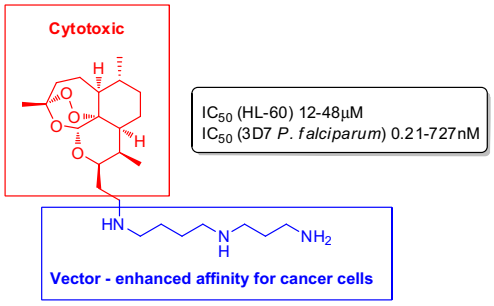


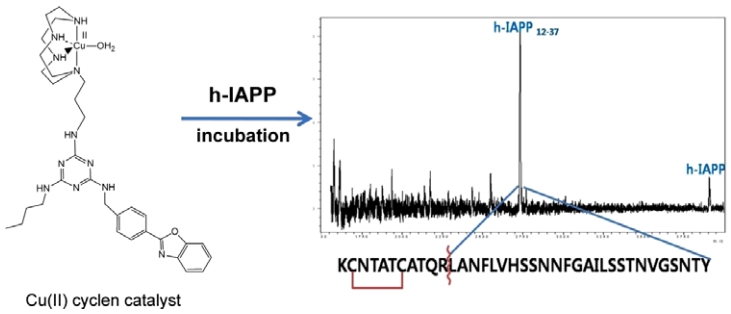
AleA = alendronic acid; AmB = amphotericin B

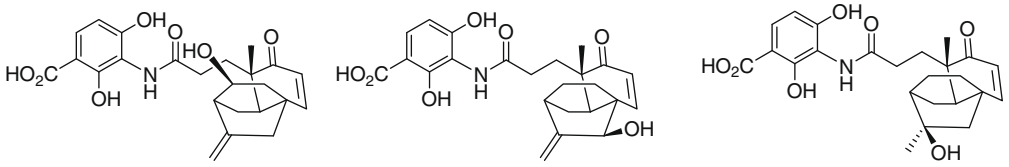


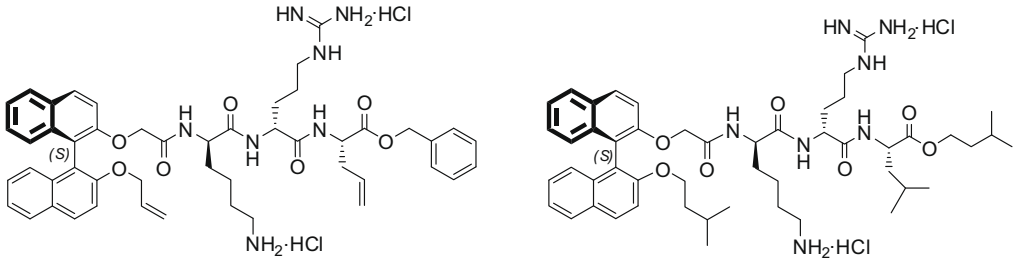


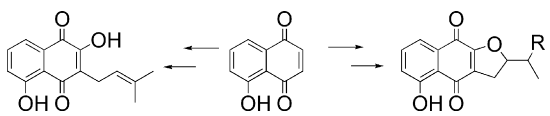
Recent footprinting and CD studies support the hypothesis of three types of DNA-peptide allosteric interaction networks.

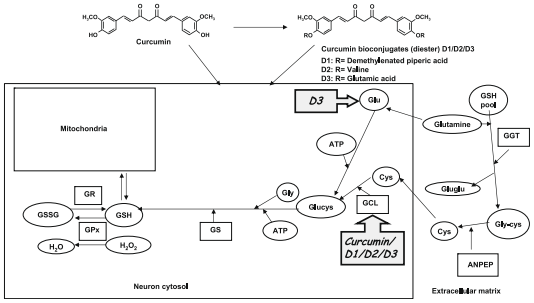


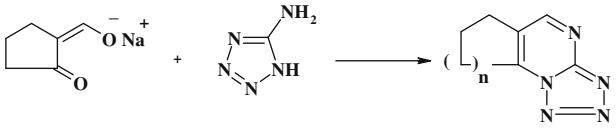




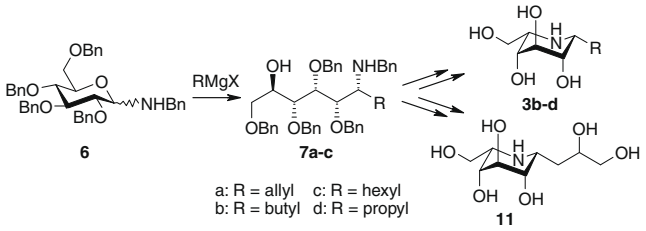


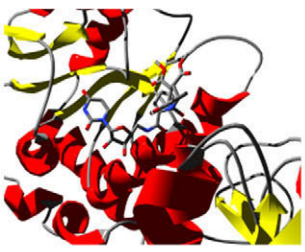




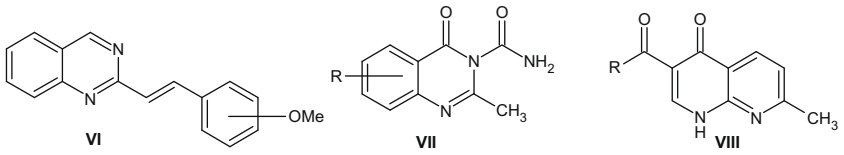


An easy and efficient route for the synthesis of tetrazolopyrimidines has been achieved by the reaction 5-amino-1*H*-tetrazole hydrate with the sodium formyl salts of cyclic ketones as a one-step reaction, afforded in a good yield the cycloalkane ring-fused tetrazolo[1,5-*a*]pyrimidines. The cyclohexane ring-fused tetrazolo[1,5-*a*]-pyrimidine derivative, 6,7,8,9-tetrahydrotetrazolo[1,5-*a*] quinazoline, exhibited potential and moderate anti-proliferative effects against EAC and HepG2, respectively. These anti-tumor activities may be mediated via induction of apoptosis and cell cycle arrest.

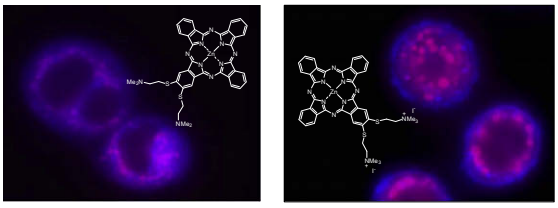




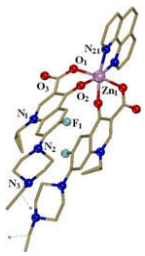
Uridine-linked transition state analogue bound to *Escherichia coli* MurG

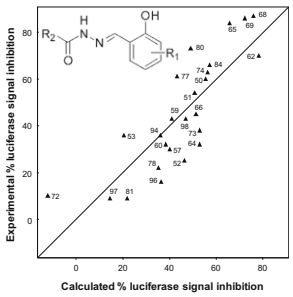


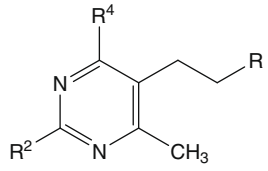
Several quinazolinone and styrylquinazoline analogues were found to have markedly greater anti-proliferative activity than desferoxamine and cis-platin.



A new series of amphiphilic zinc(II) phthalocyanines containing amino or ammonium groups have been synthesized. In general, they exhibit high photocytotoxicity with IC_{50} values as low as 0.08 μM, and show high and selective affinity to the mitochondria or cell membrane of HT29 cells depending on the charge of the substituents.

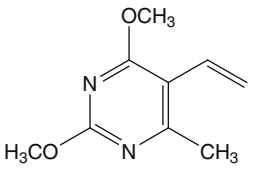






R^2, R^4 = OH, OCH_3, Cl
R = OH, OAc, OMtr, F, Cl

3-17



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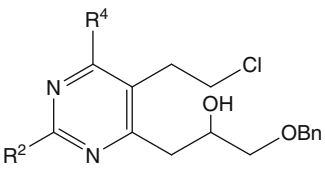
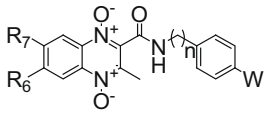


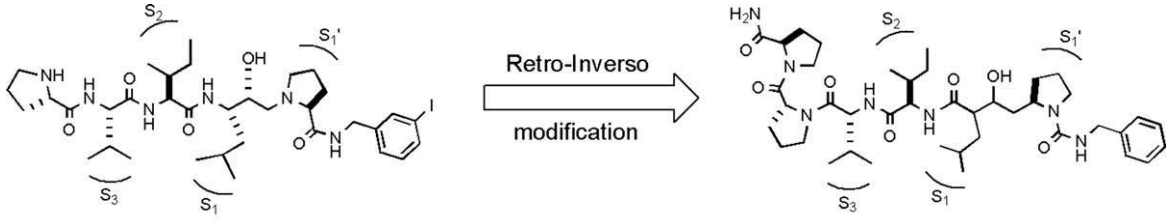
$R^2 = R^4$ = OH, OCH_3

19, 20

The present study deals with synthesis of 5,6-disubstituted pyrimidine derivatives and their cytostatic activities against human malignant cell lines. The structures of three compounds were determined by X-ray crystal structure analysis.



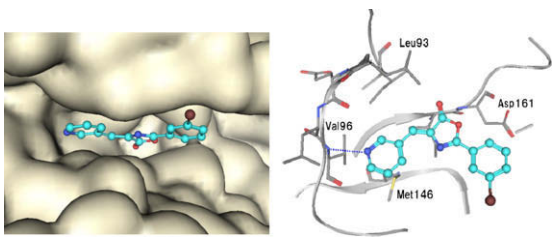
Thirty-six new amide quinoxaline 1,4-di-*N*-oxide derivatives have been synthesized and evaluated as potential anti-tubercular agents by the TAACF, obtaining biological values in the same order as the reference compound rifampin.



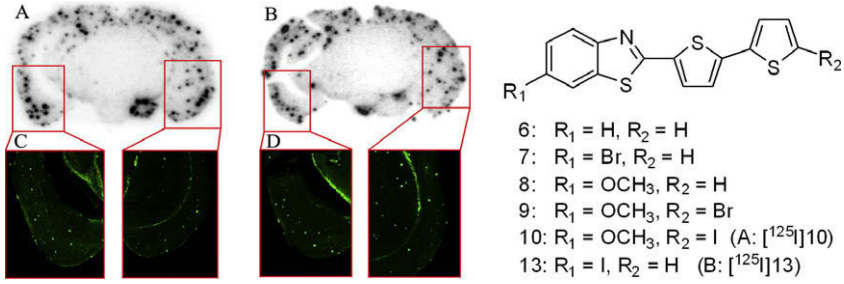
Hydroxyethylamine type inhibitor (L-amino acids)

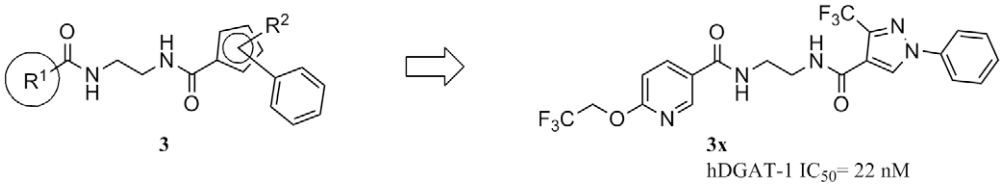
Type II RI inhibitor (D-amino acids)

Retro-inverso (RI) modification of HTLV-1 protease inhibitors was effective without significantly influencing the inhibitory activity.

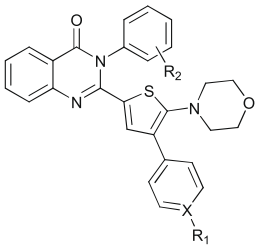


An in silico approach lead to the efficient discovery of novel potent and selective DAPK inhibitors.

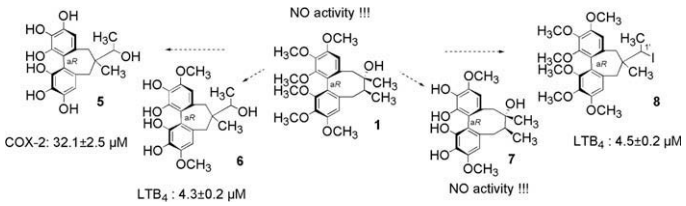




A series of 2-(2,3-disubstituted-thiophen-5-yl)-3*H*-quinazolin-4-one analogs was designed, synthesized and evaluated for its inhibitory activity towards transcription factors NF-κB and AP-1 mediated transcriptional activation. Their possible utilization as anti-inflammatory and anti-cancer agent has been discussed.



General structure of designed compounds



*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Which conformation is the best for inhibitors of β-glucocerebrosidase? $^4C_1$ conformation is the prevalent one for 1-*C*-alkylated iminosugars in the D-*gluco* series (upper structure), $^1C_4$ the prevalent one in the L-*ido* series (lower structure), while both conformations occur in the D-*xylo* series (middle structures). This greater flexibility might be a good explanation for the very important affinity and selectivity of such compounds towards β-glucocerebrosidase. The figure was created using the three-dimensional structure of the enzyme including the modeled glucosylceramide substrate (in yellow) from the following publication: Futerman, A. H.; Sussman, J. L.; Horowitz, M.; Silman, I.; Zimran, A. *Trends Pharmacol. Sci.* **2004**, *25*, 147–151. [Schönemann, W.; Gallienne, E.; Compain, P.; Ikeda, K.; Asano, N.; Martin, O. R. *Bioorg. Med. Chem.* **2010,** *18,* 2645–2650.]

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